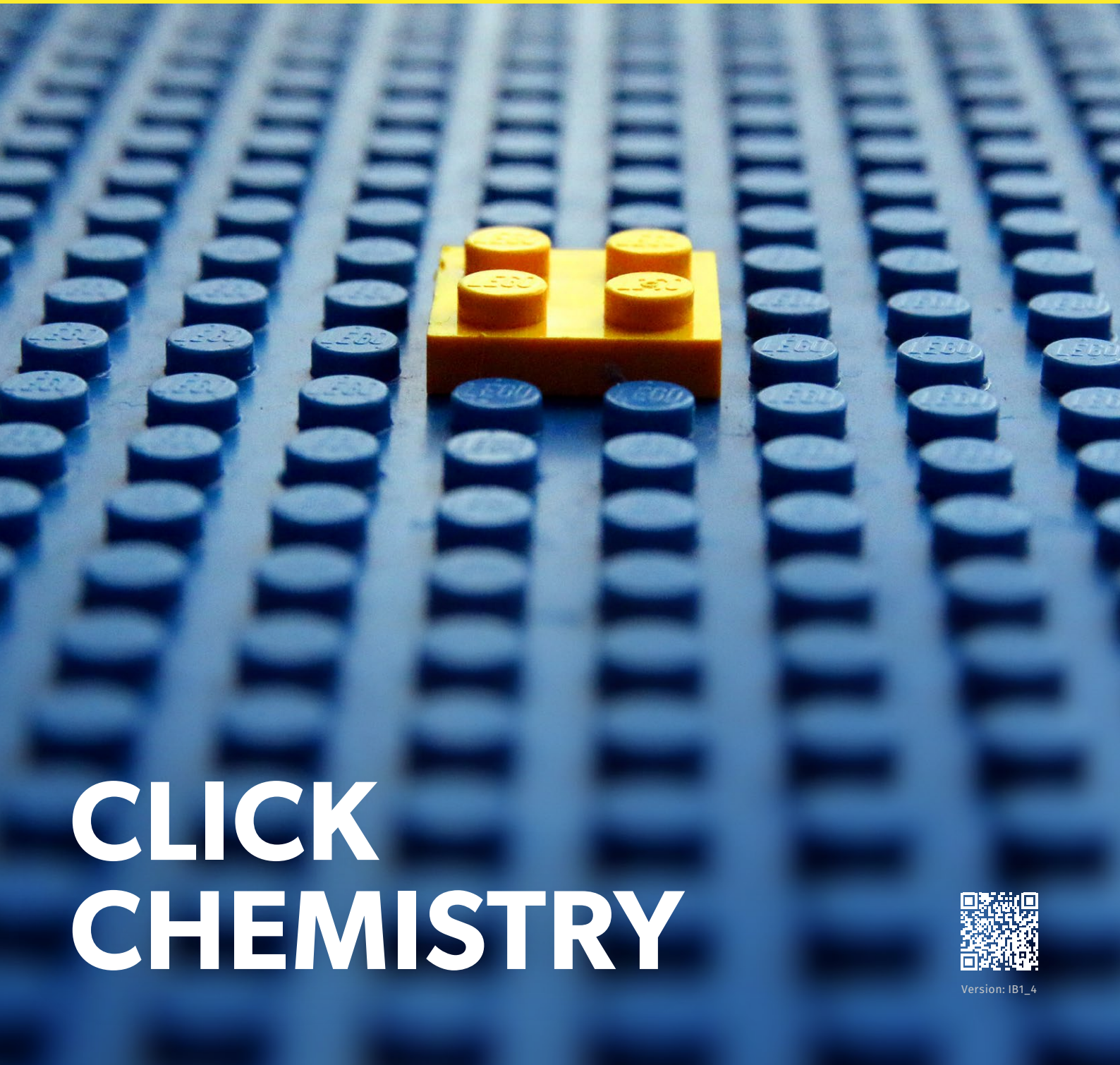
Iris Biotech



# CLICK CHEMISTRY

Version: IB1_4

# Empowering Peptide Innovation

With this guiding theme in mind, Iris Biotech's mission is to support researchers by supplying

- innovative technologies,
- rare compounds,
- as well as a broad portfolio on standard consumables,

available in flexible quantities from small scale to bulk quantities. To fulfill our dedication "Empowering Peptide Innovation", we are attending various conferences, symposia, and exhibitions each year. This allows us to remain in direct contact with scientists all over the world, both from academia and industry, to exchange knowledge, and to gather new ideas to tackle your current challenges.

Guided by our dedication to provide

- competent service,
- as well as novel substances and
- latest technologies,

Iris Biotech is your trusted partner for the world of peptides, while having strong expertise in associated disciplines. Thus, our portfolio comprises reagents and tools for the synthesis and modification of peptides, e.g., amino acids, resins and solvents but also for related technologies such as drug delivery, linkerology® and life sciences.

Owed to the growing demand for tailor-made compounds, our portfolio is fine-tuned by our custom synthesis service at Iris Biotech Laboratories. Our skilled scientists offer profound expertise in

- *de novo* route development,
- upscaling towards larger scale production,
- as well as synthesis optimization for increased efficiency.

Examples are the synthesis of rare chiral building blocks, unnatural amino acid derivatives, sophisticated orthogonal protecting groups, heterocycles, building blocks for nucleotides, PEGs and PEG-analogs as well as specific linkers for controlled drug delivery and release.

***Amino Acids*** ***Building Blocks*** ***Life Sciences*** ***Drug Delivery*** ***Reagents*** ***Resins*** ***Linkerology®*** ***Click Chemistry***

# Portfolio Overview

## Peptide Synthesis and Modification

### (Protected) Amino Acids

Standards such as Fmoc-D/L-AAA and Boc-D/L-AAA, Smoc amino acids for peptide synthesis in water, variety of protecting groups (e.g., Pbf, Trt, $^{t}$Bu, Bzl, Acm, Mob, SIT, Phacm, Allocam, Mmt), unusual amino acids, fluorinated derivatives, substituted prolines, arginine analogs

### Building Blocks

Amino alcohols, amino aldehydes, diamines and hydrazines, (pseudoproline) dipeptides, polyamines and spermines, fatty acid derivatives, peptide nucleic acids (PNAs)

### Reagents

Coupling reagents, solvents and scavengers, protecting groups

### Resins

Preloaded resins (e.g., based on Trityl, TCP, TentaGel, Methoxybenzhydryl, Merrifield, PAM, Rink, Wang), scavenger resins, hydrazone resins, poly(acrylamide) resins, Cyclover

## Linkerology® and Drug Delivery

### Linkers for Solid Phase Peptide Synthesis

### Cleavable Linkers

Val-Ala-based, Val-Cit-based, disulfide-based, Dde-helping hands, pH-sensitive linkers

### Photo-Activatable Linkers

### Functionalized Linkers

Clickable linkers, trifunctional linkers, linkers with maleimide function, cross-linkers, selective N-term acylation and biotinylation, 5HP2O

### PROTACs

Ligands, linkers & modules

### Fullerenes, Poly(2-oxazolines), Dextrans & Plant-Derived Cholesterol

### Superparamagnetic Iron Oxide Nanoparticles

### Poly-Amino Acids

Poly-Arg, Poly-Glu, Poly-Lys, Poly-Orn, Poly-Sar

### PEGylation

Branched PEGylating reagents, (amino-)PEG-acids, PEG-amines & hydrazides & guanidines, reagents for Click-conjugation, Biotin-PEG-reagents, PEG-thiols, PEG-maleimides, other PEGylating reagents

## Life Sciences

### Biotinylation Reagents

### Carbohydrates

Galactose, Glucose, Mannose, Xylose and others

### Drug Metabolites

### Peptides

### Substrates & Inhibitors

E.g., protein kinase inhibitors, substrates for fusion (Halo/Snap/Clip)-tagged proteins

### Natural Products

### Dyes and Fluorescent Labels

E.g., ICG, AMC, DAPI

### Maillard & Amadori Reaction Products

Large portfolio of derivatives useful as standards for food, pharma and cosmetics industry

### Vitamins

# Custom Synthesis

Your project requires a compound not listed in our portfolio?
Get in contact and inquire about our custom synthesis capabilities.

**Our experienced scientists are excited to accept your synthetic challenge!**
In such cases, your request undergoes the following stages:

### Step-by-Step Analysis

- Customer's demands

### Process Evaluation

- Detailed literature review
- Synthetic possibilities

### Strategy Development

- Protocol development
- Method development and validation
- Customized synthesis

### Quality Consistency

- Identity confirmation
- Purity verification

# Our Service Promise

All our services are based on high standards, transparency & documentation, trust, honesty & confidentiality, as well as the required know-how.

### High Standards

- Values: sustainability & responsibility
- State-of-the-art equipment & latest technologies
- High quality standards
- Qualified suppliers & regular audits

### Transparency & Documentation

- Talk to our specialists – customer care
- Certificates of analysis & origin
- Impurity profiling
- Safety data sheets
- Analytical and process reports

### Trust, Honesty & Confidentiality

- Intergenerational business valuing partnerships
- Meeting the customer's expectations
- Integrity towards our customers

### Our Know-How

- One-step reactions & complex multi-step synthesis
- Scalability from mg to kg quantities
- Route scouting

# Table of Contents

# 1. The Click Reaction

## 1.1. The 1st Generation Click Reaction: CuAAC

Alkynes and azides can undergo a Cu(I)-catalyzed azide-alkyne 1,3-dipolar cycloaddition (CuAAC) to afford 1,4-disubstituted 1,2,3-triazoles. Developed by K. Barry Sharpless and Morton Meldal, this type of chemical transformation was quickly dubbed “Click chemistry”. It has since become a widely used reaction that is orthogonal to many other types of chemical transformations and is used in various kinds of applications. Due to its high thermodynamic driving force, which is usually greater than 20 kcal/mol, the Click reaction rapidly proceeds to completion in almost all cases. Moreover, while the thermal Huisgen 1,3-dipolar cycloaddition affords a mixture of both the 1,4-disubstituted and the 1,5-disubstituted regioisomers, the CuAAC is highly selective for the 1,4-disubstituted isomer only (*Fig. 1*). Worth noting is the fact that ruthenium is also able to catalyze a 1,3-dipolar cycloaddition between an azide and an alkyne affording the 1,5-disubstituted product instead.

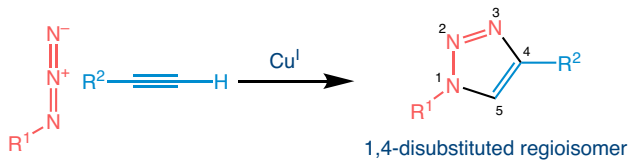



*Fig. 1: The copper-catalyzed azide-alkyne cycloaddition affords the 1,4-disubstituted isomers.*

Cycloaddition reactions such as the [3+2] azide-alkyne and the [4+2] Diels-Alder reaction, have become common conjugation techniques. Applications range from imaging and drug design to the development of sensors, thereby covering such diverse fields as chemical biology, material science, surface and polymer chemistry.

Tris(benzyltriazolylmethyl)amine (TBTA; *RL-2010 on page 82*) is stabilizing copper(I) towards oxidation in solution by forming a complex and effectively catalyzes quantitative and regioselective Click cycloaddition reactions in a variety of aqueous and organic solvents. Among scientists, CuAAC has found widespread use as a biochemical tool for the site-specific labeling of peptides, proteins, and other biomolecules.

THPTA (*RL-2210 on page 82*) is a water-soluble alternative to TBTA (*RL-2010 on page 82*) and a highly efficient ligand for Click chemistry in partially organic and particularly in completely aqueous reactions. The benefits of a completely aqueous reaction include the biological labelling of live cells or the labelling of proteins without the concern of denaturing secondary structures. THPTA complexes Cu(I) and thus blocks its bioavailability. This mitigates potentially toxic effects while maintaining the catalytic effectiveness in Click conjugations. Successful Click reactions with oligonucleotides can be found in many publications.

A variety of azido and alkyne building blocks are available from Iris Biotech. Some of those compounds can be incorporated into peptides and proteins by recombinant syntheses, particularly by non-neutral protein translation using the amber-suppression-based orthogonal system, while others are suitable for solid phase peptide synthesis. The presence of an azido or alkyne function at a particular position of a peptide sequence opens up the possibility for the site-selective conjugation of other biomolecules (e.g., carbohydrates), labels or APIs.

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## 1.2. Catalyst-free Click Reactions: 2nd and 3rd Generation Click Chemistry

Introduced in 2002, the copper-catalyzed variant of the azide-alkyne cycloaddition (CuAAC) reaction has found broad applicability in various fields and is as such currently the most widely used conjugation technique. The presence of copper, however, limits *in vivo* applications of this reaction for several reasons:

- High cell toxicity
- Undesired oxidation of proteins and
- Inhibition of luminescence properties of nanocrystals

To allow for fast and efficient *in vivo* conjugations, new methodologies were developed that do not require the use of a metal catalyst while still making use of bioorthogonal functional groups. The most commonly used approaches can be classified into two categories.

### 1.2.1. 2nd Generation: Strain-Promoted Azide-Alkyne Cycloadditions (SPAAC)

As early as 1961, Wittig and Krebs noted the propensity of cyclooctyne to strongly react with phenyl azide *via* a 1,3-dipolar cycloaddition, forming a triazole product. This finding stood in stark contrast to previous research that found slow kinetics for Huisgen 1,3-dipolar cycloadditions of azides with unstrained, linear alkynes. The latter reaction can be drastically accelerated by copper catalysis. The use of this metal, however, is linked with several drawbacks as noted above.

This property of cyclooctynes was exploited by Bertozzi *et al.* in the design of SPAAC reagents for bioorthogonal couplings to azide-bearing biomolecules in live cells or organisms such as *C. elegans*, zebrafish or mice. By modifying the cyclooctyne core structure of SPAAC reagents with heteroatoms, fluorine substituents and fused rings, key properties such as cycloaddition kinetics, stability, solubility, and pharmacokinetics could be optimized.

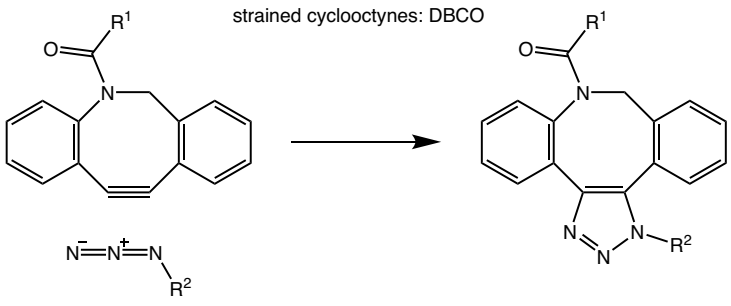


*Fig. 2: Reaction of DBCO as an exemplary strained cyclooctyne with an azide.*

In *Fig. 4*, various strained cyclooctynes and cyclononynes are depicted with their corresponding reactivities, as determined by their reaction with benzyl azide as a model compound. In general, the presence of atoms with high electronegativity next to the alkyne function, i.e. good σ-acceptors, leads to increased reactivity. A higher reactivity also correlates with increased ring strain, as exemplified by dibenzo-fused cyclooctynes (DiBO, DBCO) and bicyclo[6.1.0]non-4-yne (BCN).

A relatively new addition to this ensemble is 4,8-diazacyclononyne (DACN). While exhibiting a reactivity twice as high as OCT, DACN is also more hydrophilic than most cyclooctynes, highly stable (both thermal and chemical stability), and highly selective towards ynophiles. Additionally, the two endocyclic nitrogens in DACN may serve as additional attachment points for further conjugation, rendering the compound functionally versatile.

## CliCr® - an innovative Click reagent

CliCr® is based on the small-molecule TMTH-SulfoxImine (TMTHSI). It constitutes a superior class of reagents for metal-free click strain promoted cycloaddition-conjugation with azides. The imine in the 7-membered CliCr® ring can be conveniently functionalized with a variety of linkers, e.g., *via* acylation, sulfonylation, N-alkylation, or carbamoylation. CliCr® reagents can be used in diverse applications, including the construction of antibody-drug conjugates (ADCs), small molecule-drug conjugates, oligonucleotide conjugates as well as for diagnostic labelling of a variety of nanoparticles and other agents. CliCr® can also be used in the conjugation of larger proteins (including optionality for native peptide release) or for *ex vivo* cell modification (e.g., glycocalyx).

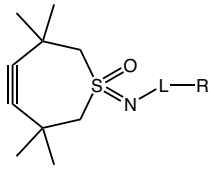


*Fig. 3: Chemical structure of the CliCr® base compound and its derivatization possibilities.*

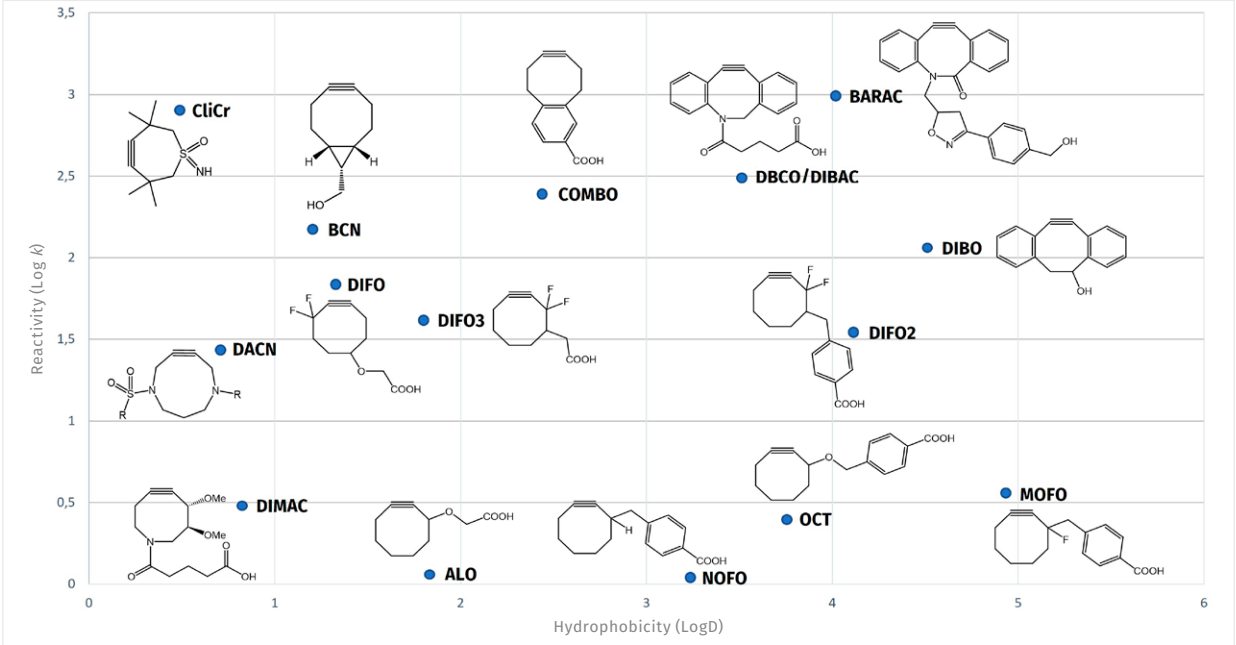


*Fig. 4: Reaction rate constants for different strained cycloheptyne (CliCr), cyclooctyne and cyclononyne (DACN) derivatives.*

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## Key benefits:

**More attractive CoG *via* faster click reactions:**

Shorter reaction times than all other marketed copper-free click reagents, providing greater chemical yield.

**Generation of (biodegradable) bioconjugates:**

Highly stable reagents yielding (biodegradable) linked drug products.

**Greater variety of click reactions:**

Due to a larger variation of linkers that can easily be attached to the seven-membered ring.

**Broad applicability:**

Next to straightforward bioconjugation, a plethora of additional important applications in biochemical, aqueous environments is envisioned, such as surface plasmon resonance (SPR) applications and conjugation of chelator moieties for radio-active isotope incorporation in theragnostic applications.

CliCr® is shown to be > 5 times more reactive than BCN. The reaction progress of 5 mM CliCr® (blue line) or BCN-OH (red line), respectively, with 1.3 eq. of benzylazide in $CDCl_3$ at room temperature was monitored by MS. The reaction conversion was measured based on the increase of the triazole signals (see *https://doi.org/10.1039/d0sc03477k*).

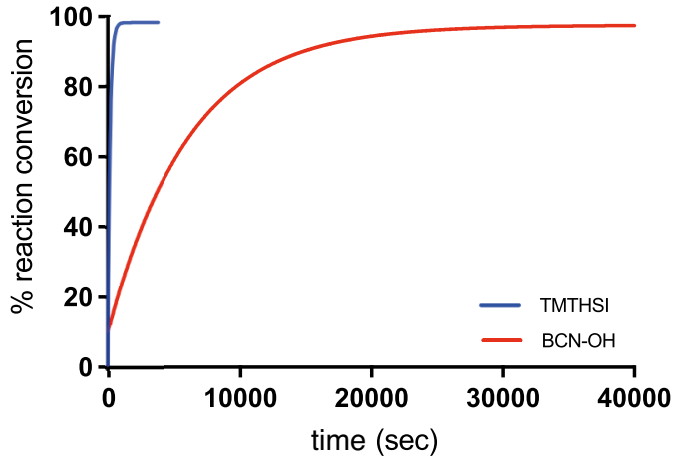



*Fig. 5: Reaction progress with benzylazide in $CDCl_3$ – comparison of BCN-OH and TMTHSI.*

The increased hydrophilicity as observed for antibody CliCr®-derived conjugates as compared to DBCO-linked constructs, translates into superior *in vivo* biodistribution, namely less liver and spleen uptake. Alike, also significantly faster and more cell labeling was detected upon using CliCr® as compared to DBCO.

Within our portfolio, we offer a selection of CliCr® derivatives. The CliCr® derivatives can be clicked to azide compounds with high efficiency and excellent stability. For further derivatives, large scale production or GMP grade, please get in contact!

CliCr® is provided under an intellectual property license from Cristal Therapeutics. The trademark CliCr® is the property of Cristal Therapeutics. For information on purchasing a license of CliCr® reagents, contact Cristal Therapeutics *via* Oxfordlaan 55, 6229 EV Maastricht (The Netherlands) or *via* info@cristaltherapeutics.com.

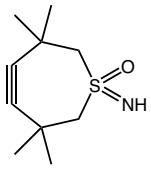
# CliCr® Products

Product details

## RL-4180 CliCr® base compound

TMTH-Sulfoximine

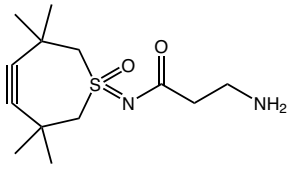
| | |
|---|---|
| CAS-No. | 2408481-82-1 |
| Formula | $C_{10}H_{17}NOS$ |
| Mol. weight | 199,31 g/mol |




## RL-4190 CliCr®-beta-Ala-$NH_2$*TFA

TMTH-sulfoximine beta-alanine amide TFA salt

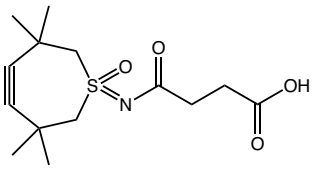
| | |
|---|---|
| CAS-No. | 3038495-92-7 net |
| Formula | $C_{13}H_{22}N_2O_2S*CF_3COOH$ |
| Mol. weight | 270,39*114,02 g/mol |




## RL-4200 CliCr®-Suc

TMTH-sulfoximine succinic acid

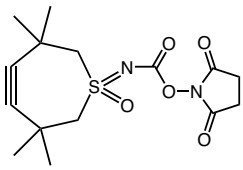
| | |
|---|---|
| CAS-No. | 2479971-29-2 |
| Formula | $C_{14}H_{21}NO_4S$ |
| Mol. weight | 299,39 g/mol |




## RL-4330 CliCr®-OSu

TMTH-sulfoximine succinimidyl ester

| | |
|---|---|
| CAS-No. | 2408481-89-8 |
| Formula | $C_{15}H_{20}N_2O_5S$ |
| Mol. weight | 340,39 g/mol |




## RL-4205 CliCr® Feasibility Service

This paid service package consists of 20 hours of consulting and advice by the CliCr® experts of Cristal Therapeutics for the period of 1 year, paid in advance, no refunds. This Service Package will help to maximize your results when testing CliCr® - the innovative click reagent. For more information, please get in contact!

Cristal Therapeutics

The Click Reaction
Amino Acid Derivatives and Related Building Blocks for Click Chemistry
Spermines and Amines for Click Chemistry
Click Reagents for Drug Delivery
Click Chemistry Tools for Proteomics
Carbohydrates for Click Chemistry
Proteolysis Targeting Chimeras (PROTACs®)
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## You want more details about CliCr®?

**Watch the recording of our online workshop!**

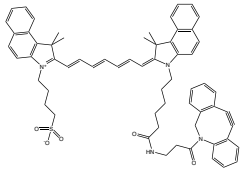
## Products with DBCO

Product details

### RL-2870 ICG-DBCO

Indocyanine green dibenzoazacyclooctyne

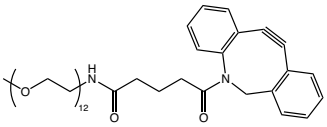
| | |
|---|---|
| CAS-No. | 3024705-52-7 |
| Formula | $C_{63}H_{64}N_4O_5S$ |
| Mol. weight | 989,27 g/mol |



### PEG7465 Me-PEG(12)-DBCO

Methyl-12(ethylene glycol)-amido-dibenzoazacyclooctyne

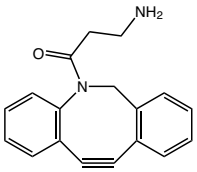
| | |
|---|---|
| Formula | $C_{45}H_{68}N_2O_{14}$ |
| Mol. weight | 861,04 g/mol |



## RL-2120 DBCO-$NH_2$

**Dibenzocyclooctyne-amine**

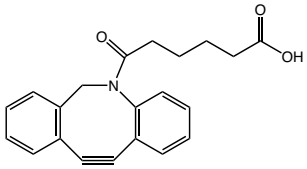
| | |
|---|---|
| CAS-No. | 1255942-06-3 |
| Formula | $C_{18}H_{16}N_2O$ |
| Mol. weight | 276,33 g/mol |



## RL-2430 DBCO-COOH

**Dibenzoazacyclooctyne-carboxylic acid**

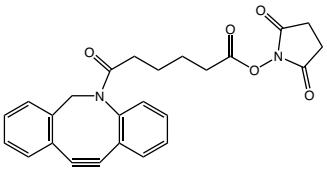
| | |
|---|---|
| CAS-No. | 1425485-72-8 |
| Formula | $C_{21}H_{19}NO_3$ |
| Mol. weight | 333,38 g/mol |



## RL-2440 DBCO-NHS

**Dibenzoazacyclooctyne-carboxylic acid succinimidyl ester**

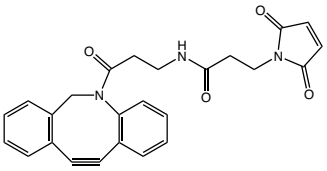
| | |
|---|---|
| CAS-No. | 1384870-47-6 |
| Formula | $C_{25}H_{22}N_2O_5$ |
| Mol. weight | 430,45 g/mol |



## RL-2490 DBCO-mal

**Dibenzoazacyclooctyne-maleimide**

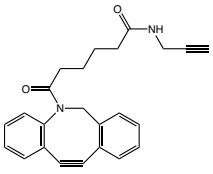
| | |
|---|---|
| CAS-No. | 1395786-30-7 |
| Formula | $C_{25}H_{21}N_3O_4$ |
| Mol. weight | 427,45 g/mol |



## RL-4020 DBCO-C6-Alkyne

**N-(propargylamidoadipoyl)-dibenzoazacyclooctyne**

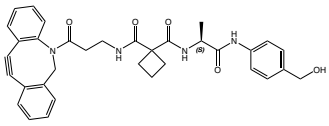
| | |
|---|---|
| Formula | $C_{24}H_{22}N_2O_2$ |
| Mol. weight | 370,45 g/mol |



## ADC1620 DBCO-cyclobutane-1,1-dicarboxamide-Ala-PAB

**dibenzoazacyclooctyne-cyclobutane-1,1-dicarboxamide-alanyl-(4-aminobenzyl alcohol)**

| | |
|---|---|
| CAS-No. | 2576471-46-8 |
| Formula | $C_{34}H_{34}N_4O_5$ |
| Mol. weight | 578,66 g/mol |



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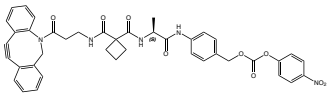
Product details

## ADC1630 DBCO-cyclobutane-1,1-dicarboxamide-Ala-PAB-PNP

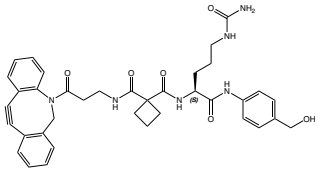
dibenzoazacyclooctyne-cyclobutane-1,1-dicarboxamide-alanyl-(4-aminobenzyl)-(4-nitrophenyl)-carbonate



| | |
|---|---|
| CAS-No. | 2576471-43-5 |
| Formula | $C_{41}H_{37}N_5O_9$ |
| Mol. weight | 743,76 g/mol |

## ADC1520 DBCO-cyclobutane-1,1-dicarboxamide-Cit-PAB

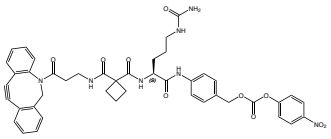
dibenzoazacyclooctyne-cyclobutane-1,1-dicarboxamide-citrullyl-(4-aminobenzyl alcohol)



| | |
|---|---|
| CAS-No. | 2576471-51-5 |
| Formula | $C_{37}H_{40}N_6O_6$ |
| Mol. weight | 664,75 g/mol |

## ADC1530 DBCO-cyclobutane-1,1-dicarboxamide-Cit-PAB-PNP

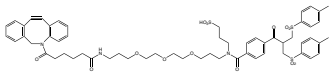
dibenzoazacyclooctyne-cyclobutane-1,1-dicarboxamide-citrullyl-(4-aminobenzyl)-(4-nitrophenyl)-carbonate



| | |
|---|---|
| CAS-No. | 2576471-34-4 |
| Formula | $C_{44}H_{43}N_7O_{10}$ |
| Mol. weight | 829,85 g/mol |

## RL-2480 DBCO-PEG(3)-BisSulfonThiol-Linker

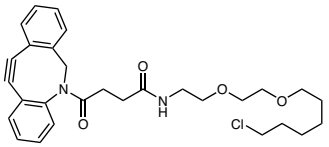
Dibenzoazacyclooctyne-PEG(3)-BisSulfon-Thiol-Linker



| | |
|---|---|
| Formula | $C_{59}H_{69}N_3O_{14}S_3$ |
| Mol. weight | 1140,39 g/mol |

## RL-3670 Halo-DBCO

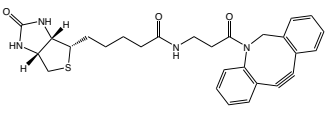
N-[2-[2-[(6-chlorohexyl)oxy]ethoxy]ethyl]-gamma-oxo-dibenz[b,f]azocine-5(6H)-butanamide



| | |
|---|---|
| CAS-No. | 1808119-16-5 |
| Formula | $C_{29}H_{35}ClN_2O_4$ |
| Mol. weight | 511,06 g/mol |

## LS-4270 Biotin-DBCO

(3aS,4S,6aR)-N-[3-(11,12-Didehydrodibenz[b,f]azocin-5(6H)-yl)-3-oxopropyl]hexahydro-2-oxo-1H-thieno[3,4-d]imidazole-4-pentanamide

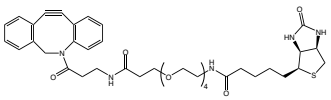


| | |
|---|---|
| CAS-No. | 1418217-95-4 |
| Formula | $C_{28}H_{30}N_4O_3S$ |
| Mol. weight | 502,63 g/mol |

## RL-2520 Biotin-PEG(4)-DBCO

Dibenzoazacyclooctyne-tetra(ethylene glycol)-biotin

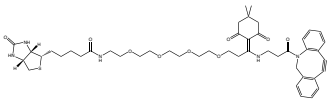
CAS-No. 1255942-07-4
Formula $C_{39}H_{51}N_5O_8S$
Mol. weight 749,92 g/mol



## PEG8140 Biotin-PEG(4)-Dde-DBCO

N-(15-(4,4-dimethyl-2,6-dioxocyclohexylidene)-19-oxo-19-(azadibenzocyclooctyn-1-yl)-3,6,9,12-tetraoxa-16-azanonadecyl)-5-((3aS,4S,6aR)-2-oxohexahydro-1H-thieno[3,4-d]imidazol-4-yl)pentanamide

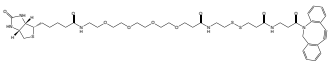
CAS-No. 1807512-43-1
Formula $C_{47}H_{61}N_5O_9S$
Mol. weight 872,08 g/mol



## PEG8120 Biotin-PEG(4)-SS-DBCO

N-(2-((3-(3-(azadibenzocyclooctyn-1-yl)-3-oxopropylamino)-3-oxopropyl)disulfanyl)ethyl)-1-(5-((3aS,4S,6aR)-2-oxohexahydro-1H-thieno[3,4-d]imidazol-4-yl)pentanamido)-3,6,9,12-tetraoxapentadecan-15-am ide

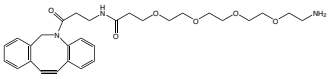
Formula $C_{44}H_{60}N_6O_9S_3$
Mol. weight 913,18 g/mol



## RL-2420 DBCO-PEG(4)-$NH_2$*TFA

Dibenzoazacyclooctyne-tetra(ethylene glycol)-amine trifluoro acetic acid salt

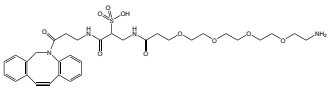
CAS-No. 1255942-08-5
Formula $C_{29}H_{37}N_3O_6*C_2F_3HO_2$
Mol. weight 523,62*114,02 g/mol



## RL-2421 DBCO-Sulfo-PEG(4)-$NH_2$

Dibenzoazacyclooctyne-tetra(ethylene glycol)amine

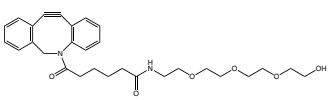
CAS-No. 2055198-05-3
Formula $C_{32}H_{42}N_4O_{10}S$
Mol. weight 674,76 g/mol



## RL-2510 DBCO-PEG(4)-OH

Dibenzoazacyclooctyne-tetra(ethylene glycol)

CAS-No. 1416711-60-8
Formula $C_{29}H_{36}N_2O_6$
Mol. weight 508,61 g/mol



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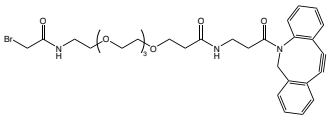
Product details

## PEG6790 Bromoacetamido-PEG(4)-DBCO

Bromoacetamido-tetra(ethylene glycol)-amido-dibenzoazacyclooctyne

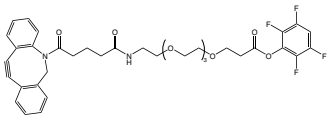
| | |
|---|---|
| CAS-No. | 2735663-70-2 |
| Formula | $C_{31}H_{38}BrN_3O_7$ |
| Mol. weight | 644,55 g/mol |



## PEG6740 DBCO-PEG(4)-TFP

Dibenzoazacyclooctyne-tetra(ethylene glycol)-propionyl 2,3,5,6-tetrafluorophenol ester

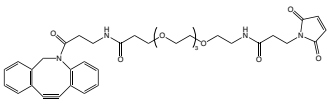
| | |
|---|---|
| CAS-No. | 2247993-79-7 |
| Formula | $C_{37}H_{38}F_4N_2O_8$ |
| Mol. weight | 714,7 g/mol |



## RL-2500 DBCO-PEG(4)-mal

Dibenzoazacyclooctyne-tetra(ethylene glycol)-maleimide

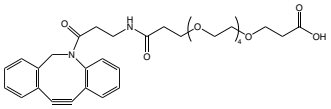
| | |
|---|---|
| CAS-No. | 1480516-75-3 |
| Formula | $C_{36}H_{42}N_4O_9$ |
| Mol. weight | 674,74 g/mol |



## RL-2450 DBCO-PEG(5)-COOH

Dibenzoazacyclooctyne-penta(ethylene glycol)-propanoic acid

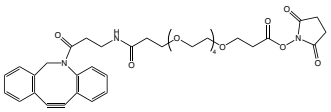
| | |
|---|---|
| CAS-No. | 1870899-46-9 |
| Formula | $C_{32}H_{40}N_2O_9$ |
| Mol. weight | 596,67 g/mol |



## RL-2460 DBCO-PEG(5)-NHS

Dibenzoazacyclooctyne-penta(ethylene glycol)-propanoic acid succinimidyl ester

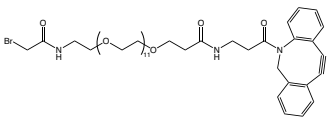
| | |
|---|---|
| CAS-No. | 1378531-80-6 |
| Formula | $C_{36}H_{43}N_3O_{11}$ |
| Mol. weight | 693,74 g/mol |



## PEG6800 Bromoacetamido-PEG(12)-DBCO

Bromoacetamido-dodeca(ethylene glycol)-amido-dibenzoazacyclooctyne

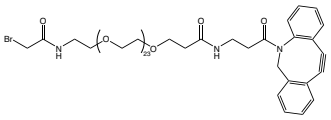
| | |
|---|---|
| CAS-No. | 2852742-40-4 |
| Formula | $C_{47}H_{70}BrN_3O_{17}$ |
| Mol. weight | 996,97 g/mol |



## PEG6810 Bromoacetamido-PEG(24)-DBCO

Bromoacetamido-24(ethylene glycol)-amido-dibenzoazacyclooctyne

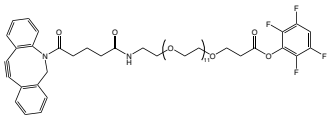
CAS-No. 2852742-74-4
Formula $C_{71}H_{118}BrN_3O_{27}$
Mol. weight 1525,6 g/mol



## PEG6750 DBCO-PEG(12)-TFP

Dibenzoazacyclooctyne-dodeca(ethylene glycol)-propionyl 2,3,5,6-tetrafluorophenol ester

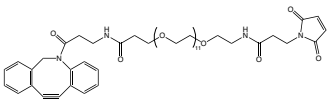
CAS-No. 3038549-18-4
Formula $C_{53}H_{70}F_4N_2O_{16}$
Mol. weight 1067,12 g/mol



## PEG6770 DBCO-PEG(12)-MAL

Dibenzoazacyclooctyne-dodeca(ethylene glycol)-maleimide

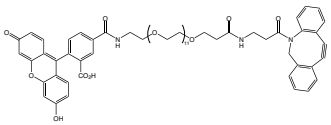
CAS-No. 2011777-01-6
Formula $C_{52}H_{74}N_4O_{17}$
Mol. weight 1027,16 g/mol



## PEG6830 DBCO-PEG(12)-(5)6-carboxyfluorescein

Dibenzoazacyclooctyne-dodeca(ethylene glycol)-(5)6-carboxyfluorescein

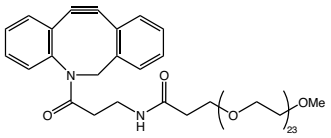
Formula $C_{46}H_{39}N_3O_{10}$
Mol. weight 1234,34 g/mol



## PEG7460 DBCO-PEG(24)-OMe

alpha-Methoxy-24(ethylene glycol)-amido-dibenzoazacyclooctyne

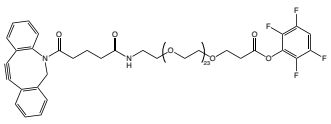
CAS-No. 3023098-71-4
Formula $C_{68}H_{114}N_2O_{26}$
Mol. weight 1375,63 g/mol



## PEG6760 DBCO-PEG(24)-TFP

Dibenzoazacyclooctyne-24(ethylene glycol)-propionyl 2,3,5,6-tetrafluorophenol ester

CAS-No. 2754372-40-0
Formula $C_{77}H_{118}F_4N_2O_{28}$
Mol. weight 1595,75 g/mol



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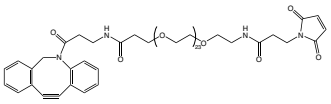
Product details

## PEG6780 DBCO-PEG(24)-MAL

Dibenzoazacyclooctyne-24(ethylene glycol)-maleimide

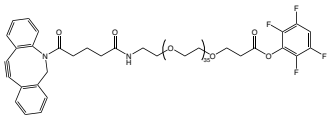
CAS-No. 2924872-84-2
Formula $C_{76}H_{122}N_4O_{29}$
Mol. weight 1555,79 g/mol



## PEG6765 DBCO-PEG(36)-TFP

Dibenzoazacyclooctyne-36(ethylene glycol)-propionyl 2,3,5,6-tetrafluorophenol ester

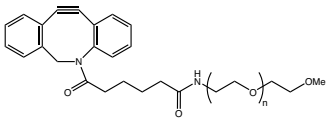
CAS-No. 2924873-16-3
Formula $C_{101}H_{166}F_4N_2O_{40}$
Mol. weight 2124,41 g/mol



## RL-2530 DBCO-mPEG (5kDa)

alpha-Dibenzoazacyclooctyne-omega-methoxy-poly(ethylene glycol)

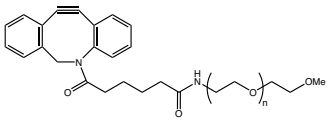
CAS-No. 2262541-53-5
Mol. weight 5000 Da



## RL-2540 DBCO-mPEG (10kDa)

alpha-Dibenzoazacyclooctyne-omega-methoxy-poly(ethylene glycol)

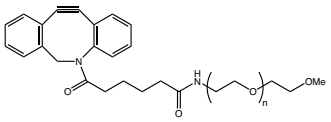
CAS-No. 2262541-53-5
Mol. weight 10000 Da



## RL-2550 DBCO-mPEG (20kDa)

alpha-Dibenzoazacyclooctyne-omega-methoxy-poly(ethylene glycol)

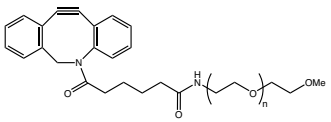
CAS-No. 2262541-53-5
Mol. weight 20000 Da



## RL-2560 DBCO-mPEG (30kDa)

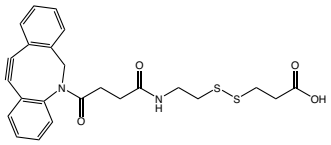
alpha-Dibenzoazacyclooctyne-omega-methoxy-poly(ethylene glycol)

CAS-No. 2262541-53-5
Mol. weight 30000 Da



## RL-4110 DBCO-Suc-SS-COOH

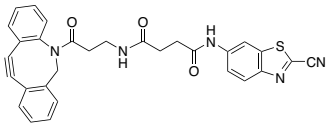
CAS-No. 2749426-25-1
Formula $C_{24}H_{24}N_2O_4S_2$
Mol. weight 468,59 g/mol



## RL-4310 DBCO-Suc-CBT

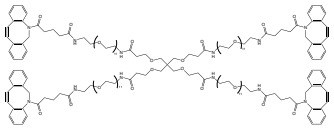
N1-(2-cyanobenzo[d]thiazol-6-yl)-N4-(3-(11,12-didehydro-5,6-dihydro-dibenzo[b,f]azocin-yl)-3-oxopropyl) succinamide

Formula $C_{30}H_{23}N_5O_3S$
Mol. weight 533,61 g/mol



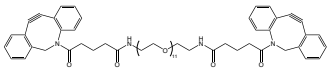
## PEG7070 Tetra(-PEG(11)-DBCO)pentaerythritol

Formula $C_{193}H_{288}N_{12}O_{60}$
Mol. weight 3736,45 g/mol



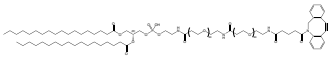
## PEG7075 Bis-PEG(11)-DBCO

Formula $C_{64}H_{82}N_4O_{15}$
Mol. weight 1147,37 g/mol



## PEG7095 DBCO-PEG(24)-amido-PEG(24)-DSPE

Formula $C_{163}H_{299}N_4O_{60}P$
Mol. weight 3306,13 g/mol



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Spermines and Amines for Click Chemistry
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Click Chemistry Tools for Proteomics
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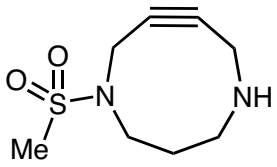
## Products with DACN

Product details

### RL-3600 DACN(Ms)*HCl

N-(Mesyl)-4,8-diazacyclononyne hydrochloride

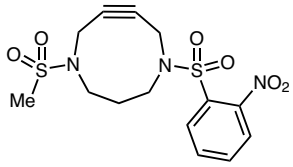
| | |
|---|---|
| CAS-No. | 2331322-16-6 |
| Formula | $C_8H_{14}N_2O_2S$*HCl |
| Mol. weight | 202,27*36,46 g/mol |




### RL-3610 DACN(Ms,Ns)

N-(Mesyl)-*N'*-(2-nosyl)-4,8-diazacyclononyne

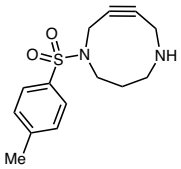
| | |
|---|---|
| CAS-No. | 2411082-25-0 |
| Formula | $C_{14}H_{17}N_3O_6S_2$ |
| Mol. weight | 387,43 g/mol |




### RL-2735 DACN(Tos)*HCl

N-(*p*-toluenesulfonyl)-4,8-diazacyclononyne hydrochloride

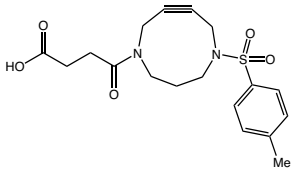
| | |
|---|---|
| CAS-No. | 2331322-18-8 |
| Formula | $C_{14}H_{18}N_2O_2S$*HCl |
| Mol. weight | 278,37*36,46 g/mol |




### RL-2720 DACN(Tos,Suc-OH)

N-succinoyl-*N'*-(*p*-toluenesulfonyl)-4,8-diazacyclononyne

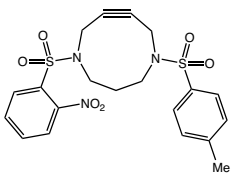
| | |
|---|---|
| CAS-No. | 2109751-68-8 |
| Formula | $C_{18}H_{22}N_2O_5S$ |
| Mol. weight | 378,44 g/mol |




### RL-2710 DACN(Tos,Ns)

N-(*o*-nitrobenzenesulfonyl)-*N'*-(*p*-toluenesulfonyl)-4,8-diazacyclononyne

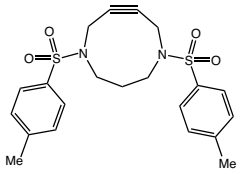
| | |
|---|---|
| CAS-No. | 1797508-58-7 |
| Formula | $C_{20}H_{21}N_3O_6S_2$ |
| Mol. weight | 463,53 g/mol |



## RL-2730 DACN(Tos2)

*N,N'*-bis(*p*-toluenesulfonyl)-4,8-diazacyclononyne

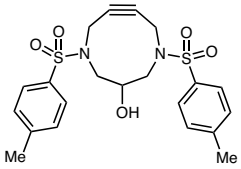
| | |
|---|---|
| CAS-No. | 1797508-57-6 |
| Formula | $C_{21}H_{24}N_2O_4S_2$ |
| Mol. weight | 432,56 g/mol |




## RL-2737 DACN(Tos2,6-OH)

4,8-Bis(*p*-toluenesulfonyl)-4,8-diazacyclononyn-6-ol

| | |
|---|---|
| CAS-No. | 2109751-74-6 |
| Formula | $C_{21}H_{24}N_2O_5S_2$ |
| Mol. weight | 448,55 g/mol |

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## 1.2.2. 3rd Generation: Inverse Electron-Demand Diels-Alder (IEDDA) Reactions

Click chemistry is frequently the method of choice for site-selective labeling and crosslinking. However, in biological systems, the cytotoxicity of copper used for the classical Cu-promoted 1,3-dipolar cycloaddition may cause major problems. The copper-free strain-promoted alkyne-azide cycloaddition (SPAAC) utilizing cyclooctynes, on the other hand, is limited by its moderate reaction kinetics for the application in live cells, where the concentration of biomolecules is usually low. Another potential drawback of cyclooctynes is the extensive patent coverage of many variants.

Tetrazine ligation presents the option for a copper-free, rapid, and fully bioorthogonal type of Click chemistry. Mechanistically, this reaction proceeds *via* an inverse electron-demand Diels-Alder cycloaddition reaction between a tetrazine and a strained alkene such as *trans*-cyclooctene (TCO), cyclopropane or norbornene, followed by a retro-Diels-Alder reaction under elimination of $N_2$, the latter rendering the reaction irreversible.

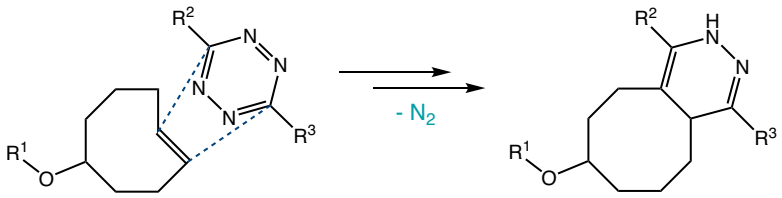



*Fig. 6: Reaction between a trans-cyclooctene (TCO) and a tetrazine.*

### Stability vs. Faster Reaction Kinetics: 6-Me or 6-H Tetrazines

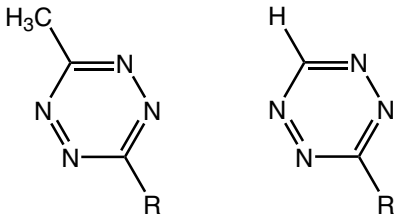



*Fig. 7: Chemical structures of 6-Me and 6-H tetrazine.*

There are two main types of tetrazines that are widely applied: 6-methyl-substituted tetrazines and 6-hydrogen-substituted tetrazines. Methyl-substituted tetrazines exhibit a high stability even when dissolved in aqueous media, while still offering faster reaction kinetics with TCO derivatives than any other bioorthogonal reaction pairs (approx. 1000 $M^{-1}s^{-1}$). Moreover, they tolerate a wide array of reaction conditions which renders them the prime choice for applications such as protein labeling. Hydrogen-substituted tetrazines, on the other hand, show lower stability and less tolerance to harsh reaction conditions, but offer extremely fast reaction kinetics (up to 30000 $M^{-1}s^{-1}$) for applications like *in vivo* imaging.

This method excels at very low concentrations (e.g., in biological systems) due to the extremely rapid second order reaction rate constants (between approx. 800 $M^{-1}s^{-1}$ and 30000 $M^{-1}s^{-1}$). Moreover, the tetrazine-TCO ligation can be performed in aqueous media and has been applied in live cell imaging. These properties make tetrazine Click chemistry the method of choice for labeling or crosslinking biomolecules in living cells.

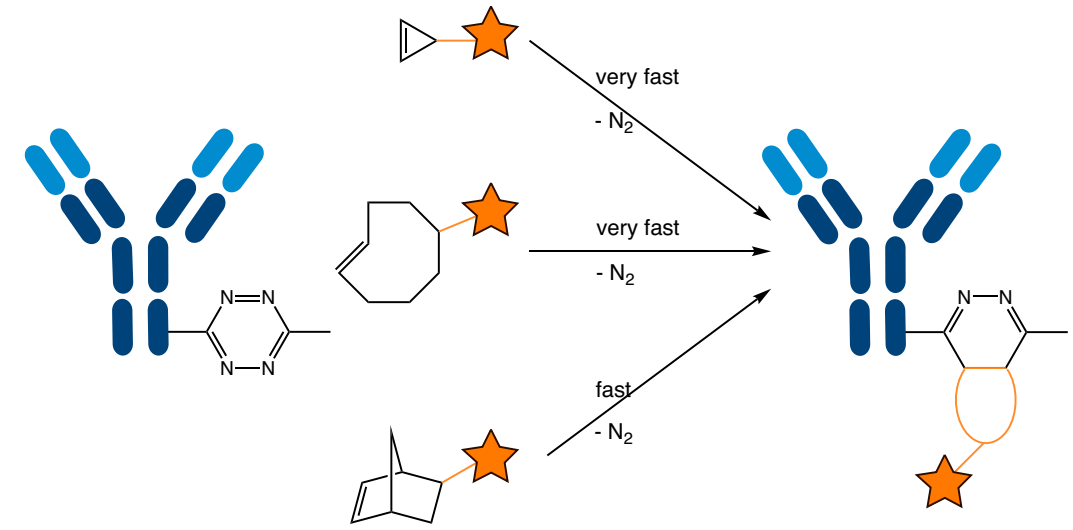



*Fig. 8: Common reaction partners for tetrazines.*

## Choice of Spacer: Alkyl or PEG?

Tetrazines equipped with alkyl spacers are suitable for reactions in organic solvents. For applications in aqueous media, however, PEG-spacers are usually the superior choice. Moreover, tetrazines equipped with PEG-spacers are ideal for the functionalization of proteins since PEGs are known to reduce the aggregation of labeled polypeptides.

In summary, the reaction between a tetrazine (Tz) and a *trans*-cyclooctene (TCO) is the innovative third generation Click reaction that proceeds without the use of copper or other catalysts. It is rapid, fully bioorthogonal, irreversible and excels at very low concentrations.

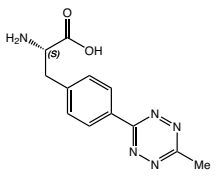
## Products with Tetrazine

Product details

### HAA9470 H-L-Phe(4-MeTz)-OH*TFA

(S)-2-amino-3-(4-(6-methyl-1,2,4,5-tetrazin-3-yl)phenyl) propanoic acid trifluoroacetic acid salt

| | |
|---|---|
| CAS-No. | 1698038-85-5 net |
| Formula | $C_{12}H_{13}N_5O_2*CF_3COOH$ |
| Mol. weight | 259,27*114,02 g/mol |

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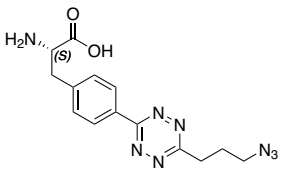
Product details

## HAA9480 H-L-Phe(4-Azido-PrTz)-OH*TFA

(S)-2-amino-3-(4-(6-(3-azidopropyl)-1,2,4,5-tetrazin-3-yl)phenyl)propanoic acid trifluoroacetic acid salt

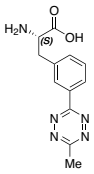
Formula $C_{14}H_{16}N_8O_2*CF_3COOH$
Mol. weight 328,34*114,02 g/mol



## HAA9490 H-L-Phe(3-MeTz)-OH*TFA

(S)-2-amino-3-(3-(6-methyl-1,2,4,5-tetrazin-3-yl)phenyl) propanoic acid trifluoroacetic acid salt

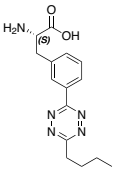
CAS-No. 2036323-75-6 net
Formula $C_{12}H_{13}N_5O_2*CF_3COOH$
Mol. weight 259,27*114,02 g/mol



## HAA9500 H-L-Phe(3-BuTz)-OH*TFA

(S)-2-amino-3-(3-(6-butyl-1,2,4,5-tetrazin-3-yl)phenyl) propanoic acid trifluoroacetic acid salt

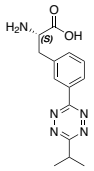
CAS-No. 2036323-83-6 net
Formula $C_{15}H_{19}N_5O_2*CF_3COOH$
Mol. weight 301,35*114,02 g/mol



## HAA9510 H-L-Phe(3-iPrTz)-OH*TFA

(S)-2-amino-3-(3-(6-isopropyl-1,2,4,5-tetrazin-3-yl) phenyl)propanoic acid trifluoroacetic acid salt

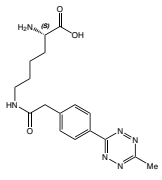
CAS-No. 2421119-12-0 net
Formula $C_{14}H_{17}N_5O_2*CF_3COOH$
Mol. weight 287,32*114,02 g/mol



## HAA9170 H-L-Lys(MeTz-PhAc)-OH*TFA

N-(2-(4-(6-methyl-1,2,4,5-tetrazin-3-yl)phenyl)acetyl)-L-lysine TFA salt

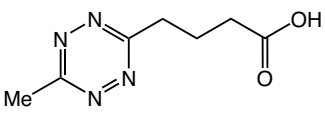
CAS-No. 2578384-82-2 (net)
Formula $C_{17}H_{22}N_6O_3*CF_3COOH$
Mol. weight 358,40*114,02 g/mol



## RL-2140 (Me)Tz-butanoic acid

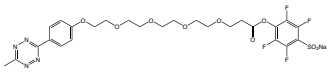
4-(6-methyl-1,2,4,5-tetrazin-3-yl)butanoic acid

CAS-No. 1923268-81-8
Formula $C_7H_{10}N_4O_2$
Mol. weight 182,18 g/mol



## RL-3905 MeTz-PEG(4)-STP

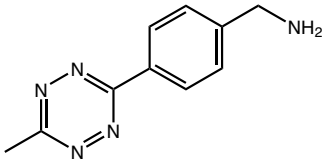
sodium 2,3,5,6-tetrafluoro-4-((1-(4-(6-methyl-1,2,4,5-tetrazin-3-yl)phenoxy)-3,6,9,12-tetraoxapentadecan-15-oyl)oxy)benzenesulfonate



Formula $C_{26}H_{27}F_4N_4NaO_{10}S$
Mol. weight 686,56 g/mol

## RL-2360 MeTz-Bzl-$NH_2$*HCl

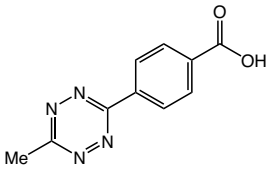
Methyltetrazine-benzylamine*HCl



CAS-No. 1345955-28-3
Formula $C_{10}H_{11}N_5$*HCl
Mol. weight 201,23*36,46 g/mol

## RL-2130 (Me)Tz-benzoic acid

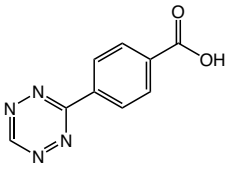
4-(6-methyl-1,2,4,5-tetrazin-3-yl)benzoic acid



CAS-No. 1345866-66-1
Formula $C_{10}H_8N_4O_2$
Mol. weight 216,2 g/mol

## RL-2580 Tz-benzoic acid

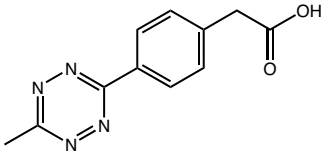
4-(1,2,4,5-tetrazin-3-yl)benzoic acid



CAS-No. 1345866-65-0
Formula $C_9H_6N_4O_2$
Mol. weight 202,17 g/mol

## RL-2300 MeTz-PhAcOH

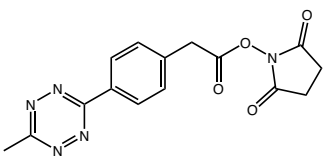
Methyltetrazine-phenylacetic acid



CAS-No. 1380500-88-8
Formula $C_{11}H_{10}N_4O_2$
Mol. weight 230,22 g/mol

## RL-2320 MeTz-PhAc-NHS

Methyltetrazine-phenylacetyl succinimidyl ester



CAS-No. 1644644-96-1
Formula $C_{15}H_{13}N_5O_4$
Mol. weight 327,29 g/mol

The Click Reaction
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Spermines and Amines for Click Chemistry
Click Reagents for Drug Delivery
Click Chemistry Tools for Proteomics
Carbohydrates for Click Chemistry
Proteolysis Targeting Chimeras (PROTACs®)
Index
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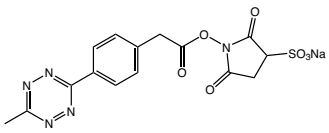
Product details

## RL-3915 MeTz-PhAc-Sulfo-NHS

sodium 1-(2-(4-(6-methyl-1,2,4,5-tetrazin-3-yl)phenyl) acetoxy)-2,5-dioxopyrrolidine-3-sulfonate

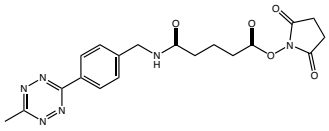
CAS-No. 1821017-46-2
Formula $C_{15}H_{12}N_5NaO_7$
Mol. weight 429,34 g/mol



## RL-2230 Bz-(Me)Tz-NHS

2,5-dioxopyrrolidin-1-yl 5-(4-(6-methyl-1,2,4,5-tetrazin-3-yl)benzylamino)-5-oxopentanoate

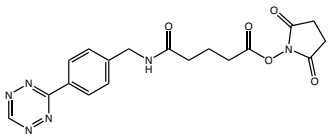
CAS-No. 1454558-58-7
Mol. weight 412,41 g/mol



## RL-2240 Bz-Tz-NHS

2,5-dioxopyrrolidin-1-yl 5-(4-(1,2,4,5-tetrazin-3-yl) benzylamino)-5-oxopentanoate

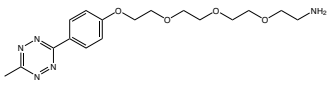
CAS-No. 1244040-64-9
Formula $C_{18}H_{18}N_6O_5$
Mol. weight 398,37 g/mol



## RL-2370 MeTz-PEG(4)-$NH_2$*HCl

Methyltetrazine-PEG(4)-amine HCL salt

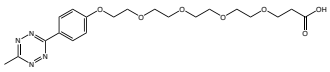
CAS-No. 1802908-05-9 net
Formula $C_{17}H_{25}N_5O_4$*HCl
Mol. weight 363,41*HCl g/mol



## RL-2310 MeTz-PEG(4)-COOH

Methyltetrazine-PEG(4)-acid

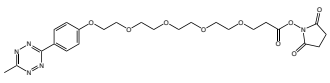
CAS-No. 1802907-91-0
Formula $C_{20}H_{28}N_4O_7$
Mol. weight 436,56 g/mol



## RL-2330 MeTz-PEG(4)-NHS

Methyltetrazine-PEG(4)-propanoyl succinimidyl ester

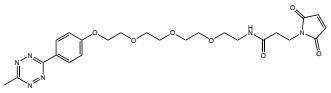
CAS-No. 1802907-92-1
Formula $C_{24}H_{31}N_5O_9$
Mol. weight 533,53 g/mol



Product details

## RL-2340 MeTz-PEG(4)-mal

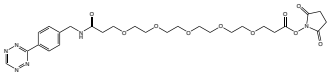
Methyltetrazine-PEG(4)-maleimide



CAS-No. 1802908-02-6
Formula $C_{24}H_{30}N_6O_7$
Mol. weight 514,53 g/mol

## RL-2250 Bz-Tz-PEG(5)-NHS

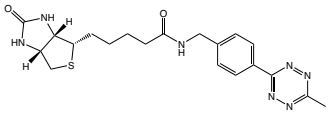
2,5-dioxopyrrolidin-1-yl 1-(4-(1,2,4,5-tetrazin-3-yl) phenyl)-3-oxo-6,9,12,15,18-pentaoxa-2-azahenicosan-21-oate



CAS-No. 1682653-80-0
Formula $C_{27}H_{36}N_6O_{10}$
Mol. weight 604,61 g/mol

## LS-4280 Biotin-MeTz

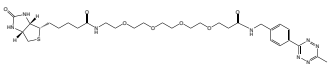
N-(4-(6-methyl-1,2,4,5-tetrazin-3-yl)benzyl)-5-((3aS,4S,6aR)-2-oxohexahydro-1H-thieno[3,4-d]imidazol-4-yl)pentanamide



CAS-No. 1802883-51-7
Formula $C_{20}H_{25}N_7O_2S$
Mol. weight 427,53 g/mol

## LS-4290 Biotin-PEG(4)-MeTz

N-(4-(6-methyl-1,2,4,5-tetrazin-3-yl)benzyl)-1-(5-((3aS,4S,6aR)-2-oxohexahydro-1H-thieno[3,4-d] imidazol-4-yl)pentanamido)-3,6,9,12-tetraoxapentadecan-15-amide



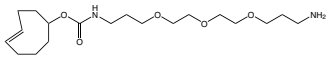
CAS-No. 1962919-31-8
Formula $C_{31}H_{46}N_8O_7S$
Mol. weight 674,82 g/mol

# Products with TCO

Product details

## TCO1070 TCO-PEG(3)-$NH_2$*HCl

*trans*-Cyclooctene-PEG(3)-amine



CAS-No. 2028288-77-7
Formula $C_{19}H_{36}N_2O_5$*HCl
Mol. weight 372,51*36,46 g/mol

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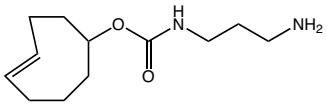
Product details

## TCO1060 TCO-$NH_2$*HCl

*trans*-Cyclooctene-amine hydrochloride

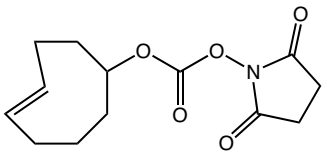
| | |
|---|---|
| CAS-No. | 1800507-94-1 |
| Formula | $C_{12}H_{22}N_2O_2$*HCl |
| Mol. weight | 226,32*36,45 g/mol |



## TCO1000 TCO-NHS

*trans*-Cyclooctene succinimidyl carbonate

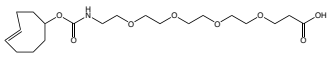
| | |
|---|---|
| CAS-No. | 1191901-33-3 |
| Formula | $C_{13}H_{17}NO_5$ |
| Mol. weight | 267,28 g/mol |



## TCO1040 TCO-PEG(4)-COOH

*trans*-Cyclooctene-PEG(4)-Acid

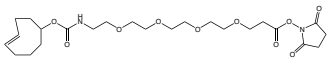
| | |
|---|---|
| CAS-No. | 1802913-21-8 |
| Formula | $C_{20}H_{35}NO_8$ |
| Mol. weight | 417,49 g/mol |



## TCO1010 TCO-PEG(4)-NHS

*trans*-Cyclooctene-PEG(4)-carboxy succinimidyl ester

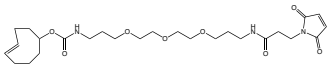
| | |
|---|---|
| CAS-No. | 1621096-79-4 |
| Formula | $C_{24}H_{38}N_2O_{10}$ |
| Mol. weight | 514,57 g/mol |



## TCO1050 TCO-PEG(3)-mal

*trans*-Cyclooctene-PEG(3)-maleimide

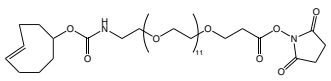
| | |
|---|---|
| CAS-No. | 1809356-72-6 |
| Formula | $C_{26}H_{41}N_3O_8$ |
| Mol. weight | 523,62 g/mol |



## TCO1020 TCO-PEG(12)-NHS

*trans*-Cyclooctene-PEG(12)-carboxy succinimidyl ester

| | |
|---|---|
| CAS-No. | 2185016-39-9 |
| Formula | $C_{40}H_{70}N_2O_{18}$ |
| Mol. weight | 866,99 g/mol |



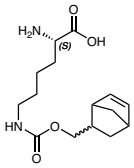
## Products with Norbornene

Product details

### HAA9235 H-L-Lys(Norbornene-methoxycarbonyl)-OH*HCl

N-epsilon-(norbornene-methoxycarbonyl)-L-lysine hydrochloride

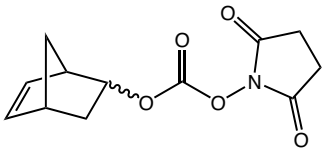
| | |
|---|---|
| CAS-No. | 1378916-76-7 |
| Formula | $C_{15}H_{24}N_2O_4$*HCl |
| Mol. weight | 296,37*36,46 g/mol |



### RL-2080 Norbornene-NHS

(Norbornene-2-yl)-N-hydroxysuccinimidylcarbonate

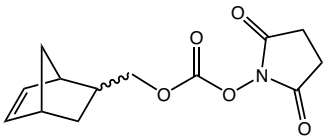
| | |
|---|---|
| CAS-No. | 1888335-48-5 |
| Formula | $C_{12}H_{13}NO_5$ |
| Mol. weight | 251,24 g/mol |



### RL-2090 Norbornene-methyl-NHS

(Norbornene-2-methyl)-N-hydroxysuccinimidylcarbonate

| | |
|---|---|
| CAS-No. | 1986791-87-0 |
| Formula | $C_{13}H_{15}NO_5$ |
| Mol. weight | 265,26 g/mol |



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**We offer custom synthesis of DBCO, DACN, TCO and tetrazine derivatives and related conjugations.**

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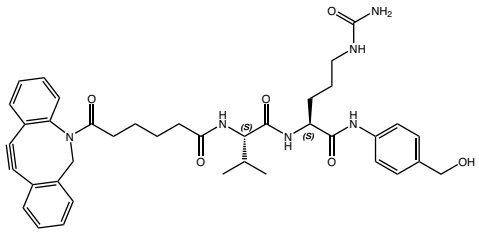
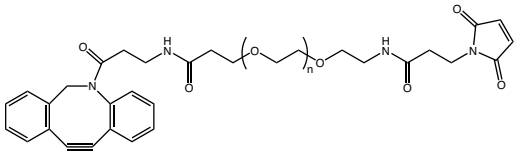
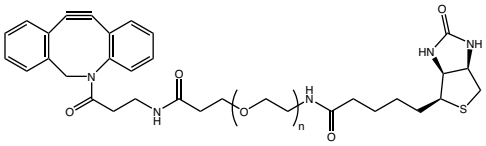
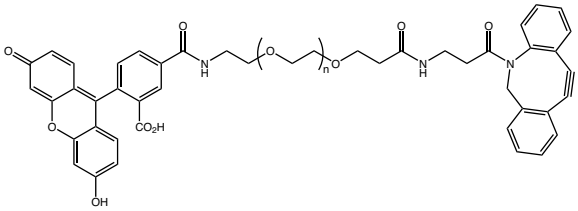
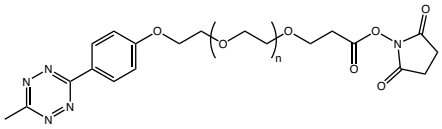
## 1.2.3. Custom Synthesis of DBCO, Tetrazine and TCO Derivatives

*Table 1: A selection of derivatives of tetrazine, TCO and DBCO available by custom synthesis.*

| | |
|---|---|
| **DBCO with Cleavable Linkers**<br>e.g., ADC linkers, Dde-based linkers, disulfide-based linkers. |  |
| **DBCO-PEG-Derivatives**<br>DBCO-PEG-NHS<br>DBCO-PEG-mal<br>DBCO-PEG-Bis-Sulfone-Thiol<br>DBCO-PEG-COOH<br>DBCO-PEG-$NH_2$<br>With mono- or polydisperse PEG. |  |
| **DBCO-Biotin**<br>With both monodisperse and polydisperse PEG-spacers. |  |
| **DBCO-Dye**<br>With both monodisperse and polydisperse PEG-spacers.<br>With the dye of your choice, e.g., ICG, (5)6-carboxyfluorescein. |  |
| **Tetrazine-PEG-Derivatives**<br>Tetrazine-PEG-NHS<br>Tetrazine-PEG-mal<br>Tetrazine-PEG-COOH<br>Tetrazine-PEG-$NH_2$<br>With both monodisperse and polydisperse PEG-spacers. |  |

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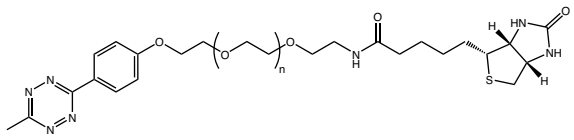
# Click Chemistry

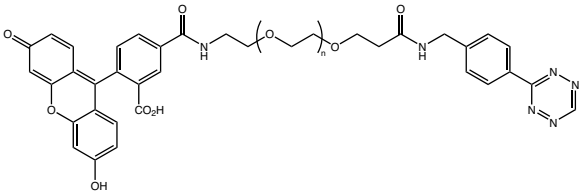
### Tetrazine-Biotin

With both monodisperse and polydisperse PEG-spacers, or alkyl-spacers.



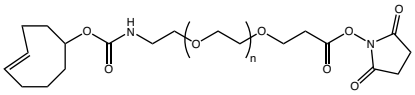
### Tetrazine-Dye

With both monodisperse and polydisperse PEG-spacers. With the dye of your choice, e.g., ICG, (5)6-carboxyfluorescein.



### TCO-PEG-Derivatives

TCO-PEG-NHS
TCO-PEG-mal
TCO-PEG-COOH
TCO-PEG-$NH_2$



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# 2. Amino Acid Derivatives and Related Building Blocks for Click Chemistry

## 2.1. Recombinant Incorporation of Amino Acids into Proteins

Genetic code expansion is a powerful technology in proteomics, facilitating the site-specific incorporation of noncanonical amino acids (ncAAs) into proteins using the cellular machinery. A wide variety of ncAAs can be incorporated into proteins using this technology that relies on aminoacyl-tRNA synthetase/tRNA pairs.

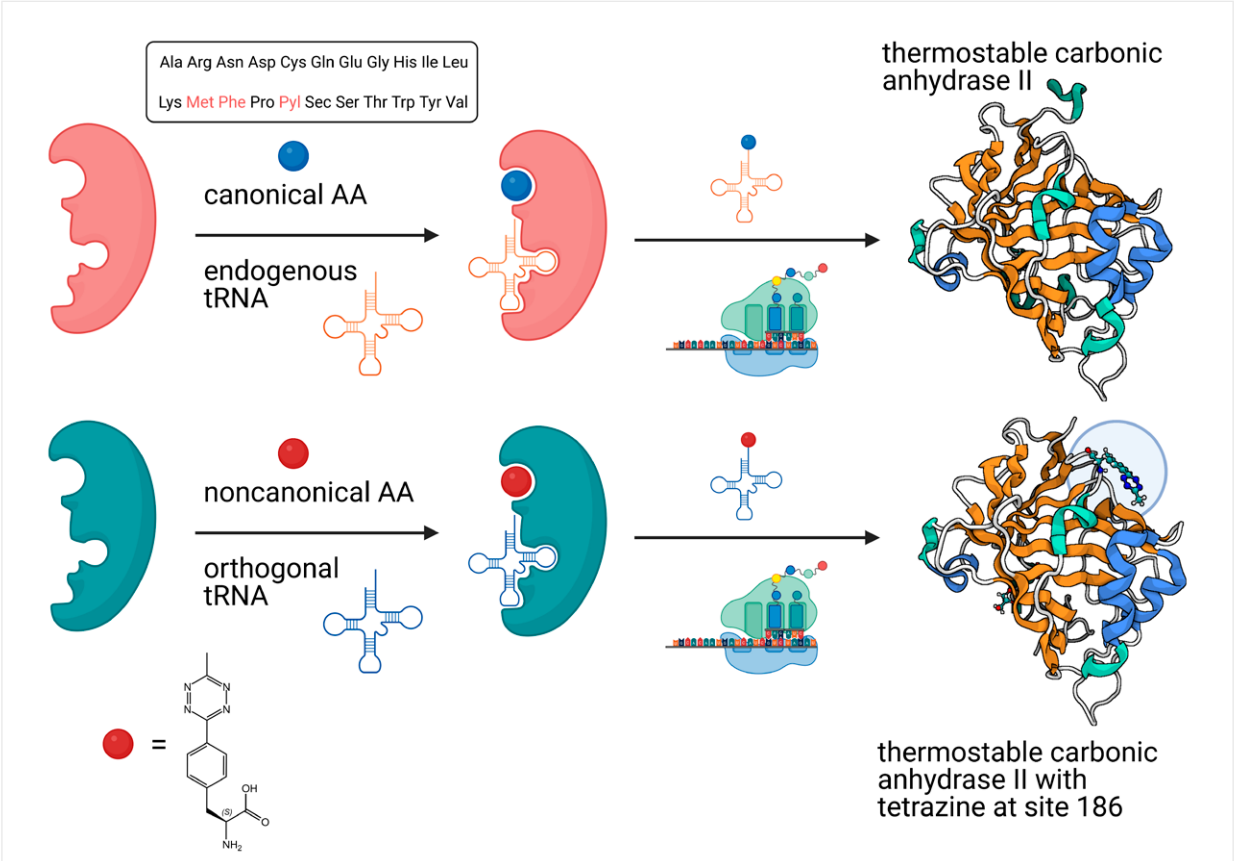


*Fig. 9: Principle of genetic code expansion (protein structures adapted from Kean et al., Protein Sci. 2018 ; Bednar et al., ACS Appl. Mater. Interfaces 2019).*

Certain amino acids such as azidohomoalanine (Aha) can be incorporated into proteins using the cell's native translational apparatus. Aha is a structural analog of methionine (Met), and as such activated by the native methionyl-tRNA synthetase of *Escherichia coli*, replacing methionine in proteins expressed in methionine-depleted bacterial cultures. Aside from being a clickable amino acid, azidohomoalanine is an excellent conformationally sensitive IR probe to study protein folding and protein structure.


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In most cases, researchers resort to engineering suitable aminoacyl-tRNA synthetase/tRNA pairs in order to incorporate ncAAs. For example, the usually promiscuous pyrrolysyl-tRNA synthetase (PylRS) machinery can be engineered to accommodate more than 100 ncAAs or α-hydroxy acids into proteins at amber codons, and can be reassigned to other codons such as ochre (UAA) or opal (UGA). Among the most prominent noncanonical amino acids that are routinely incorporated by engineered PylRS/ $tRNA^{Pyl}$ pairs are azido and propargyl analogs of L-lysine, enabling the biochemist to site-specifically introduce an azido or alkyne group into a protein for further Click conjugation.

Recent developments in the field of genetic code expansion include the directed evolution of tRNA synthetases to improve substrate selectivity, as well as the reassignment of further codons to encode ncAAs. Once an azido or alkyne function has been built into the protein sequence, conjugation with a large number of diverse clickable compounds opens up a wide field of possibilities. Alternatively, a protein bearing an azido group can be selectively modified *via* Staudinger ligation (see *Fig. 10*). Many different applications from therapeutics to diagnostics can be addressed through conjugates with PEG-polymers, dyes, cofactors, antibodies, small molecules, toxins, additional proteins, and peptides.

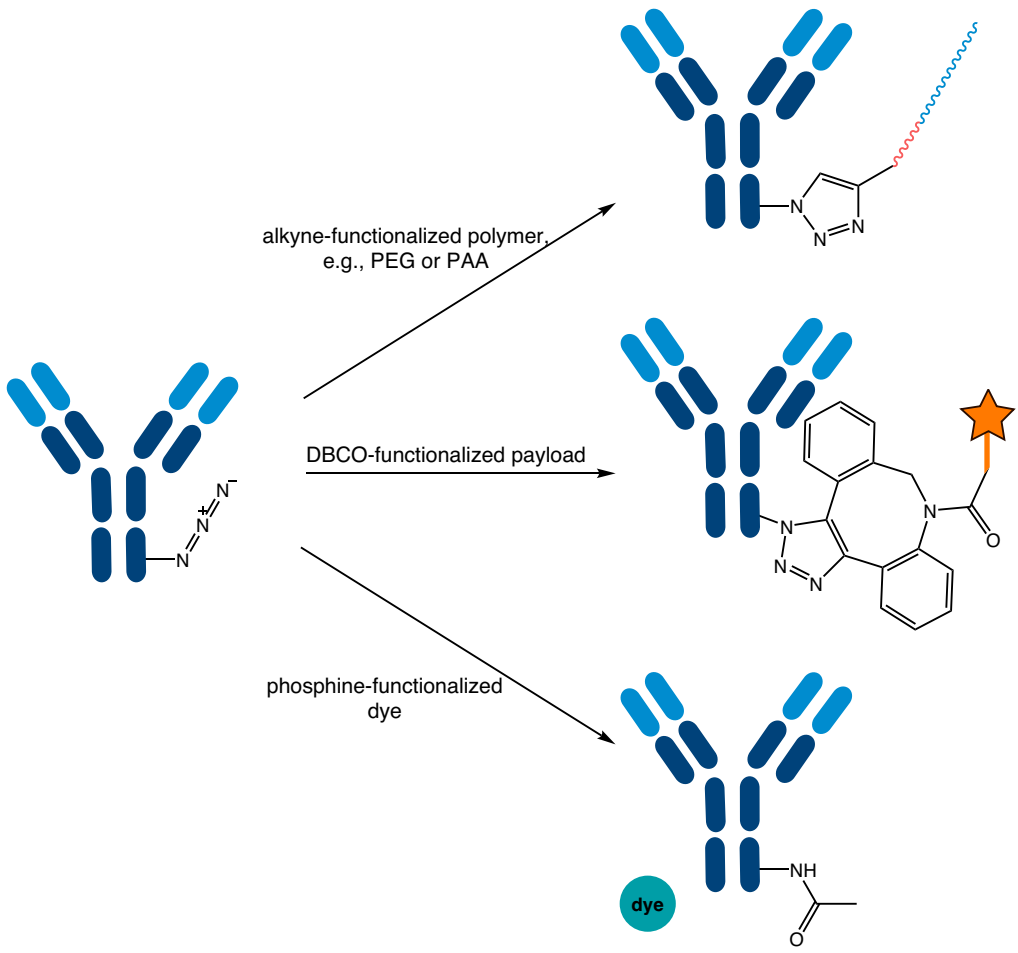


*Fig. 10: Site-specific conjugation to an azido-functionalized IgG antibody.*

One recent example of a sophisticated application of genetic code expansion is the site-directed incorporation of two different non-canonical amino acids into human erythropoietin *via* cell-free protein synthesis (Zemella *et al.*, *Sci. Rep.* 2018). Either *p*-propargyloxyphenylalanine (pPa) or *p*-azido-L-phenyl-alanine (AzF) was incorporated into an erythropoietin amber-mutant (EPO-Amb) *via* amber suppression in a eukaryotic translationally active lysate. This eukaryotic system also facilitated the glycosylation of EPO which is known to be crucial for its pharmacokinetics. The recombinant EPO variants were subsequently labelled with various fluorophores, as well as functionalized with a PEG of 10 kDa. Similar to glycosylation, the attachment of PEGs has been shown to improve solubility, stability and activity of recombinantly produced EPO (Hoffmann *et al.*, *Mol. Biosyst.* 2016).

The usefulness of the cell-free protein synthesis approach for the incorporation of ncAAs was further demonstrated by the preparation and ligand-free dimerization of functional human epidermal growth factor receptor (EGFR), a complex eukaryotic transmembrane protein (Quast *et al.*, *Sci. Rep.* 2016). EGFR is a receptor tyrosine kinase that dimerizes and autophosphorylates upon binding to its ligand, thereby initiating an intracellular signal transduction cascade. In order to facilitate dimerization in the absence of a ligand, *p*-azido-L-phenylalanine (AzF) was site-selectively incorporated into EGFR, which was verified by Staudinger ligation of a phosphine dye to AzF. Two different EGFR amber mutants that incorporate AzF in the intracellular juxtamembrane domain were synthesized and reacted with a bis-COMBO Click-cross-linking reagent, thereby generating covalently linked receptor dimers.

***References:***


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- *Genetic encoding and labeling of aliphatic azides and alkynes in recombinant proteins via a pyrrolysyl-tRNA Synthetase/tRNA(CUA) pair and click chemistry; D. P. Nguyen, H. Lusic, H. Neumann, P. B. Kapadnis, A. Deiters, J. W. Chin;* ***J Am Chem Soc*** *2009;* ***131****: 8720-1.* https://doi.org/10.1021/ja900553w
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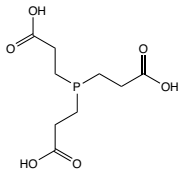
Product details

LS-3405 TCEP*HCl

**3-[bis(2-carboxyethyl)phosphanyl]propanoic acid, hydrochloride**

| | |
|---|---|
| CAS-No. | **51805-45-9** |
| Formula | **$C_9H_{15}O_6P$*HCl** |
| Mol. weight | **250,19*36,45 g/mol** |




As part of daily lab procedures, proteins and peptides need to be kept in their reduced state, e.g., for protein analysis, to maintain their activity, to prevent denaturation, to couple them to carriers or payloads, or to inactivate RNAses.

For this purpose, DTT and BME are frequently used, however, they come with certain drawbacks: DTT and BME are easily oxidized by ambient air, they may react with heavy metal ions and interfere with metal ion affinity chromatography (IMAC), they are quenching thiol-reactive reagents like maleimides, and, in the case of BME, it is malodorous.

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## 2.2. Peptide Synthesis with Azido and Alkyne Amino Acids

Both Boc- and Fmoc-protected derivatives of azido and alkyne amino acids can be readily introduced into peptide sequences by standard SPPS protocols. Such building blocks have found widespread use in techniques such as peptide ligation, bioconjugation, labeling, immobilization and linkerology. Bioconjugation, which is defined as the joining of two biomolecules or the ligation of a synthetic molecule with a biomolecule, stands out in particular among those applications. Targets that are notoriously difficult to access such as glycopeptides and -proteins can be synthesized in a straightforward and chemoselective fashion *via* Click reaction to afford neoglycopeptides and -proteins. Peptides or proteins that aid in the translocation into cells or that facilitate the targeting to certain tissues or organelles may be conjugated to toxins, fluorophores, or oligonucleotides by means of the Click reaction.

Another potential application is the cyclization of peptides *via* Click chemistry. This technique is a well-known approach to stabilize specific conformations in order to optimize peptide binding, and to increase resistance toward proteolytic degradation. If two clickable groups are placed at a suitable distance from each other in a peptide, they can undergo intramolecular cycloaddition with good yields and minimal side reactions. For example, this Click-mediated cyclization may be used to stabilize an α-helical secondary structure when azide and alkyne are located in side-chains at positions i and i+4, respectively.

## Example for a Protocol for Click Reactions in Peptide Synthesis:

Successful protocols have been published applying to 3 µmol peptide in 4 mL *t*BuOH/$H_2O$ (1:2) with excess of ascorbic acid (40 µmol) and $CuSO_4$*5 $H_2O$ (40 µmol) generating Cu(I) *in situ*. Stirring at room temperature overnight is followed by appropriate chromatographic work up. [Le Chevalier-Isaad *et al.*, *Eur. J. Org. Chem.* 2010]

***References:***


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# 2.3. Azido Amino Acids and Related Derivatives

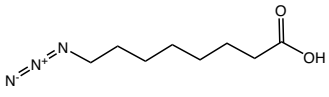
## Azido-Alkyl/Aryl Acids and Alcohols

Product details

### RL-4430 $N_3$-C7H14-COOH

8-azidooctanoic acid

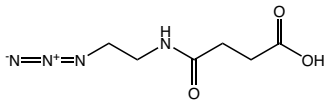
| | |
|---|---|
| CAS-No. | 217180-76-2 |
| Formula | $C_8H_{15}N_3O_2$ |
| Mol. weight | 185,23 g/mol |



### BNN1370 $N_3$-EDA-Suc-OH

Azido-ethylenediamine-succinoyl-OH

| | |
|---|---|
| CAS-No. | 2225891-73-4 |
| Formula | $C_6H_{10}N_4O_3$ |
| Mol. weight | 186,17 g/mol |



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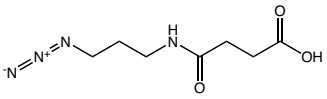
Product details

## RL-4350 $N_3$-DAPr-Suc-OH

Azido-propylenediamine-succinoyl-OH

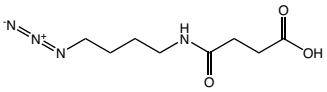
| | |
|---|---|
| CAS-No. | 929894-58-6 |
| Formula | $C_7H_{12}N_4O_3$ |
| Mol. weight | 200,20 g/mol |



## RL-4360 $N_3$-DABu-Suc-OH

Azido-butylenediamine-succinoyl-OH

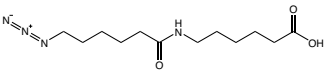
| | |
|---|---|
| CAS-No. | 2226183-50-0 |
| Formula | $C_8H_{14}N_4O_3$ |
| Mol. weight | 214,23 g/mol |



## HAA6990 $N_3$-Aca-Aca-OH

6-(6-azidohexanamido)hexanoic acid

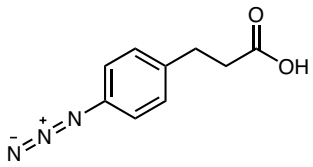
| | |
|---|---|
| CAS-No. | 866363-71-5 |
| Formula | $C_{12}H_{22}N_4O_3$ |
| Mol. weight | 270,33 g/mol |



## RL-3650 $N_3$-Phenylpropionic-OH

3-(4-azidophenyl)propanoic acid

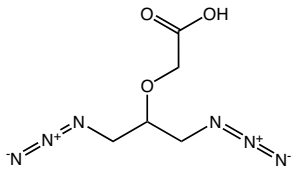
| | |
|---|---|
| CAS-No. | 103489-31-2 |
| Formula | $C_9H_9N_3O_2$ |
| Mol. weight | 191,19 g/mol |



## AAA2190 DAPOA*DCHA

2-(1,3-diazidopropan-2-yloxy)acetic acid dicyclohexylamine

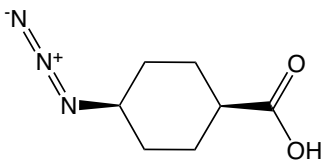
| | |
|---|---|
| CAS-No. | 2389064-43-9 |
| Formula | $C_5H_8N_6O_3$*$C_{12}H_{23}N$ |
| Mol. weight | 200,16*181,32 g/mol |



## HAA2230 $N_3$-1,4-*cis*-CHC-OH

*cis*-4-Azidocyclohexanecarboxylic acid

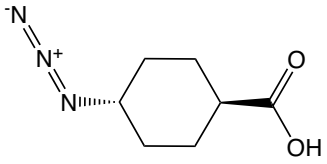
| | |
|---|---|
| CAS-No. | 863222-21-3 |
| Formula | $C_7H_{11}N_3O$ |
| Mol. weight | 169,18 g/mol |



## HAA2235 $N_3$-1,4-*trans*-CHC-OH

*trans*-4-Azidocyclohexanecarboxylic acid

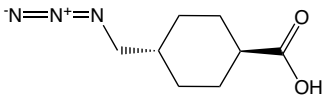
| | |
|---|---|
| CAS-No. | 1931895-14-5 |
| Formula | $C_7H_{11}N_3O_2$ |
| Mol. weight | 169,18 g/mol |



## HAA2240 $N_3$-*trans*-MCHC-OH

*trans*-4-(Azidomethyl)cyclohexanecarboxylic acid

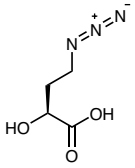
| | |
|---|---|
| CAS-No. | 170811-10-6 |
| Formula | $C_8H_{13}N_3O_2$ |
| Mol. weight | 183,21 g/mol |



## HAA3175 $N_3$-HABA*DCHA (2S)

(S)-4-azido-2-hydroxybutyric acid dicyclohexalamine

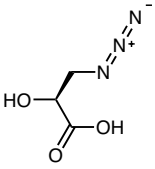
| | |
|---|---|
| CAS-No. | 959148-55-1 |
| Formula | $C_4H_7N_3O_3*C_{12}H_{23}N$ |
| Mol. weight | 145,12*181,32 g/mol |



## HAA3365 $N_3$-IsoSer*DCHA (2S)

(S)-2-Hydroxy-3-azidopropanoic acid dicyclohexalamine

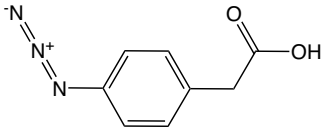
| | |
|---|---|
| CAS-No. | 1620171-65-4 |
| Formula | $C_3H_5N_3O_3*C_{12}H_{23}N$ |
| Mol. weight | 131,09*181,32 g/mol |



## HAA2245 $N_3$-PhAc-OH

(4-Azidophenyl)acetic acid

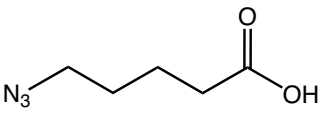
| | |
|---|---|
| CAS-No. | 62893-37-2 |
| Formula | $C_8H_7N_3O_2$ |
| Mol. weight | 177,16 g/mol |



## AAA1970 $N_3$-Pen-OH

5-Azido-pentanoic acid

| | |
|---|---|
| CAS-No. | 79583-98-5 |
| Formula | $C_5H_9N_3O_2$ |
| Mol. weight | 143,14 g/mol |



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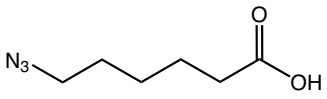
Product details

## AAA1960 $N_3$-Hx-OH

6-Azido-hexanoic acid

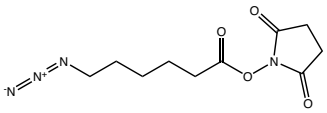
| | |
|---|---|
| CAS-No. | 79598-53-1 |
| Formula | $C_6H_{11}N_3O_2$ |
| Mol. weight | 157,17 g/mol |



## RL-2980 $N_3$-Aca-OSu

6-Azidocaproic acid N-hydroxysuccinimidyl ester

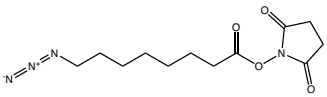
| | |
|---|---|
| CAS-No. | 866363-70-4 |
| Formula | $C_{10}H_{14}N_4O_4$ |
| Mol. weight | 254,24 g/mol |



## RL-3480 8-Azido-octanoyl-OSu

8-Azidodooctanoic acid N-hydroxysuccinimide ester

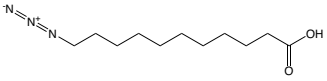
| | |
|---|---|
| CAS-No. | 2576471-56-0 |
| Formula | $C_{12}H_{18}N_4O_4$ |
| Mol. weight | 282,30 g/mol |



## RL-3200 11-Azidoundecanoic acid

11-Azido-undecanoic acid

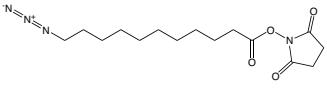
| | |
|---|---|
| CAS-No. | 118162-45-1 |
| Formula | $C_{11}H_{21}N_3O_2$ |
| Mol. weight | 227,30 g/mol |



## RL-3170 11-Azido-undecanoyl-OSu

11-Azidoundecanoic acid N-hydroxysuccinimide ester

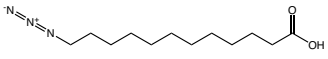
| | |
|---|---|
| CAS-No. | 850080-13-6 |
| Formula | $C_{15}H_{24}N_4O_4$ |
| Mol. weight | 324,38 g/mol |



## RL-3210 12-Azidododecanoic acid

12-Azido-dodecanoic acid

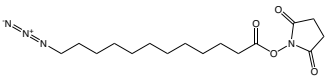
| | |
|---|---|
| CAS-No. | 80667-36-3 |
| Formula | $C_{12}H_{23}N_3O_2$ |
| Mol. weight | 241,33 g/mol |



## RL-3220 12-Azido-dodecanoyl-OSu

12-Azidododecanoic acid N-hydroxysuccinimide ester

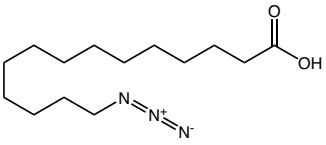
CAS-No. 2489524-00-5
Formula $C_{16}H_{26}N_4O_4$
Mol. weight 338,40 g/mol



## RL-3230 14-Azido-myristic acid

14-azidotetradecanoic acid

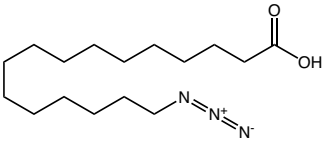
CAS-No. 176108-61-5
Formula $C_{14}H_{27}N_3O_2$
Mol. weight 269,38 g/mol



## RL-3240 16-Azido-palmitic acid

16-azidohexadecanoic acid

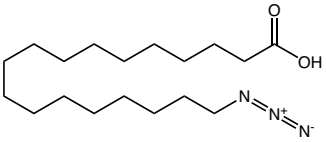
CAS-No. 112668-54-9
Formula $C_{16}H_{31}N_3O_2$
Mol. weight 297,44 g/mol



## RL-3250 18-Azido-stearic acid

18-azidooctadecanoic acid

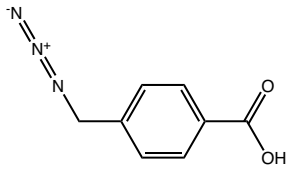
CAS-No. 1529763-58-3
Formula $C_{18}H_{35}N_3O_2$
Mol. weight 325,49 g/mol



## RL-2995 4-(Azidomethyl)benzoic acid

4-Azidomethylbenzoic acid

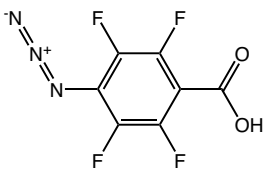
CAS-No. 79584-03-5
Formula $C_8H_7N_3O_2$
Mol. weight 177,16 g/mol



## RL-2035 ATFB

4-Azido-2,3,5,6-tetrafluorobenzoic acid

CAS-No. 122590-77-6
Formula $C_7HF_4N_3O_2$
Mol. weight 235,1 g/mol



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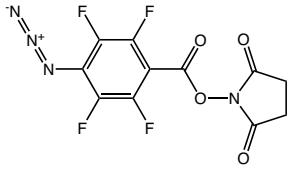
Product details

## RL-2045 ATFB-NHS

N-Succinimidyl 4-azido-2,3,5,6-tetrafluorobenzoate

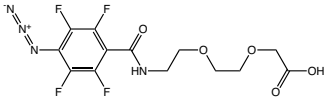
CAS-No. 126695-58-7
Formula $C_{11}H_4F_4N_4O_4$
Mol. weight 332,17 g/mol



## PEG5000 $N_3$-TFBA-$O_2$Oc

{2-[2-(4-Azido-2,3,5,6-tetrafluorobenzoyl-amino)ethoxy] ethoxy}acetic acid

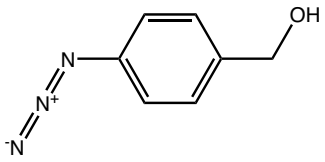
CAS-No. 1993119-45-1
Formula $C_{13}H_{12}F_4N_4O_5$
Mol. weight 380,25 g/mol



## RL-2990 4-Azidobenzyl alcohol

(4-azidophenyl)methanol

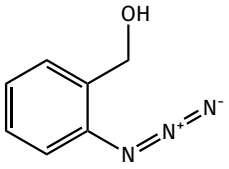
CAS-No. 31499-54-4
Formula $C_7H_7N_3O$
Mol. weight 149,15 g/mol



## RL-4070 2-Azidobenzyl alcohol

(2-azidophenyl)methanol

CAS-No. 20615-76-3
Formula $C_7H_7N_3O$
Mol. weight 149,15 g/mol



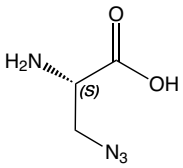
## Azido-Alanine and Propionic Acid Derivatives

Product details

## HAA1880 H-L-Aza-OH*HCl hydrate

(S)-2-Amino-3-azidopropanoic acid hydrochloride hydrate

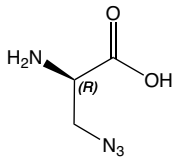
CAS-No. 1620171-64-3
Formula $C_3H_6N_4O_2$*HCl*$nH_2O$
Mol. weight 130,11*36,45 g/mol



## HAA1885 H-D-Aza-OH*HCl hydrate

(R)-2-Amino-3-azidopropanoic acid hydrochloride hydrate

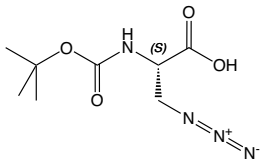
CAS-No. 1379690-01-3
Formula $C_3H_6N_4O_2$*HCl*n$H_2O$
Mol. weight 130,11*36,45 g/mol



## BAA1820 Boc-L-Aza-OH*CHA

(S)-2-*t*-Butyloxycarbonylamino-3-azidopropanoic acid cyclohexylamine

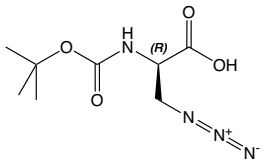
CAS-No. 2098496-88-7
Formula $C_8H_{14}N_4O_4$*$C_6H_{13}N$
Mol. weight 230,22*99,18 g/mol



## BAA1825 Boc-D-Aza-OH*CHA

(R)-2-*t*-Butyloxycarbonylamino-3-azidopropanoic acid cyclohexylamine

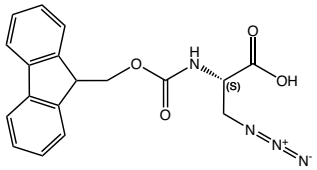
CAS-No. 2098496-96-7
Formula $C_8H_{14}N_4O_4$*$C_6H_{13}N$
Mol. weight 230,22*99,18 g/mol



## FAA1820 Fmoc-L-Aza-OH (solv.)

(S)-2-(9-Fluorenylmethyloxycarbonylamino)-3-azido-propanoic acid, solvate with DIPE

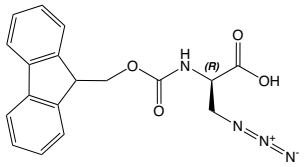
CAS-No. 684270-46-0
Formula $C_{18}H_{16}N_4O_4$
Mol. weight 352,34 g/mol



## FAA6870 Fmoc-D-Aza-OH

(R)-2-(9-Fluorenylmethyloxycarbonylamino)-3-azido-propanoic acid

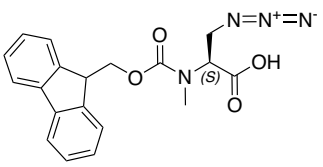
CAS-No. 1016163-79-3
Formula $C_{18}H_{16}N_4O_4$
Mol. weight 352,34 g/mol



## FAA9420 Fmoc-L-MeDap($N_3$)-OH

(S)-2-((((9H-fluoren-9-yl)methoxy)carbonyl)(methyl) amino)-3-azidopropanoic acid

CAS-No. 1263721-08-9
Formula $C_{19}H_{18}N_4O_4$
Mol. weight 366,38 g/mol



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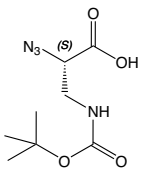
Product details

## HAA2130 $N_3$-L-Dap(Boc)-OH

(S)-2-Azido-3-((*t*-butyloxycarbonyl)amino)propanoic acid

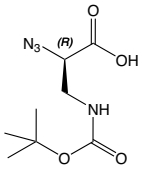
| | |
|---|---|
| CAS-No. | 1932432-15-9 |
| Formula | $C_8H_{14}N_4O_4$ |
| Mol. weight | 230,22 g/mol |



## HAA2135 $N_3$-D-Dap(Boc)-OH

(R)-2-Azido-3-((*t*-butyloxycarbonyl)amino)propanoic acid

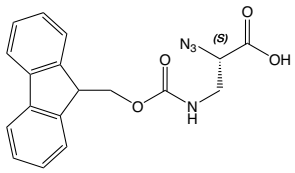
| | |
|---|---|
| CAS-No. | 1630044-08-4 |
| Formula | $C_8H_{14}N_4O_4$ |
| Mol. weight | 230,22 g/mol |



## HAA2140 $N_3$-L-Dap(Fmoc)-OH

(S)-2-Azido-3-[(9-fluorenylmethyloxycarbonyl)amino] propanoic acid

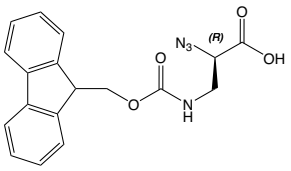
| | |
|---|---|
| CAS-No. | 880637-82-1 |
| Formula | $C_{18}H_{16}N_4O_4$ |
| Mol. weight | 352,34 g/mol |



## HAA2145 $N_3$-D-Dap(Fmoc)-OH

(R)-2-Azido-3-[(9-fluorenylmethyloxycarbonyl)amino] propanoic acid

| | |
|---|---|
| CAS-No. | 1807631-13-5 |
| Formula | $C_{18}H_{16}N_4O_4$ |
| Mol. weight | 352,34 g/mol |



***References:***


- *Azidoalanine mutagenicity in Salmonella: effect of homologation and alpha-methyl substitution; J. B. Mangold, M. R. Mischke, J. M. LaVelle;* ***Mutat Res*** *1989;* ***216****: 27-33.* https://doi.org/10.1016/0165-1161(89)90020-4
- *„Click to chelate": synthesis and installation of metal chelates into biomolecules in a single step; T. L. Mindt, H. Struthers, L. Brans, T. Anguelov, C. Schweinsberg, V. Maes, D. Tourwe, R. Schibli;* ***J Am Chem Soc*** *2006;* ***128****: 15096-7.* https://doi.org/10.1021/ja066779f
- *Design, synthesis, and biological activity of novel triazole amino acids used to probe binding interactions between ligand and neutral amino acid transport protein SN1; M. Gajewski, B. Seaver, C. S. Esslinger;* ***Bioorg Med Chem Lett*** *2007;* ***17****: 4163-6.* https://doi.org/10.1016/j.bmcl.2007.05.061
- *Peptide tertiary structure nucleation by side-chain crosslinking with metal complexation and double „click" cycloaddition; O. Torres, D. Yuksel, M. Bernardina, K. Kumar, D. Bong;* ***Chembiochem*** *2008;* ***9****: 1701-5.* https://doi.org/10.1002/cbic.200800040
- *Maintaining biological activity by using triazoles as disulfide bond mimetics; K. Holland-Nell, M. Meldal;* ***Angew. Chem. Int. Ed.*** *2011;* ***50****: 5204-6.* https://doi.org/10.1002/anie.201005846

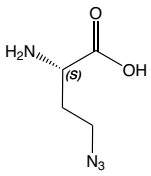
## Azido-Homoalanine and Azido-Butanoic Acid Derivatives

Product details

### HAA5730 H-L-Aha-OH*HCl

(S)-2-Amino-4-azidobutanoic acid hydrochloride

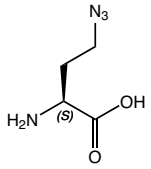
| | |
|---|---|
| CAS-No. | 942518-29-8 |
| Formula | $C_4H_8N_4O_2$*HCl |
| Mol. weight | 144,13*36,45 g/mol |



### HAA9280 H-L-Aha-OH

4-Azido-L-homoalanine

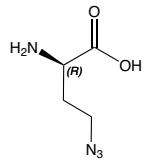
| | |
|---|---|
| CAS-No. | 120042-14-0 |
| Formula | $C_4H_8N_4O_2$ |
| Mol. weight | 144,13 g/mol |



### HAA1630 H-D-Aha-OH*HCl

(R)-2-Amino-4-azidobutanoic acid hydrochloride

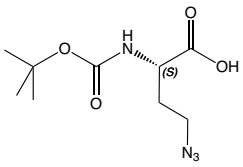
| | |
|---|---|
| CAS-No. | 1858224-26-6 |
| Formula | $C_4H_8N_4O_2$*HCl |
| Mol. weight | 144,13*36,45 g/mol |



### BAA1800 Boc-L-Aha-OH*CHA

(S)-2-*t*-Butyloxycarbonylamino-4-azidobutanoic acid cyclohexylamine

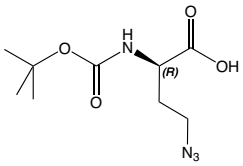
| | |
|---|---|
| CAS-No. | 120042-08-2net |
| Formula | $C_9H_{16}N_4O_4$*$C_6H_{13}N$ |
| Mol. weight | 244,25*99,18 g/mol |



### BAA1805 Boc-D-Aha-OH*CHA

(R)-2-*t*-Butyloxycarbonylamino-4-azidobutanoic acid cyclohexylamine

| | |
|---|---|
| CAS-No. | 1609202-75-6 net |
| Formula | $C_9H_{16}N_4O_4$*$C_6H_{13}N$ |
| Mol. weight | 244,25*99,18 g/mol |



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Click Reagents for Drug Delivery
Click Chemistry Tools for Proteomics
Carbohydrates for Click Chemistry
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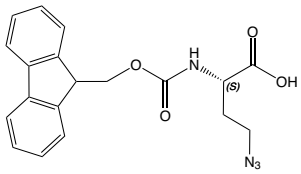
Product details

## FAA6620 Fmoc-L-Aha-OH

(S)-2-(9-Fluorenylmethyloxycarbonylamino)-4-azido-butanoic acid

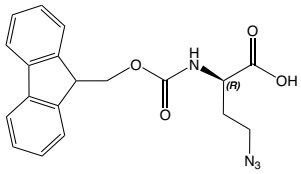
| | |
|---|---|
| CAS-No. | 942518-20-9 |
| Formula | $C_{19}H_{18}N_4O_4$ |
| Mol. weight | 366,41 g/mol |



## FAA6810 Fmoc-D-Aha-OH

(R)-2-(9-Fluorenylmethyloxycarbonylamino)-4-azido-butanoic acid

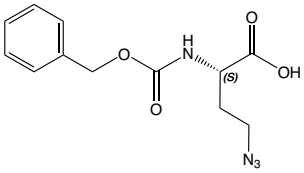
| | |
|---|---|
| CAS-No. | 1263047-53-5 |
| Formula | $C_{19}H_{18}N_4O_4$ |
| Mol. weight | 366,41 g/mol |



## ZAA5700 Z-L-Aha-OH*DCHA

(S)-2-Benzyloxycarbonylamino-4-azidobutanoic acid dicyclohexylamine

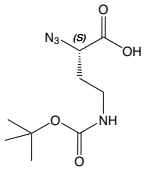
| | |
|---|---|
| CAS-No. | 1263047-43-3 net |
| Formula | $C_{12}H_{14}N_4O_4*C_{12}H_{23}N$ |
| Mol. weight | 278,26*181,34 g/mol |



## HAA2150 $N_3$-L-Dab(Boc)-OH

(S)-2-Azido-4-((*t*-butyloxycarbonyl)amino)butanoic acid

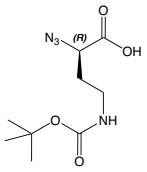
| | |
|---|---|
| CAS-No. | 1932403-71-8 |
| Formula | $C_9H_{16}N_4O_4$ |
| Mol. weight | 244,25 g/mol |



## HAA2155 $N_3$-D-Dab(Boc)-OH

(R)-2-Azido-4-((*t*-butyloxycarbonyl)amino)butanoic acid

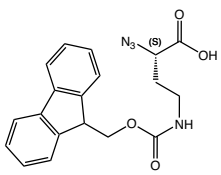
| | |
|---|---|
| CAS-No. | 1922891-74-4 |
| Formula | $C_9H_{16}N_4O_4$ |
| Mol. weight | 244,25 g/mol |



## HAA3170 $N_3$-L-Dab(Fmoc)-OH

(S)-2-Azido-4-[(9-fluorenylmethyloxycarbonyl)amino] butanoic acid

| | |
|---|---|
| CAS-No. | 2250436-44-1 |
| Formula | $C_9H_{16}N_4O_4$ |
| Mol. weight | 366,37 g/mol |



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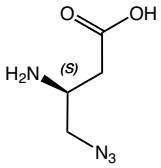
## Azido-beta-Homoalanine

Product details

### HAA3970 H-L-Dbu($N_3$)-OH*HCl

(S)-3-Amino-4-azidobutanoic acid hydrochloride

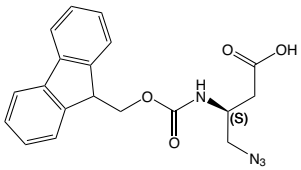
| | |
|---|---|
| CAS-No. | 2389078-78-6 net |
| Formula | $C_4H_8N_4O_2$*HCl |
| Mol. weight | 144,13*36,45 g/mol |



### FAA2035 Fmoc-L-Dbu($N_3$)-OH

(S)-3-(9-Fluorenylmethyloxycarbonyl)amino-4-azido-butanoic acid

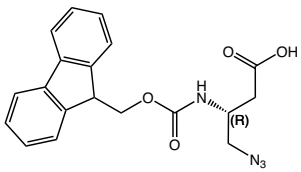
| | |
|---|---|
| CAS-No. | 934502-72-4 |
| Formula | $C_{19}H_{18}N_4O_4$ |
| Mol. weight | 366,37 g/mol |



### FAA3650 Fmoc-D-Dbu($N_3$)-OH

(R)-3-(9-Fluorenylmethyloxycarbonyl)amino-4-azido-butanoic acid

| | |
|---|---|
| CAS-No. | 1932023-47-6 |
| Formula | $C_{19}H_{18}N_4O_4$ |
| Mol. weight | 366,37 g/mol |



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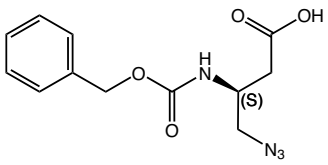
Product details

### ZAA1290 Z-L-Dbu($N_3$)-OH

(S)-3-(Benzyloxycarbonyl)amino-4-azido-butanoic acid

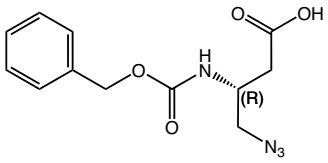
CAS-No. 1932657-23-2
Formula $C_{12}H_{14}N_4O_4$
Mol. weight 278,26 g/mol




### ZAA1285 Z-D-Dbu($N_3$)-OH

(R)-3-(Benzyloxycarbonyl)amino-4-azido-butanoic acid

CAS-No. 1931958-82-5
Formula $C_{12}H_{14}N_4O_4$
Mol. weight 278,26 g/mol



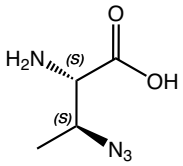

## 2-Amino-3-Azido-Butanoic Acid

Product details

### HAA3010 H-Abu(3-$N_3$)-OH*HCl (2S,3S)

(2S,3S)-2-amino-3-azidobutanoic acid hydrochloride

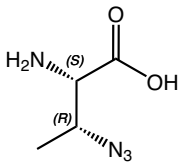
CAS-No. 2737202-68-3
Formula $C_4H_8N_4O_2$*HCl
Mol. weight 144,13*36,45 g/mol




### HAA3020 H-Abu(3-$N_3$)-OH*HCl (2S,3R)

(2S,3S)-2-amino-3-azidobutanoic acid hydrochloride

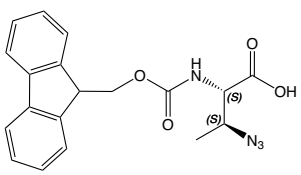
CAS-No. 2737202-63-8
Formula $C_4H_8N_4O_2$*HCl
Mol. weight 144,13*36,45 g/mol




### FAA2040 Fmoc-Abu(3-$N_3$)-OH (2S,3S)

(2S,3S)-2-(9-Fluorenylmethyloxycarbonyl)amino-3-azido-butanoic acid

CAS-No. 131669-42-6
Formula $C_{19}H_{18}N_4O_4$
Mol. weight 366,37 g/mol

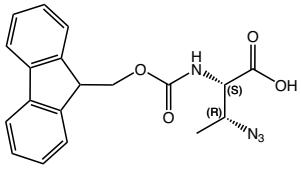


Product details

## FAA3200 Fmoc-Abu(3-$N_3$)-OH (2S,3R)

(2S,3R)-2-(9-Fluorenylmethyloxycarbonyl)amino-3-azido-butanoic acid

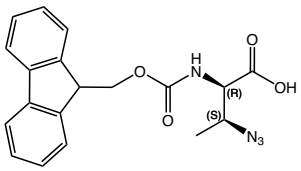
| | |
|---|---|
| CAS-No. | 146306-79-8 |
| Formula | $C_{19}H_{18}N_4O_4$ |
| Mol. weight | 366,37 g/mol |



## FAA3540 Fmoc-Abu(3-$N_3$)-OH (2R,3S)

(2R,3S)-2-(9-Fluorenylmethyloxycarbonyl)amino-3-azido-butanoic acid

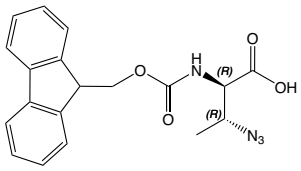
| | |
|---|---|
| CAS-No. | 1932349-21-7 |
| Formula | $C_{19}H_{18}N_4O_4$ |
| Mol. weight | 366,37 g/mol |



## FAA2095 Fmoc-Abu(3-$N_3$)-OH (2R,3R)

(2R,3R)-2-(9-Fluorenylmethyloxycarbonyl)amino-3-azidobutanoic acid

| | |
|---|---|
| CAS-No. | 1229394-75-5 |
| Formula | $C_{19}H_{18}N_4O_4$ |
| Mol. weight | 366,37 g/mol |



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## Azido-Masked Amino Function

Azido groups located in amino acid side-chains can be used for various applications. 2-Amino-3-azido-butanoic acid is shown as an example below.

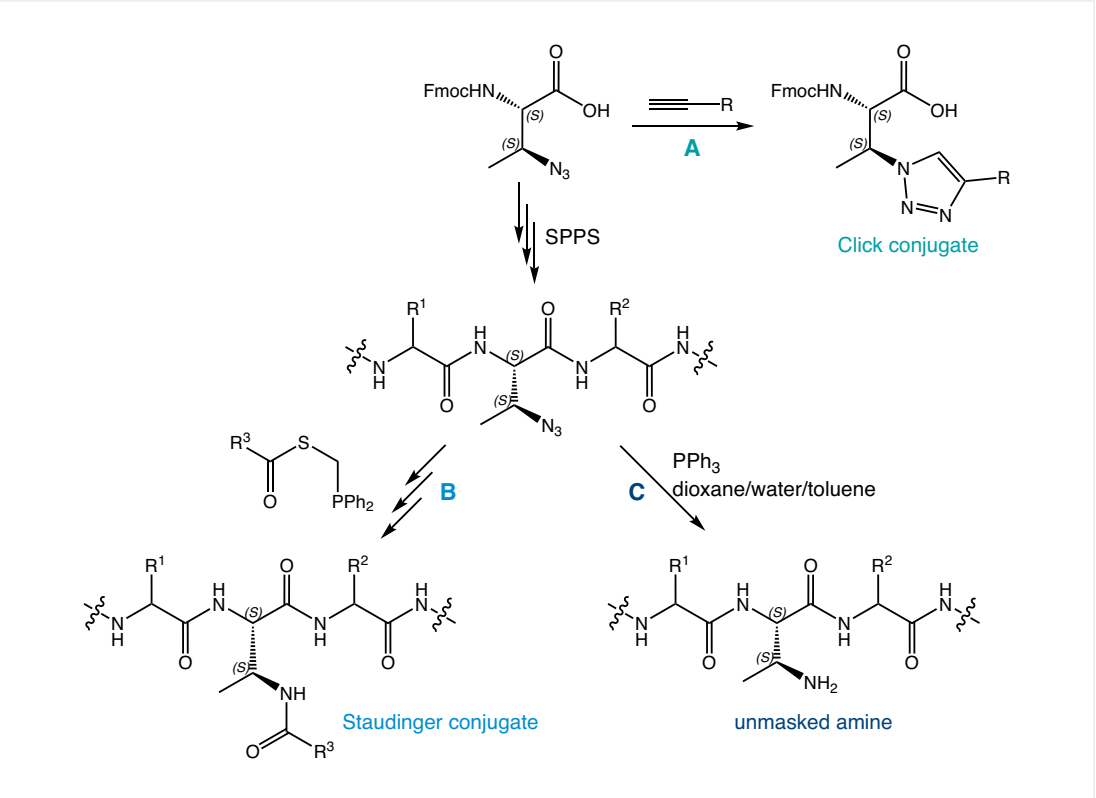


*Fig. 11: Possible applications of amino acids bearing azide groups in the side-chain.*

**A)** Azido groups can be used for any type of Click conjugation with any available alkynyl residue forming conjugates with peptides or any other organic molecule.

**B)** Azido groups may also be used for another prominent type of bioconjugation, namely the Staudinger ligation, which is a further development of the Staudinger reaction. The Staudinger ligation is characterized by high selectivity and a typically rapid and high-yielding turnover. As a biorthogonal reaction, it has been used for the semisynthesis of proteins, for installing posttranslational modifications such as glycosylations, and for DNA labeling.

**C)** The azido group can be reduced to an amino function and hereby serve as a masked amino group. Prominent methods for the reduction of azido groups include the Staudinger reaction as well as the reduction by DTT. Azido groups are stable towards treatment with piperidine (Fmoc deprotection), Pd(0) (Alloc removal) and acidic treatment (cleavage of Mtt, Trt or other acid-sensitive groups). However, as it is a pseudohalogenide, care must be taken during coupling steps, as HATU will cause a high degree of racemization. This can be avoided using collidine or other non-nucleophilic bases instead of DIPEA.

Chiral α,β-diamines and diamino acids have increasingly become motifs of interest in organic synthesis owing to their ubiquity in natural products and medicinal agents. For example, these motifs are found in biotin, penicillins, and the antiinfluenza neuraminidase inhibitor Tamiflu. Chiral vicinal diamines and their metal complexes have been employed in stereoselective organic synthesis, in particular as chiral auxiliaries and ligands in catalytic asymmetric synthesis.

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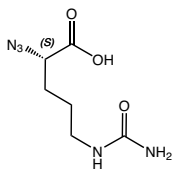
## Azido-Citrulline

Product details

HAA4980 $N_3$-L-Cit-OH*DCHA

(S)-2-Azido-citrulline dicyclohexylamine

| | |
|---|---|
| CAS-No. | 1799421-66-1 net |
| Formula | $C_6H_{11}N_5O_3$*$C_{12}H_{23}N$ |
| Mol. weight | 201,18*181,32 g/mol |



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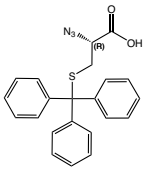
## Azido-Cysteine

Product details

### HAA2810 $N_3$-L-Cys(Trt)-OH*CHA

(R)-2-azido-3-(tritylthio)propanoic acid cyclohexylamine

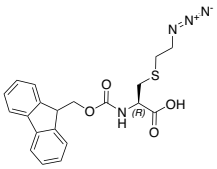
CAS-No. 1286670-90-3
Formula $C_{22}H_{19}N_3O_2S*C_6H_{13}N$
Mol. weight 389,47*99,17 g/mol



### FAA9460 Fmoc-L-Cys(Azidoethyl)-OH

N-(((9H-fluoren-9-yl)methoxy)carbonyl)-S-(2-azidoethyl)-L-cysteine

CAS-No. 3029657-80-2
Formula $C_{20}H_{20}N_4O_4S$
Mol. weight 412,46 g/mol



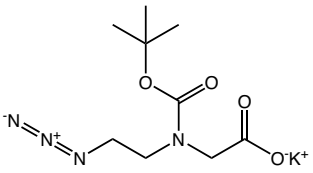
## Azido-Glycine

Product details

### BAA4895 Boc-Aeg($N_3$)-OK

N-(2-azidoethyl)-N-(*tert*-butoxycarbonyl)glycine potassium salt

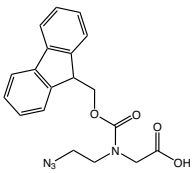
CAS-No. 2172548-14-8 net
Formula $C_9H_{15}KN_4O_4$
Mol. weight 282,34 g/mol



### FAA4060 Fmoc-Aeg($N_3$)-OH

N-(9-Fluorenylmethyloxycarbonyl)-N-(2-azidoethyl) glycine

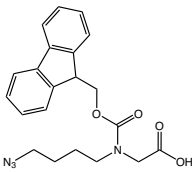
CAS-No. 1935981-35-3
Formula $C_{19}H_{18}N_4O_4$
Mol. weight 366,37 g/mol



### FAA4055 Fmoc-Abg($N_3$)-OH

N-(9-Fluorenylmethyloxycarbonyl)-N-(4-azidobutyl) glycine

CAS-No. 2250433-81-7
Formula $C_{21}H_{22}N_4O_4$
Mol. weight 394,42 g/mol

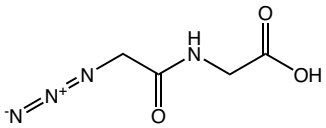


Product details

## HAA2850 $N_3$-Gly-Gly-OH*DCHA

Azido-glycylglycine dicyclohexylamine

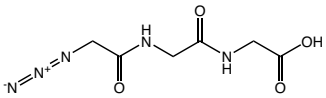
| | |
|---|---|
| CAS-No. | 855750-87-7 net |
| Formula | $C_4H_6N_4O_3$*$C_{12}H_{23}N$ |
| Mol. weight | 158,12*181,32 g/mol |



## HAA2840 $N_3$-Gly-Gly-Gly-OH

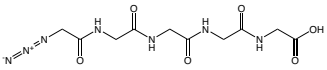
Azido-glycylglycylglycine

| | |
|---|---|
| CAS-No. | 1993176-75-2 |
| Formula | $C_6H_9N_5O_4$ |
| Mol. weight | 215,17 g/mol |



## HAA2860 $N_3$-Gly-Gly-Gly-Gly-Gly-OH

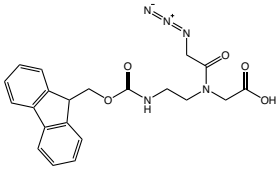
| | |
|---|---|
| CAS-No. | 2250433-77-1 |
| Formula | $C_{10}H_{15}N_7O_6$ |
| Mol. weight | 329,27 g/mol |



## HAA9330 $N_3$-Gly-Aeg(Fmoc)-OH

N-(2-((((9H-fluoren-9-yl)methoxy)carbonyl)amino) ethyl)-N-(2-azidoacetyl)glycine

| | |
|---|---|
| CAS-No. | 2606227-07-8 |
| Formula | $C_{21}H_{21}N_5O_5$ |
| Mol. weight | 423,43 g/mol |



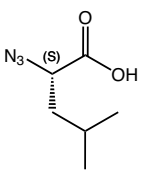
# Azido-Leucine

Product details

## HAA3350 $N_3$-L-Leu-OH*BHA

(S)-2-azido-4-methylpentanoic acid benzhydrylamine salt

| | |
|---|---|
| CAS-No. | 79410-33-6 |
| Formula | $C_6H_{11}N_3O_2$*$C_{13}H_{13}N$ |
| Mol. weight | 157,17*183,25 g/mol |



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Carbohydrates for Click Chemistry
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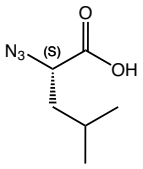
Product details

## HAA2820 $N_3$-L-Leu-OH*CHA

(S)-2-Azido-4-methylpentanoic acid cyclohexylamine

| | |
|---|---|
| CAS-No. | 1286670-79-8 |
| Formula | $C_6H_{11}N_3O_2$*$C_6H_{13}N$ |
| Mol. weight | 157,17*99,18 g/mol |



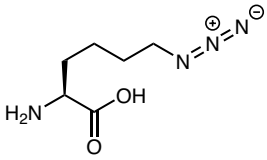
## Azido-Lysine

Product details

## HAA9210 H-L-Lys($N_3$)-OH

N-epsilon-azido-L-lysine

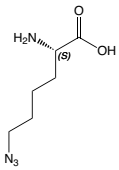
| | |
|---|---|
| CAS-No. | 159610-92-1 |
| Formula | $C_6H_{12}N_4O_2$ |
| Mol. weight | 172,19 g/mol |



## HAA1625 H-L-Lys($N_3$)-OH*HCl

N-epsilon-Azido-L-lysine hydrochloride

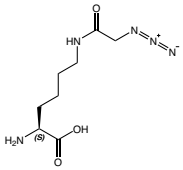
| | |
|---|---|
| CAS-No. | 1454334-76-9 |
| Formula | $C_6H_{12}N_4O_2$*HCl |
| Mol. weight | 172,19*36,45 g/mol |



## HAA9340 H-L-Lys($N_3$-Gly)-OH*HCl

Azidoacetyl-L-Lysine hydrochloride

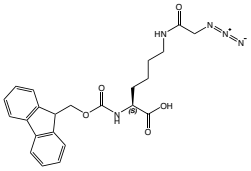
| | |
|---|---|
| CAS-No. | 1198617-82-1 net |
| Formula | $C_8H_{15}N_5O_3$ |
| Mol. weight | 229,24 g/mol |



## FAA8855 Fmoc-L-Lys($N_3$-Gly)-OH

Azidoacetyl-Fmoc-L-Lysine

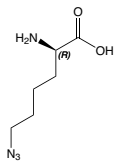
| | |
|---|---|
| CAS-No. | 1198617-89-8 |
| Formula | $C_{23}H_{25}N_5O_5$ |
| Mol. weight | 451,48 g/mol |



## HAA1890 H-D-Lys($N_3$)-OH*HCl

N-epsilon-Azido-D-lysine hydrochloride

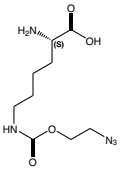
CAS-No. 2098497-01-7
Formula $C_6H_{12}N_4O_2$*HCl
Mol. weight 172,19*36,45 g/mol



## HAA2080 H-L-Lys(EO-$N_3$)-OH*HCl

(S)-2-amino-6-((2-azidoethoxy)carbonylamino)hexanoic acid hydrochloride

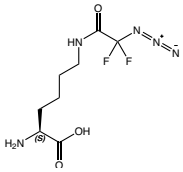
CAS-No. 1994331-17-7
Formula $C_9H_{17}N_5O_4$*HCl
Mol. weight 259,26*36,46 g/mol



## HAA9295 H-L-Lys($COCF_2N_3$)-OH*HCl

N6-(2-azido-2,2-difluoroacetyl)-L-lysine

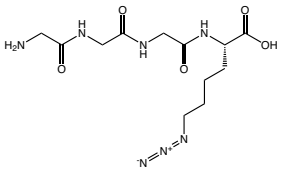
Formula $C_8H_{13}F_2N_5O_3$*HCl
Mol. weight 265,22*36,46 g/mol



## HAA2870 H-(Gly)$_3$-Lys($N_3$)-OH*HCl

Triglycyl-epsilon-azido-L-lysine hydrochloride

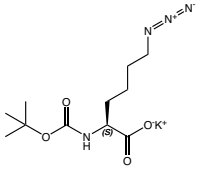
CAS-No. 2250437-45-5
Formula $C_{12}H_{21}N_7O_5$*HCl
Mol. weight 343,34*36,45 g/mol



## BAA4900 Boc-L-Lys($N_3$)-OK

Boc-azidolysine potassium salt

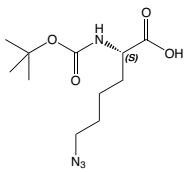
CAS-No. 846549-33-5
Formula $C_{11}H_{19}KN_4O_4$
Mol. weight 310,40 g/mol



## BAA1810 Boc-L-Lys($N_3$)-OH*CHA

N-alpha-*t*-Butyloxycarbonyl-epsilon-azido-L-lysine cyclohexylamine

CAS-No. 2098497-30-2
Formula $C_{11}H_{20}N_4O_4$*$C_6H_{13}N$
Mol. weight 272,30*99,18 g/mol



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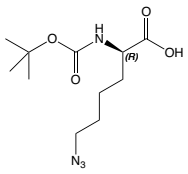
Product details

## BAA1815 Boc-D-Lys($N_3$)-OH*CHA

N-alpha-*t*-Butyloxycarbonyl-epsilon-azido-D-lysine cyclohexylamine

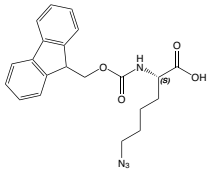
| | |
|---|---|
| CAS-No. | 1858224-39-1 |
| Formula | $C_{11}H_{20}N_4O_4*C_6H_{13}N$ |
| Mol. weight | 272,30*99,18 g/mol |



## FAA1793 Fmoc-L-Lys($N_3$)-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-epsilon-azido-L-lysine

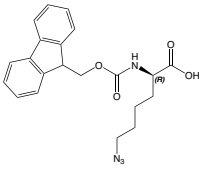
| | |
|---|---|
| CAS-No. | 159610-89-6 |
| Formula | $C_{21}H_{22}N_4O_4$ |
| Mol. weight | 394,42 g/mol |



## FAA1835 Fmoc-D-Lys($N_3$)-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-epsilon-azido-D-lysine

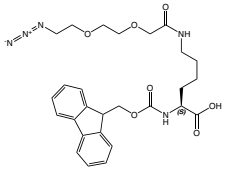
| | |
|---|---|
| CAS-No. | 1198791-53-5 |
| Formula | $C_{21}H_{22}N_4O_4$ |
| Mol. weight | 394,42 g/mol |



## FAA7925 Fmoc-L-Lys($N_3$-AEEA)-OH

N2-(((9H-fluoren-9-yl)methoxy)carbonyl)-N6-(2-(2-(2-azidoethoxy)ethoxy)acetyl)-L-lysine

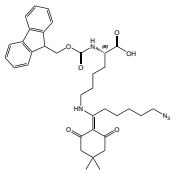
| | |
|---|---|
| CAS-No. | 1236293-83-6 |
| Formula | $C_{27}H_{33}N_5O_7$ |
| Mol. weight | 539,59 g/mol |



## FAA8145 Fmoc-L-Lys($N_3$-Aca-DIM)-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-N-epsilon-[6-azido-1-(4,4-dimethyl-2,6- dioxocyclohexylidene)hexyl]-L-lysine

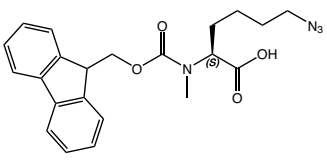
| | |
|---|---|
| CAS-No. | 2408993-39-3 |
| Formula | $C_{35}H_{43}N_5O_6$ |
| Mol. weight | 629,76 g/mol |



## FAA8595 Fmoc-L-MeLys($N_3$)-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-N-alpha-methyl-epsilon-azido-L-lysine

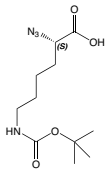
| | |
|---|---|
| CAS-No. | 1263721-14-7 |
| Formula | $C_{22}H_{24}N_4O_4$ |
| Mol. weight | 408,46 g/mol |



## HAA2170 $N_3$-L-Lys(Boc)-OH

(S)-2-Azido-6-[(*t*-butyloxycarbonyl)amino]hexanoic acid

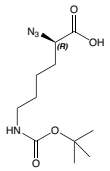
CAS-No. 333366-32-8
Formula $C_{11}H_{20}N_4O_4$
Mol. weight 272,3 g/mol



## HAA2175 $N_3$-D-Lys(Boc)-OH

(R)-2-Azido-6-[(*t*-butyloxycarbonyl)amino]hexanoic acid

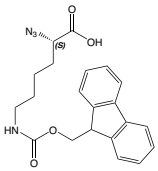
CAS-No. 1178899-92-7
Formula $C_{11}H_{20}N_4O_4$
Mol. weight 272,3 g/mol



## HAA2160 $N_3$-L-Lys(Fmoc)-OH

(S)-2-Azido-6-[(9-fluorenylmethyloxycarbonyl)amino] hexanoic acid

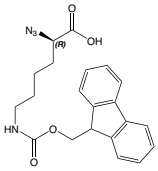
CAS-No. 473430-12-5
Formula $C_{21}H_{22}N_4O_4$
Mol. weight 394,42 g/mol



## HAA2165 $N_3$-D-Lys(Fmoc)-OH

(R)-2-Azido-6-[(9-fluorenylmethyloxycarbonyl)amino] hexanoic acid

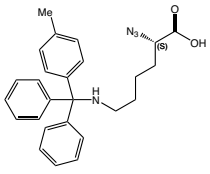
CAS-No. 1994300-35-4
Formula $C_{21}H_{22}N_4O_4$
Mol. weight 394,42 g/mol



## HAA2880 $N_3$-L-Lys(Mtt)-OH

(S)-2-Azido-6-[(4-methyltrityl)amino]hexanoic acid

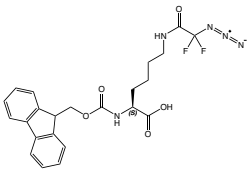
CAS-No. 1333231-26-7
Formula $C_{26}H_{28}N_4O_2$
Mol. weight 428,53 g/mol



## FAA8825 Fmoc-L-Lys($COCF2N_3$)-OH

N2-(((9H-fluoren-9-yl)methoxy)carbonyl)-N6-(2-azido-2,2-difluoroacetyl)-L-lysine

Formula $C_{23}H_{23}F_2N_5O_5$
Mol. weight 487,46 g/mol



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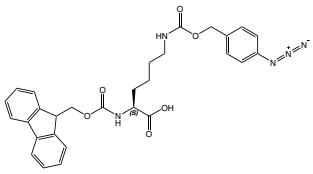
Product details

## FAA8830 Fmoc-L-Lys(4-$N_3$-Z)-OH

N2-(((9H-fluoren-9-yl)methoxy)carbonyl)-N6-(((4-azidobenzyl)oxy)carbonyl)-L-lysine

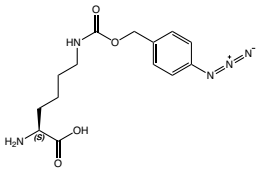
| | |
|---|---|
| CAS-No. | 1446511-14-3 |
| Formula | $C_{29}H_{29}N_5O_6$ |
| Mol. weight | 543,58 g/mol |



## HAA9315 H-L-Lys(4-$N_3$-Z)-OH*HCl

(2S)-6-(4-Azido-benzyloxycarbonylamino)-2-amino-hexanoic acid hydrochloride

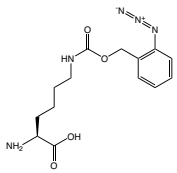
| | |
|---|---|
| CAS-No. | 2084913-49-3 |
| Formula | $C_{14}H_{19}N_5O_4$*HCl |
| Mol. weight | 321,34*36,46 g/mol |



## HAA9380 H-L-Lys(2-$N_3$-Z)-OH

N6-(((2-azidobenzyl)oxy)carbonyl)-L-lysine

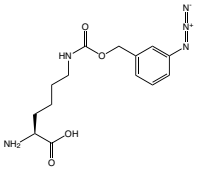
| | |
|---|---|
| CAS-No. | 1131963-69-3 |
| Formula | $C_{14}H_{19}N_5O_4$ |
| Mol. weight | 321,34 g/mol |



## HAA9370 H-L-Lys(3-$N_3$-Z)-OH*HCl

N6-(((3-azidobenzyl)oxy)carbonyl)-L-lysine

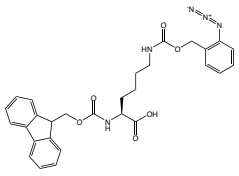
| | |
|---|---|
| CAS-No. | 2084913-47-1 |
| Formula | $C_{14}H_{19}N_5O_4$*HCl |
| Mol. weight | 321,34*36,45 g/mol |



## FAA8880 Fmoc-L-Lys(2-$N_3$-Z)-OH

(2S)-6-(2-Azido-benzyloxycarbonylamino)-2-(9H-fluoren-9-ylmethoxycarbonylamino)-hexanoic acid

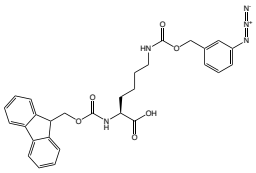
| | |
|---|---|
| CAS-No. | 2714331-96-9 |
| Formula | $C_{29}H_{29}N_5O_6$ |
| Mol. weight | 543,58 g/mol |



## FAA8890 Fmoc-L-Lys(3-$N_3$-Z)-OH

(2S)-6-(3-Azido-benzyloxycarbonylamino)-2-(9H-fluoren-9-ylmethoxycarbonylamino)-hexanoic acid

| | |
|---|---|
| CAS-No. | 1836202-27-7 |
| Formula | $C_{29}H_{29}N_5O_6$ |
| Mol. weight | 543,58 g/mol |



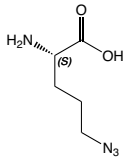
## Azido-Ornithine

Product details

### HAA1620 H-L-Orn($N_3$)-OH*HCl

N-delta-Azido-L-ornithine hydrochloride

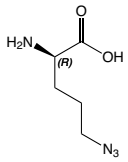
| | |
|---|---|
| CAS-No. | 156463-09-1n |
| Formula | $C_5H_{10}N_4O_2$*HCl |
| Mol. weight | 158,16*36,45 g/mol |



### HAA1895 H-D-Orn($N_3$)-OH*HCl

N-delta-Azido-D-ornithine hydrochloride

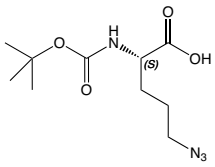
| | |
|---|---|
| CAS-No. | 1858224-08-4 |
| Formula | $C_5H_{10}N_4O_2$*HCl |
| Mol. weight | 158,16*36,45 g/mol |



### BAA1830 Boc-L-Orn($N_3$)-OH*CHA

N-alpha-*t*-Butyloxycarbonyl-delta-azido-L-ornithine cyclohexylamine

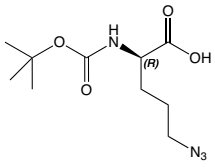
| | |
|---|---|
| CAS-No. | 763139-35-1n |
| Formula | $C_{10}H_{18}N_4O_4$*$C_6H_{13}N$ |
| Mol. weight | 258,27*99,18 g/mol |



### BAA1835 Boc-D-Orn($N_3$)-OH*CHA

N-alpha-*t*-Butyloxycarbonyl-delta-azido-D-ornithine cyclohexylamine

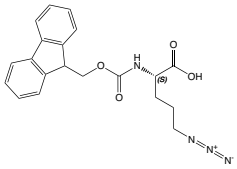
| | |
|---|---|
| CAS-No. | 1858224-18-6 |
| Formula | $C_{10}H_{18}N_4O_4$*$C_6H_{13}N$ |
| Mol. weight | 258,27*99,18 g/mol |



### FAA6880 Fmoc-L-Orn($N_3$)-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-delta-azido-L-ornithine

| | |
|---|---|
| CAS-No. | 1097192-04-5 |
| Formula | $C_{20}H_{20}N_4O_4$ |
| Mol. weight | 380,4 g/mol |



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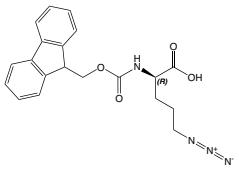
## FAA6890 Fmoc-D-Orn($N_3$)-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-delta-azido-D-ornithine

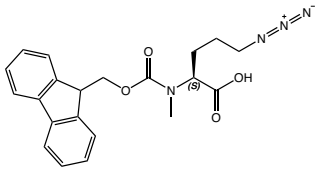
| | |
|---|---|
| CAS-No. | 1176270-25-9 |
| Formula | $C_{20}H_{20}N_4O_4$ |
| Mol. weight | 380,4 g/mol |



## FAA8680 Fmoc-L-MeOrn($N_3$)-OH

(S)-2-((((9H-fluoren-9-yl)methoxy)carbonyl)(methyl)amino)-5-azidopentanoic acid

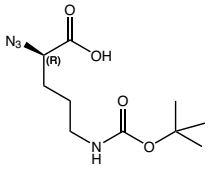
| | |
|---|---|
| CAS-No. | 2991255-09-3 |
| Formula | $C_{21}H_{22}N_4O_4$ |
| Mol. weight | 394,43 g/mol |



## HAA2210 $N_3$-D-Orn(Boc)-OH*CHA

(R)-2-Azido-5-[(*t*-butyloxycarbonyl)amino]pentanoic acid cyclohexylamine

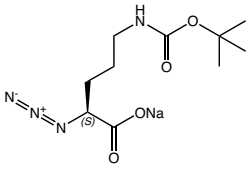
| | |
|---|---|
| CAS-No. | 2165877-62-1 |
| Formula | $C_{10}H_{18}N_4O_4*C_6H_{13}N$ |
| Mol. weight | 258,27*99,18 g/mol |



## HAA9485 $N_3$-L-Orn(Boc)-ONa

sodium (S)-2-azido-5-((*tert*-butoxycarbonyl)amino) pentanoate

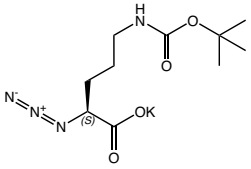
| | |
|---|---|
| CAS-No. | 1639198-67-6 net |
| Formula | $C_{10}H_{17}N_4NaO_4$ |
| Mol. weight | 280,26 g/mol |



## HAA9495 $N_3$-L-Orn(Boc)-OK

potassium (S)-2-azido-5-((*tert*-butoxycarbonyl)amino) pentanoate

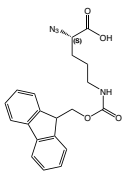
| | |
|---|---|
| CAS-No. | 1639198-67-6 net |
| Formula | $C_{10}H_{17}N_4KO_4$ |
| Mol. weight | 296,37 g/mol |



## HAA2225 $N_3$-L-Orn(Fmoc)-OH

(S)-2-Azido-5-[(9-fluorenylmethyloxycarbonyl)amino] pentanoic acid

| | |
|---|---|
| CAS-No. | 1994267-98-9 |
| Formula | $C_{20}H_{20}N_4O_4$ |
| Mol. weight | 380,4 g/mol |



***Reference:***

→ *Application of metal-free triazole formation in the synthesis of cyclic RGD-DTPA conjugates; S. S. van Berkel, A. Dirks, S. A. Meeuwissen, D. L. Pingen, O. C. Boerman, P. Laverman, F. L. van Delft, J. J. Cornelissen, F. P. Rutjes;* ***Chembiochem*** *2008; **9**: 1805-15.* https://doi.org/10.1002/cbic.200800074

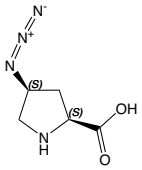
## Azido-Proline

Product details

### HAA2125 H-L-Pro(4-$N_3$)-OH*HCl (2S,4S)

(2S,4S)-4-Azidopyrrolidine-2-carboxylic acid hydrochloride

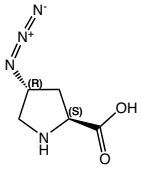
| | |
|---|---|
| CAS-No. | 892128-58-4 |
| Formula | $C_5H_8N_4O_2$*HCl |
| Mol. weight | 156,14*36,45 g/mol |



### HAA3150 H-L-Pro(4-$N_3$)-OH*HCl (2S,4R)

(2S,4R)-4-Azidopyrrolidine-2-carboxylic acid hydrochloride

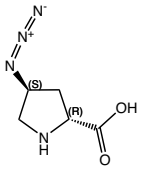
| | |
|---|---|
| CAS-No. | 1019849-13-8 net |
| Formula | $C_5H_8N_4O_2$*HCl |
| Mol. weight | 156,14*36,45 g/mol |



### HAA3140 H-D-Pro(4-$N_3$)-OH*HCl (2R,4S)

(2R,4S)-4-Azidopyrrolidine-2-carboxylic acid hydrochloride

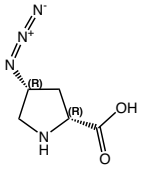
| | |
|---|---|
| CAS-No. | 2137086-50-9 |
| Formula | $C_5H_8N_4O_2$*HCl |
| Mol. weight | 156,14*36,45 g/mol |



### HAA3190 H-D-Pro(4-$N_3$)-OH*HCl (2R,4R)

(2R,4R)-4-Azidopyrrolidine-2-carboxylic acid hydrochloride

| | |
|---|---|
| CAS-No. | 2737202-69-4 |
| Formula | $C_5H_8N_4O_2$*HCl |
| Mol. weight | 156,14*36,45 g/mol |



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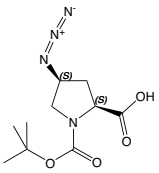
## BAA1905 Boc-L-Pro(4-$N_3$)-OH (2S,4S)

*cis*-N-alpha-(*t*-Butyloxycarbonyl)-4-azido-L-proline

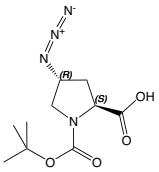
CAS-No. 132622-65-2
Formula $C_{10}H_{16}N_4O_4$
Mol. weight 256,26 g/mol



## BAA1930 Boc-L-Pro(4-$N_3$)-OH*DCHA (2S,4R)

*trans*-N-alpha-(*t*-Butyloxycarbonyl)-4-azido-L-proline dicyclohexylamine

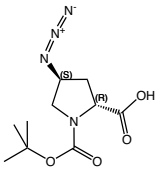
CAS-No. 132622-68-5 net
Formula $C_{10}H_{16}N_4O_4*C_{12}H_{23}N$
Mol. weight 256,26*181,32 g/mol



## BAA3110 Boc-D-Pro(4-$N_3$)-OH*DCHA (2R,4S)

*trans*-N-alpha-(*t*-Butyloxycarbonyl)-4-azido-D-proline dicyclohexylamine

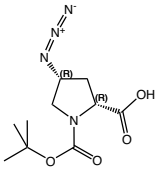
CAS-No. 132622-77-6 net
Formula $C_{10}H_{16}N_4O_4*C_{12}H_{23}N$
Mol. weight 256,26*181,32 g/mol



## BAA3120 Boc-D-Pro(4-$N_3$)-OH (2R,4R)

*cis*-N-alpha-(*t*-Butyloxycarbonyl)-4-azido-D-proline

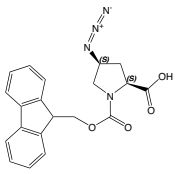
CAS-No. 650601-59-5
Formula $C_{10}H_{16}N_4O_4$
Mol. weight 256,26 g/mol



## FAA2050 Fmoc-L-Pro(4-$N_3$)-OH (2S,4S)

*cis*-N-alpha-(9-Fluorenylmethyloxycarbonyl)-4-azido-L-proline

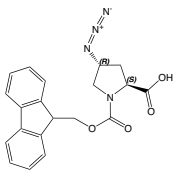
CAS-No. 263847-08-1
Formula $C_{20}H_{18}N_4O_4$
Mol. weight 378,38 g/mol



## FAA3000 Fmoc-L-Pro(4-$N_3$)-OH (2S,4R)

*trans*-N-alpha-(9-Fluorenylmethyloxycarbonyl)-4-azido-L-proline

CAS-No. 702679-55-8
Formula $C_{20}H_{18}N_4O_4$
Mol. weight 378,38 g/mol

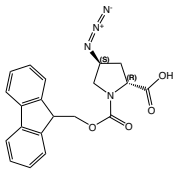


Product details

## FAA4630 Fmoc-D-Pro(4-$N_3$)-OH (2R,4S)

*trans*-N-alpha-(9-Fluorenylmethyloxycarbonyl)-4-azido-D-proline

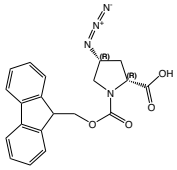
| | |
|---|---|
| CAS-No. | 2137142-63-1 |
| Formula | $C_{20}H_{18}N_4O_4$ |
| Mol. weight | 378,38 g/mol |



## FAA4720 Fmoc-D-Pro(4-$N_3$)-OH (2R,4R)

*cis*-N-alpha-(9-Fluorenylmethyloxycarbonyl)-4-azido-D-proline

| | |
|---|---|
| CAS-No. | 1378847-51-8 |
| Formula | $C_{20}H_{18}N_4O_4$ |
| Mol. weight | 378,38 g/mol |



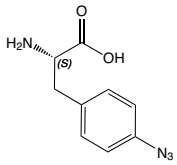
# Azido-Phenylalanine

Product details

## HAA1850 H-L-Phe(4-$N_3$)-OH

4-Azido-L-phenylalanine

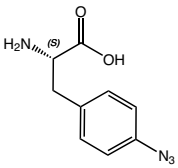
| | |
|---|---|
| CAS-No. | 33173-53-4 |
| Formula | $C_9H_{10}N_4O_2$ |
| Mol. weight | 206,20 g/mol |



## HAA2980 H-L-Phe(4-$N_3$)-OH*HCl

4-Azido-L-phenylalanine hydrochloride

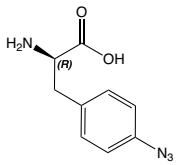
| | |
|---|---|
| CAS-No. | 34670-43-4 |
| Formula | $C_9H_{10}N_4O_2$*HCl |
| Mol. weight | 206,2*36,45 g/mol |



## HAA1855 H-D-Phe(4-$N_3$)-OH

4-Azido-D-phenylalanine

| | |
|---|---|
| CAS-No. | 1241681-80-0 |
| Formula | $C_9H_{10}N_4O_2$ |
| Mol. weight | 206,20 g/mol |



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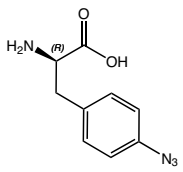
## HAA1856 H-D-Phe(4-$N_3$)-OH*HCl

4-Azido-D-phenylalanine hydrochloride

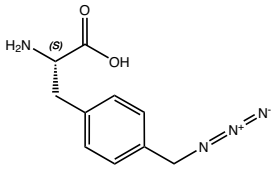
CAS-No. 1241681-80-0
Formula $C_9H_{10}N_4O_2$*HCl
Mol. weight 206,2*36,45 g/mol



## HAA4090 H-L-Phe(4-$CH_2$-$N_3$)*HCl

4-azidomethyl-L-phenylalanine hydrochloride

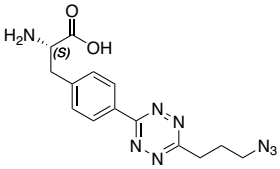
CAS-No. 1446772-80-0
Formula $C_{10}H_{12}N_4O_2$*HCl
Mol. weight 220,23*36,45 g/mol



## HAA9480 H-L-Phe(4-Azido-PrTz)-OH*TFA

(S)-2-amino-3-(4-(6-(3-azidopropyl)-1,2,4,5-tetrazin-3-yl)phenyl)propanoic acid trifluoroacetic acid salt

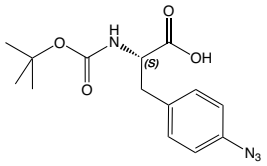
Formula $C_{14}H_{16}N_8O_2$*$CF_3COOH$
Mol. weight 328,34*114,02 g/mol



## BAA1850 Boc-L-Phe(4-$N_3$)-OH

N-alpha-*t*-Butyloxycarbonyl-4-azido-L-phenylalanine

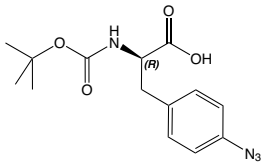
CAS-No. 33173-55-6
Formula $C_{14}H_{18}N_4O_4$
Mol. weight 306,32 g/mol



## BAA1855 Boc-D-Phe(4-$N_3$)-OH

N-alpha-*t*-Butyloxycarbonyl-4-azido-D-phenylalanine

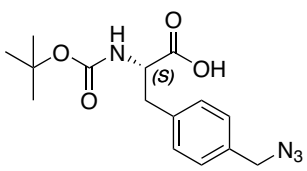
CAS-No. 214630-05-4
Formula $C_{14}H_{18}N_4O_4$
Mol. weight 306,32 g/mol



## BAA4660 Boc-L-Phe(4-$CH_2$-$N_3$)-OH

N-alpha-*t*-Butyloxycarbonyl-4-azidomethyl-L-phenyl-alanine

CAS-No. 205127-59-9
Formula $C_{15}H_{20}N_4O_4$
Mol. weight 320,35 g/mol

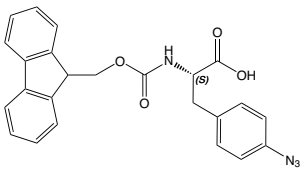


Product details

## FAA1905 Fmoc-L-Phe(4-$N_3$)-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-4-azido-L-phenylalanine

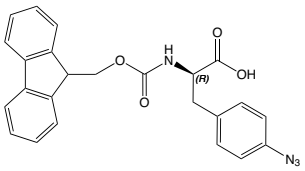
| | |
|---|---|
| CAS-No. | 163217-43-4 |
| Formula | $C_{24}H_{20}N_4O_4$ |
| Mol. weight | 428,44 g/mol |



## FAA1910 Fmoc-D-Phe(4-$N_3$)-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-4-azido-D-phenylalanine

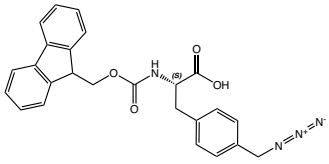
| | |
|---|---|
| CAS-No. | 1391586-30-3 |
| Formula | $C_{24}H_{20}N_4O_4$ |
| Mol. weight | 428,44 g/mol |



## FAA7740 Fmoc-L-Phe(4-$CH_2$-$N_3$)-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-4-azidomethyl-L-phenylalanine

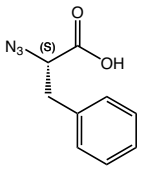
| | |
|---|---|
| CAS-No. | 2375587-79-2 |
| Formula | $C_{25}H_{22}N_4O_4$ |
| Mol. weight | 442,47 g/mol |



## HAA3360 $N_3$-L-Phe-OH*DCHA

(S)-2-Azido-3-phenylpropanoic acid dicyclohexylamine

| | |
|---|---|
| CAS-No. | 79410-36-9 |
| Formula | $C_9H_9N_3O_2$*$C_{13}H_{23}N$ |
| Mol. weight | 191,19*181,32 g/mol |



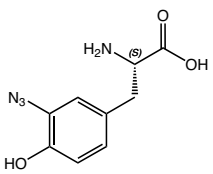
## Azido-Tyrosine

Product details

## HAA3940 H-L-Tyr(3-$N_3$)-OH

3-Azido-L-tyrosine

| | |
|---|---|
| CAS-No. | 129960-90-3 |
| Formula | $C_9H_{10}N_4O_3$ |
| Mol. weight | 222,2 g/mol |



The Click Reaction
Amino Acid Derivatives and Related Building Blocks for Click Chemistry
Spermines and Amines for Click Chemistry
Click Reagents for Drug Delivery
Click Chemistry Tools for Proteomics
Carbohydrates for Click Chemistry
Proteolysis Targeting Chimeras (PROTACs®)
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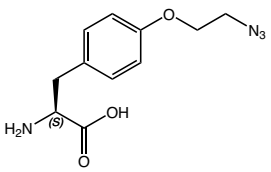
Product details

## HAA9310 H-L-Tyr(2-azidoethyl)-OH

O-2-azidoethyl-tyrosine

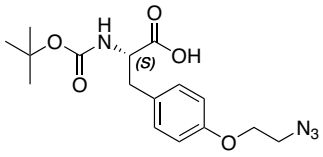
CAS-No. 1570523-47-5
Formula $C_{11}H_{14}N_4O_3$
Mol. weight 250,26 g/mol



## BAA4650 Boc-L-Tyr(2-azidoethyl)-OH

N-alpha-*t*-Butyloxycarbonyl-O-(2-azidoethyl)-L-tyrosine

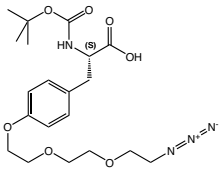
CAS-No. 1434445-10-9
Formula $C_{16}H_{22}N_4O_5$
Mol. weight 350,38 g/mol



## BAA2235 Boc-L-Tyr(PEG(3)-$N_3$)-OH*DCHA

N-alpha-*t*-Butyloxycarbonyl-O-(2-(2-(2-azidoethoxy) ethoxy)ethyl)-L-tyrosine dicyclohexylamine

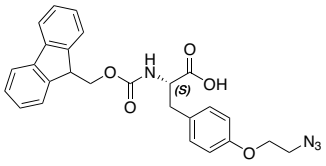
CAS-No. 1831059-64-3 net
Formula $C_{20}H_{30}N_4O_7$*$C_{12}H_{23}N$
Mol. weight 438,47*181,32 g/mol



## FAA8535 Fmoc-L-Tyr(2-azidoethyl)-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-O-(2-azidoethyl)-L-tyrosine

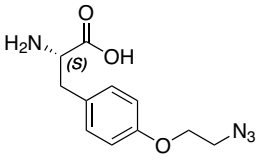
CAS-No. 1454816-10-4
Formula $C_{26}H_{24}N_4O_5$
Mol. weight 472,50 g/mol



## HAA9215 H-L-Tyr(2-azidoethyl)-OH*HCl

O-(2-azidoethyl)-L-tyrosine hydrochloride

CAS-No. 1567845-62-8
Formula $C_{11}H_{14}N_4O_3$*HCl
Mol. weight 250,26*36,46 g/mol



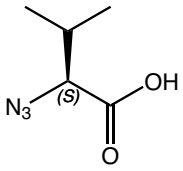
## Azido-Valine

Product details

HAA9285 $N_3$-L-Val-OH*CHA

Azido-L-valine cyclohexylammonium salt

| | |
|---|---|
| CAS-No. | 1217462-63-9 |
| Formula | $C_5H_9N_3O_2$*$C_6H_{13}N$ |
| Mol. weight | 143,15*99,17 g/mol |




***References:***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- *Synthesis and conformational analysis of a cyclic peptide obtained via i to i+4 intramolecular side-chain to side-chain azide-alkyne 1,3-dipolar cycloaddition; S. Cantel, C. Isaad Ale, M. Scrima, J. J. Levy, R. D. DiMarchi, P. Rovero, J. A. Halperin, A. M. D'Ursi, A. M. Papini, M. Chorev;* ***J Org Chem*** *2008;* ***73****: 5663-74.* https://doi.org/10.1021/jo800142s
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- *An efficient peptide ligation using azido-protected peptides via the thioester method; H. Katayama, H. Hojo, T. Ohira, Y. Nakahara;* ***Tetrahedron Lett.*** *2008;* ***49****: 5492-5494.* https://doi.org/10.1016/j.tetlet.2008.07.037

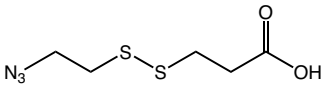

## Azido-Linkers and Azido-ADC Linkers

Product details

RL-4100 Azido-SS-COOH

3-((2-azidoethyl)disulfanyl)propanoic acid

| | |
|---|---|
| CAS-No. | 2228857-32-5 |
| Formula | $C_5H_9N_3O_2S_2$ |
| Mol. weight | 207,27 g/mol |

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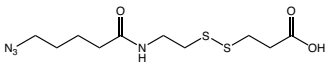
Product details

## RL-3320 Azido-Pen-SS-COOH

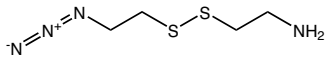
3-((2-(5-azidopentanamido)ethyl)disulfanyl)propanoic acid



CAS-No. 2576471-47-9
Formula $C_{10}H_{18}N_4O_3S_2$
Mol. weight 306,40 g/mol

## HNN1090 $N_3$-Cystamine*HCl

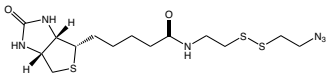
Azido-cystamine hydrochloride



CAS-No. 1807512-40-8 net
Formula $C_4H_{10}N_4S_2$*HCl
Mol. weight 178,28*36,45 g/mol

## RL-4120 Biotin-SS-$N_3$

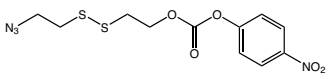
N-(2-((2-azidoethyl)disulfanyl)ethyl)-5-((3aS,4S,6aR)-2-oxohexahydro-1H-thieno[3,4-d]imidazol-4-yl)pentanamide



CAS-No. 1620523-64-9
Formula $C_{14}H_{24}N_6O_2S_3$
Mol. weight 404,57 g/mol

## RL-4150 Azido-SS-OpNC

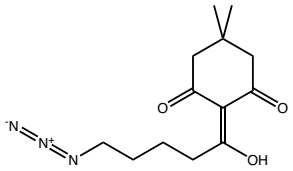
2-((2-azidoethyl)disulfanyl)ethyl (4-nitrophenyl) carbonate



CAS-No. 2766027-28-3
Formula $C_{11}H_{12}N_4O_5S_2$
Mol. weight 344,36 g/mol

## RL-3280 $N_3$-Pen-Dde

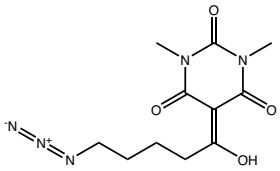
2-(5-azido-1-hydroxypentylidene)-5,5-dimethylcyclohexane-1,3-dione



CAS-No. 1867129-38-1
Formula $C_{13}H_{19}N_3O_3$
Mol. weight 265,31 g/mol

## RL-3290 $N_3$-Pen-Dtpp

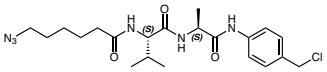
5-(5-azido-1-hydroxypentylidene)-1,3-dimethylpyrimidine-2,4,6(1H,3H,5H)-trione



CAS-No. 1867129-42-7
Formula $C_{11}H_{15}N_5O_4$
Mol. weight 281,27 g/mol

## ADC1680 6-Azidohexanoyl-Val-Ala-PAB-Cl

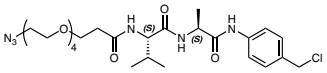
6-Azidohexanoyl-valyl-alanyl-(4-aminobenzyl chloride)



CAS-No. 2706565-03-7
Formula $C_{21}H_{31}ClN_6O_3$
Mol. weight 450,97 g/mol

## ADC1710 Azido-PEG(4)-Val-Ala-PAB-Cl

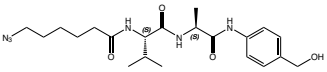
Azido-tetraethyleneglycol-propanoyl-valyl-alanyl-(4-aminobenzyl chloride)



Formula $C_{26}H_{41}ClN_6O_7$
Mol. weight 585,10 g/mol

## ADC1290 6-Azidohexanoyl-Val-Ala-PAB

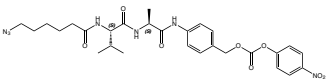
6-azidohexanoyl-valyl-alanyl-(4-aminobenzyl alcohol)



CAS-No. 2706564-30-7
Formula $C_{21}H_{32}N_6O_4$
Mol. weight 432,52 g/mol

## ADC1300 6-Azidohexanoyl-Val-Ala-PAB-PNP

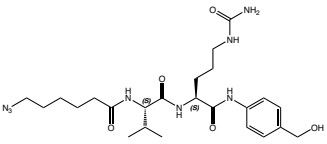
6-azidohexanoyl-valyl-alanyl-(4-aminobenzyl)-(4-nitrophenyl)-carbonate



Formula $C_{28}H_{35}N_7O_8$
Mol. weight 597,62 g/mol

## ADC1120 6-Azidohexanoyl-Val-Cit-PAB

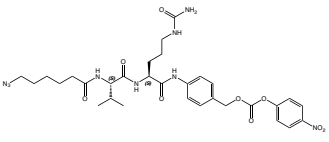
6-azidohexanoyl-valyl-citrullyl-(4-aminobenzyl alcohol)



CAS-No. 1613321-02-0
Formula $C_{24}H_{38}N_8O_5$
Mol. weight 518,61 g/mol

## ADC1130 6-Azidohexanoyl-Val-Cit-PAB-PNP

6-azidohexanoyl-valyl-citrullyl-(4-aminobenzyl)-(4-nitrophenyl)-carbonate



CAS-No. 1613321-01-9
Formula $C_{31}H_{41}N_9O_9$
Mol. weight 683,71 g/mol

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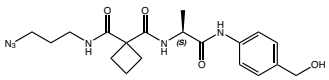
Product details

## ADC1580 Azido-cyclobutane-1,1-dicarboxamide-Ala-PAB

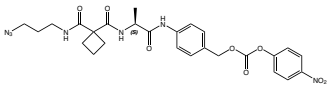
3-azidopropyl-cyclobutane-1,1-dicarboxamide-alanyl-(4-aminobenzyl alcohol)



| | |
|---|---|
| CAS-No. | 2576471-45-7 |
| Formula | $C_{19}H_{26}N_6O_4$ |
| Mol. weight | 402,45 g/mol |

## ADC1590 Azido-cyclobutane-1,1-dicarboxamide-Ala-PAB-PNP

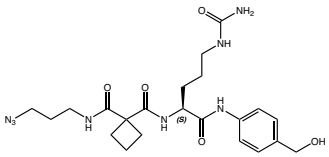
3-azidopropyl-cyclobutane-1,1-dicarboxamide-alanyl-(4-aminobenzyl)-(4-nitrophenyl)-carbonate



| | |
|---|---|
| CAS-No. | 2576471-36-6 |
| Formula | $C_{26}H_{29}N_7O_8$ |
| Mol. weight | 567,55 g/mol |

## ADC1480 Azido-cyclobutane-1,1-dicarboxamide-Cit-PAB

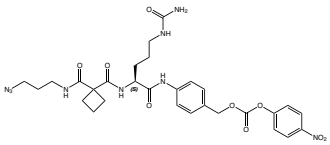
3-azidopropyl-cyclobutane-1,1-dicarboxamide-citrullyl-(4-aminobenzyl alcohol)



| | |
|---|---|
| CAS-No. | 2576471-33-3 |
| Formula | $C_{22}H_{32}N_8O_5$ |
| Mol. weight | 488,54 g/mol |

## ADC1490 Azido-cyclobutane-1,1-dicarboxamide-Cit-PAB-PNP

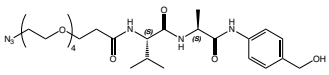
3-azidopropyl-cyclobutane-1,1-dicarboxamide-citrullyl-(4-aminobenzyl)-(4-nitrophenyl)-carbonate



| | |
|---|---|
| CAS-No. | 2576471-44-6 |
| Formula | $C_{29}H_{35}N_9O_9$ |
| Mol. weight | 653,64 g/mol |

## ADC1330 Azido-PEG(4)-Val-Ala-PAB

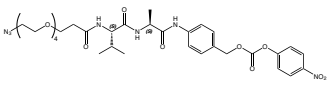
azido-tetraethyleneglycol-propanoyl-valyl-alanyl-(4-aminobenzyl alcohol)



| | |
|---|---|
| Formula | $C_{26}H_{42}N_6O_8$ |
| Mol. weight | 566,65 g/mol |

## ADC1340 Azido-PEG(4)-Val-Ala-PAB-PNP

azido-tetraethyleneglycol-propanoyl-valyl-alanyl-(4-aminobenzyl)-(4-nitrophenyl)-carbonate



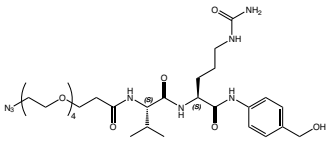
| | |
|---|---|
| Formula | $C_{33}H_{45}N_7O_{12}$ |
| Mol. weight | 731,75 g/mol |

Product details

### ADC1160 Azido-PEG(4)-Val-Cit-PAB

azido-tetraethyleneglycol-propanoyl-valyl-citrul-lyl-(4-aminobenzyl alcohol)

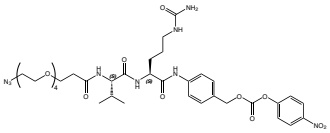
CAS-No. 2055024-64-9
Formula $C_{29}H_{48}N_8O_9$
Mol. weight 652,74 g/mol



### ADC1170 Azido-PEG(4)-Val-Cit-PAB-PNP

azido-tetraethyleneglycol-propanoyl-valyl-citrul-lyl-(4-aminobenzyl)-(4-nitrophenyl)-carbonate

CAS-No. 1869126-60-2
Formula $C_{36}H_{51}N_9O_{13}$
Mol. weight 817,84 g/mol



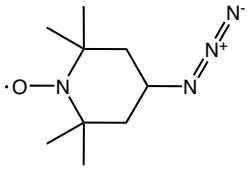
## Other Azido Building Blocks

Product details

### HNN1110 $N_3$-TEMPO

4-Azido-2,2,6,6-tetramethyl-1-piperidinyloxy

CAS-No. 63697-61-0
Formula $C_9H_{17}N_4O$
Mol. weight 197,26 g/mol



# 2.4. Alkyne Amino Acids and Related Derivatives

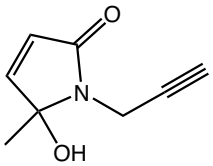
## Propargylating Reagents

Product details

### RL-8670 5HP2O-alkyne

5-hydroxy-5-methyl-1-(prop-2-yn-1-yl)-1,5-dihydro-2H-pyrrol-2-one

CAS-No. 2484704-61-0
Formula $C_8H_9NO_2$
Mol. weight 151,16 g/mol



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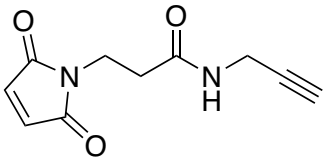
Product details

## RL-3945 Mal-Alkyne

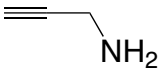
3-(2,5-dioxo-2,5-dihydro-1H-pyrrol-1-yl)-N-(prop-2-yn-1-yl)propanamide

| | |
|---|---|
| CAS-No. | 548777-19-1 |
| Formula | $C_{10}H_{10}N_2O_3$ |
| Mol. weight | 206,20 g/mol |



## PEG2755 Propargyl amine

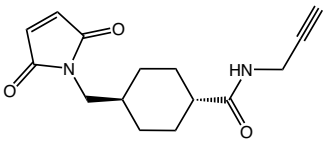
| | |
|---|---|
| CAS-No. | 2450-71-7 |
| Formula | $C_3H_5N$ |
| Mol. weight | 55,08 g/mol |



## MAA1100 Mal-AMCHC-N-Propargylamide

*trans*-4-[(2,5-dioxo-2,5-dihydro-1H-pyrrol-1-yl)methyl]-N-(prop-2-yn-1-yl)cyclohexane-1-carboxamide

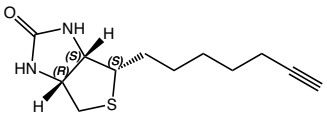
| | |
|---|---|
| CAS-No. | 2027476-42-0 |
| Formula | $C_{15}H_{18}N_2O_3$ |
| Mol. weight | 274,32 g/mol |



## LS-4310 DecarboxyBiotin-Alkyne

(3aS,4S,6aR)- 4-(6-heptyn-1-yl)tetrahydro-1H-Thieno[3,4-d]imidazol-2(3H)-one

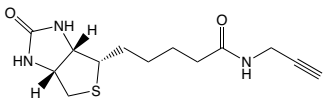
| | |
|---|---|
| CAS-No. | 887915-53-9 |
| Formula | $C_{12}H_{18}N_2OS$ |
| Mol. weight | 238,35 g/mol |



## RL-3490 Biotin-Propargylamide

Biotinyl-N-propargylamide

| | |
|---|---|
| CAS-No. | 773888-45-2 |
| Formula | $C_{13}H_{19}N_3O_2S$ |
| Mol. weight | 281,37 g/mol |



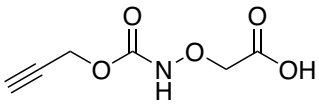
## Alkyne-Alkyl Acids and Alkyne-Aryl Acids

Product details

### RL-8660 Poc-Aoa

2-((((prop-2-yn-1-yloxy)carbonyl)amino)oxy)acetic acid

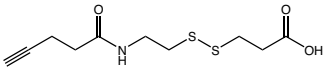
CAS-No. 1877011-25-0
Formula $C_6H_7NO_5$
Mol. weight 173,12 g/mol



### RL-3330 Alkyne-SS-COOH

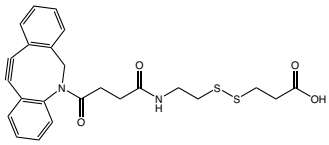
3-((2-pent-4-ynamidoethyl)disulfanyl)propanoic acid

CAS-No. 2279938-29-1
Formula $C_{10}H_{15}NO_3S_2$
Mol. weight 261,36 g/mol



### RL-4110 DBCO-Suc-SS-COOH

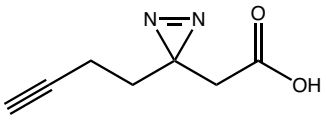
CAS-No. 2749426-25-1
Formula $C_{24}H_{24}N_2O_4S_2$
Mol. weight 468,59 g/mol



### RL-3410 Photo-Click-Heptanoic acid

2-(3-(but-3-ynyl)-3H-diazirin-3-yl)acetic acid

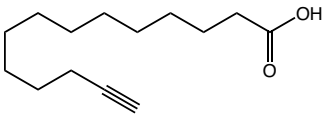
CAS-No. 2049109-24-0
Formula $C_7H_8N_2O_2$
Mol. weight 152,15 g/mol



### RL-2055 Alkyne-myristic acid

13-Tetradecynoic acid

CAS-No. 82909-47-5
Formula $C_{14}H_{24}O_2$
Mol. weight 224,34 g/mol



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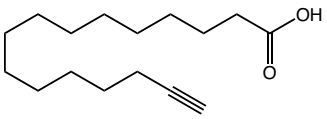
# Click Chemistry

Product details

## RL-2060 Alkyne-palmitic acid

15-Hexadecynoic acid

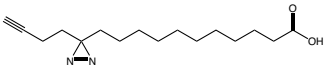
| | |
|---|---|
| CAS-No. | 99208-90-9 |
| Formula | $C_{16}H_{28}O_2$ |
| Mol. weight | 252,39 g/mol |




## RL-3720 Photo-Click-Palmitic acid

11-(3-(but-3-yn-1-yl)-3H-diazirin-3-yl)undecanoic acid

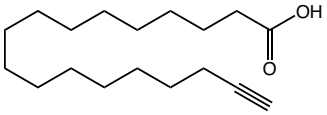
| | |
|---|---|
| CAS-No. | 2988174-40-7 |
| Formula | $C_{16}H_{26}N_2O_2$ |
| Mol. weight | 278,40 g/mol |




## RL-2065 Alkyne-stearic acid

17-Octadecynoic acid

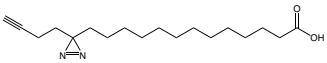
| | |
|---|---|
| CAS-No. | 34450-18-5 |
| Formula | $C_{18}H_{32}O_2$ |
| Mol. weight | 280,45 g/mol |




## RL-3760 Photo-Click-Stearic acid

13-(3-(but-3-yn-1-yl)-3H-diazirin-3-yl)tridecanoic acid

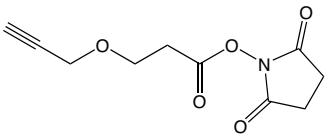
| | |
|---|---|
| CAS-No. | 2989205-54-9 |
| Formula | $C_{18}H_{30}N_2O_2$ |
| Mol. weight | 306,45 g/mol |




## PEG1935 Propargyl-NHS

3-(Prop-2-ynyloxy)propanoic acid succinimidyl ester

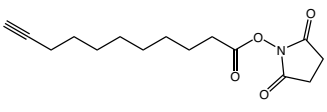
| | |
|---|---|
| CAS-No. | 1174157-65-3 |
| Formula | $C_{10}H_{11}NO_5$ |
| Mol. weight | 225,2 g/mol |




## RL-3460 10-Undecynoyl-OSu

10-Undecynoic acid N-hydroxysuccinimide ester

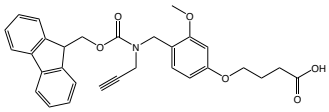
| | |
|---|---|
| CAS-No. | 1006592-57-9 |
| Formula | $C_{15}H_{21}NO_4$ |
| Mol. weight | 279,34 g/mol |



Product details

## RL-3780 Fmoc-N-propargyl-MPBA

4-(4-(((9-Fluorenylmethyloxycarbonyl)(propargyl)amino)methyl)-3-methoxyphenoxy)butanoic acid



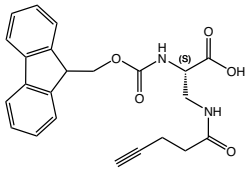
| | |
|---|---|
| CAS-No. | 1009362-00-8 |
| Formula | $C_{30}H_{29}NO_6$ |
| Mol. weight | 499,20 g/mol |

## Propargylalanine and Propargyl-Propionic Acid Derivatives

Product details

### FAA4170 Fmoc-L-Dap(Pentynoyl)-OH

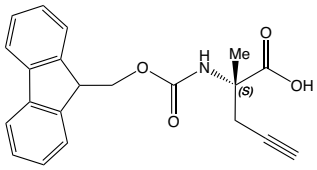
N-alpha-(9-Fluorenylmethyloxycarbonyl)-N-beta-(4-pentynoyl)-L-2,3-diaminopropionic acid



| | |
|---|---|
| CAS-No. | 2250436-47-4 |
| Formula | $C_{23}H_{22}N_2O_5$ |
| Mol. weight | 406,43 g/mol |

### FAA2080 Fmoc-alpha-Prg-D-Ala-OH

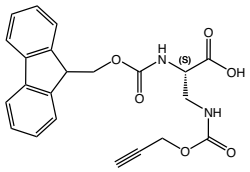
(S)-2-[(9-Fluorenylmethyloxycarbonyl)amino]-2-methyl-4-pentynoic acid



| | |
|---|---|
| CAS-No. | 1198791-58-0 |
| Formula | $C_{21}H_{19}NO_4$ |
| Mol. weight | 349,38 g/mol |

### FAA4230 Fmoc-L-Dap(Poc)-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-N-beta-propargyloxycarbonyl-L-2,3-diaminopropionic acid



| | |
|---|---|
| CAS-No. | 2250437-44-4 |
| Formula | $C_{22}H_{20}N_2O_6$ |
| Mol. weight | 408,41 g/mol |

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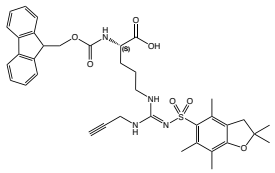
## Propargylarginine

Product details

### FAA7400 Fmoc-L-Arg(Propargyl,Pbf)-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-*N'*-(2,2,4,6,7-pentamethyldihydrobenzofuran)-*N''*-propargyl-5-sulfonyl-L-arginine

| | |
|---|---|
| Formula | $C_{37}H_{42}N_4O_7S$ |
| Mol. weight | 686,82 g/mol |



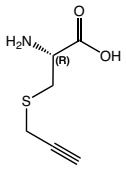
## Propargylcysteine

Product details

### HAA2350 H-L-Cys(Propargyl)-OH*HCl

S-Propargyl-L-cysteine hydrochloride

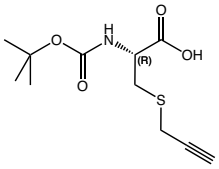
| | |
|---|---|
| CAS-No. | 3262-64-4 |
| Formula | $C_6H_9NO_2S$*HCl |
| Mol. weight | 159,21*36,45 g/mol |



### BAA2250 Boc-L-Cys(Propargyl)-OH*DCHA

N-alpha-*t*-Butyloxycarbonyl-S-propargyl-L-cysteine dicyclohexylamine

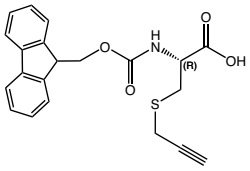
| | |
|---|---|
| CAS-No. | 1260119-25-2 net |
| Formula | $C_{11}H_{17}NO_4S$*$C_{12}H_{23}N$ |
| Mol. weight | 259,32*181,32 g/mol |



### FAA3810 Fmoc-L-Cys(Propargyl)-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-S-propargyl-L-cysteine

| | |
|---|---|
| CAS-No. | 1354752-76-3 |
| Formula | $C_{21}H_{19}NO_4S$ |
| Mol. weight | 381,44 g/mol |



***References:***


→ *Photoinduced addition of glycosyl thiols to alkynyl peptides: use of free-radical thiol-yne coupling for post-translational double-glycosylation of peptides; M. Lo Conte, S. Pacifico, A. Chambery, A. Marra, A. Dondoni;* ***J Org Chem*** *2010;* ***75****: 4644-7.* https://doi.org/10.1021/jo1008178

→ *Neoglycopeptides through direct functionalization of cysteine; C. Vala, F. Chrétien, E. Balentova, S. Lamandé-Langle and Y. Chapleur;* ***Tetrahedron Letters*** *2011;* ***52****: 17-20.* https://doi.org/10.1016/j.tetlet.2010.10.021

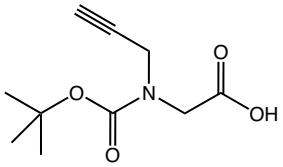
## Propargylglycine

Product details

### BAA3230 Boc-N-(propargyl)-glycine

N-alpha-*t*-Butyloxycarbonyl-N-alpha-propargyl-glycine

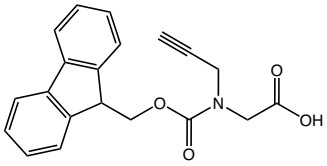
CAS-No. 158979-29-4
Formula $C_{10}H_{12}NO_4$
Mol. weight 213,23 g/mol



### FAA4950 Fmoc-N-(propargyl)-glycine

N-alpha-(9-Fluorenylmethyloxycarbonyl)-N-alpha-propargyl-glycine

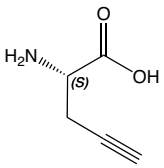
CAS-No. 1033622-38-6
Formula $C_{20}H_{17}NO_4$
Mol. weight 335,35 g/mol



### HAA7151 H-L-Pra-OH

L-Propargylglycine

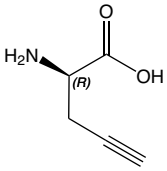
CAS-No. 23235-01-0
Formula $C_5H_7NO_2$
Mol. weight 113,11 g/mol



### HAA6490 H-D-Pra-OH*HCl

D-Propargylglycine hydrochloride

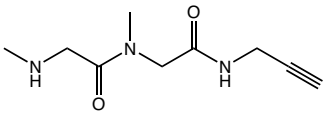
CAS-No. 23235-03-2
Formula $C_5H_8NO_2$*HCl
Mol. weight 113,11*36,45 g/mol



### HAA9445 H-Sar-Sar-NH-Propargyl*HCl

Di-sarcosine-propargylamide hydrochloride

Formula $C_9H_{15}N_3O_2$*HCl
Mol. weight 197,24*36,45 g/mol



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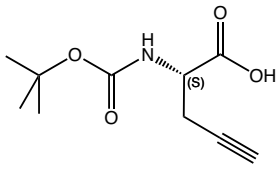
Product details

## BAA1434 Boc-L-Pra-OH*DCHA

N-alpha-(*t*-Butyloxycarbonyl)-L-propargylglycine dicyclohexylamine

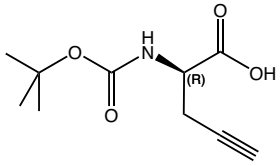
| | |
|---|---|
| CAS-No. | 63039-49-6 |
| Formula | $C_{10}H_{15}NO_4$*$C_{12}H_{23}N$ |
| Mol. weight | 213,23*181,32 g/mol |



## BAA1377 Boc-D-Pra-OH*DCHA

N-alpha-*t*-Butyloxycarbonyl-D-propargylglycine dicyclohexylamine

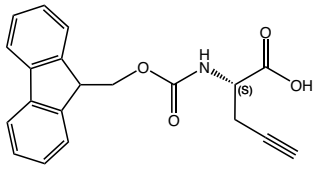
| | |
|---|---|
| CAS-No. | 63039-47-4 |
| Formula | $C_{10}H_{15}NO_4$*$C_{12}H_{23}N$ |
| Mol. weight | 213,23*181,32 g/mol |



## FAA1589 Fmoc-L-Pra-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-L-propargylglycine

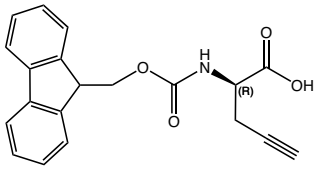
| | |
|---|---|
| CAS-No. | 198561-07-8 |
| Formula | $C_{20}H_{17}NO_4$ |
| Mol. weight | 335,35 g/mol |



## FAA1690 Fmoc-D-Pra-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-D-propargylglycine

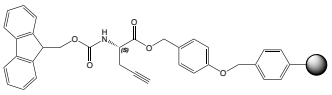
| | |
|---|---|
| CAS-No. | 220497-98-3 |
| Formula | $C_{20}H_{17}NO_4$ |
| Mol. weight | 335,36 g/mol |



## WAA6025 Fmoc-L-Pra-Wang Resin

Fmoc-L-Propargylglycine-Wang Resin

| | |
|---|---|
| Mesh Size | 100-200 mesh |
| DVB | 1% DVB |



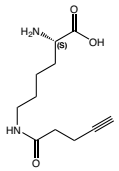
## Propargyllysine

Product details

### HAA2085 H-L-Lys(Pentynoyl)-OH*HCl

(S)-2-Amino-6-(pent-4-ynamido)hexanoic acid hydrochloride

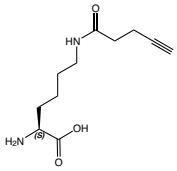
| | |
|---|---|
| CAS-No. | 1167421-22-8 net |
| Formula | $C_{11}H_{18}N_2O_3$ *HCl |
| Mol. weight | 226,27 *36,5 g/mol |



### HAA9440 H-L-Lys(Pentynoyl)-OH

N6-(pent-4-ynoyl)-L-lysine

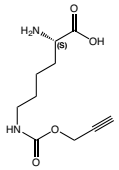
| | |
|---|---|
| CAS-No. | 1167421-22-8 |
| Formula | $C_{11}H_{18}N_2O_3$ |
| Mol. weight | 226,28 g/mol |



### HAA2090 H-L-Lys(Poc)-OH*HCl

(S)-Amino-6-((prop-2-ynyloxy)carbonylamino)hexanoic acid hydrochloride

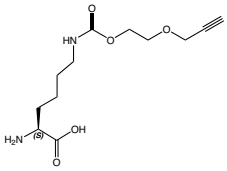
| | |
|---|---|
| CAS-No. | 1428330-91-9 |
| Formula | $C_{10}H_{16}N_2O_4$*HCl |
| Mol. weight | 228,25*36,45 g/mol |



### HAA9390 H-L-Lys(CO-Ethoxypropargyl)-OH*HCl

(2S)-2-amino-6-({[2-(prop-2-yn-1-yloxy)ethoxy]carbonyl}amino)hexanoic acid

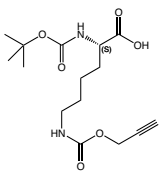
| | |
|---|---|
| Formula | $C_{12}H_{20}N_2O_5$*HCl |
| Mol. weight | 272,30*36,45 g/mol |



### BAA1960 Boc-L-Lys(Poc)-OH

(S)-2-(t-Butyloxycarbonylamino)-6-((prop-2-ynyloxy) carbonylamino)hexanoic acid

| | |
|---|---|
| CAS-No. | 1202704-91-3 |
| Formula | $C_{15}H_{24}N_2O_6$ |
| Mol. weight | 328,36 g/mol |



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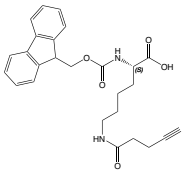
Product details

## FAA4175 Fmoc-L-Lys(pentynoyl)-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-N-epsilon-(4-pentynoyl)-L-lysine

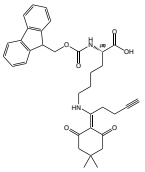
| | |
|---|---|
| CAS-No. | 1159531-18-6 |
| Formula | $C_{26}H_{28}N_2O_5$ |
| Mol. weight | 448,51 g/mol |



## FAA8115 Fmoc-L-Lys(Pentynoyl-DIM)-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-N-epsilon-[1-(4,4-dimethyl-2,6- dioxocyclohexylidene)pent-4-yn-1-yl]-L-lysine

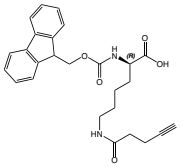
| | |
|---|---|
| CAS-No. | 2408993-33-7 |
| Formula | $C_{34}H_{38}N_2O_6$ |
| Mol. weight | 570,69 g/mol |



## FAA8135 Fmoc-D-Lys(pentynoyl)-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-N-epsilon-(4-pentynoyl)-D-lysine

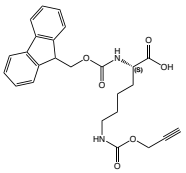
| | |
|---|---|
| CAS-No. | 2576508-18-2 |
| Formula | $C_{26}H_{28}N_2O_5$ |
| Mol. weight | 448,51 g/mol |



## FAA3150 Fmoc-L-Lys(Pryoc)-OH

(S)-2-((9-Fluorenylmethyloxyl)amino)-6-((prop-2-ynyloxy)carbonylamino)hexanoic acid

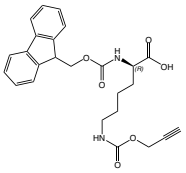
| | |
|---|---|
| CAS-No. | 1584133-25-4 |
| Formula | $C_{25}H_{26}N_2O_6$ |
| Mol. weight | 450,48 g/mol |



## FAA9565 Fmoc-D-Lys(Pryoc)-OH

N2-(((9H-fluoren-9-yl)methoxy)carbonyl)-N6-((prop-2-yn-1-yloxy)carbonyl)-D-lysine

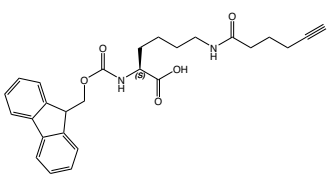
| | |
|---|---|
| CAS-No. | 2991236-42-9 |
| Formula | $C_{25}H_{26}N_2O_6$ |
| Mol. weight | 450,49 g/mol |



## FAA8995 Fmoc-L-Lys(Hexynoyl)-OH

N2-(((9H-fluoren-9-yl)methoxy)carbonyl)-N6-(hex-5-ynoyl)-L-lysine

| | |
|---|---|
| CAS-No. | 1219440-73-9 |
| Formula | $C_{27}H_{30}N_2O_5$ |
| Mol. weight | 462,55 g/mol |

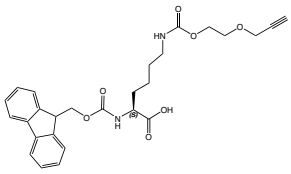


Product details

## FAA8905 Fmoc-L-Lys(CO-Ethoxypropargyl)-OH

(2S)-2-({[(9H-fluoren-9-yl)methoxy]carbonyl}amino)-6-({[2-(prop-2-yn-1-yloxy)ethoxy]carbonyl}amino) hexanoic acid

Formula $C_{27}H_{30}N_2O_7$
Mol. weight 494,54 g/mol



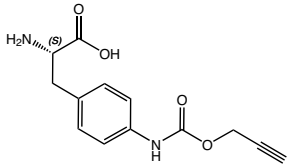
## Propargylphenylalanine

Product details

## HAA4970 H-L-Phe(4-NH-Poc)-OH*HCl

4-(Propargyloxycarbonyl)amino-L-phenylalanine hydrochloride

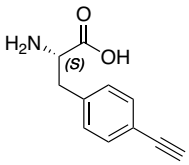
CAS-No. 2576508-14-8
Formula $C_{13}H_{14}N_2O_4$*HCl
Mol. weight 262,26*36,45 g/mol



## HAA9220 H-L-Phe(4-Eth)-OH*HCl

4-Ethynyl-L-phenylalanine hydrochloride

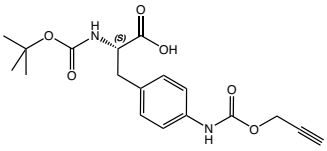
CAS-No. 188640-63-3
Formula $C_{11}H_{11}NO_2$*HCl
Mol. weight 189,21*36,46 g/mol



## BAA3980 Boc-L-Phe(4-NH-Poc)-OH

N-alpha-*t*-Butyloxycarbonyl-4-(propargyloxycarbonyl) amino-L-phenylalanine

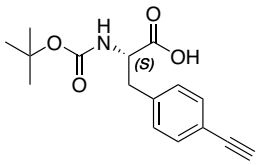
CAS-No. 2576508-03-5
Formula $C_{18}H_{22}N_2O_6$
Mol. weight 362,38 g/mol



## BAA4670 Boc-L-Phe(4-Eth)-OH

N-alpha-*t*-Butyloxycarbonyl-4-Ethynyl-L-phenylalanine

CAS-No. 169158-05-8
Formula $C_{16}H_{19}NO_4$
Mol. weight 289,33 g/mol



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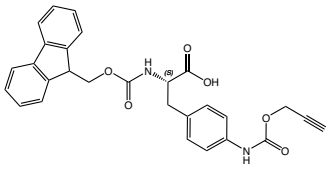
Product details

### FAA7720 Fmoc-L-Phe(4-NH-Poc)-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-4-propargyloxycarbonylamino-L-phenylalanine

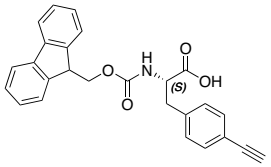
| | |
|---|---|
| CAS-No. | 2576508-07-9 |
| Formula | $C_{28}H_{24}N_2O_6$ |
| Mol. weight | 484,5 g/mol |



### FAA8530 Fmoc-L-Phe(4-Eth)-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-4-ethynyl-L-phenylalanine

| | |
|---|---|
| CAS-No. | 1228049-41-9 |
| Formula | $C_{26}H_{21}NO_4$ |
| Mol. weight | 411,46 g/mol |



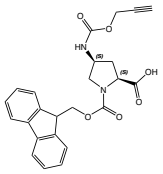
## Propargylproline

Product details

### FAA7130 Fmoc-L-Pro(4-NHPoc)-OH (2S,4S)

(2S,4S)-1-(9-Fluorenylmethyloxycarbonyl)-4-(propargyloxycarbonyl)amino-pyrrolidine-2-carboxylic acid

| | |
|---|---|
| CAS-No. | 2451202-17-6 |
| Formula | $C_{24}H_{22}N_2O_6$ |
| Mol. weight | 434,44 g/mol |



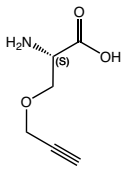
## Propargylserine

Product details

### HAA2355 H-L-Ser(Propargyl)-OH*HCl

O-Propargyl-L-serine hydrochloride

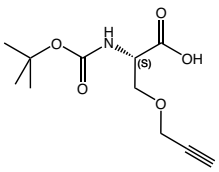
| | |
|---|---|
| CAS-No. | 1379150-93-2 |
| Formula | $C_6H_9NO_3$*HCl |
| Mol. weight | 143,14*36,45 g/mol |



## BAA2260 Boc-L-Ser(Propargyl)-OH*DCHA

N-alpha-*t*-Butyloxycarbonyl-O-propargyl-L-serine dicyclohexylamine

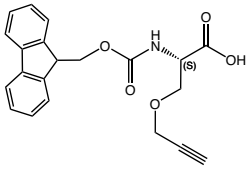
| | |
|---|---|
| CAS-No. | 145205-94-3 (net) |
| Formula | $C_{11}H_{17}NO_5$*$C_{12}H_{23}N$ |
| Mol. weight | 243,26*181,32 g/mol |



## FAA3820 Fmoc-L-Ser(Propargyl)-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-O-propargyl-L-serine

| | |
|---|---|
| CAS-No. | 1354752-75-2 |
| Formula | $C_{21}H_{19}NO_5$ |
| Mol. weight | 365,38 g/mol |



***References:***


→ *Isoxazolyl-serine-based agonists of peroxisome proliferator-activated receptor: design, synthesis, and effects on cardiomyocyte differentiation; Z. L. Wei, P. A. Petukhov, F. Bizik, J. C. Teixeira, M. Mercola, E. A. Volpe, R. I. Glazer, T. M. Willson, A. P. Kozikowski;* ***J Am Chem Soc*** *2004;* ***126****: 16714-5.* https://doi.org/10.1021/ja046386l

→ *Lacosamide isothiocyanate-based agents: novel agents to target and identify lacosamide receptors; K. D. Park, P. Morieux, C. Salome, S. W. Cotten, O. Reamtong, C. Eyers, S. J. Gaskell, J. P. Stables, R. Liu, H. Kohn;* ***J Med Chem*** *2009;* ***52****: 6897-911.* https://doi.org/10.1021/jm9012054

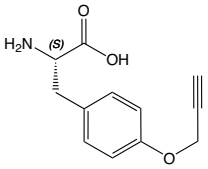

# Propargyltyrosine



## HAA1970 H-L-Tyr(Propargyl)-OH

O-Propargyl-L-tyrosine

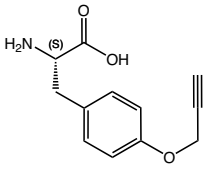
| | |
|---|---|
| CAS-No. | 610794-20-2 |
| Formula | $C_{12}H_{13}NO_3$ |
| Mol. weight | 219,24 g/mol |



## HAA1971 H-L-Tyr(Propargyl)-OH*HCl

O-Propargyl-L-tyrosine hydrochloride

| | |
|---|---|
| CAS-No. | 1919043-11-0 |
| Formula | $C_{12}H_{13}NO_3$*HCl |
| Mol. weight | 219,24*36,45 g/mol |



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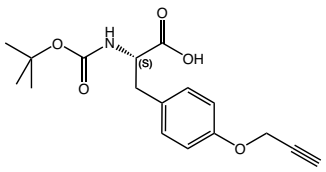
Product details

### BAA2265 Boc-L-Tyr(Propargyl)-OH*DCHA

N-alpha-*t*-Butyloxycarbonyl-O-propargyl-L-tyrosine dicyclohexylamine

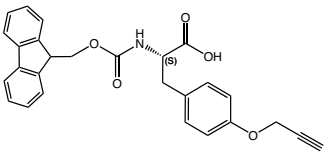
| | |
|---|---|
| CAS-No. | 340732-79-8 |
| Formula | $C_{17}H_{21}NO_5$ |
| Mol. weight | 319,35 g/mol |



### FAA3830 Fmoc-L-Tyr(Propargyl)-OH

N-alpha-(9-Fluorenylmethyloxycarbonyl)-O-propargyl-L-tyrosine

| | |
|---|---|
| CAS-No. | 1204595-05-0 |
| Formula | $C_{27}H_{23}NO_5$ |
| Mol. weight | 441,48 g/mol |



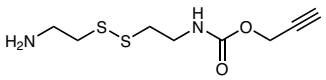
## Alkyne-Linker and Alkyne-ADC Linker

Product details

### PAA1170 Poc-Cystamine*HCl

Prop-2-yn-1-yl (2-((2-aminoethyl)disulfaneyl)ethyl) carbamate

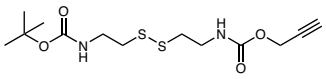
| | |
|---|---|
| CAS-No. | 1266354-28-2 net |
| Formula | $C_8H_{14}N_2O_2S_2$*HCl |
| Mol. weight | 234,33*36,45 g/mol |



### BNN1320 Boc-Cystamine-Poc

Tert-butyl (2-((2-(((prop-2-yn-1-yloxy)carbonyl)amino) ethyl)disulfaneyl)ethyl)carbamate

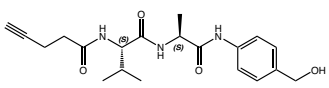
| | |
|---|---|
| CAS-No. | 2171512-56-2 |
| Formula | $C_{13}H_{22}N_2O_4S_2$ |
| Mol. weight | 334,45 g/mol |



### ADC1310 4-Pentynoyl-Val-Ala-PAB

4-pentynoyl-valyl-alanyl-(4-aminobenzyl alcohol)

| | |
|---|---|
| CAS-No. | 1956294-75-9 |
| Formula | $C_{20}H_{27}N_3O_4$ |
| Mol. weight | 373,45 g/mol |

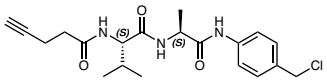


Product details

## ADC1690 4-Pentynoyl-Val-Ala-PAB-Cl

4-Penynoyl-valyl-alanyl-(4-aminobenzyl chloride)

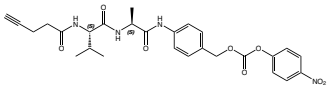
Formula $C_{20}H_{26}ClN_3O_3$
Mol. weight 391,90 g/mol



## ADC1320 4-Pentynoyl-Val-Ala-PAB-PNP

4-pentynoyl-valyl-alanyl-(4-aminobenzyl)-(4-nitrophenyl)-carbonate

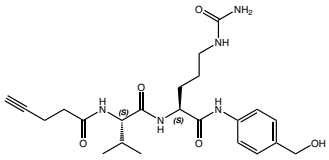
CAS-No. 1956294-76-0
Formula $C_{27}H_{30}N_4O_8$
Mol. weight 538,55 g/mol



## ADC1140 4-Pentynoyl-Val-Cit-PAB

4-pentynoyl-valyl-citrullyl-(4-aminobenzyl alcohol)

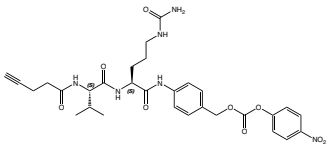
CAS-No. 2708150-97-2
Formula $C_{23}H_{33}N_5O_5$
Mol. weight 459,54 g/mol



## ADC1150 4-Pentynoyl-Val-Cit-PAB-PNP

4-pentynoyl-valyl-citrullyl-(4-aminobenzyl)-(4-nitrophenyl)-carbonate

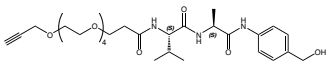
Formula $C_{30}H_{36}N_6O_9$
Mol. weight 624,64 g/mol



## ADC1350 Alkyne-PEG(4)-Val-Ala-PAB

propargyl-tetraethyleneglycol-propanoyl-valyl-alanyl-(4-aminobenzyl alcohol)

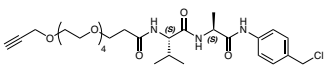
CAS-No. 2348405-90-1
Formula $C_{29}H_{45}N_3O_9$
Mol. weight 579,68 g/mol



## ADC1720 Alkyne-PEG(4)-Val-Ala-PAB-Cl

Propargyl-tetraethyleneglycol-propanoyl-valyl-alanyl-(4-aminobenzyl chloride)

Formula $C_{29}H_{44}ClN_3O_8$
Mol. weight 598,13 g/mol



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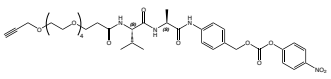
## ADC1360 Alkyne-PEG(4)-Val-Ala-PAB-PNP

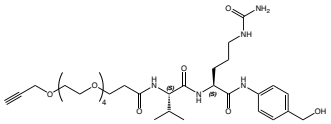
propargyl-tetraethyleneglycol-propanoyl-valyl-alanyl-(4-aminobenzyl)-(4-nitrophenyl)-carbonate



| | |
|---|---|
| CAS-No. | 2348405-91-2 |
| Formula | $C_{36}H_{48}N_4O_{13}$ |
| Mol. weight | 744,79 g/mol |

## ADC1180 Alkyne-PEG(5)-Val-Cit-PAB

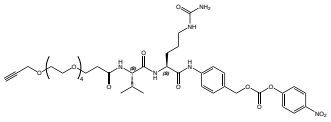
propargyl-tetraethyleneglycol-propanoyl-valyl-citrullyl-(4-aminobenzyl alcohol)



| | |
|---|---|
| Formula | $C_{32}H_{51}N_5O_{10}$ |
| Mol. weight | 665,77 g/mol |

## ADC1190 Alkyne-PEG(5)-Val-Cit-PAB-PNP

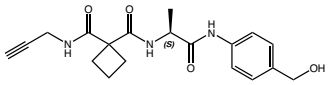
propargyl-tetraethyleneglycol-propanoyl-valyl-citrullyl-(4-aminobenzyl)-(4-nitrophenyl)-carbonate



| | |
|---|---|
| Formula | $C_{39}H_{54}N_6O_{14}$ |
| Mol. weight | 830,88 g/mol |

## ADC1600 Propargyl-cyclobutane-1,1-dicarboxamide-Ala-PAB

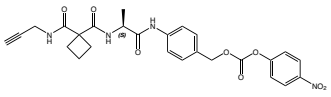
propargyl-cyclobutane-1,1-dicarboxamide-alanyl-(4-aminobenzyl alcohol)



| | |
|---|---|
| CAS-No. | 2576471-28-6 |
| Formula | $C_{19}H_{23}N_3O_4$ |
| Mol. weight | 357,40 g/mol |

## ADC1610 Propargyl-cyclobutane-1,1-dicarboxamide-Ala-PAB-PNP

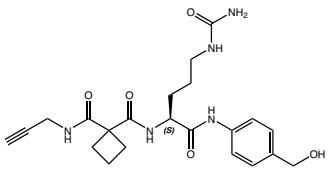
propargyl-cyclobutane-1,1-dicarboxamide-alanyl-(4-aminobenzyl)-(4-nitrophenyl)-carbonate



| | |
|---|---|
| CAS-No. | 2576471-42-4 |
| Formula | $C_{26}H_{26}N_4O_8$ |
| Mol. weight | 522,51 g/mol |

## ADC1500 Propargyl-cyclobutane-1,1-dicarboxamide-Cit-PAB

propargyl-cyclobutane-1,1-dicarboxamide-citrullyl-(4-aminobenzyl alcohol)

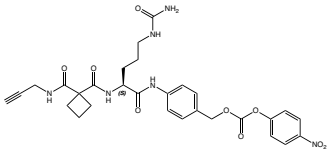


| | |
|---|---|
| CAS-No. | 2576471-27-5 |
| Formula | $C_{22}H_{29}N_5O_5$ |
| Mol. weight | 443,50 g/mol |

Product details

## ADC1510 Propargyl-cyclobutane-1,1-dicarboxamide-Cit-PAB-PNP

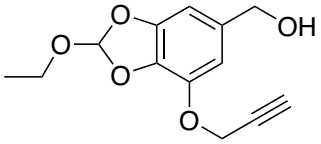
propargyl-cyclobutane-1,1-dicarboxamide-citrul-lyl-(4-aminobenzyl)-(4-nitrophenyl)-carbonate



CAS-No. 2576471-40-2
Formula $C_{29}H_{32}N_6O_9$
Mol. weight 608,60 g/mol

## ADC1790 Alkyne-HMPO-OH

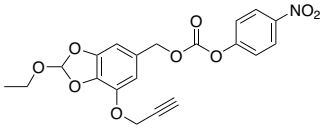
(2-ethoxy-7-(prop-2-yn-1-yloxy)benzo[d][1,3]dioxol-5-yl) methanol



CAS-No. 3028213-63-7
Formula $C_{13}H_{14}O_5$
Mol. weight 250,25 g/mol

## ADC1800 Alkyne-HMPO-PNP

(2-ethoxy-7-(prop-2-yn-1-yloxy)benzo[d][1,3]dioxol-5-yl) methyl (4-nitrophenyl) carbonate



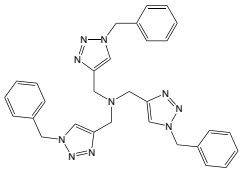
CAS-No. 3028213-53-5
Formula $C_{20}H_{17}NO_9$
Mol. weight 415,35 g/mol

# Auxiliary Reagents

Product details

## RL-2010 TBTA

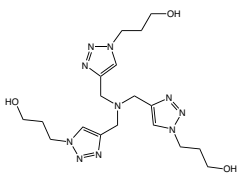
Tris[(1-benzyl-1H-1,2,3-triazol-4-yl)methyl]amine



CAS-No. 510758-28-8
Formula $C_{30}H_{30}N_{10}$
Mol. weight 530,63 g/mol

## RL-2210 THPTA

Tris(3-hydroxypropyltriazolylmethyl)amine



CAS-No. 760952-88-3
Formula $C_{18}H_{30}N_{10}O_3$
Mol. weight 434,51 g/mol

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# 3. Spermines and Amines for Click Chemistry

Polyamines are aliphatic cations with multiple functions in cell proliferation and differentiation and are essential for normal cell growth and development in eukaryotes. These molecules carry positive charges at their primary and secondary amino groups at physiological pH. Consequently, polyamines bind to various anionic macromolecules including DNA, RNA, acidic phospholipids, and certain proteins. These polycationic alkylamines are involved in various critical cellular functions, such as maintaining chromatin structure, regulating ion-channels, maintaining membrane stability, modulating protein synthesis, and scavenging free radicals. Polyamines also serve as substrates for transglutaminase reactions and for the synthesis of the translational regulator hypusine.

Crucial parts of the biological function of polyamines are the regulation of gene expression by altering DNA structure, the modulation of protein synthesis by binding to RNA, and the modulation of signal transduction pathways. The binding of polyamines to both RNA and DNA leads to conformational changes of those nucleic acids. Polyamines cause the conformational transition of DNA from the B form to the Z form and also cause bending of DNA. Both structural alterations are known to influence transcription. Close to 80% of all polyamines in the cell are associated with RNA, while spermine in particular has been shown to stabilize tRNA structures. Binding of polyamines to RNA causes structural changes that increase the efficiency of protein synthesis.

Polyamines are also known to modulate DNA-protein interactions, e.g., by enhancing the binding of specific gene-regulatory proteins to certain regulatory sequences termed response elements. The poly-amine spermine has been reported to facilitate the binding of estrogen receptor and nuclear factor κB (NF-κB) to their respective response elements at 100 to 500 µM concentrations. Polyamines are also involved in modulating ligand-receptor interactions, for example N-methyl-D-aspartate (NMDA) receptors, which are important for the excitatory synaptic transmission in the brain and spinal cord.

Moreover, polyamines have been implicated as important molecules in virus-host interactions since many viruses utilize and manipulate polyamines for their own replication. Those pathogens depend on the presence of polyamines for numerous aspects of their replication cycles, such as DNA and RNA polymerization, genome packaging, and viral protein translation. Certain viruses even appear to stimulate polyamine synthesis upon infection, a fact that underlines the importance of this class of molecules for the viral life cycle.

The polyamine metabolic pathway and thus polyamine levels are strictly regulated in cells. However, dysregulation of polyamine metabolism is a frequently observed event in cancer. For example, elevated levels of polyamines have been associated with breast, colon, prostate, and skin cancers. Consequently, polyamine synthesis, metabolism, uptake, and function may be promising targets for cancer therapy.

***References:***


→ *Structural analysis of DNA interactions with biogenic polyamines and cobalt(III)hexamine studied by Fourier transform infrared and capillary electrophoresis; A. A. Ouameur, H. A. Tajmir-Riahi;* ***J Biol Chem*** *2004;* ***279****: 42041-54. https://doi.org/10.1074/jbc.M406053200*

→ *Polyamines and Their Role in Virus Infection; B. C. Mounce, M. E. Olsen, M. Vignuzzi, J. H. Connor;* ***Microbiol. Mol. Biol. Rev.*** *2017;* ***81****: e00029-17. https://doi.org/10.1128/MMBR.00029-17*

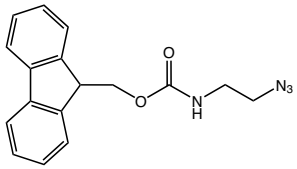
- *Targeting polyamine metabolism for cancer therapy and prevention; T. R. Murray-Stewart, P. M. Woster, R. A. Casero, Jr.;* ***Biochem J*** *2016;* ***473****: 2937-53.* https://doi.org/10.1042/BCJ20160383
- *Polyamine catabolism in carcinogenesis: potential targets for chemotherapy and chemoprevention; V. Battaglia, C. DeStefano Shields, T. Murray-Stewart, R. A. Casero, Jr.;* ***Amino Acids*** *2014;* ***46****: 511-9.* https://doi.org/10.1007/s00726-013-1529-6
- *Targeting polyamine metabolism and function in cancer and other hyperproliferative diseases; R. A. Casero, Jr., L. J. Marton;* ***Nat Rev Drug Discov*** *2007;* ***6****: 373-90.* https://doi.org/10.1038/nrd2243


Product details

## FNN1020 Fmoc-EDA-$N_3$

1-[(9-Fluorenylmethyloxycarbonyl)amino]-2-azidoethane

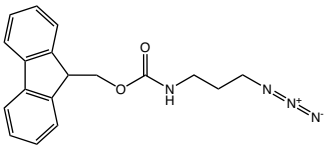
| | |
|---|---|
| CAS-No. | 432507-62-5 |
| Formula | $C_{17}H_{16}N_4O_2$ |
| Mol. weight | 308,33 g/mol |



## FNN1030 Fmoc-DAP-$N_3$

1-[(9-Fluorenylmethyloxycarbonyl)amino]-3-azidopropane

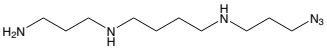
| | |
|---|---|
| CAS-No. | 1021422-85-4 |
| Formula | $C_{18}H_{18}N_4O_2$ |
| Mol. weight | 322,36 g/mol |



## SNN1170 Spermine(HHHN$_3$)*3HCl

N1-(3-Aminopropyl)-N4-(3-azidopropyl)butane-1,4-diamine trihydrochloride

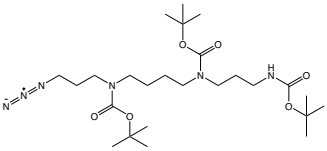
| | |
|---|---|
| CAS-No. | 1190203-77-0 |
| Formula | $C_{10}H_{24}N_6$*3HCl |
| Mol. weight | 228,34*109,38 g/mol |



## SNN1230 Spermine($N_3$BBB)

*tert*-butyl (4-((3-azidopropyl)(*tert*-butoxycarbonyl)amino)butyl)(3-((*tert*-butoxycarbonyl)amino)propyl)carbamate

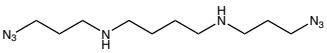
| | |
|---|---|
| CAS-No. | 1190203-80-5 |
| Formula | $C_{25}H_{48}N_6O_6$ |
| Mol. weight | 528,70 g/mol |



## SNN1210 Spermine($N_3$HHN$_3$)*2TsOH

N1-(3-Aminopropyl)-N4-(3-azidopropyl)butane-1,4-diamine bistosylate

| | |
|---|---|
| CAS-No. | 2250433-79-3 |
| Formula | $C_{10}H_{22}N_8$*$C_{14}H_{16}O_6S_2$ |
| Mol. weight | 254,34*344,40 g/mol |



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# 4. Click Reagents for Drug Delivery

## 4.1. Principles of Polymer Therapeutics

Peptides, proteins, and other biomolecules have a high potential as drugs due to their usually high specificity and efficacy. However, they often show poor pharmacokinetic properties, with their low stability under physiological conditions being a major factor. Since synthetic biomolecules are similar to endogenous molecules found in the human body, they are quickly degraded by enzymes and cleared from the system. Especially peptides and small proteins are susceptible to renal clearance. Additionally, the immunogenic responses and side effects elicited by many drugs, in particular protein drugs, are exacerbated by their hydrophobicity. Conjugation to biocompatible polymers, such as PEG (poly(ethylene glycol)), PGA (poly(glutamic acid)) or POX (poly(2-oxazoline)), increases aqueous solubility of a drug and often drastically enhances its pharmacokinetics at both the whole organism and subcellular level. By using bi- or multifunctional polymers, a linkage between two compounds can be formed or multivalent conjugates generated.

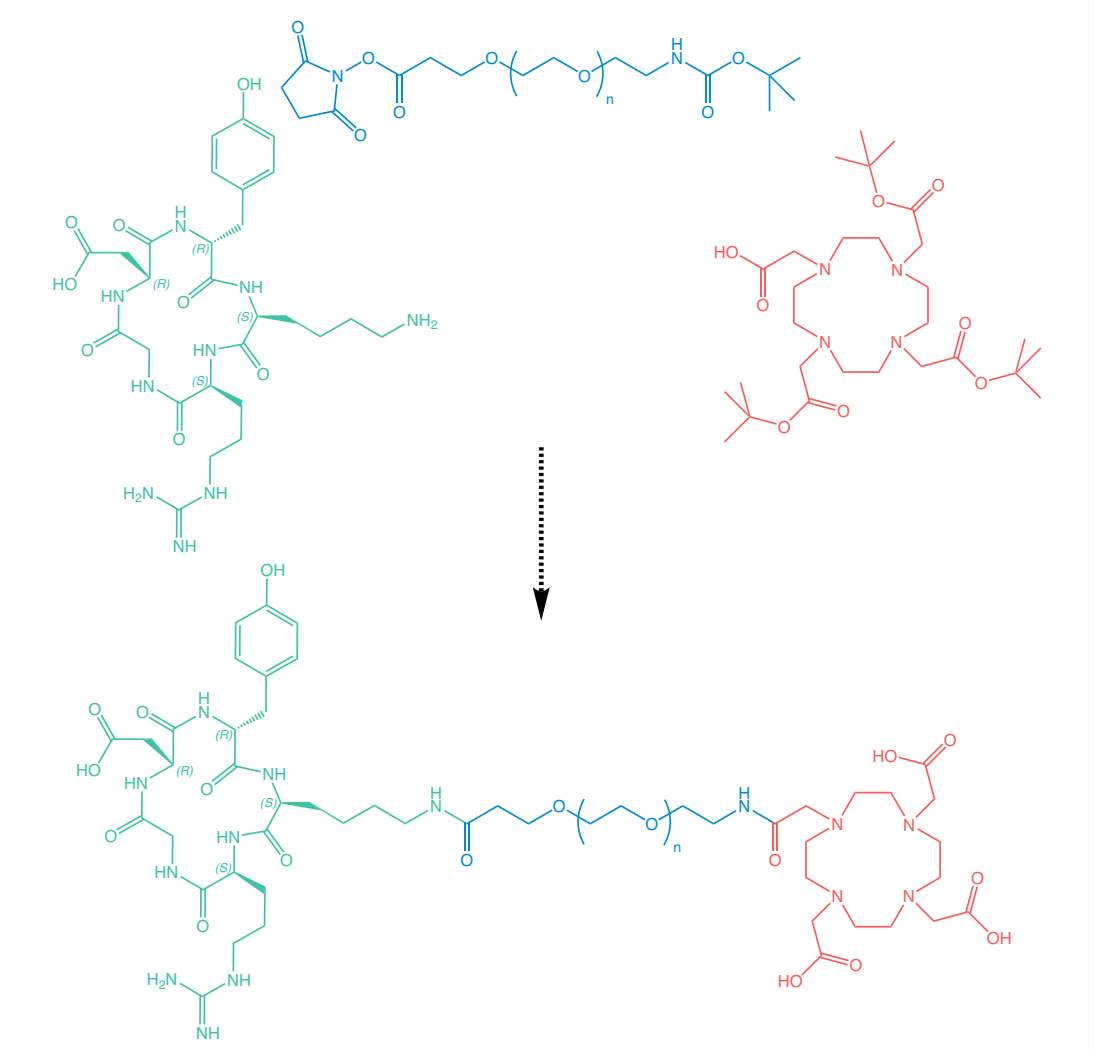

*Fig. 12: Synthesis of a PEGylated RGD-DOTA conjugate for PET imaging (adapted from Chen et al., J. Nucl. Med. 2004).*

The main advantage of proteins, antibodies, siRNA, mRNA and other biomolecules when applied as drugs is their high specificity in combination with their low side effects, as they usually only interact with their dedicated target. A current focus is the study of targeted drug delivery systems for the controlled delivery and/or release of therapeutic agents. To this end, a biocompatible polymeric carrier is covalently linked to an active agent and a targeting moiety. This recognition part can be a peptide or protein that specifically binds to a certain cellular receptor, or an antibody against a specific antigen on the cell surface. Especially in the context of antibody-drug conjugate, the introduction of a PEG moiety can be very beneficial for pharmacokinetics by attenuating the frequently hydrophobic nature of payload molecules. After internalization of the whole conjugate, the active part (e.g., a nucleic acid or toxin) is released by e.g., variations in pH, temperature, or enzyme concentration. Consequently, the active agent is enabled to exert its function (e.g., the inhibition of a certain enzyme or the initiation of apoptosis) at a high local drug concentration, further increasing drug efficacy. If the active agent and/or the targeting entity are tailored to certain characteristics of an individual or a group of individuals (e.g., specific cancer cell antigens), this approach opens the door to individualized therapies ("personalized medicine").

To enlarge the field of Polymer Therapeutics to new classes of drug molecules, there is a constant search for new types of polymers. One interesting group are homopolymers of amino acids. Typical examples are polylysine and poly-α-glutamic acid (PGA) - polymers that do not exist in nature, but which show good physiological properties due to their similarity to natural proteins. In particular, poly(glutamic acid) has been identified as suitable carrier system.

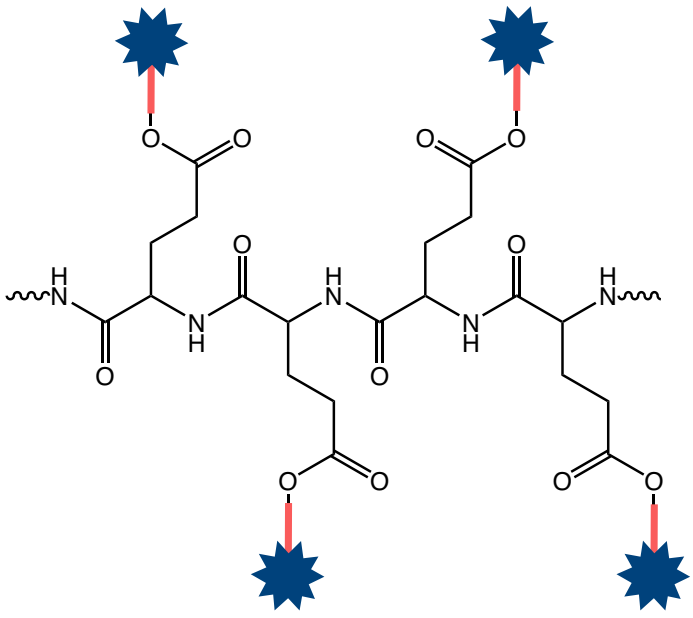

*Fig. 13: Multivalent presentation of a drug on poly-α-(glutamic acid).*

PGA shows the ability to conjugate with partners on its N- and C-termini, analogous to the α and ω derivatization of a PEG – poly(ethylene glycol). Additionally, the glutamic acid side-chains may be used for further decoration of the polymer. Therefore, a multivalent presentation of a specific molecule along the polymer chain is possible, which is especially interesting for small molecules (*Fig. 13*). It is theoretically also possible to PEGylate a small molecule. However, inactivation of the small drug molecule is often the consequence. PGA is hence an ideal carrier for low molecular weight APIs.

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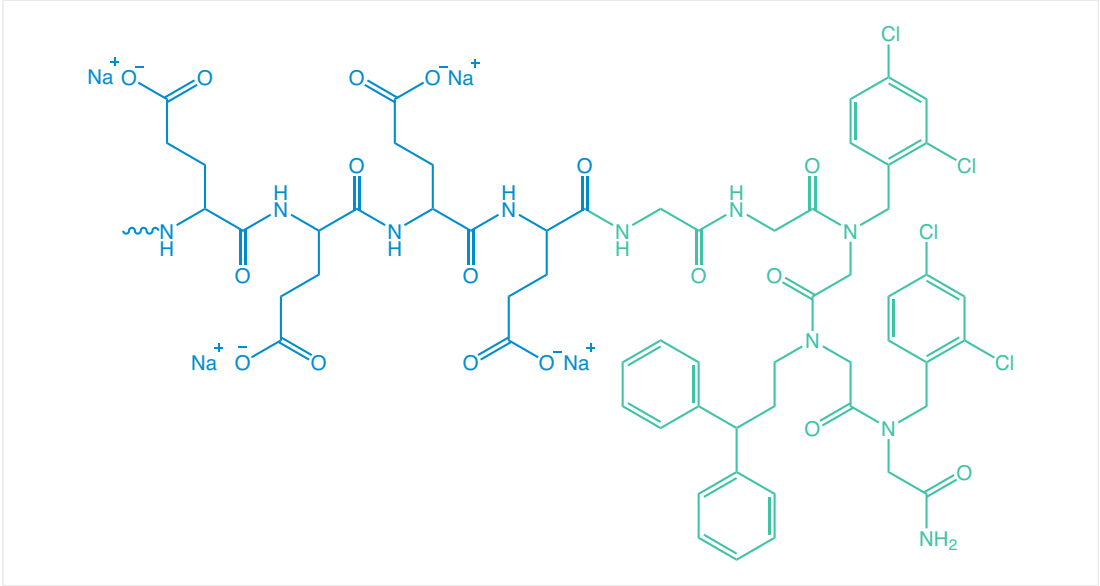


*Fig. 14: A pentapeptide (green) conjugated to poly-α-(glutamic acid) (blue).*

## 4.2. PEGylation Improves Drug Delivery and Pharmacokinetics

Small drug molecules, but also large biomolecules like antibodies suffer from rapid clearance, causing a sharp decrease in plasma concentration of the drug as it is removed from the body. Consequently, drug administration has to be repeated within relatively short time intervals in order to keep its plasma concentration over a certain threshold. Otherwise, immunogenic reactions may be triggered.

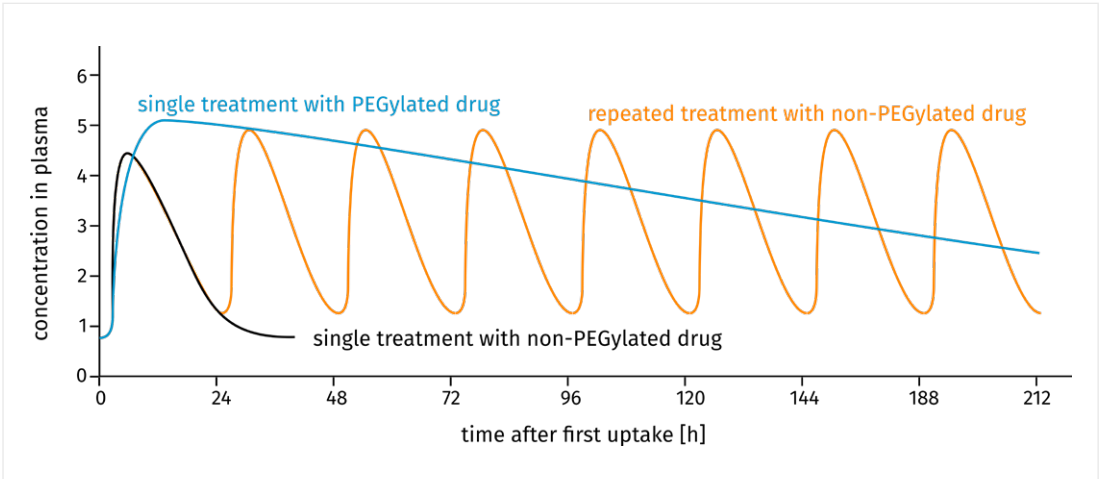
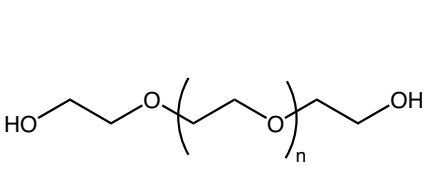



*Fig. 15: Pharmacokinetic properties of a PEGylated drug in comparison to a non-PEGylated drug.*

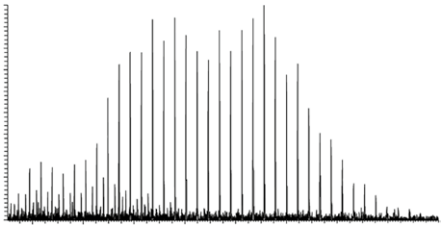
PEGylated drugs show decreased rates of renal clearance and reduced immunogenicity. Consequently, plasma half-life of the drug is significantly increased, extending the time intervals between applications of the drug over the course of the treatment. This is due to the following mechanisms:

## 1. Preventing Degradation and Reducing Immunogenicity:

PEG chains cover the surface of a biopharmaceutical and thus effectively shield it from recognition by the immune system. This PEG layer has characteristics that are rather similar to a solvent, preventing uptake by cells of the retinal endothelial system (macrophage system). Therefore, recognition by the immune system (antibodies, proteases, and other degradation enzymes) is significantly attenuated. The drug stays intact and is not degraded or metabolized during its presence in and journey through the body.




Poly(ethylene glycol) is a polymeric linear structure with repeating polyethylene oxide units.



Mass spectrum of a polyethylene glycol showing the typical signals with a difference of m/z = 44

$$Đ = \frac{M_w^\circ}{M_n^\circ} \geq 1 \text{ with } M_w^\circ = \frac{\sum N_x M_x^2}{\sum N_x M_x} \text{ and } M_n^\circ = \frac{\sum N_x M_x}{\sum N_x}$$

Whenever there is a distribution of molecular weights, the weight average $M_w°$ is always greater than the number average $M_n°$ and the polydispersity Đ is greater than 1.

*Fig. 16: Composition of poly(ethylene glycols), typical mass spectrum of a polydisperse PEG, and formula for the polydispersity Đ.*

## 2. Preventing Excretion:

PEG is very hygroscopic by nature and surrounded by a large solvation sphere of water. Thus, the overall hydrodynamic radius of a biopharmaceutical may be increased by PEGylation by up to an order of magnitude, to a size larger than the diameter of the glomerular capillaries (6 to 12 nm). Consequently, a PEGylated drug can no longer be excreted through the kidneys, and pharmacologic half-life is significantly extended.

## Chemical/Physical Properties and Quality Parameters of PEGs, Dispersity

Depending on whether a given PEG consists of a single molecular weight species (a defined number n of repeating units) or of a range of species with an average mass and a distribution of n around a mean value, PEG polymers are referred to as monodisperse or polydisperse, respectively. If the polymer is polydisperse, its mass spectrum will show a range of different molecular weights (*Fig. 16*).

A measure of the distribution of molecular weights in a polymer is given by the Dispersity Đ, which is defined as the ratio between the weight average molecular weight $M_w$ and the number average molecular weight $M_n$. The weight average $M_w$ does not "count" species just by their number but takes into account the total weight of each species and is therefore a much more realistic indicator of the gross mechanical properties of a polymer.

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In case of a homogeneous PEG, which consists only of one polymer species with a defined chain length, $M_w$ is equal to $M_n$, thus the dispersity Đ equals 1 and the compound is referred to as monodisperse. Whenever there is a distribution of molecular weights, the weight average $M_w$ is always greater than the number average $M_n$, and consequently the dispersity Đ is greater than 1. The dispersity of PEGs typically used in PEGylations ranges between 1.05 and 1.50.

However, whenever a PEGylated drug candidate needs to be approved by EMEA, FDA and other authorities, it is easier and faster if this compound is a defined species with a defined molecular weight. Therefore, the need for large but monodisperse PEGs is increasing.

**Summary of Chemical and Physical Properties of PEGs:**

- Good solubility in BOTH hydrophilic AND hydrophobic solvents as water, toluene, methylene chloride, and many other organic solvents.
- Insoluble in diethyl ether, hexane, ethylene glycol.
- Insoluble in water at elevated temperature.
- The solubility is influenced by forming derivatives.
- Highly mobile in water with high exclusion volume; large hydrodynamic radius.
- Complex formation with metal cations.
- Can be used to precipitate proteins and nucleic acids.
- Form two-phase system with aqueous solutions of other polymers.
- Non-toxic and FDA approved for use in drug products.

**PEGylating Biopharmaceuticals and Small Molecules has the Following Effects:**

- Improves solubility of conjugated molecules.
- Renders proteins non-immunogenic and tolerogenic.
- Reduces the rate of renal clearance through the kidney and alters pharmacokinetics.
- Alters electroosmotic flow.
- Increases cell permeability.

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- *Attachment of drugs to polyethylene glycols; S. Zalipsky, C. Gilon, A. Zilkha;* ***Eur. Polym. J.*** *1983;* ***19:*** *1177-1183.* https://doi.org/10.1016/0014-3057(83)90016-2
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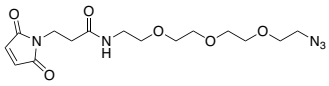
- *The Synthesis of Substituted Methoxy-Poly(Ethyleneglycol) Derivatives Suitable for Selective Protein Modification; T. P. Kogan;* ***Synth. Commun.*** *1992;* ***22****: 2417-2424.* https://doi.org/10.1080/00397919208019100


## 4.3. Azido-PEG Derivatives for Click Chemistry

Product details

### RL-3935 Mal-PEG(3)-$N_3$

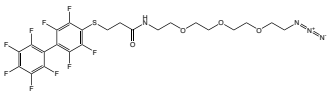
N-(2-(2-(2-(2-azidoethoxy)ethoxy)ethoxy)ethyl)-3-(2,5-dioxo-2,5-dihydro-1H-pyrrol-1-yl)propanamide



| | |
|---|---|
| CAS-No. | 1858264-36-4 |
| Formula | $C_{15}H_{23}N_5O_6$ |
| Mol. weight | 369,38 g/mol |

### RL-4030 PFB-mercaptopropionyl-PEG3-$N_3$

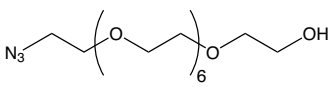
Perfluorobiphenyl-mercaptopropionyl-PEG(3)-$N_3$



| | |
|---|---|
| Formula | $C_{23}H_{21}F_9N_4O_4S$ |
| Mol. weight | 620,49 g/mol |

### PEG1088 $N_3$-PEG(8)-OH

alpha-Azido-omega-hydroxy octa(ethylene glycol)



| | |
|---|---|
| CAS-No. | 352439-36-2 |
| Formula | $C_{16}H_{33}N_3O_8$ |
| Mol. weight | 395,45 g/mol |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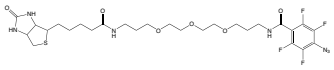
Product details

## PEG2065 Biotin-TEG-ATFBA

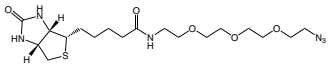
Biotin-triethylenglycol-(*p*-azido-tetrafluorobenzamide)



CAS-No. 1264662-85-2
Formula $C_{27}H_{37}F_4N_7O_6S$
Mol. weight 663,68 g/mol

## PEG4940 Biotin-PEG(3)-$N_3$

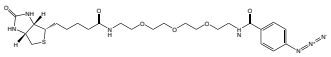
11-[D(+)-Biotinylamino]-1-azido-3,6,9-trioxaundecane



CAS-No. 875770-34-6
Formula $C_{18}H_{32}N_6O_5S$
Mol. weight 444,55 g/mol

## PEG6795 Biotin-PEG3-Ph(4-$N_3$)

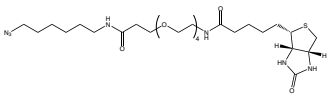
Biotin-PEG3-phenyl azide



CAS-No. 2088238-77-9
Formula $C_{25}H_{37}N_7O_6S$
Mol. weight 563,67 g/mol

## PEG7990 Biotin-PEG(4)-$N_3$

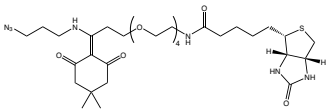
(3aS,4S,6aR)-4-(28-azido-5,21-dioxo-9,12,15,18-tetraoxa-6,22-diazaoctacosyl)tetrahydro-1H-thieno[3,4-d]imidazol-2(3H)-one



CAS-No. 1006592-62-6
Formula $C_{27}H_{49}N_7O_7S$
Mol. weight 615,79 g/mol

## PEG7960 Biotin-PEG(4)-Dde-$N_3$

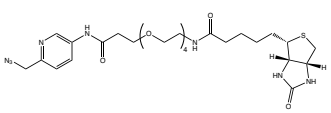
N-(19-azido-15-(4,4-dimethyl-2,6-dioxocyclohexylidene)-3,6,9,12-tetraoxa-16-azanonadecyl)-5-((3aS,4S,6aR)-2-oxohexahydro-1H-thieno[3,4-d]imidazol-4-yl)pentanamide



CAS-No. 1802907-93-2
Formula $C_{32}H_{53}N_7O_8S$
Mol. weight 695,87 g/mol

## PEG8000 Biotin-PEG(4)-Picolyl-$N_3$

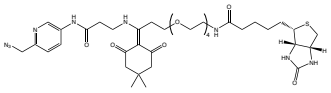
(3aS,4S,6aR)-4-(1-(6-(azidomethyl)pyridin-3-ylamino)-1,17-dioxo-4,7,10,13-tetraoxa-16-azahenicosan-21-yl)tetrahydro-1H-thieno[3,4-d]imidazol-2(3H)-one



CAS-No. 2222687-71-8
Formula $C_{27}H_{42}N_8O_7S$
Mol. weight 622,74 g/mol

## PEG7970 Biotin-PEG(4)-Dde-Picolyl-$N_3$

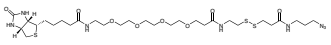
N-(6-(azidomethyl)pyridin-3-yl)-15-(4,4-dimethyl-2,6-dioxocyclohexylidene)-1-(5-((3aS,4S,6aR)-2-oxohexahydro-1H-thieno[3,4-d]imidazol-4-yl)pentanamido)-3,6,9,12-tetraoxa-16-azanonadecan-19-amide



| | |
|---|---|
| CAS-No. | 2055048-42-3 |
| Formula | $C_{38}H_{57}N_9O_9S$ |
| Mol. weight | 815,98 g/mol |

## PEG8100 Biotin-PEG(4)-SS-Azide

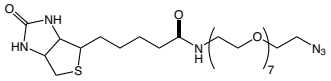
N-(2-((3-((3-azidopropyl)amino)-3-oxopropyl)disulfaneyl)ethyl)-1-(5-((3aS,4S,6aR)-2-oxohexahydro-1H-thieno[3,4-d]imidazol-4-yl)pentanamido)-3,6,9,12-tetraoxapentadecan-15-amide



| | |
|---|---|
| CAS-No. | 1260247-52-6 |
| Formula | $C_{29}H_{52}N_8O_8S_3$ |
| Mol. weight | 736,96 g/mol |

## PEG4330 Biotin-PEG(7)-$N_3$

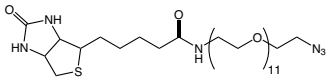
alpha-Biotin-omega-azido hepta(ethylene glycol)



| | |
|---|---|
| CAS-No. | 1334172-75-6 |
| Formula | $C_{26}H_{48}N_6O_9S$ |
| Mol. weight | 620,76 g/mol |

## PEG4340 Biotin-PEG(11)-$N_3$

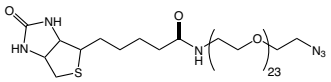
[2-(2-aminoethoxy)ethoxy]acetic acid *tert*-butyl ester*HCl



| | |
|---|---|
| CAS-No. | 956494-20-5 |
| Formula | $C_{34}H_{64}N_6O_{13}S$ |
| Mol. weight | 796,97 g/mol |

## PEG4350 Biotin-PEG(23)-$N_3$

alpha-Biotin-omega-azido 23(ethylene glycol)



| | |
|---|---|
| CAS-No. | 956494-20-5 |
| Formula | $C_{58}H_{112}N_6O_{25}S$ |
| Mol. weight | 1325,6 g/mol |

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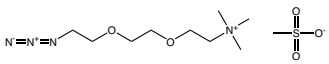
Product details

## PEG7215 $N_3$-PEG2-NMe3 mesylate

2-(2-(2-azidoethoxy)ethoxy)-N,N,N-trimethylethan-1-aminium

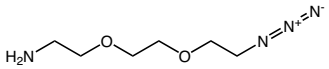
| | |
|---|---|
| CAS-No. | 1447915-25-4 net |
| Formula | $C_{10}H_{24}N_4O_5S$ |
| Mol. weight | 312,39 g/mol |



## PEG4980 $H_2N$-PEG(2)-$N_3$*TosOH

2-[2-(2-Azidoethoxy)ethoxy]ethanaminium tosylat

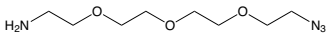
| | |
|---|---|
| CAS-No. | 166388-57-4 |
| Formula | $C_7H_{14}N_4O_2$*$C_7H_8O_3S$ |
| Mol. weight | 174,20*172,20 g/mol |



## PEG3060 $H_2N$-PEG(3)-$N_3$

1-Amino-11-azido-3,6,9-trioxaundecane

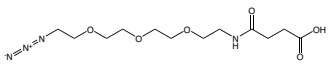
| | |
|---|---|
| CAS-No. | 134179-38-7 |
| Formula | $C_8H_{18}N_4O_3$ |
| Mol. weight | 218,25 g/mol |



## RL-4320 $N_3$-PEG(3)-NH-Suc

1-azido-13-oxo-3,6,9-trioxa-12-azahexadecan-16-oic acid

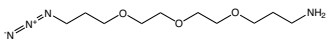
| | |
|---|---|
| CAS-No. | 1202400-17-6 |
| Formula | $C_{12}H_{22}N_4O_6$ |
| Mol. weight | 318,33 g/mol |



## BNN1150 $N_3$-TOTA

1-Azido-4,7,10-trioxa-13-tridecanamine

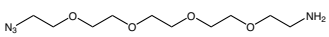
| | |
|---|---|
| CAS-No. | 1162336-72-2 |
| Formula | $C_{10}H_{22}N_4O_3$ |
| Mol. weight | 246,31 g/mol |



## PEG5320 $N_3$-PEG(4)-$NH_2$

14-Azido-3,6,9,12-tetraoxatetradecan-1-amine

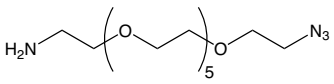
| | |
|---|---|
| CAS-No. | 951671-92-4 |
| Formula | $C_{10}H_{22}N_4O_4$ |
| Mol. weight | 262,31 g/mol |



## PEG1087 $H_2N$-PEG(6)-$N_3$

alpha-Amino-omega-azido hexa(ethylene glycol)

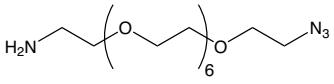
CAS-No. 957486-82-7
Formula $C_{14}H_{30}N_4O_6$
Mol. weight 350,42 g/mol



## PEG2350 $H_2N$-PEG(7)-$N_3$

alpha-Amino-omega-azido hepta(ethylene glycol)

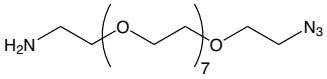
CAS-No. 1333154-77-0
Formula $C_{16}H_{34}N_4O_7$
Mol. weight 394,46 g/mol



## PEG3050 $H_2N$-PEG(8)-$N_3$

alpha-Amino-omega-azido octa(ethylene glycol)

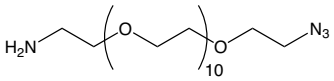
CAS-No. 857891-82-8
Formula $C_{18}H_{38}N_4O_8$
Mol. weight 438,52 g/mol



## PEG1081 $H_2N$-PEG(11)-$N_3$

alpha-Amino-omega-azido undecae(ethylene glycol)

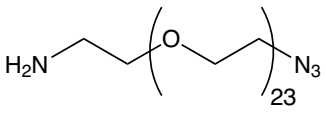
CAS-No. 1800414-71-4
Formula $C_{24}H_{50}N_4O_{11}$
Mol. weight 570,69 g/mol



## PEG3070 $H_2N$-PEG(23)-$N_3$

alpha-Azido-omega-amino 23(ethylene glycol)

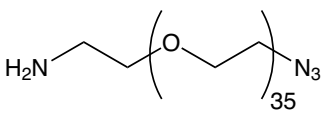
CAS-No. 2172677-19-7
Formula $C_{48}H_{98}N_4O_{23}$
Mol. weight 1099,3 g/mol



## PEG3080 $H_2N$-PEG(35)-$N_3$

alpha-Azido-omega-amino 35(ethylene glycol)

CAS-No. 749244-38-0
Formula $C_{72}H_{146}N_4O_{35}$
Mol. weight 1627,94 g/mol



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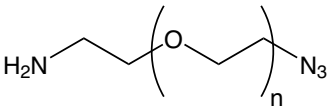
Product details

## PEG3010 $H_2N$-PEG-$N_3$ (3 kDa)

alpha-Amino-omega-azido poly(ethylene glycol)

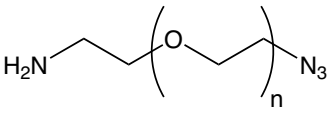
Mol. weight 3000 Da




## PEG3030 $H_2N$-PEG-$N_3$ (5 kDa)

alpha-Amino-omega-azido poly(ethylene glycol)

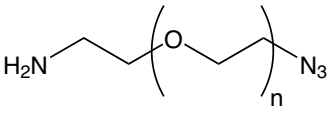
Mol. weight 5000 Da




## PEG3000 $H_2N$-PEG-$N_3$ (10 kDa)

alpha-Amino-omega-azido poly(ethylene glycol)

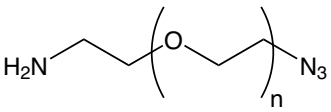
Mol. weight 10000 Da




## PEG3020 $H_2N$-PEG-$N_3$ (20 kDa)

alpha-Amino-omega-azido poly(ethylene glycol)

Mol. weight 20000 Da



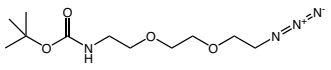

## PEG4960 Boc-NH-PEG(2)-$N_3$

1-(*t*-Butyloxycarbonyl-amino)-3,6-dioxa-8-octaneazide

CAS-No. 950683-55-3

Formula $C_{11}H_{22}N_4O_4$

Mol. weight 274,32 g/mol



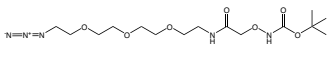
## BAA4920 Boc-Aoa-NH-PEG3-$N_3$

*tert*-butyl ((14-azido-2-oxo-6,9,12-trioxa-3-azatetra-decyl)oxy)carbamate

CAS-No. 1803191-52-7

Formula $C_{15}H_{29}N_5O_7$

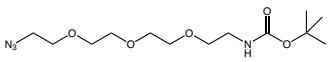
Mol. weight 391,43 g/mol



## PEG8160 $N_3$-PEG(3)-NH-Boc

*t*-Butyl N-(2-(2-(2-azidoethoxy)ethoxy)ethoxy)ethyl) carbamate

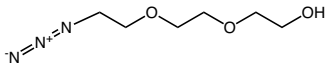
| | |
|---|---|
| CAS-No. | 642091-68-7 |
| Formula | $C_{13}H_{26}N_4O_5$ |
| Mol. weight | 318,37 g/mol |



## PEG4900 $N_3$-EEEt-OH

2-[2-(2-Azidoethoxy)ethoxy]ethanol

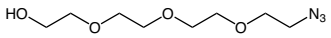
| | |
|---|---|
| CAS-No. | 86520-52-7 |
| Formula | $C_6H_{13}N_3O_3$ |
| Mol. weight | 175,19 g/mol |



## PEG3760 $N_3$-PEG(3)-OH

alpha-Azido-omega-hydroxy tetra(ethylene glycol)

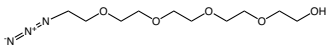
| | |
|---|---|
| CAS-No. | 86770-67-4 |
| Formula | $C_8H_{17}N_3O_4$ |
| Mol. weight | 219,24 g/mol |



## PEG5300 $N_3$-PEG(4)-OH

2-(2-(2-(2-(2-Azidoethoxy)ethoxy)ethoxy)ethoxy) ethanol

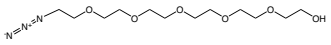
| | |
|---|---|
| CAS-No. | 86770-68-5 |
| Formula | $C_{10}H_{21}N_3O_5$ |
| Mol. weight | 263,29 g/mol |



## PEG6720 $N_3$-PEG(5)-OH

17-Azido-3,6,9,12,15-pentaoxaheptadecan-1-ol

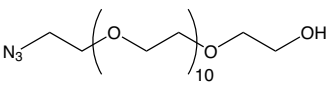
| | |
|---|---|
| CAS-No. | 86770-69-6 |
| Formula | $C_{12}H_{25}N_3O_6$ |
| Mol. weight | 307,34 g/mol |



## PEG1390 $N_3$-PEG(12)-OH

35-Azido-3,6,9,12,15,18,21,24,27,30,33-undecaoxapentatriacontan-1-ol

| | |
|---|---|
| CAS-No. | 73342-16-2 |
| Formula | $C_{24}H_{49}N_3O_{12}$ |
| Mol. weight | 571,66 g/mol |



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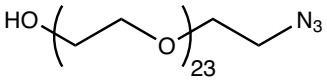
Product details

## PEG3770 $N_3$-PEG(24)-OH

alpha-Azido-omega-hydroxy 24(ethylene glycol)

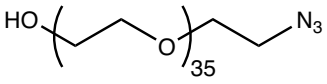
CAS-No. 73342-16-2
Formula $C_{48}H_{97}N_3O_{24}$
Mol. weight 1100,29 g/mol




## PEG3780 $N_3$-PEG(36)-OH

alpha-Azido-omega-hydroxy 36(ethylene glycol)

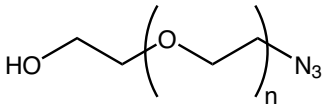
CAS-No. 73342-16-2
Formula $C_{72}H_{145}N_3O_{36}$
Mol. weight 1628,92 g/mol




## PEG5350 HO-PEG-$N_3$ (3 kDa)

alpha-Hydroxy-omega-azido poly(ethylene glycol)

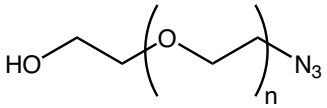
CAS-No. 73342-16-2
Mol. weight 3000 Da




## PEG5360 HO-PEG-$N_3$ (5 kDa)

alpha-Hydroxy-omega-azido poly(ethylene glycol)

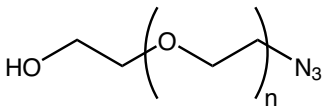
CAS-No. 73342-16-2
Mol. weight 5000 Da




## PEG5330 HO-PEG-$N_3$ (10 kDa)

alpha-Hydroxy-omega-azido poly(ethylene glycol)

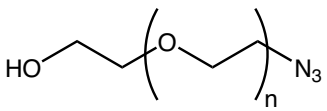
CAS-No. 73342-16-2
Mol. weight 10000 Da




## PEG5340 HO-PEG-$N_3$ (20 kDa)

alpha-Hydroxy-omega-azido poly(ethylene glycol)

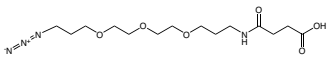
CAS-No. 73342-16-2
Mol. weight 20000 Da



## PEG5170 $N_3$-TOTA-Suc

1-Azido-4,7,10-trioxa-13-tridecaneamine succinamic acid

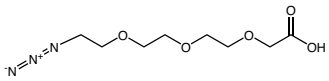
CAS-No. 1993176-74-1
Formula $C_{14}H_{26}N_4O_6$
Mol. weight 346,38 g/mol



## PEG5400 $N_3$-AEEEA*CHA

11-Azido-3,6,9-trioxaundecanoic acid cyclohexylamine

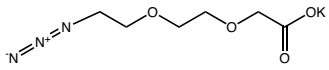
CAS-No. 172531-37-2
Formula $C_8H_{15}N_3O_5*C_6H_{13}N$
Mol. weight 233,22*99,17 g/mol



## PEG7950 $N_3$-AEEA-OK

Potassium 8-azido-3,6-dioxaoctanoate

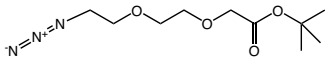
CAS-No. 882518-90-3
Formula $C_6H_{10}KN_3O_4$
Mol. weight 39,10*188,16 g/mol



## PEG5390 $N_3$-$O_2$Oc-O*t*Bu

8-Azido-3,6-dioxaoctanoic acid *t*-butyl ester

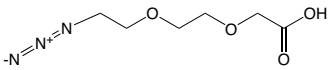
CAS-No. 251564-45-1
Formula $C_{10}H_{19}N_3O_4$
Mol. weight 245,28 g/mol



## PEG2780 $N_3$-$O_2$Oc-OH*CHA

[2-(2-azidoethoxy)ethoxy]acetic acid cyclohexylamine salt

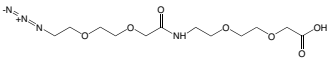
CAS-No. 2098500-94-6
Formula $C_6H_{11}N_3O_4*C_6H_{13}N$
Mol. weight 189,17*99,17 g/mol



## PEG2790 $N_3$-$O_2$Oc-$O_2$Oc-OH

8-(8-Azido-3,6-dioxaoctanoylamido)-3,6-dioxaoctanoic acid

CAS-No. 1254054-60-8
Formula $C_{12}H_{22}N_4O_7$
Mol. weight 334,33 g/mol



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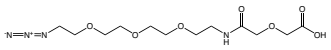
Product details

## RL-4370 $N_3$-PEG(3)-NH-DIG-OH

Diglycolic acid PEG3 azide

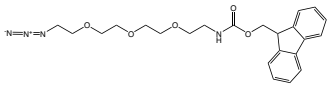
| | |
|---|---|
| CAS-No. | 239081-53-9 |
| Formula | $C_{12}H_{22}N_4O_7$ |
| Mol. weight | 334,33 g/mol |



## RL-4380 Fmoc-NH-PEG(3)-$N_3$

(9H-fluoren-9-yl)methyl (2-(2-(2-(2-azidoethoxy)ethoxy) ethoxy)ethyl)carbamate

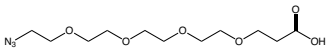
| | |
|---|---|
| CAS-No. | 1172605-58-1 |
| Formula | $C_{23}H_{28}N_4O_5$ |
| Mol. weight | 440,50 g/mol |



## PEG2345 $N_3$-PEG(4)-COOH

15-Azido-4,7,10,13-tetraoxa-pentadecanoic acid

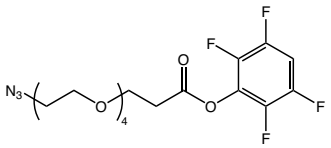
| | |
|---|---|
| CAS-No. | 1257063-35-6 |
| Formula | $C_{11}H_{21}N_3O_6$ |
| Mol. weight | 291,3 g/mol |



## PEG6915 $N_3$-PEG(4)-TFP

2,3,5,6-tetrafluorophenyl 1-azido-3,6,9,12-tetraoxapentadecan-15-oate

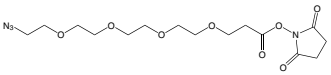
| | |
|---|---|
| CAS-No. | 1807505-33-4 |
| Formula | $C_{17}H_{21}F_4N_3O_6$ |
| Mol. weight | 439,36 g/mol |



## PEG1400 $N_3$-PEG(4)-NHS

15-Azido-4,7,10,13-tetraoxa-pentadecanoic acid succinimidyl ester

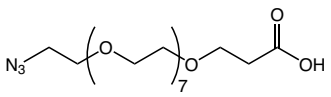
| | |
|---|---|
| CAS-No. | 944251-24-5 |
| Formula | $C_{15}H_{24}N_4O_8$ |
| Mol. weight | 388,37 g/mol |



## PEG4170 $N_3$-PEG(8)-COOH

alpha-Azido-omega-(propionic acid) octa(ethylene glycol)

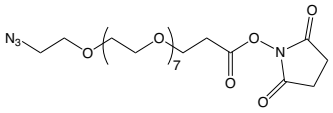
| | |
|---|---|
| CAS-No. | 1214319-92-2 |
| Formula | $C_{19}H_{37}N_3O_{10}$ |
| Mol. weight | 467,51 g/mol |



## PEG1405 $N_3$-PEG(8)-NHS

1-Azido-3,6,9,12,15,18,21,24-octaoxaheptacosan-27-oic acid succinimidyl ester

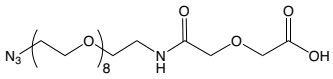
| | |
|---|---|
| CAS-No. | 1204834-00-3 |
| Formula | $C_{23}H_{40}N_4O_{12}$ |
| Mol. weight | 564,58 g/mol |



## PEG2015 $N_3$-PEG(9)-COOH

O-(2-Azidoethyl)-O-[2-(diglycolyl-amino)ethyl]hep-taethylene glycol

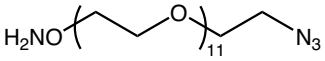
| | |
|---|---|
| CAS-No. | 846549-37-9 |
| Formula | $C_{22}H_{42}N_4O_{12}$ |
| Mol. weight | 554,59 g/mol |



## PEG6895 Aminooxy-PEG(11)-$N_3$*HCl

O-(35-azido-3,6,9,12,15,18,21,24,27,30,33-undecaoxapen-tatriacontyl)hydroxylamine hydrochloride

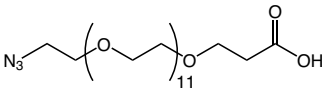
| | |
|---|---|
| CAS-No. | 2560602-21-1 net |
| Formula | $C_{24}H_{50}N_4O_{12}$*HCl |
| Mol. weight | 586,68*36,45 g/mol |



## PEG4180 $N_3$-PEG(12)-COOH

alpha-Azido-omega-(propionic acid) dodeca(ethylene glycol)

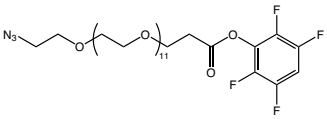
| | |
|---|---|
| CAS-No. | 1167575-20-3 |
| Formula | $C_{27}H_{53}N_3O_{14}$ |
| Mol. weight | 643,72 g/mol |



## PEG6925 $N_3$-PEG(12)-TFP

2,3,5,6-tetrafluorophenyl 1-azi-do-3,6,9,12,15,18,21,24,27,30,33,36-dodecaoxanonatria-contan-39-oate

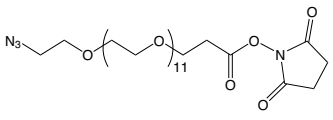
| | |
|---|---|
| CAS-No. | 2735663-71-3 |
| Formula | $C_{33}H_{53}F_4N_3O_{14}$ |
| Mol. weight | 791,79 g/mol |



## PEG1395 $N_3$-PEG(12)-NHS

1-Azido-3,6,9,12,15,18,21,24,27,30,33,36-dodecaoxanona-triacontan-39-oic acid succinimidyl ester

| | |
|---|---|
| CAS-No. | 1108750-59-9 |
| Formula | $C_{31}H_{56}N_4O_{16}$ |
| Mol. weight | 740,79 g/mol |



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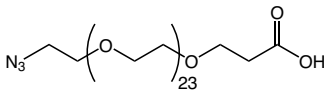
Product details

## PEG4190 $N_3$-PEG(24)-COOH

alpha-Azido-omega-(propionic acid) 24(ethylene glycol)

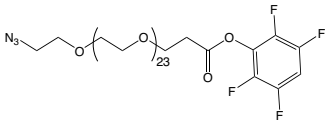
| | |
|---|---|
| CAS-No. | 1167575-20-3 |
| Formula | $C_{51}H_{101}N_3O_{26}$ |
| Mol. weight | 1172,35 g/mol |



## PEG7650 $N_3$-PEG(24)-TFP

alpha-Azido-omega-(2,3,5,6-tetrafluorophenyl propionate) 24(ethylene glycol)

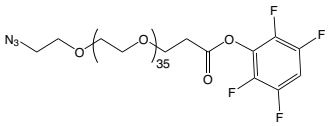
| | |
|---|---|
| Formula | $C_{57}H_{101}F_4N_3O_{26}$ |
| Mol. weight | 1320,41 g/mol |



## PEG7660 $N_3$-PEG(36)-TFP

alpha-Azido-omega-(2,3,5,6-tetrafluorophenyl propionate) 36(ethylene glycol)

| | |
|---|---|
| CAS-No. | 3017961-70-2 |
| Formula | $C_{81}H_{149}F_4N_3O_{38}$ |
| Mol. weight | 1849,04 g/mol |



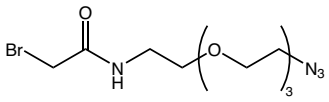
Whenever free thiol groups (e.g., from cysteine) are used for conjugation, maleimides are typically the reaction partner of choice. However, maleimides also react with other functional groups, for example -COOH, -OH or $-NH_2$ which may lead to the formation of unwanted impurities. The iodo group reacts more specifically with thiols, resulting in much cleaner conjugates.

Product details

## PEG7190 Bromoacetamido-PEG(3)-$N_3$

Bromoacetamido-tri(ethylene glycol)-azide

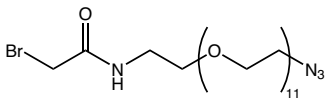
| | |
|---|---|
| CAS-No. | 940005-81-2 |
| Formula | $C_{10}H_{19}BrN_4O_4$ |
| Mol. weight | 339,19 g/mol |



## PEG7200 Bromoacetamido-PEG(11)-$N_3$

Bromoacetamido-undeca(ethylene glycol)-azide

| | |
|---|---|
| CAS-No. | 2172677-17-5 |
| Formula | $C_{26}H_{51}BrN_4O_{12}$ |
| Mol. weight | 691,61 g/mol |

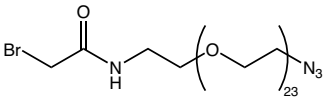


Product details

## PEG7210 Bromoacetamido-PEG(23)-$N_3$

Bromoacetamido-23(ethylene glycol)-azide

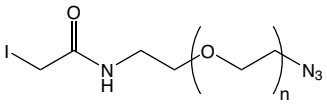
| | |
|---|---|
| CAS-No. | 2735663-83-7 |
| Formula | $C_{50}H_{99}BrN_4O_{24}$ |
| Mol. weight | 1220,24 g/mol |



## PEG3130 I-PEG-$N_3$ (10 kDa)

alpha-Iodo-omega-azido poly(ethylene glycol)

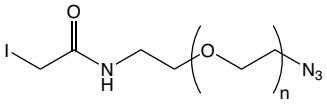
Mol. weight 10000 Da



## PEG3140 I-PEG-$N_3$ (20 kDa)

alpha-Iodo-omega-azido poly(ethylene glycol)

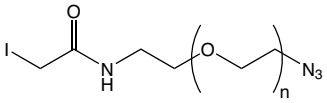
Mol. weight 20000 Da



## PEG3150 I-PEG-$N_3$ (3 kDa)

alpha-Iodo-omega-azido poly(ethylene glycol)

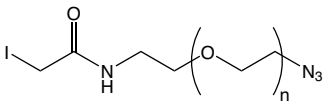
Mol. weight 3000 Da



## PEG3160 I-PEG-$N_3$ (5 kDa)

alpha-Iodo-omega-azido poly(ethylene glycol)

Mol. weight 5000 Da



***Reference:***

→ *Quantitative reactivity profiling predicts functional cysteines in proteomes; E. Weerapana, C. Wang, G. M. Simon, F. Richter, S. Khare, M. B. Dillon, D. A. Bachovchin, K. Mowen, D. Baker, B. F. Cravatt;* ***Nature*** *2010;* ***468****: 790-5. https://doi.org/10.1038/nature09472*

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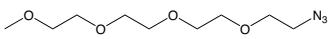
Product details

## PEG1690 MeO-PEG(4)-$N_3$

13-Azido-2,5,8,11-tetraoxa-tridecane

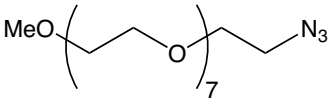
| | |
|---|---|
| CAS-No. | 606130-90-9 |
| Formula | $C_9H_{19}N_3O_4$ |
| Mol. weight | 233,26 g/mol |



## PEG1705 MeO-PEG(8)-$N_3$

25-Azido-2,5,8,11,14,17,20,23-octaoxapentacosane

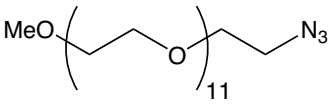
| | |
|---|---|
| CAS-No. | 869718-80-9 |
| Formula | $C_{17}H_{35}N_3O_8$ |
| Mol. weight | 409,48 g/mol |




## PEG1660 MeO-PEG(12)-$N_3$

37-Azido-2,5,8,11,14,17,20,23,26,29,32,35-dodecaoxahep-tatriacontane

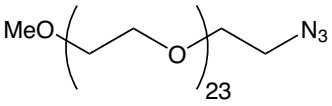
| | |
|---|---|
| CAS-No. | 2170098-29-8 |
| Formula | $C_{25}H_{51}N_3O_{12}$ |
| Mol. weight | 585,69 g/mol |




## PEG1710 MeO-PEG(24)-$N_3$

alpha-Methoxy-omega-azido-24(ethylene glycol)

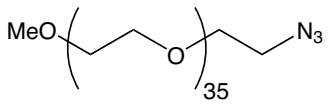
| | |
|---|---|
| CAS-No. | 89485-61-0 |
| Formula | $C_{49}H_{99}N_3O_{24}$ |
| Mol. weight | 1114,34 g/mol |




## PEG3430 MeO-PEG(36)-$N_3$

alpha-Methoxy-omega-azido-36(ethylene glycol)

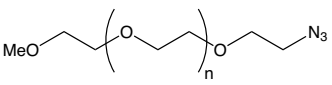
| | |
|---|---|
| CAS-No. | 89485-61-0 |
| Formula | $C_{73}H_{147}N_3O_{36}$ |
| Mol. weight | 1642,95 g/mol |




## PEG1219 MeO-PEG-$N_3$ (750 Da)

alpha-Methoxy-omega-azido poly(ethylene glycol)

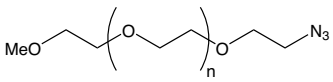
| | |
|---|---|
| Mol. weight | 750 Da |



## PEG1225 MeO-PEG-$N_3$ (2 kDa)

alpha-Methoxy-omega-azido poly(ethylene glycol)

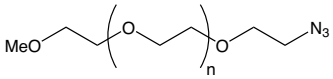
Mol. weight 2000 Da




## PEG2040 MeO-PEG-$N_3$ (5 kDa)

alpha-Methoxy-omega-azido poly(ethylene glycol)

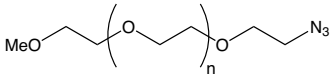
Mol. weight 5000 Da




## PEG2045 MeO-PEG-$N_3$ (10 kDa)

alpha-Methoxy-omega-azido poly(ethylene glycol)

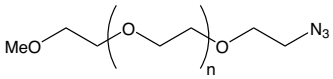
Mol. weight 10000 Da




## PEG2050 MeO-PEG-$N_3$ (20 kDa)

alpha-Methoxy-omega-azido poly(ethylene glycol)

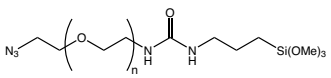
Mol. weight 20000 Da




## PEG4830 Azido-PEG-$Si(OMe)_3$ (3 kDa)

alpha-Azido-omega-trimethoxysilyl poly(ethylene glycol)

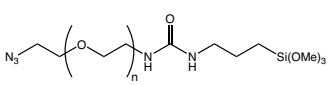
Mol. weight 3000 Da




## PEG4835 Azido-PEG-$Si(OMe)_3$ (5 kDa)

alpha-Azido-omega-trimethoxysilyl poly(ethylene glycol)

Mol. weight 5000 Da

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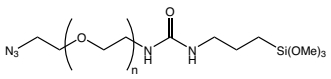
Product details

## PEG4840 Azido-PEG-Si(OMe)$_3$ (10 kDa)

alpha-Azido-omega-trimethoxysilyl poly(ethylene glycol)

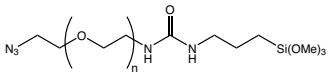
Mol. weight 10000 Da



## PEG4845 Azido-PEG-Si(OMe)$_3$ (20 kDa)

alpha-Azido-omega-trimethoxysilyl poly(ethylene glycol)

Mol. weight 20000 Da



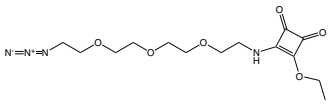
## RL-4420 $N_3$-PEG(3)-SQA

3-((2-(2-(2-(2-azidoethoxy)ethoxy)ethoxy)ethyl)amino)-4-ethoxycyclobut-3-ene-1,2-dione

CAS-No. 2920089-51-4

Formula $C_{14}H_{22}N_4O_6$

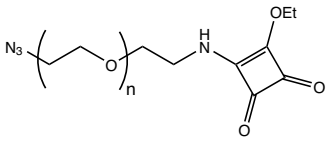
Mol. weight 342,35 g/mol



## PEG6655 $N_3$-PEG-SQA (3 kDa)

alpha-Azido-omega-squaric acid ethyl ester poly(ethylene glycol)

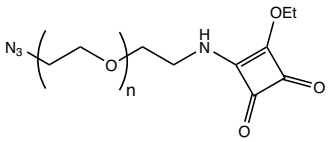
Mol. weight 3000 Da



## PEG6660 $N_3$-PEG-SQA (5 kDa)

alpha-Azido-omega-squaric acid ethyl ester poly(ethylene glycol)

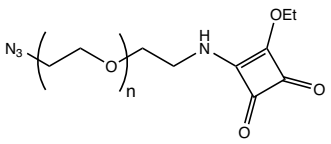
Mol. weight 5000 Da



## PEG6645 $N_3$-PEG-SQA (10 kDa)

alpha-Azido-omega-squaric acid ethyl ester poly(ethylene glycol)

Mol. weight 10000 Da

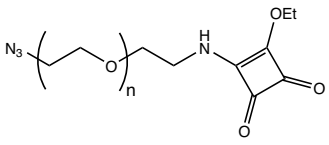


Product details

### PEG6650 $N_3$-PEG-SQA (20 kDa)

alpha-Azido-omega-squaric acid ethyl ester poly(ethylene glycol)

Mol. weight 20000 Da



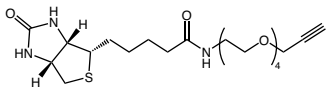

## 4.4. Alkyne-PEG Derivatives for Click Chemistry

Product details

### PEG4950 Biotin-PEG(4)-alkyne

15-[D(+)-Biotinylamino]-4,7,10,13-tetraoxapentadec-1-yne

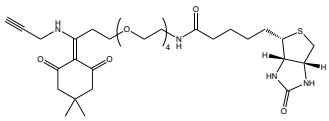
CAS-No. 1262681-31-1
Formula $C_{21}H_{35}N_3O_6S$
Mol. weight 457,58 g/mol



### PEG7980 Biotin-PEG(4)-Dde-Alkyne

N-(15-(4,4-dimethyl-2,6-dioxocyclohexylidene)-3,6,9,12-tetraoxa-16-azanonadec-18-ynyl)-5-((3aS,4S,6aR)-2-oxohexahydro-1H-thieno[3,4-d]imidazol-4-yl)pentanamide

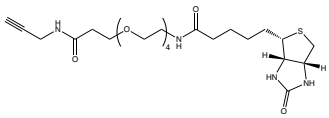
CAS-No. 1802908-00-4
Formula $C_{32}H_{50}N_4O_8S$
Mol. weight 650,83 g/mol



### PEG8010 Biotin-PEG(4)-Alkyne

(3aS,4S,6aR)-4-(5,21-dioxo-8,11,14,17-tetraoxa-4,20-diazapentacos-1-yn-25-yl)tetrahydro-1H-thieno[3,4-d]imidazol-2(3H)-one

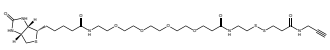
CAS-No. 1006592-45-5
Formula $C_{24}H_{40}N_4O_7S$
Mol. weight 528,66 g/mol



### PEG8110 Biotin-PEG(4)-SS-Alkyne

N-(2-((3-oxo-3-(prop-2-ynylamino)propyl)disulfanyl)ethyl)-1-(5-((3aS,4S,6aR)-2-oxohexahydro-1H-thieno[3,4-d]imidazol-4-yl)pentanamido)-3,6,9,12-tetraoxapentadecan-15-amide

CAS-No. 1260247-54-8
Formula $C_{29}H_{49}N_5O_8S_3$
Mol. weight 691,92 g/mol



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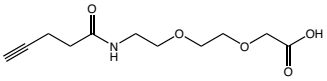
Product details

## PEG6815 Alkyne-$O_2$Oc-OH

Pentynoyl-8-amino-3,6-dioxaoctanoic acid

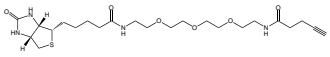
CAS-No. 2134622-80-1
Formula $C_{11}H_{17}NO_5$
Mol. weight 243,26 g/mol



## PEG6805 Biotin-PEG3-NH-Pentynoyl

alpha-Biotin-omega-pentynoyl 3(ethylene glycol)

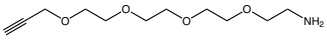
CAS-No. 869082-82-6
Formula $C_{23}H_{38}N_4O_6S$
Mol. weight 498,64 g/mol



## PEG5430 Alkyne-PEG(4)-$NH_2$

Alkyne-PEG(4)-amine

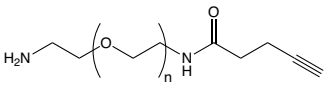
CAS-No. 1013921-36-2
Formula $C_{11}H_{21}NO_4$
Mol. weight 231,29 g/mol



## PEG2960 $H_2N$-PEG-alkyne (3 kDa)

alpha-Amino-omega-propargylacetamido poly(ethylene glycol)

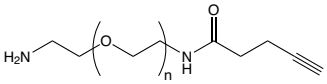
Mol. weight 3000 Da



## PEG2980 $H_2N$-PEG-alkyne (5 kDa)

alpha-Amino-omega-propargylacetamido poly(ethylene glycol)

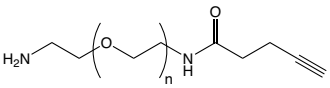
Mol. weight 5000 Da



## PEG2950 $H_2N$-PEG-alkyne (10 kDa)

alpha-Amino-omega-propargylacetamido poly(ethylene glycol)

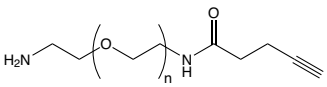
Mol. weight 10000 Da



## PEG2970 $H_2N$-PEG-alkyne (20 kDa)

alpha-Amino-omega-propargylacetamido poly(ethylene glycol)

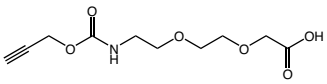
Mol. weight 20000 Da



## PAA1050 Poc-$O_2$Oc-OH*DCHA

8-(Popargylyloxycarbonyl-amino)-3,6-dioxaoctanoic acid dicyclohexylamine

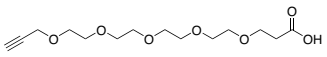
CAS-No. 2988660-57-5
Formula $C_{10}H_{15}NO_6$*$C_{12}H_{23}N$
Mol. weight 245,23*181,32 g/mol



## PEG8170 Propargyl-PEG(5)-COOH

4,7,10,13,16-pentaoxanonadec-18-ynoic acid

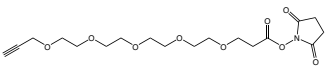
CAS-No. 1245823-51-1
Formula $C_{14}H_{24}O_7$
Mol. weight 304,34 g/mol



## PEG5410 Alkyne-PEG(4)-NHS

Alkyne-PEG(4)-succinimidyl ester

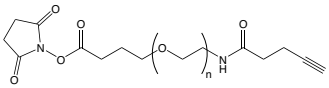
CAS-No. 1393330-40-9
Formula $C_{18}H_{27}NO_9$
Mol. weight 401,41 g/mol



## PEG2860 NHS-PEG-alkyne (3 kDa)

alpha-Succinimidyl ester-omega-propargylacetamido poly(ethylene glycol)

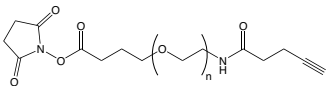
Mol. weight 3000 Da



## PEG2880 NHS-PEG-alkyne (5 kDa)

alpha-Succinimidyl ester-omega-propargylacetamido poly(ethylene glycol)

Mol. weight 5000 Da



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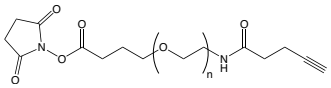
Product details

## PEG2850 NHS-PEG-alkyne (10 kDa)

alpha-Succinimidyl ester-omega-propargylacetamido poly(ethylene glycol)

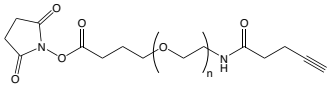
Mol. weight 10000 Da



## PEG2870 NHS-PEG-alkyne (20 kDa)

alpha-Succinimidyl ester-omega-propargylacetamido poly(ethylene glycol)

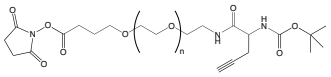
Mol. weight 20000 Da



## PEG2910 NHS-PEG(NH-Boc)-alkyne (3 kDa)

alpha-Succinimidyl ester-omega-(N-*t*-Butyloxycarbonyl-L-propargyl-glycinyl) poly(ethylene glycol)

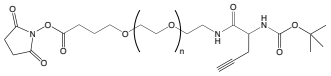
Mol. weight 3000 Da



## PEG2930 NHS-PEG(NH-Boc)-alkyne (5 kDa)

alpha-Succinimidyl ester-omega-(N-*t*-Butyloxycarbonyl-L-propargyl-glycinyl) poly(ethylene glycol)

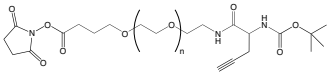
Mol. weight 5000 Da



## PEG2900 NHS-PEG(NH-Boc)-alkyne (10 kDa)

alpha-Succinimidyl ester-omega-(N-*t*-Butyloxycarbonyl-L-propargyl-glycinyl) poly(ethylene glycol)

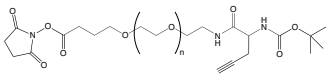
Mol. weight 10000 Da



## PEG2920 NHS-PEG(NH-Boc)-alkyne (20 kDa)

alpha-Succinimidyl ester-omega-(N-*t*-Butyloxycarbonyl-L-propargyl-glycinyl) poly(ethylene glycol)

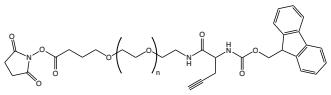
Mol. weight 20000 Da



Product details

## PEG2915 NHS-PEG(NH-Fmoc)-alkyne (3 kDa)

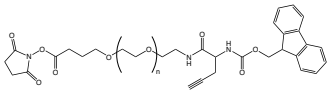
alpha-Succinimidyl ester-omega-(N-(9-Fluorenylmethy-loxycarbonyl)-L-propargyl-glycinyl) poly(ethylene glycol)



Mol. weight 3000 Da

## PEG2935 NHS-PEG(NH-Fmoc)-alkyne (5 kDa)

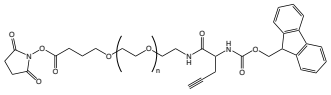
alpha-Succinimidyl ester-omega-(N-(9-Fluorenylmethy-loxycarbonyl)-L-propargyl-glycinyl) poly(ethylene glycol)



Mol. weight 5000 Da

## PEG2905 NHS-PEG(NH-Fmoc)-alkyne (10 kDa)

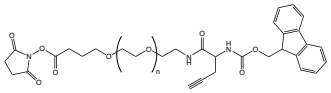
alpha-Succinimidyl ester-omega-(N-(9-Fluorenylmethy-loxycarbonyl)-L-propargyl-glycinyl) poly(ethylene glycol)



Mol. weight 10000 Da

## PEG2925 NHS-PEG(NH-Fmoc)-alkyne (20 kDa)

alpha-Succinimidyl ester-omega-(N-(9-Fluorenylmethy-loxycarbonyl)-L-propargyl-glycinyl) poly(ethylene glycol)



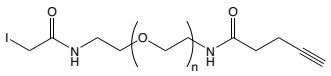
Mol. weight 20000 Da

Maleimides are frequently used for conjugation to free thiol groups (e.g., from cysteine). However, maleimides also react with other functional groups such as OH or $NH_2$, potentially leading to the formation of impurities. The iodo group reacts more specifically with thiols, resulting in much cleaner conjugates.

Product details

## PEG3110 I-PEG-alkyne (3 kDa)

alpha-Iodo-omega-propargylacetamido poly(ethylene glycol)



Mol. weight 3000 Da

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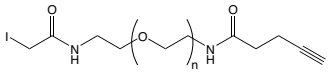
Product details

## PEG3120 I-PEG-alkyne (5 kDa)

alpha-Iodo-omega-propargylacetamido poly(ethylene glycol)

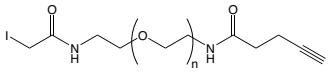
Mol. weight 5000 Da



## PEG3090 I-PEG-alkyne (10 kDa)

alpha-Iodo-omega-propargylacetamido poly(ethylene glycol)

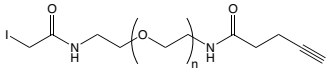
Mol. weight 10000 Da



## PEG3100 I-PEG-alkyne (20 kDa)

alpha-Iodo-omega-propargylacetamido poly(ethylene glycol)

Mol. weight 20000 Da



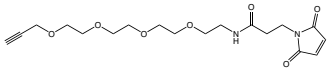
## PEG5440 Alkyne-PEG(4)-mal

Alkyne-PEG(4)-maleimide

CAS-No. 1609651-90-2

Formula $C_{18}H_{26}N_2O_7$

Mol. weight 382,41 g/mol

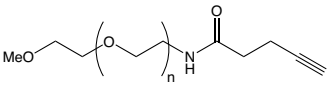


## PEG2840 MeO-PEG-alkyne (750 Da)

alpha-Methoxy-omega-propargylacetamido poly(ethylene glycol)

CAS-No. 1993176-75-2

Mol. weight 750 Da

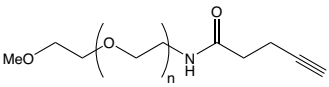


## PEG2810 MeO-PEG-alkyne (2 kDa)

alpha-Methoxy-omega-propargylacetamido poly(ethylene glycol)

CAS-No. 850180-69-7

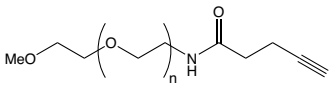
Mol. weight 2000 Da



## PEG2830 MeO-PEG-alkyne (5 kDa)

alpha-Methoxy-omega-propargylacetamido poly(ethylene glycol)

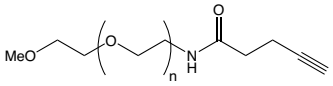
CAS-No. 850180-69-7
Mol. weight 5000 Da




## PEG2800 MeO-PEG-alkyne (10 kDa)

alpha-Methoxy-omega-propargylacetamido poly(ethylene glycol)

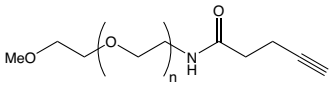
CAS-No. 850180-69-7
Mol. weight 10000 Da




## PEG2820 MeO-PEG-alkyne (20 kDa)

alpha-Methoxy-omega-propargylacetamido poly(ethylene glycol)

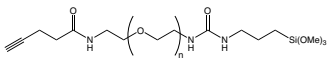
CAS-No. 850180-69-7
Mol. weight 20000 Da




## PEG4810 Alkyne-PEG-Si(OMe)$_3$ (3 kDa)

alpha-Propargylacetamido-omega-trimethoxysilyl poly(ethylene glycol)

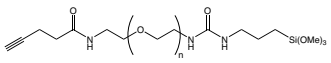
Mol. weight 3000 Da




## PEG4815 Alkyne-PEG-Si(OMe)$_3$ (5 kDa)

alpha-Propargylacetamido-omega-trimethoxysilyl poly(ethylene glycol)

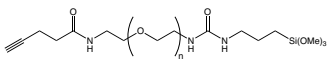
Mol. weight 5000 Da




## PEG4820 Alkyne-PEG-Si(OMe)$_3$ (10 kDa)

alpha-Propargylacetamido-omega-trimethoxysilyl poly(ethylene glycol)

Mol. weight 10000 Da

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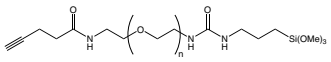
Product details

## PEG4825 Alkyne-PEG-Si(OMe)$_3$ (20 kDa)

alpha-Propargylacetamido-omega-trimethoxysilyl poly(ethylene glycol)

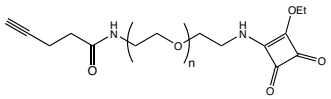
Mol. weight 20000 Da



## PEG6570 Alkynyl-PEG-SQA (3 kDa)

alpha-Pentynyl-omega-squaric acid ethyl ester poly(ethylene glycol)

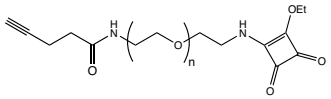
Mol. weight 3000 Da



## PEG6575 Alkynyl-PEG-SQA (5 kDa)

alpha-Pentynyl-omega-squaric acid ethyl ester poly(ethylene glycol)

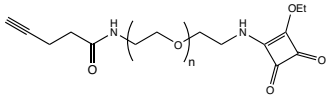
Mol. weight 5000 Da



## PEG6560 Alkynyl-PEG-SQA (10 kDa)

alpha-Pentynyl-omega-squaric acid ethyl ester poly(ethylene glycol)

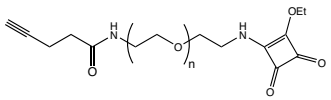
Mol. weight 10000 Da



## PEG6565 Alkynyl-PEG-SQA (20 kDa)

alpha-Pentynyl-omega-squaric acid ethyl ester poly(ethylene glycol)

Mol. weight 20000 Da



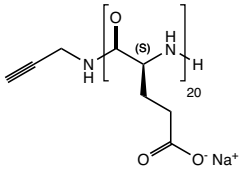
# 4.5. Poly(Amino Acids) and Poly(Oxazolines) for Click Chemistry

Product details

## PGA1085 Prg-PGA(20)

Propargyl-poly(L-glutamic acid) sodium salt

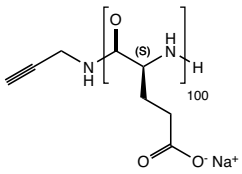
Mol. weight 3000 Da



## PGA1095 Prg-PGA(100)

Propargyl-poly(L-glutamic acid) sodium salt

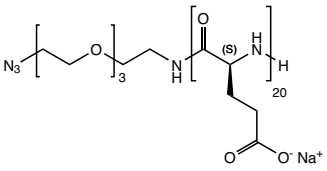
Mol. weight 15000 Da



## PGA1125 $N_3$-PGA(20)

Azido-ethyltri(ethylene glycol)-poly(L-glutamic acid) sodium salt

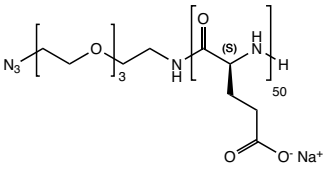
Mol. weight 3000 Da



## PGA1130 $N_3$-PGA(50)

Azido-ethyltri(ethylene glycol)-poly(L-glutamic acid) sodium salt

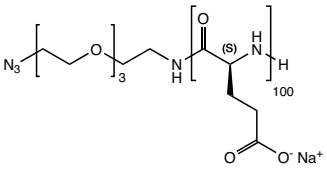
Mol. weight 7500 Da



## PGA1135 $N_3$-PGA(100)

Azido-ethyltri(ethylene glycol)-poly(L-glutamic acid) sodium salt

Mol. weight 15000 Da



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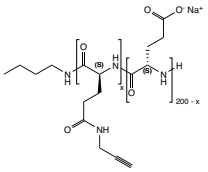
Product details

## PGA1205 *n*Bu-PGA(200)[Prg(20)]

n-Butyl-poly(L-glutamic acid gamma-propargyl amide) sodium salt (10-20mol% propargyl substitution)

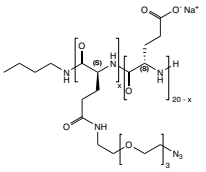
Mol. weight 30000 Da



## PGA1290 *n*Bu-PGA(20)[PEG2-$N_3$(10% mod)]

n-Butyl-poly(L-glutamic acid gamma-azido-ethyltri(ethylene glycol) amide) sodium salt (10-20mol% azido substitution)

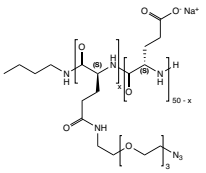
Mol. weight 3600 Da



## PGA1295 *n*Bu-PGA(50)[PEG2-$N_3$(10% mod)]

n-Butyl-poly(L-glutamic acid gamma-azido-ethyltri(ethylene glycol) amide) sodium salt (10-20mol% azido substitution)

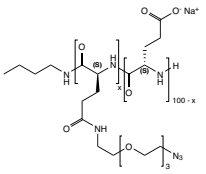
Mol. weight 9100 Da



## PGA1300 *n*Bu-PGA(100)[PEG2-$N_3$(10% mod)]

n-Butyl-poly(L-glutamic acid gamma-azido-ethyltri(ethylene glycol) amide) sodium salt (10-20mol% azido substitution)

Mol. weight 18300 Da



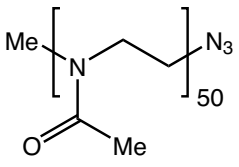
## POX1200 Me-PMeOx(50)-$N_3$

alpha-Methyl-poly(2-methyl-2-oxazoline)-omega-azide

CAS-No. 26375-28-0

Formula $CH_3(C_4H_7NO)50N_3$

Mol. weight 4300 Da



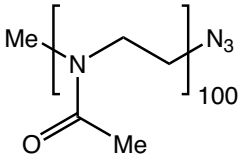

## POX1210 Me-PMeOx(100)-$N_3$

alpha-Methyl-poly(2-methyl-2-oxazoline)-omega-azide

CAS-No. 26375-28-0

Formula $CH_3(C_4H_7NO)100N_3$

Mol. weight 8500 Da

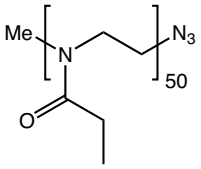


Product details

## POX2200 Me-PEtOx(50)-$N_3$

alpha-Methyl-poly(2-ethyl-2-oxazoline)-omega-azide

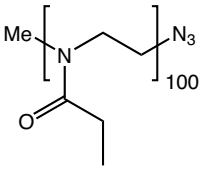
| | |
|---|---|
| CAS-No. | 25805-17-8 |
| Formula | $CH_3(C_5H_9NO)50N_3$ |
| Mol. weight | 5000 Da |




## POX2210 Me-PEtOx(100)-$N_3$

alpha-Methyl-poly(2-ethyl-2-oxazoline)-omega-azide

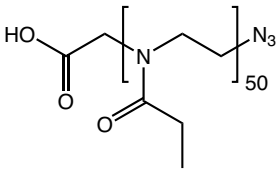
| | |
|---|---|
| CAS-No. | 25805-17-8 |
| Formula | $CH_3(C_5H_9NO)100N_3$ |
| Mol. weight | 5000 Da |




## POX2250 HOOC-PEtOx(50)-$N_3$

alpha-Carboxymethyl-poly(2-ethyl-2-oxazoline)-omega-azide

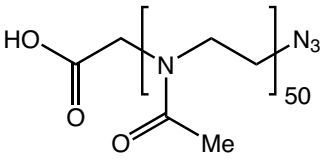
| | |
|---|---|
| CAS-No. | 25805-17-8 |
| Formula | $HOCOCH_2(C_5H_9NO)50N_3$ |
| Mol. weight | 5000 Da |




## POX1250 HOOC-PMeOx(50)-$N_3$

alpha-Carboxymethyl-poly(2-methyl-2-oxazoline)-omega-azide

| | |
|---|---|
| CAS-No. | 26375-28-0 |
| Formula | $HOCOCH_2(C_4H_7NO)50N_3$ |
| Mol. weight | 4300 Da |




The Click Reaction
Amino Acid Derivatives and Related Building Blocks for Click Chemistry
Spermines and Amines for Click Chemistry
Click Reagents for Drug Delivery
Click Chemistry Tools for Proteomics
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# 5. Click Chemistry Tools for Proteomics

## 5.1. Indocyanine Green Dyes for Click Chemistry

Indocyanine green (ICG) dye, a material approved by the FDA for various applications, is a powerful tool for imaging in live cells and tissues.

Iris Biotech offers a series of ICG dyes functionalized with various clickable moieties, such as tetrazine, alkyne, azide or DBCO. Moreover, we offer ICG-equipped with different popular functional groups for conjugation, e.g., maleimide, 2-cyanobenzothiazole (CBT), and NHS.

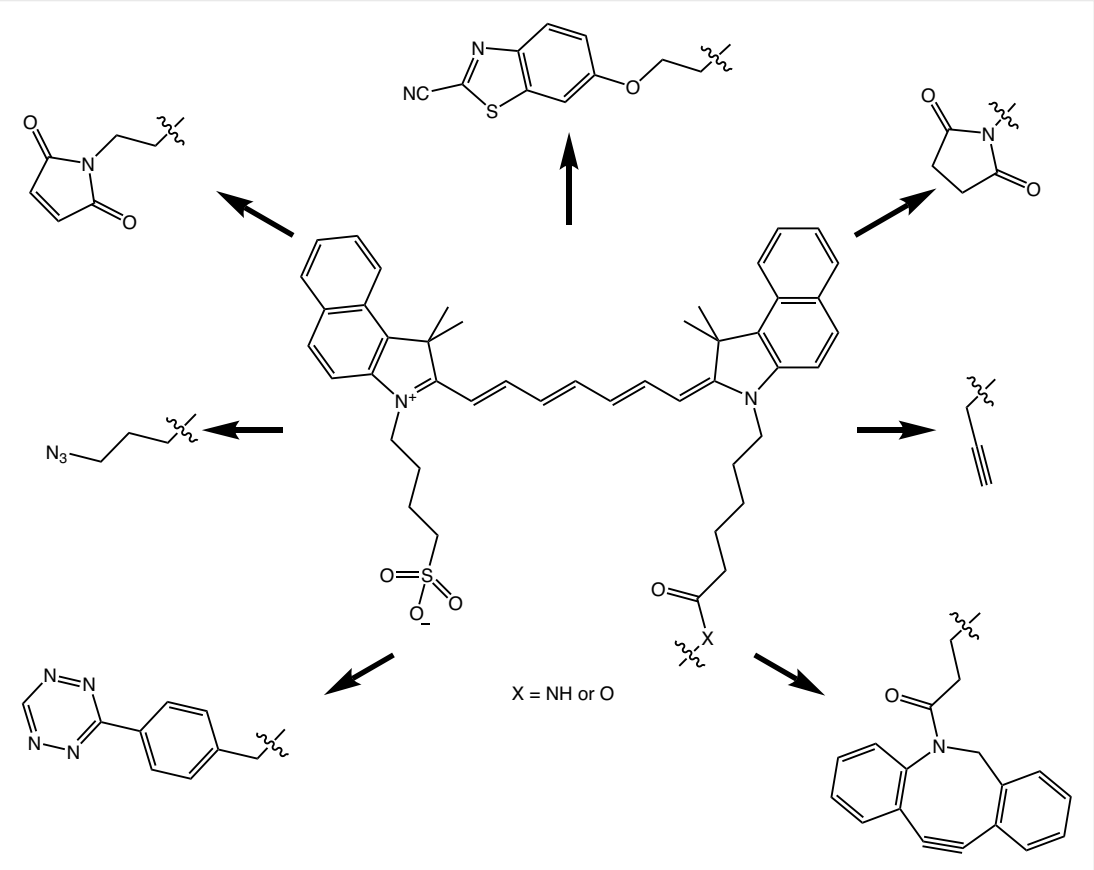



*Fig. 17: Functional groups available for conjugation of indocyanine green.*

ICG exhibits an absorption maximum in the near infrared region (NIR) at ca. 800 nm with a slight absorption in the visible range, resulting in a low auto-fluorescence. The emission maximum is at 810 nm. This absorption/emission profile allows for tissue-penetrating excitation without causing tissue damage. Consequently, ICG has found use in fields as diverse as angiography, detection of solid tumours and fluorescence image-guided surgery.

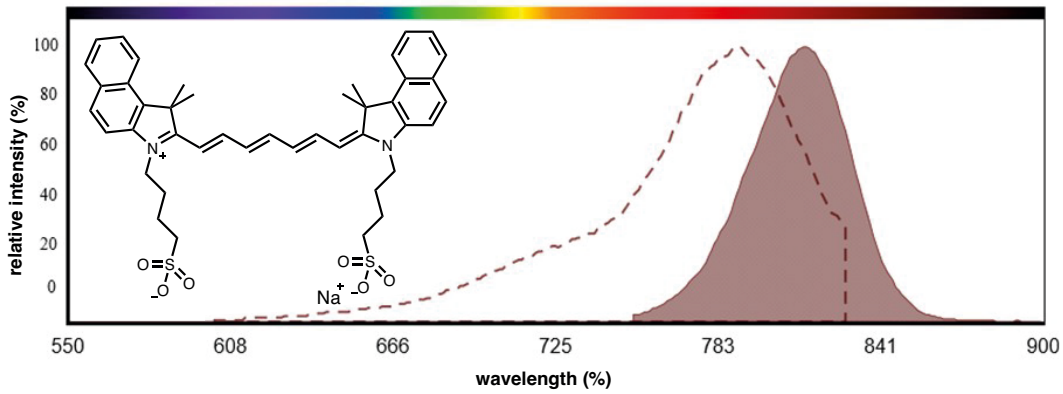



*Fig. 18: Absorption and emission spectra of indocyanine green.*

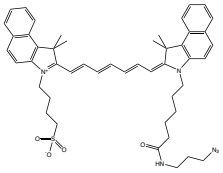
## ICG Derivatives for Click Chemistry

| | Product details |
|---|---|

### RL-2840 ICG-azide

**Indocyanine green azide**

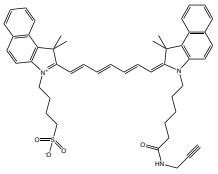
| | |
|---|---|
| Formula | $C_{48}H_{56}N_6O_4S$ |
| Mol. weight | 813,06 g/mol |



### RL-2880 ICG-alkyne

**Indocyanine green alkyne**

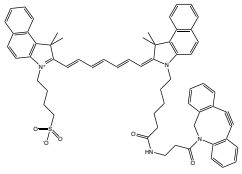
| | |
|---|---|
| CAS-No. | 1622335-41-4 |
| Formula | $C_{48}H_{53}N_3O_4S$ |
| Mol. weight | 768,02 g/mol |



### RL-2870 ICG-DBCO

**Indocyanine green dibenzoazacyclooctyne**

| | |
|---|---|
| Formula | $C_{63}H_{64}N_4O_5S$ |
| Mol. weight | 989,27 g/mol |



The Click Reaction
Amino Acid Derivatives and Related Building Blocks for Click Chemistry
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Click Reagents for Drug Delivery
Click Chemistry Tools for Proteomics
Carbohydrates for Click Chemistry
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- *NIR dyes for bioimaging applications; J. O. Escobedo, O. Rusin, S. Lim, R. M. Strongin;* ***Curr Opin Chem Biol*** *2010;* ***14****: 64-70.* https://doi.org/10.1016/j.cbpa.2009.10.022
- *In vivo molecular imaging of cancer with a quenching near-infrared fluorescent probe using conjugates of monoclonal antibodies and indocyanine green; M. Ogawa, N. Kosaka, P. L. Choyke, H. Kobayashi;* ***Cancer Res*** *2009;* ***69****: 1268-72.* https://doi.org/10.1158/0008-5472.CAN-08-3116

## 5.2. Clickable Linkers for Selective Protein Labeling

One way to selectively label a protein is to recombinantly express a modified version containing a sequence that selectively reacts with a specific linker type. Two examples of this approach are the His Tag acylation and the HaloTag®.

In the His Tag acylation approach, a N-terminal GlyHis$_6$ tag attached to a protein of interest selectively reacts with a 4-methoxyphenyl ester, generating an acylated N-terminus. While 4-methoxyphenyl esters are too unreactive to undergo acylation with any other primary amine, a proximal imidazole in the GlyHis$_6$ sequence acts as a catalyst to facilitate selective acylation of the N-terminal glycine.

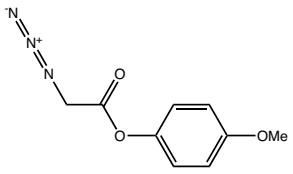
For the acylation of lysine, Jensen *et al.* developed the peptide sequence His$_n$-Lys-His$_m$ (Lys-His tag) that directs the acylation of the designated lysine N-ε amine under mild conditions and with high selectivity over native lysine residues.

Product details

**RL-3010** **$N_3$Ac-OPhOMe**

**4-Methoxyphenyl 2-azidoacetate**

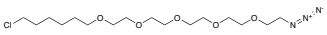
| | |
|---|---|
| CAS-No. | 2546513-31-7 |
| Formula | $C_9H_9N_3O_3$ |
| Mol. weight | 207,19 g/mol |




The HaloTag® is a protein tag whose sequence can easily be fused to a gene coding for a protein of interest. Functionally, it is a haloalkane dehalogenase that binds and forms covalent bonds to specific halogenated ligands. Those ligands are composed of two parts: a chloroalkane linker that forms the bond with HaloTag® protein, and a functional group or affinity handle. A HaloTag®-containing fusion protein is thus able to selectively label itself with an appropriate haloalkane dehalogenase ligand.

## RL-3640 Halo-PEG(5)-azide

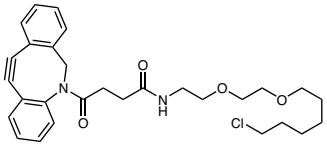
1-azido-21-chloro-3,6,9,12,15-pentaoxahenicosane



| | |
|---|---|
| CAS-No. | 1261238-21-4 |
| Formula | $C_{16}H_{32}ClN_3O_5$ |
| Mol. weight | 381,90 g/mol |

## RL-3670 Halo-DBCO

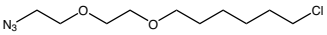
N-[2-[2-[(6-chlorohexyl)oxy]ethoxy]ethyl]-gamma-oxo-dibenz[b,f]azocine-5(6H)-butanamide



| | |
|---|---|
| CAS-No. | 1808119-16-5 |
| Formula | $C_{29}H_{35}ClN_2O_4$ |
| Mol. weight | 511,06 g/mol |

## RL-3700 Halo-PEG(2)-Azide

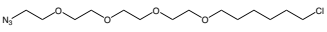
1-Azido-12-chloro-3,6-dioxadodecane



| | |
|---|---|
| CAS-No. | 2568146-55-2 |
| Formula | $C_{10}H_{20}ClN_3O_2$ |
| Mol. weight | 249,74 g/mol |

## RL-3710 Halo-PEG(4)-Azide

1-Azido-18-chloro-3,6,9,12-tetraoxaoctadecane



| | |
|---|---|
| CAS-No. | 2989398-83-4 |
| Formula | $C_{14}H_{28}ClN_3O_4$ |
| Mol. weight | 337,85 g/mol |

***Reference:***

→ *Direct pH measurements by using subcellular targeting of 5(and 6-) carboxyseminaphthorhodafluor in mammalian cells; H. A. Benink, M. G. McDougall, D. H. Klaubert, G. V. Los;* ***Biotechniques*** *2018;* ***47(3):*** *769-774.*
*https://doi.org/10.2144/000113220*

Besides HaloTag®, we also offer clickable SNAP-tag® and CLIP-tag™ ligands. The SNAP-tag® is a 20 kDa self-labeling protein tag bearing a cysteine moiety that undergoes an irreversible reaction with synthetic O6-benzylguanine (BG) derivatives, resulting in a covalent thioether bond. The CLIP-tag™ is a modified version of the SNAP-tag®, engineered to react with benzylcytosine (BC) instead of benzylguanine (BG).

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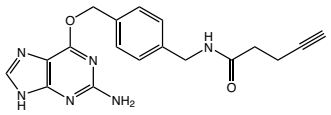
Product details

## RL-3930 Alkyne-SNAP

N-(4-(((2-amino-9H-purin-6-yl)oxy)methyl)benzyl) pent-4-ynamide

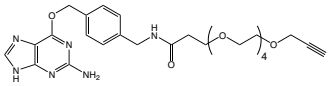
| | |
|---|---|
| CAS-No. | 1104822-07-2 |
| Formula | $C_{18}H_{18}N_6O_2$ |
| Mol. weight | 350,38 g/mol |



## RL-3940 Alkyne-PEG(5)-SNAP

N-(4-(((2-amino-9H-purin-6-yl)oxy)methyl)benzyl)-4,7,10,13,16-pentaoxanonadec-18-ynamide

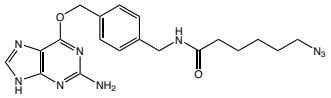
| | |
|---|---|
| Formula | $C_{27}H_{36}N_6O_7$ |
| Mol. weight | 556,62 g/mol |



## RL-3950 Azide-SNAP

N-(4-(((2-amino-9H-purin-6-yl)oxy)methyl)benzyl)-6-azidohexanamide

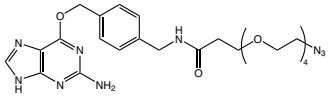
| | |
|---|---|
| Formula | $C_{19}H_{23}N_9O_2$ |
| Mol. weight | 409,45 g/mol |



## RL-3960 Azide-PEG(4)-SNAP

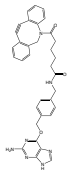
N-(4-(((2-amino-9H-purin-6-yl)oxy)methyl)benzyl)-1-azido-3,5,7,9-tetraoxadodecan-12-amide

| | |
|---|---|
| Formula | $C_{24}H_{33}N_9O_6$ |
| Mol. weight | 543,59 g/mol |



## RL-4010 DBCO-SNAP

| | |
|---|---|
| Formula | $C_{34}H_{31}N_7O_3$ |
| Mol. weight | 585,67 g/mol |



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- *Directed evolution of O6-alkylguanine-DNA alkyltransferase for efficient labeling of fusion proteins with small molecules in vivo; A. Juillerat, T. Gronemeyer, A. Keppler, S. Gendreizig, H. Pick, H. Vogel, K. Johnsson;* ***Chem. Biol.*** *2003;* ***10(4)****: 313-317. https://doi.org/10.1016/s1074-5521(03)00068-1*
- *Site-specific, Covalent Labeling of Recombinant Antibody Fragments via Fusion to an Engineered Version of 6-O-Alkylguanine DNA Alkyltransferase; F. Kampmeier, M. Ribbert, T. Nachreiner, S. Dembski, F. Beaufils, A. Brecht, S. Barth;* ***Bioconjugate Chem.*** *2009;* ***20(5)****: 1010-1015. https://doi.org/10.1021/bc9000257*
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In recent years, the so-called cyanobenzothiazole (CBT) click condensation has gained increased interest. It is highly biocompatible and controllable and runs under physiological conditions. In the CBT click reaction, the nitrile function of 2-cyanobenzothiazole (2-CBT) reacts with a β-aminothiol (1,2 aminothiol) and forms a 4,5-dihydro-1,3 thiazole. The β-aminothiol may originate from, e.g., an N-terminal D- or L-cysteine residue, which can be easily introduced recombinantly or during SPPS.

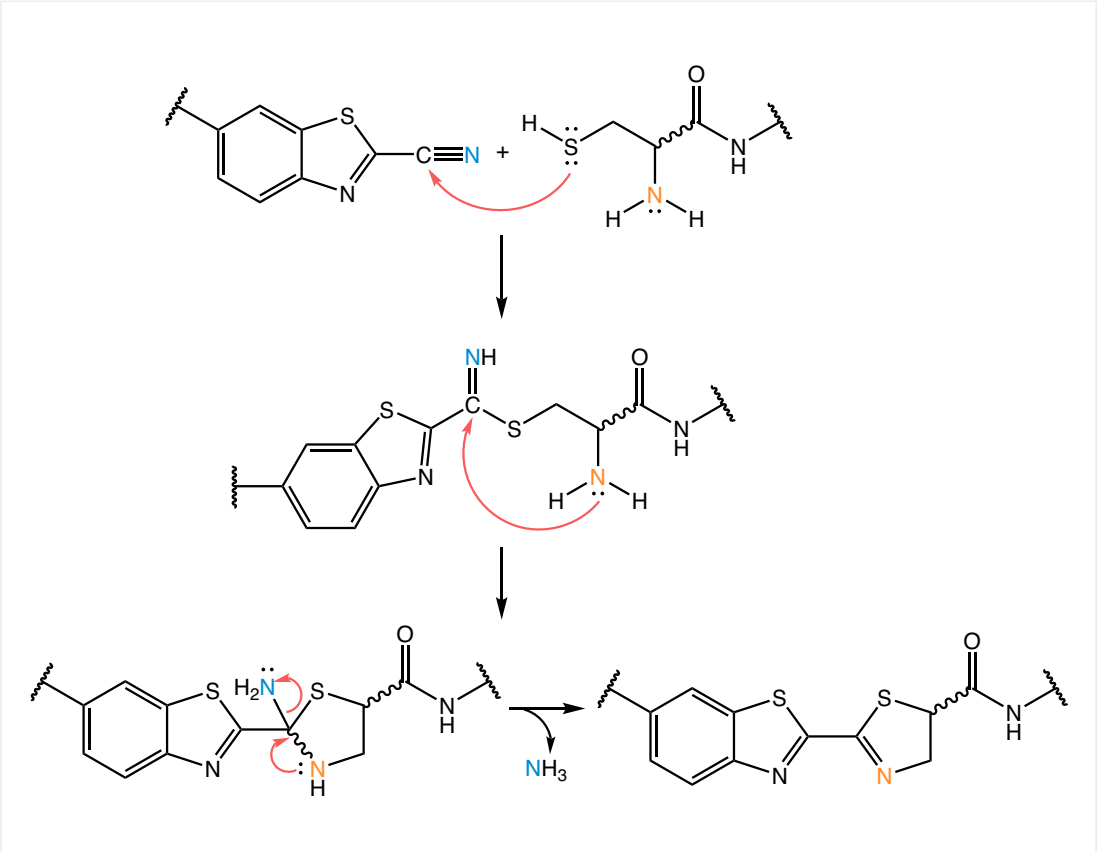


*Fig. 19: Mechanism of the CBT click reaction: The electron pair of the sulfur attacks the carbon of the cyano group, the nitrogen forms an enamine. The cysteine's nitrogen then attacks the carbon of the enamine, and a cyclic intermediate is formed. Finally, the lone electron pair of the amino nitrogen of the cysteine attacks the positively charged carbon to yield the product. The nitrogen which came from the nitrile is leaving the reaction as ammonia.*

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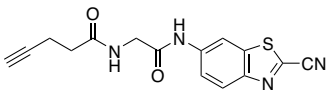
Product details

## RL-4280 4-Pentynoyl-Gly-CBT

N-(2-((2-cyanobenzo[d]thiazol-6-yl)amino)-2-oxoethyl) pent-4-ynamide

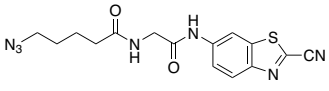
Formula $C_{15}H_{12}N_4O_2S$
Mol. weight 312,35 g/mol



## RL-4290 6-Azidopentanoyl-Gly-CBT

5-azido-N-(2-((2-cyanobenzo[d]thiazol-6-yl)amino)-2-oxoethyl)pentanamide

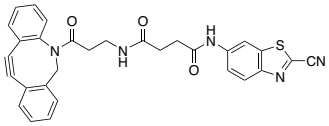
Formula $C_{15}H_{15}N_7O_2S$
Mol. weight 357,39 g/mol



## RL-4310 DBCO-Suc-CBT

N1-(2-cyanobenzo[d]thiazol-6-yl)-N4-(3-(11,12-didehydro-5,6-dihydro-dibenzo[b,f]azocin-yl)-3-oxopropyl) succinamide

Formula $C_{30}H_{23}N_5O_3S$
Mol. weight 533,61 g/mol



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While nitriles in general may react with sulfhydryl groups (e.g., from cysteines) in a rather unspecific way, the advantage of α-cyanopyridines (2-picolinonitrils) is their strong selectivity for 1,2-aminothiols (e.g., provided as N-terminal cysteine or internally as non-canonical amino acid). Thus, this reaction may be used for the synthesis of cyclic peptides or to fuse ligands to peptide chains.

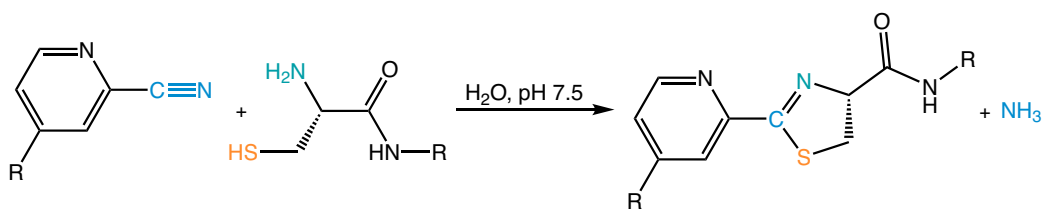


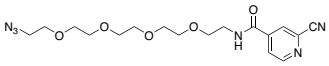

*Fig. 20: The reaction between a 2-cyanopyridine and a 1,2-aminothiol forms a 2-thiazoline.*

This click-like reaction is biorthogonal, biocompatible, catalyst-free, and selective; it proceeds readily in aqueous solutions at physiological pH and ambient temperature. The formation of the resulting 2-thiazoline (alternative name: 2,5-dihydrothiazole) is driven by the release of ammonia. The reaction product is stable at physiological conditions.

Product details

## RL-8625 $N_3$-PEG(4)-CINA

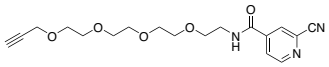
N-(14-azido-3,6,9,12-tetraoxatetradecyl)-2-cyanoisonicotinamide



Formula $C_{17}H_{24}N_6O_5$
Mol. weight 392,42 g/mol

## RL-8630 Alkyne-PEG(4)-CINA

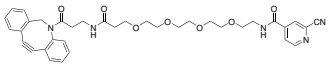
2-cyano-N-(3,6,9,12-tetraoxapentadec-14-yn-1-yl) isonicotinamide



Formula $C_{18}H_{23}N_3O_5$
Mol. weight 361,40 g/mol

## RL-8640 DBCO-PEG(4)-CINA

Dibenzoazacyclooctyne-tetra(ethylene glycol)-cyanoisonicotinamide



Formula $C_{36}H_{39}N_5O_7$
Mol. weight 653,74 g/mol

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Besides, we offer click-functionalized biotin derivatives. Biotinylation represents a versatile tool for purification, immobilization, and labeling. It is a rather small molecule compared to other labels, thus minimizing its steric impact on the biotinylated carrier itself.

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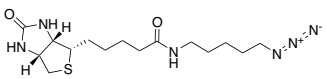
Product details

## LS-4660 Biotinyl-DAPe-$N_3$

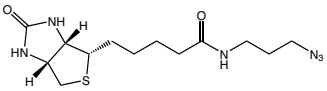
N-(5-azidopentyl)-5-((3aS,4S,6aR)-2-oxohexahydro-1H-thieno[3,4-d]imidazol-4-yl)pentanamide



| | |
|---|---|
| CAS-No. | 1349190-76-6 |
| Formula | $C_{15}H_{26}N_6O_2S$ |
| Mol. weight | 354,47 g/mol |

## LS-4210 Biotin-$N_3$

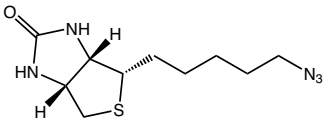
N-(3-azidopropyl)-5-((3aS,4S,6aR)-2-oxohexahydro-1H-thieno[3,4-d]imidazol-4-yl)pentanamide



| | |
|---|---|
| CAS-No. | 908007-17-0 |
| Formula | $C_{13}H_{22}N_6O_2S$ |
| Mol. weight | 326,42 g/mol |

## LS-4300 DecarboxyBiotin-$N_3$

(3aS,4S,6aR)- 4-(5-Azidopentyl)tetrahydro-1H-Thieno[3,4-d]imidazol-2(3H)-one



| | |
|---|---|
| CAS-No. | 1260586-88-6 |
| Formula | $C_{10}H_{17}N_5OS$ |
| Mol. weight | 255,34 g/mol |

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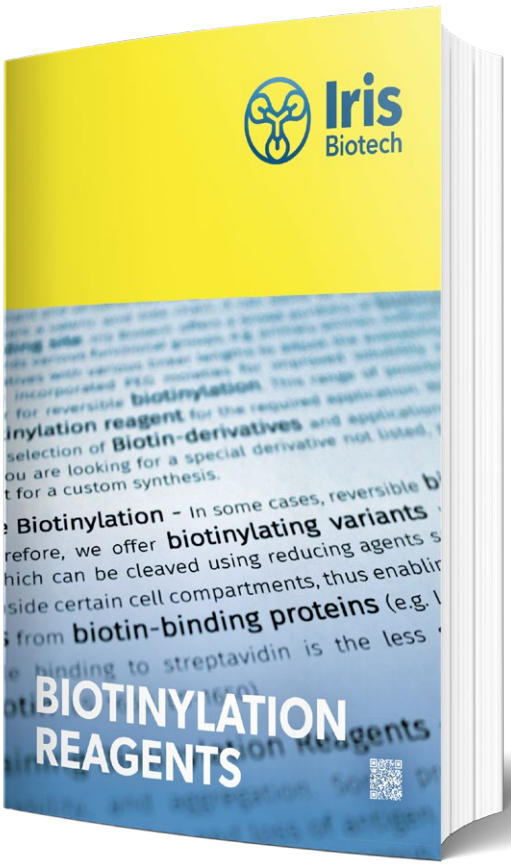

# 6. Carbohydrates for Click Chemistry

Glycoconjugates, i.e. glycans linked to proteins or lipids, are an essential part of all living organisms. In higher organisms, but also in lower eukaryotes and some bacteria and archaea, many proteins are post-translationally modified by linking oligosaccharides to amino acid side-chains, forming glycoproteins. Glycosylation is the most complex posttranslational modification and can be observed on membrane proteins, secreted proteins and peptides, or proteins in the cytosol and nucleus.

Glycoconjugates display a multitude of biological effects from protein folding and stabilization, to cell surface interaction through molecular recognition motifs for cell-cell communication, and structural support and protection.

Abnormal glycosylation patterns can be observed in pathological conditions such as neurodegenerative diseases or tumor growth and metastasis. Moreover, glycosylation patterns play a decisive role in the infection pathways of and the immune response against many pathogens, further underlining the importance of this type of modification.

Synthetic glycoconjugates are interesting targets for the investigation of immunogenicity, infection pathways or structure activity relationships, and for the development of novel drugs and vaccines. Carbohydrates functionalized for Click chemistry provide mild and selective access to such glycoconjugates.

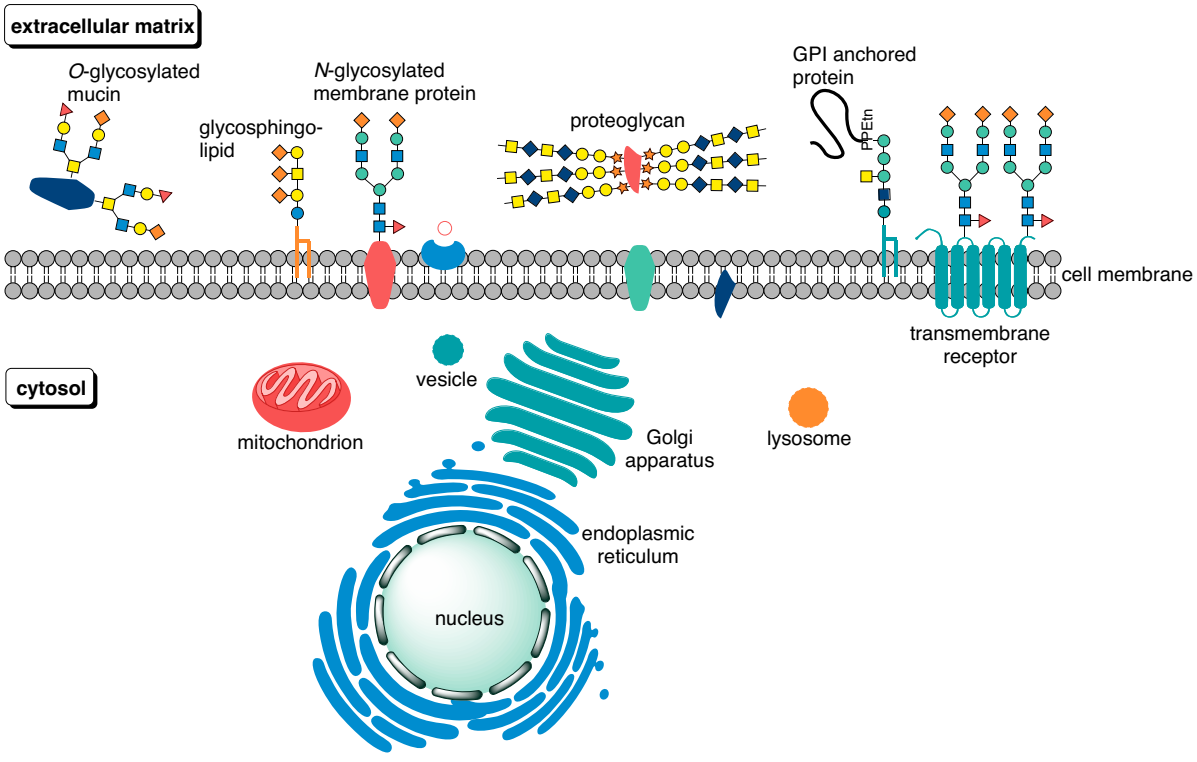


*Fig. 21: Simplified representation of a eukaryotic cell and its cell surface glycans.*

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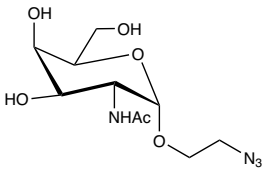
## 6.1. Galactose Derivatives

Product details

### GBB1445 alpha-GalNAc-$N_3$

1-O-(2-Azidoethoxy)-2-acetamido-2deoxy-alpha-D-galactopyranoside

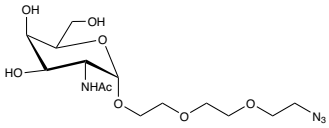
| | |
|---|---|
| CAS-No. | 195384-42-0 |
| Formula | $C_{10}H_{18}N_4O_6$ |
| Mol. weight | 290,27 g/mol |



### GBB1370 alpha-GalNAc-TEG-$N_3$

1-O-(2-(2-(2-Azidoethoxy)ethoxy)ethoxy)-2-acetamido-2deoxy-alpha-D-galactopyranoside

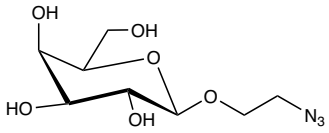
| | |
|---|---|
| CAS-No. | 882873-70-3 |
| Formula | $C_{14}H_{26}N_4O_8$ |
| Mol. weight | 378,38 g/mol |



### GBB1430 beta-Gal-Et-$N_3$

1-(2-Azidoethoxy)-beta-D-galactopyranose

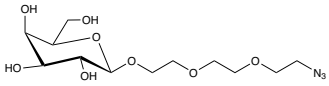
| | |
|---|---|
| CAS-No. | 151651-54-6 |
| Formula | $C_8H_{15}N_3O_6$ |
| Mol. weight | 249,22 g/mol |



### GBB1380 beta-Gal-TEG-$N_3$

1-O-(2-(2-(2-Azidoethoxy)ethoxy)ethoxy)-beta-D-galactopyranoside

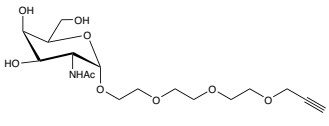
| | |
|---|---|
| CAS-No. | 126765-27-3 |
| Formula | $C_{12}H_{23}N_3O_8$ |
| Mol. weight | 337,33 g/mol |



### GBB1375 alpha-GalNAc-TEG-Alkyne

1-O-(2-(2-(2-(Prop-2-ynyloxy)ethoxy)ethoxy)ethoxy)-2-acetamido-2deoxy-alpha-D-galactopyranoside

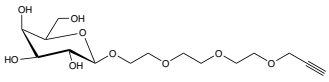
| | |
|---|---|
| Formula | $C_{17}H_{29}NO_9$ |
| Mol. weight | 391,41 g/mol |



Product details

### GBB1385 beta-Gal-TEG-Alkyne

CAS-No. 1072903-79-7
Formula $C_{15}H_{26}O_9$
Mol. weight 350,36 g/mol

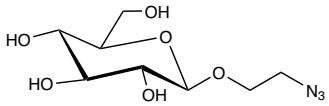


## 6.2. Glucose Derivatives

Product details

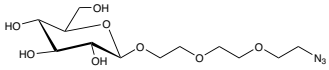
### GBB1435 beta-Glc-$N_3$

CAS-No. 165331-08-8
Formula $C_8H_{15}N_3O_6$
Mol. weight 249,22 g/mol



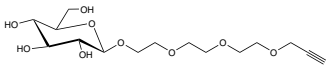
### GBB1390 beta-Glc-TEG-$N_3$

CAS-No. 156058-83-2
Formula $C_{12}H_{23}N_3O_8$
Mol. weight 337,33 g/mol



### GBB1395 beta-Glc-TEG-Alkyne

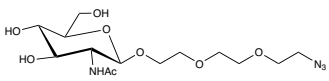
CAS-No. 1072903-76-4
Formula $C_{15}H_{26}O_9$
Mol. weight 350,36 g/mol



### GBB1400 beta-GlcNAc-TEG-$N_3$

1-O-(2-(2-(2-Azidoethoxy)ethoxy)ethoxy)-2-acetamido-2deoxy-beta-D-glucopyranoside

CAS-No. 86520-54-9
Formula $C_{14}H_{26}N_4O_8$
Mol. weight 378,73 g/mol



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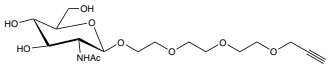
Product details

### GBB1405 beta-GlcNAc-TEG-Alkyne

1-O-(2-(2-(2-(Prop-2-ynyloxy)ethoxy)ethoxy)ethoxy)-2-acetamido-2deoxy-beta-D-glucopyranoside



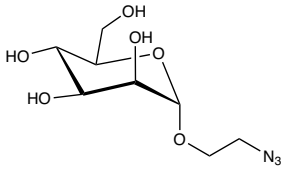
| | |
|---|---|
| CAS-No. | 1884184-44-4 |
| Formula | $C_{17}H_{29}NO_9$ |
| Mol. weight | 391,41 g/mol |

## 6.3. Mannose Derivatives

Product details

### GBB1440 alpha-Man-$N_3$

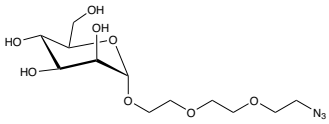
1-(2-Azidoethoxy)-alpha-D-mannopyranose



| | |
|---|---|
| CAS-No. | 155196-97-7 |
| Formula | $C_8H_{15}N_3O_6$ |
| Mol. weight | 249,22 g/mol |

### GBB1420 alpha-Man-TEG-$N_3$

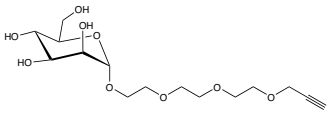
1-O-(2-(2-(2-Azidoethoxy)ethoxy)ethoxy)-alpha-D-mannopyranoside



| | |
|---|---|
| CAS-No. | 246855-76-5 |
| Formula | $C_{12}H_{23}N_3O_8$ |
| Mol. weight | 337,33 g/mol |

### GBB1425 alpha-Man-TEG-Alkyne

1-O-(2-(2-(2-(Prop-2-ynyloxy)ethoxy)ethoxy)ethoxy)-alpha-D-mannopyranoside



| | |
|---|---|
| CAS-No. | 1072903-80-0 |
| Formula | $C_{15}H_{26}O_9$ |
| Mol. weight | 350,36 g/mol |

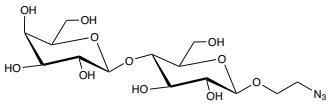
# 6.4. Lactose Derivatives

Product details

## GBB1455 beta-Lac-EO-$N_3$

2-Azidoethyl 4-O-beta-D-galactopyranosyl-beta-(1->4)-D-glucopyranoside

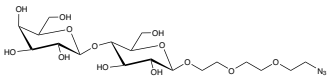
| | |
|---|---|
| CAS-No. | 230286-11-0 |
| Formula | $C_{14}H_{25}N_3O_{11}$ |
| Mol. weight | 411,36 g/mol |



## GBB1410 beta-Lac-TEG-$N_3$

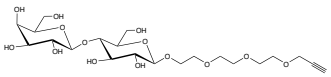
(2-(2-(2-Azidoethoxy)ethoxy)ethyl) 4-O-beta-D-galactopyranosyl-beta-(1->4)-D-glucopyranoside

| | |
|---|---|
| CAS-No. | 246855-74-3 |
| Formula | $C_{18}H_{33}N_3O_{13}$ |
| Mol. weight | 499,47 g/mol |



## GBB1415 beta-Lac-TEG-Alkyne

| | |
|---|---|
| CAS-No. | 1442747-66-1 |
| Formula | $C_{21}H_{36}O_{14}$ |
| Mol. weight | 512,5 g/mol |



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# 7. Proteolysis Targeting Chimeras (PROTACs®)

Targeted protein degradation *via* proteolysis-targeting chimeras (PROTACs) is an emerging attempt to cure diseases caused by the irregular expression of certain disease-causing proteins. Such protein degraders act as bifunctional linkers and allow to feed the protein of interest (POI) to the cell's Ubiquitin-Proteasome system, thus, to eliminate the malexpressed proteins. These PROTACs consist of three components: one ligand with high affinity for E3 ubiquitin ligase, another one with high affinity for the POI and an appropriate cross-linker joining both ligands. This linker can also be used to increase the solubility, if needed, e.g., by incorporation of PEGs. The resulting proximity of both, the recruited POI and the E3 ligase, allows the polyubiquitination of the POI by the E3 associated E2 enzyme. This leads to a labeling of the POI for degradation through the proteasome.

"PROTAC® is a registered trademark of Arvinas Operations, Inc., and is used under license."

**Mode of action:**

1. A cross-linker unites the POI ligand and E3 ligase ligand = PROTAC.
2. The three-component PROTAC recruits the POI and the E2-associated E3 ligase *via* the respective ligands = Ternary complex.
3. Several Ubiquitins are added to lysine residues of the POI = Polyubiquitination.
4. The ubiquitinated POI is degraded by the proteasome.

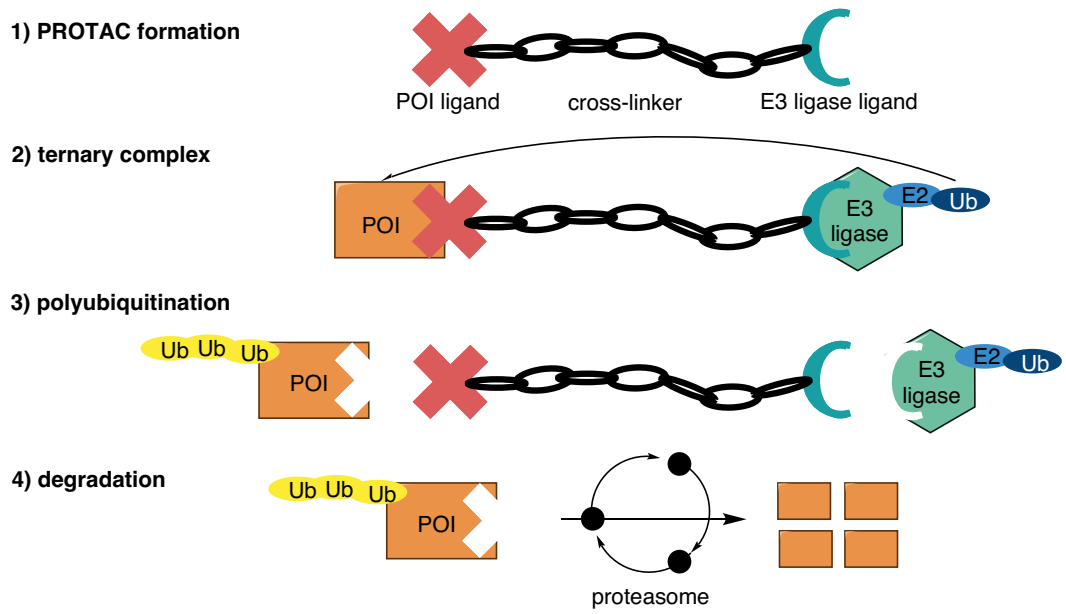


*Fig. 22: Targeted protein degradation via proteolysis-targeting chimeras.*

To construct a suitable PROTAC, we provide a variety of E3 ubiquitin ligase ligands in combination with linkers of various length and an elective amino-, carboxyl-, click- or thiol-reactive end ("Partial PROTACs").

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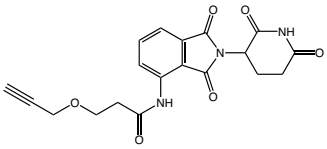
## Click-Reactive Partial PROTACs

Product details

### PTC1400 Pomalidomide-PEG1-Alkyne

N-(2-(2,6-Dioxopiperidin-3-yl)-1,3-dioxoisoindolin-4-yl)-3-(prop-2-yn-1-yloxy)propanamide

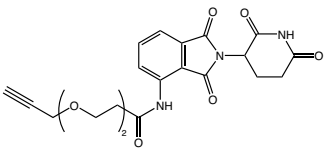
| | |
|---|---|
| CAS-No. | 2236109-19-4 |
| Formula | $C_{19}H_{17}N_3O_6$ |
| Mol. weight | 383,35 g/mol |



### PTC1410 Pomalidomide-PEG2-Alkyne

N-(2-(2,6-Dioxopiperidin-3-yl)-1,3-dioxoisoindolin-4-yl)-3-(2-(prop-2-yn-1-yloxy)ethoxy)propanamide

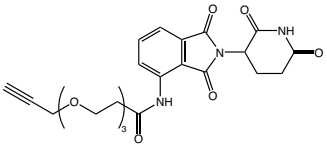
| | |
|---|---|
| CAS-No. | 2243000-25-9 |
| Formula | $C_{21}H_{21}N_3O_7$ |
| Mol. weight | 427,41 g/mol |



### PTC1420 Pomalidomide-PEG3-Alkyne

N-(2-(2,6-Dioxopiperidin-3-yl)-1,3-dioxoisoindolin-4-yl)-3-(2-(2-(prop-2-yn-1-yloxy)ethoxy)ethoxy)propanamide

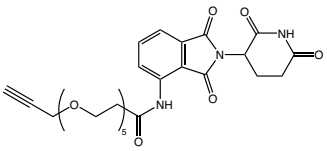
| | |
|---|---|
| CAS-No. | 2236109-20-7 |
| Formula | $C_{23}H_{25}N_3O_8$ |
| Mol. weight | 471,46 g/mol |



### PTC1440 Pomalidomide-PEG5-Alkyne

N-(2-(2,6-Dioxopiperidin-3-yl)-1,3-dioxoisoindolin-4-yl)-4,7,10,13,16-pentaoxanonadec-18-ynamide

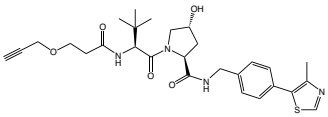
| | |
|---|---|
| Formula | $C_{27}H_{33}N_3O_{10}$ |
| Mol. weight | 559,57 g/mol |



### PTC1460 (S,R,S)-AHPC-PEG1-Alkyne

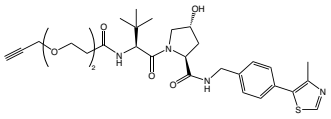
(2S,4R)-1-((S)-3,3-Dimethyl-2-(3-(prop-2-yn-1-yloxy)propanamido)butanoyl)-4-hydroxy-N-(4-(4-methylthiazol-5-yl)benzyl)pyrrolidine-2-carboxamide

| | |
|---|---|
| CAS-No. | 2242965-71-3 |
| Formula | $C_{28}H_{36}N_4O_5S$ |
| Mol. weight | 540,67 g/mol |



## PTC1470 (S,R,S)-AHPC-PEG2-Alkyne

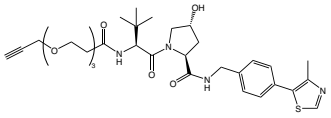
(2S,4R)-1-((S)-3,3-Dimethyl-2-(3-(2-(prop-2-yn-1-yloxy)ethoxy)propanamido)butanoyl)-4-hydroxy-N-(4-(4-methylthiazol-5-yl)benzyl)pyrrolidine-2-carboxamide



| | |
|---|---|
| CAS-No. | 2242965-72-4 |
| Formula | $C_{30}H_{40}N_4O_6S$ |
| Mol. weight | 584,73 g/mol |

## PTC1480 (S,R,S)-AHPC-PEG3-Alkyne

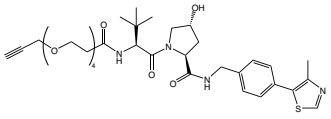
(2S,4R)-1-((S)-2-(*tert*-Butyl)-4-oxo-7,10,13-trioxa-3-aza-hexadec-15-ynoyl)-4-hydroxy-N-(4-(4-methylthiazol-5-yl)benzyl)pyrrolidine-2-carboxamide



| | |
|---|---|
| CAS-No. | 2374122-30-0 |
| Formula | $C_{32}H_{44}N_4O_7S$ |
| Mol. weight | 628,78 g/mol |

## PTC1490 (S,R,S)-AHPC-PEG4-Alkyne

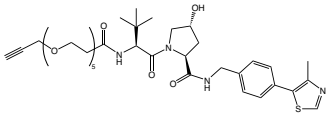
(2S,4R)-1-((S)-2-(*tert*-Butyl)-4-oxo-7,10,13,16-tetraoxa-3-azanonadec-18-ynoyl)-4-hydroxy-N-(4-(4-methylthiazol-5-yl)benzyl)pyrrolidine-2-carboxamide



| | |
|---|---|
| CAS-No. | 2267282-21-1 |
| Formula | $C_{34}H_{48}N_4O_8S$ |
| Mol. weight | 672,83 g/mol |

## PTC1500 (S,R,S)-AHPC-PEG5-Alkyne

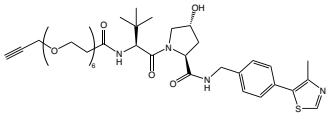
(2S,4R)-1-((S)-2-(*tert*-Butyl)-4-oxo-7,10,13,16,19-pentaoxa-3-azadocos-21-ynoyl)-4-hydroxy-N-(4-(4-methylthiazol-5-yl)benzyl)pyrrolidine-2-carboxamide



| | |
|---|---|
| CAS-No. | 2817805-63-1 |
| Formula | $C_{36}H_{52}N_4O_9S$ |
| Mol. weight | 716,88 g/mol |

## PTC1510 (S,R,S)-AHPC-PEG6-Alkyne

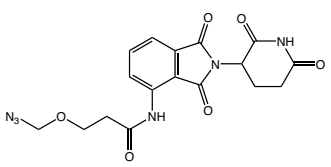
(2S,4R)-1-((S)-2-(*tert*-Butyl)-4-oxo-7,10,13,16,19,22-hexaoxa-3-azapentacos-24-ynoyl)-4-hydroxy-N-(4-(4-methylthiazol-5-yl)benzyl)pyrrolidine-2-carboxamide



| | |
|---|---|
| Formula | $C_{38}H_{56}N_4O_{10}S$ |
| Mol. weight | 760,94 g/mol |

## PTC1520 Pomalidomid- PEG1-$N_3$

2-(2-Azidoethoxy)-N-(2-(2,6-dioxopiperidin-3-yl)-1,3-dioxoisoindolin-4-yl)acetamide



| | |
|---|---|
| CAS-No. | 2133360-04-8 |
| Formula | $C_{17}H_{16}N_6O_6$ |
| Mol. weight | 400,35 g/mol |

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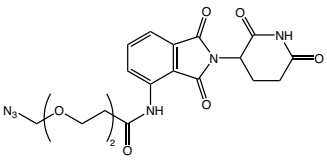
Product details

## PTC1530 Pomalidomid- PEG2-$N_3$

2-(2-(2-Azidoethoxy)ethoxy)-N-(2-(2,6-dioxopiperidin-3-yl)-1,3-dioxoisoindolin-4-yl)acetamide

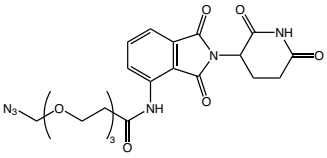
| | |
|---|---|
| CAS-No. | 2267306-14-7 |
| Formula | $C_{19}H_{20}N_6O_7$ |
| Mol. weight | 444,4 g/mol |



## PTC1540 Pomalidomid- PEG3-$N_3$

2-(2-(2-(2-azidoethoxy)ethoxy)ethoxy)-N-(2-(2,6-dioxopiperidin-3-yl)-1,3-dioxoisoindolin-4-yl)acetamide

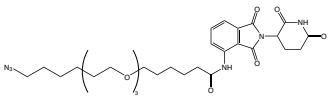
| | |
|---|---|
| CAS-No. | 2267306-15-8 |
| Formula | $C_{21}H_{24}N_6O_8$ |
| Mol. weight | 488,45 g/mol |



## PTC1560 Pomalidomid-C6-PEG3-butyl-$N_3$

6-(2-(2-((6-Azidohexyl)oxy)ethoxy)ethoxy)-N-(2-(2,6-dioxopiperidin-3-yl)-1,3-dioxoisoindolin-4-yl)hexanamide

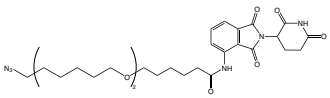
| | |
|---|---|
| CAS-No. | 2300178-66-7 |
| Formula | $C_{29}H_{40}N_6O_8$ |
| Mol. weight | 600,66 g/mol |



## PTC1570 Pomalidomid-C6-PEG1-C3-PEG1-butyl-$N_3$

6-((5-((6-Azidohexyl)oxy)pentyl)oxy)-N-(2-(2,6-dioxopiperidin-3-yl)-1,3-dioxoisoindolin-4-yl)hexanamide

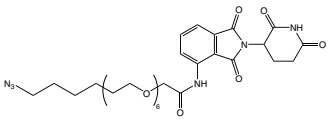
| | |
|---|---|
| CAS-No. | 2300178-65-6 |
| Formula | $C_{30}H_{42}N_6O_7$ |
| Mol. weight | 598,69 g/mol |



## PTC1580 Pomalidomid-PEG6-butyl-$N_3$

4-azido-N-(2-(2,6-dioxopiperidin-3-yl)-1,3-dioxoisoindolin-4-yl)-3,6,9,12,15,18-hexaoxatetracosanamide

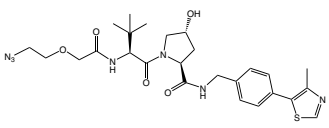
| | |
|---|---|
| Formula | $C_{31}H_{44}N_6O_{11}$ |
| Mol. weight | 676,71 g/mol |



## PTC1590 (S,R,S)-AHPC-PEG1-$N_3$

(2S,4R)-1-((S)-2-(2-(2-Azidoethoxy)acetamido)-3,3-dimethylbutanoyl)-4-hydroxy-N-(4-(4-methylthiazol-5-yl)benzyl)pyrrolidine-2-carboxamide

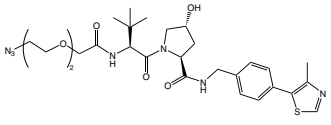
| | |
|---|---|
| CAS-No. | 2101200-09-1 |
| Formula | $C_{26}H_{35}N_7O_5S$ |
| Mol. weight | 557,67 g/mol |



Product details

## PTC1600 (S,R,S)-AHPC-PEG2-$N_3$

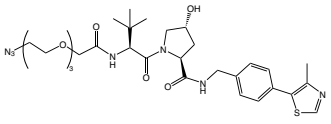
(2S,4R)-1-((S)-2-(2-(2-(2-Azidoethoxy)ethoxy)acetamido)-3,3-dimethylbutanoyl)-4-hydroxy-N-(4-(4-methylthiazol-5-yl)benzyl)pyrrolidine-2-carboxamide



| | |
|---|---|
| CAS-No. | 2010159-45-0 |
| Formula | $C_{28}H_{39}N_7O_6S$ |
| Mol. weight | 601,72 g/mol |

## PTC1610 (S,R,S)-AHPC-PEG3-$N_3$

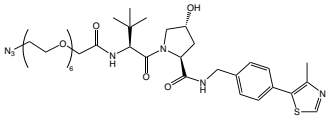
(2S,4R)-1-((S)-14-azido-2-(*tert*-butyl)-4-oxo-6,9,12-trioxa-3-azatetradecanoyl)-4-hydroxy-N-(4-(4-methylthiazol-5-yl)benzyl)pyrrolidine-2-carboxamide



| | |
|---|---|
| CAS-No. | 1797406-80-4 |
| Formula | $C_{30}H_{43}N_7O_7S$ |
| Mol. weight | 645,77 g/mol |

## PTC1640 (S,R,S)-AHPC-PEG6-$N_3$

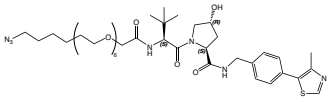
(2S,4R)-1-((S)-23-Azido-2-(*tert*-butyl)-4-oxo-6,9,12,15,18,21-hexaoxa-3-azatricosanoyl)-4-hydroxy-N-(4-(4-methylthiazol-5-yl)benzyl)pyrrolidine-2-carboxamide



| | |
|---|---|
| CAS-No. | 2086298-71-5 |
| Formula | $C_{36}H_{55}N_7O_{10}S$ |
| Mol. weight | 777,93 g/mol |

## PTC1680 (S,R,S)-AHPC-PEG6-butyl-$N_3$

(2S,4R)-1-((S)-27-Azido-2-(*tert*-butyl)-4-oxo-6,9,12,15,18,21-hexaoxa-3-azaheptacosanoyl)-4-hydroxy-N-(4-(4-methylthiazol-5-yl)benzyl)pyrrolidine-2-carboxamide



| | |
|---|---|
| Formula | $C_{40}H_{63}N_7O_{10}S$ |
| Mol. weight | 834,03 g/mol |

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Proteolysis Targeting Chimeras (PROTACs®)
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In addition to these pre-designed building blocks, we offer custom synthesis of your required ligand-linker combination or "complete PROTAC". This allows to design a library of slightly different PROTACs in order to find the best combination for your application, as even small changes in ligands and cross-linkers might affect the efficiency of the formation of the ternary complex.

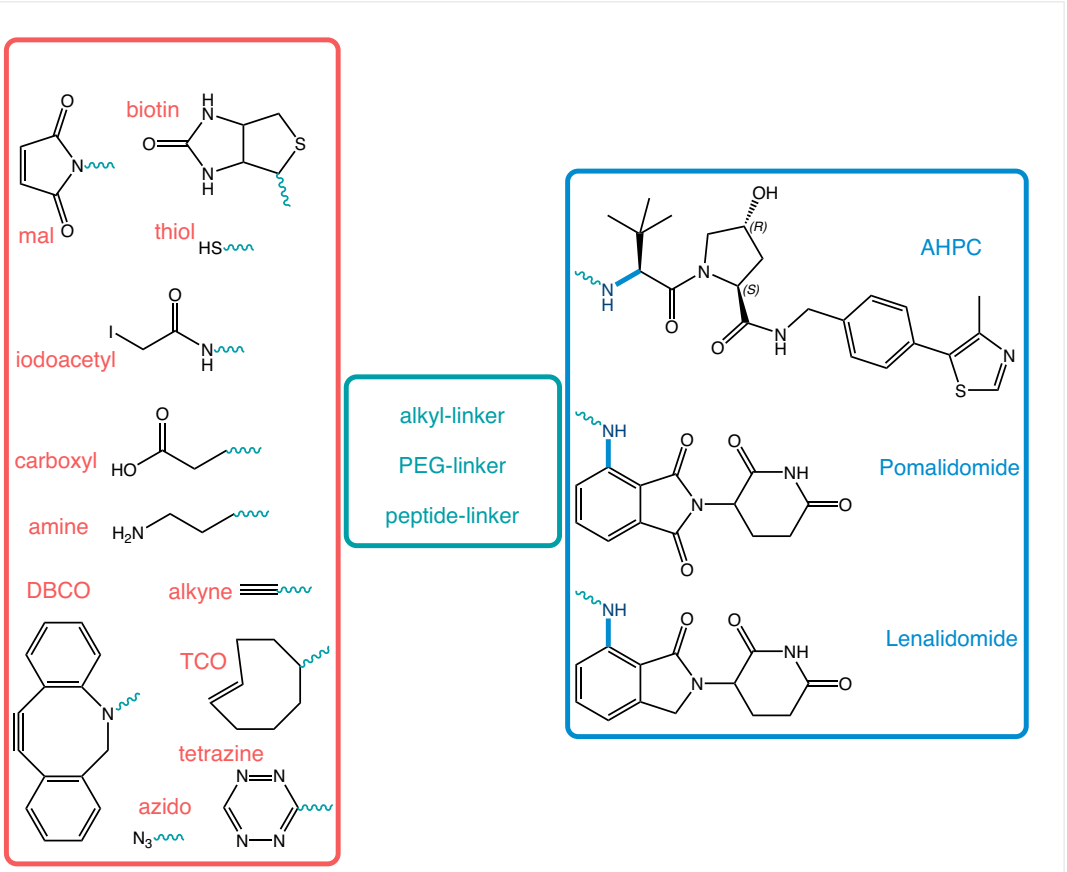


*Fig. 23: Possibilities of PROTAC design. Above displayed options for linker constructs can be conjugated to substrates of the protein of interest, in order to create the desired PROTAC®.*

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**For more infos on PROTACs, see our brochure on linker technologies!**




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| HAA2210 | $N_3$-D-Orn(Boc)-OH*CHA | 55 |
| RL-4360 | $N_3$-DABu-Suc-OH | 33 |
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| HAA2860 | $N_3$-Gly-Gly-Gly-Gly-Gly-OH | 48 |
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# Code of Conduct

As business activity of Iris Biotech GmbH impacts people's lives and health, it must be operated in ethical and correct manner and act with integrity and responsibility. To ensure high ethical standards and fair business practices, Iris Biotech GmbH applies an integrated policy known as its Code of Conduct.

In 2001 Iris Biotech GmbH was founded just at the beginning of the Biotech movement and the first remarkable breakthrough of biotech pharma products. Although the biotech field is rather young compared to other industries we believe on long-term business, a good partnership between our business partners and Iris Biotech GmbH and a good reputation. It is our duty as well as our responsibility to maintain and to extend this over the next generations – based on the principles of an honourable and prudent tradesman which based upon the concept of honourable entrepreneurship.

This Code of Conduct has been developed following the "Voluntary Guidelines for Manufacturers of Fine Chemical Intermediates and Active Ingredients" issued by AIME (Agrochemical & Intermediates Manufacturers in Europe) and the requirements of some of our business associates.

Iris Biotech GmbH commits to hold this Code of Conduct and to include and apply its principles in the management system and the company policies.

## Ethics

Iris Biotech GmbH undertakes business in an ethical manner and acts with integrity. All corruption, extortion and embezzlement are prohibited. We do not pay or accept bribes or participate in other illegal inducements in business or government relationships. We conduct our business in compliance with all applicable anti-trust laws. Employees are encouraged to report concerns or illegal activities in the workplace, without threat of reprisal, intimidation or harassment.

## Labour

Iris Biotech GmbH is committed to uphold the human rights of workers and to treat them with dignity and respect. Child labour, workplace harassment, discrimination, and harsh and inhumane treatment are prohibited. Iris Biotech GmbH respects the rights of the employees to associate freely, join or not join labour unions, seek representation and join workers' councils. Employees are paid and their working timetable is established according to applicable wage and labour laws. Employees are able to communicate openly with management regarding working conditions without threat of reprisal, intimidation or harassment.

## General Policies

Contracts and Secrecy Agreements are binding and the confidential information received is only used for intended purposes. Clear management and organizational structures exist to provide efficient normal working and to address problems quickly. Know-how is protected and intellectual property isrespected.

## Health and Safety

Iris Biotech GmbH provides a safe and healthy working environment to the employees and protects them from overexposure to chemical and physical hazards. Products are produced, stored and shipped under the guidelines of the relevant chemical and safety legislation. Risks and emergency scenarios are identified and evaluated, and their possible impact is minimized by implementing emergency plans and written procedures. Safety information regarding hazardous materials is available to educate, train and protect workers from hazards. Preventive equipment and facilities maintenance is performed at suitable periods to reduce potential hazards. Employees are regularly trained in health and safety matters and are informed about product properties and risk classification when it is required.

## Environment

Iris Biotech GmbH operates in an environmentally responsible and efficient manner, minimizing adverse impacts on the environment. Waste streams are managed to ensure a safe handling, movement, storage, recycling and reuse, before and after being generated. Systems to prevent and mitigate accidental spills and releases to the environment are in place. All required environmental permits and licenses are obtained and their operational and reporting requirements are complied with.

## Production and Quality Management

A quality management system following the Good Distribution Practices (GDP rules) of Active Pharmaceutical Ingredients is established covering all the aspects of the worldwide distribution of products. Regular audits are performed to evaluate the efficiency and fulfilling of the quality system. Process controls to provide reproducible product quality are established. There are preventive maintenance procedures to ensure plant reliability and the lowest risk of failure. Staff is trained periodically about GMP and GDP rules. Procedures are established and installations are designed to avoid cross contamination. Batch and analytical records are kept for inspection and audit purposes for suitable periods according guidelines.

## Research and Development

Research and development staff education is appropriate to their functional activity and they are trained to develop, optimize and scale-up the processes. Intellectual property is respected and know-how protected. Development of manufacturing processes reflects the principles of the Green Chemistry according to the American Chemical Society Green Chemistry Institute. Animal testing is not used unless alternatives are not scientifically valid or accepted by regulators. If animal testing is carried out, animals are treated so that pain and stress are minimized.

# Terms and Conditions of Sales

All orders placed by a buyer are accepted and all contracts are made subject to the terms which shall prevail and be effective notwithstanding any variations or additions contained in any order or other document submitted by the buyer. No modification of these terms shall be binding upon Iris Biotech GmbH unless made in writing by an authorised representative of Iris Biotech GmbH.

## Placing of Orders

Every order made by the buyer shall be deemed an offer by the buyer to purchase products from Iris Biotech GmbH and will not be binding on Iris Biotech GmbH until a duly authorised representative of Iris Biotech GmbH has accepted the offer made by the buyer. Iris Biotech GmbH may accept orders from commercial, educational or government organisations, but not from private individuals and Iris Biotech GmbH reserves the right to insist on a written order and/or references from the buyer before proceeding.

There is no minimum order value. At the time of acceptance of an order Iris Biotech GmbH will either arrange prompt despatch from stock or the manufacture/acquisition of material to satisfy the order. In the event of the latter Iris Biotech GmbH will indicate an estimated delivery date. In addition to all its other rights Iris Biotech GmbH reserves the right to refuse the subsequent cancellation of the order if Iris Biotech GmbH expects to deliver theproduct on or prior to the estimated delivery date. Time shall not be of the essence in respect of delivery of the products. If Iris Biotech GmbH is unable to deliver any products by reason of any circumstances beyond its reasonable control („Force Majeure“) then the period for delivery shall be extended by the time lost due to such Force Majeure. Details of Force Majeure will be forwarded by Iris Biotech GmbH to the buyer as soon as reasonably practicable.

## Prices, Quotations and Payments

Prices are subject to change. For the avoidance of doubt, the price advised by Iris Biotech GmbH at the time of the buyer placing the order shall supersede any previous price indications. The buyer must contact the local office of Iris Biotech GmbH before ordering if further information is required. Unless otherwise agreed by the buyer and Iris Biotech GmbH, the price shall be for delivery ex-works. In the event that the buyer requires delivery of the products otherwise than ex-works the buyer should contact the local office of Iris Biotech GmbH in order to detail its requirements. Iris Biotech GmbH shall, at its discretion, arrange the buyer‘s delivery requirements including, without limitation, transit insurance, the mode of transit (Iris Biotech GmbH reserves the right to vary the mode of transit if any regulations or other relevant considerations so require) and any special packaging requirements (including cylinders). For the avoidance of doubt all costs of delivery and packaging in accordance with the buyer‘s requests over and above that of delivery in standard packaging ex-works shall be for the buyer‘s account unless otherwise agreed by both parties. Incoterms 2020 shall apply. Any tax, duty or charge imposed by governmental authority or otherwise and any other applicable taxes, duties or charges shall be for the buyer‘s account. Iris Biotech GmbH may, on request and where possible, provide quotations for multiple packs or bulk quantities, and non-listed items. Irrespective of the type of request or means of response all quotations must be accepted by the buyer without condition and in writing before an order will be accepted by Iris Biotech GmbH. Unless agreed in writing on different terms, quotations are valid for 30 days from the date thereof. Payment terms are net 30 days from invoice date unless otherwise agreed in writing. Iris Biotech GmbH reserves the right to request advance payment at its discretion. For overseas transactions the buyer shall pay all the banking charges of Iris Biotech GmbH. The buyer shall not

be entitled to withhold or set-off payment for the products for any reason whatsoever. Government/ Corporate Visa and MasterCard (and other such credit cards) may be accepted on approved accounts for payment of the products. Personal credit cards are not acceptable. Failure to comply with the terms of payment of Iris Biotech GmbH shall constitute default without reminder. In these circumstances Iris Biotech GmbH may (without prejudice to any other of its rights under these terms) charge interest to accrue on a daily basis at the rate of 2% per month from the date upon which payment falls due to the actual date of payment (such interest shall be paid monthly). If the buyer shall fail to fulfil the payment terms in respect of any invoice of Iris Biotech GmbH Iris Biotech GmbH may demand payment of all outstanding balances from the buyer whether due or not and/or cancel all outstanding orders and/or decline to make further deliveries or provision of services except upon receipt of cash or satisfactory securities. Until payment by the buyer in full of the price and any other monies due to Iris Biotech GmbH in respect of all other products or services supplied or agreed to be supplied by Iris Biotech GmbH to the buyer (including but without limitation any costs of delivery) the property in the products shall remain vested in Iris Biotech GmbH.

## Shipping, Packaging and Returns

The buyer shall inspect goods immediately on receipt and inform Iris Biotech GmbH of any shortage or damage within five days. Quality problems must be notified within ten days of receipt. Goods must not be returned without prior written authorisation of Iris Biotech GmbH. Iris Biotech GmbH shall at its sole discretion replace the defective products (or parts thereof) free of charge or refund the price (or proportionate price) to buyer. Opened or damaged containers cannot be returned by the buyer without the written prior agreement of Iris Biotech GmbH. In the case of agreed damaged containers which cannot be so returned, the buyer assumes responsibility for the safe disposal of such containers in accordance with all applicable laws.

## Product Quality, Specifications and Technical Information

Products are analysed in the Quality Control laboratories of Iris Biotech GmbH's production partners by methods and procedures which Iris Biotech GmbH considers appropriate. In the event of any dispute concerning reported discrepancies arising from the buyer's analytical results, determined by the buyer's own analytical procedures, Iris Biotech GmbH reserves the right to rely on the results of own analytical methods of Iris Biotech GmbH. Certificates of Analysis or Certificates of Conformity are available at the discretion of Iris Biotech GmbH for bulk orders but not normally for prepack orders. Iris Biotech GmbH reserves the right to make a charge for such certification. Specifications may change and reasonable variation from any value listed should not form the basis of a dispute. Any supply by Iris Biotech GmbH of bespoke or custom product for a buyer shall be to a specification agreed by both parties in writing. Technical information, provided orally, in writing, or by electronic means by or on behalf of Iris Biotech GmbH, including any descriptions, references, illustrations or diagrams in any catalogue or brochure, is provided for guidance purposes only and is subject to change.

## Safety

All chemicals should be handled only by competent, suitably trained persons, familiar with laboratory procedures and potential chemical hazards. The burden of safe use of the products of Iris Biotech GmbH vests in the buyer. The buyer assumes all responsibility for warning his employees, and any persons who might reasonably be expected to come into contact with the products, of all risks to person and property in any way connected with the products and for instructing them in their safe handling and use. The buyer also assumes the responsibility for the safe disposal of all products in accordance with all applicable laws.

## Uses, Warranties and Liabilities

All products of Iris Biotech GmbH are intended for laboratory research purposes and unless otherwise stated on product labels, in the catalogue and product information sheet of Iris Biotech GmbH or in other literature furnished to the buyer, are not to be used for any other purposes, including but not limited to use as or as components in drugs for human or animal use, medical devices, cosmetics, food additives, household chemicals, agricultural or horticultural products or pesticides. Iris Biotech GmbH offers no warranty regarding the fitness of any product for a particular purpose and shall not be responsible for any loss or damage whatsoever arising there from. No warranty or representation is given by Iris Biotech GmbH that the products do not infringe any letters patent, trademarks, registered designs or other industrial rights. The buyer further warrants to Iris Biotech GmbH that any use of the products in the United States of America shall not result in the products becoming adulterated or misbranded within the meaning of the Federal Food, Drug and Cosmetic Act (or such equivalent legislation in force in the buyer's jurisdiction) and shall not be materials which may not, under sections 404, 505 or 512 of the Act, be introduced into interstate commerce. The buyer acknowledges that, since the products of Iris Biotech GmbH are intended for research purposes, they may not be on the Toxic Substances Control Act 1976 („TSCA") inventory. The buyer warrants that it shall ensure that the products are approved for use under the TSCA (or such other equivalent legislation in force in the buyer's jurisdiction), if applicable. The buyer shall be responsible for complying with any legislation or regulations governing the use of the products and their importation into the country of destination (for the avoidance of doubt to include, without limitation, the TSCA and all its amendments, all EINECS, ELINCS and NONS regulations). If any licence or consent of any government or other authority shall be required for the acquisition, carriage or use of the products by the buyer the buyer shall obtain the same at its own expense and if necessary produce evidence of the same to Iris Biotech GmbH on demand. Failure to do so shall not entitle the buyer to withhold or delay payment. Any additional expenses or charges incurred by Iris Biotech GmbH resulting from such failure shall be for the buyer's account. Save for death or personal injury caused by negligence of Iris Biotech GmbH, sole obligation of Iris Biotech GmbH and buyer's exclusive remedy with respect to the products proved to the satisfaction of Iris Biotech GmbH to be defective or products incorrectly supplied shall be to accept the return of said products to Iris Biotech GmbH for refund of the actual purchase price paid by the buyer (or proportionate part thereof), or replacement of the defective product (or part thereof) with alternative product. Iris Biotech GmbH shall have no liability to the buyer under or arising directly or indirectly out of or otherwise in connection with the supply of products by Iris Biotech GmbH to the buyer and/or their re-sale or use by the buyer or for any product, process or services of the buyer which in any way comprises the product in contract tort (including negligence or breach of statutory duty) or otherwise for pure economic loss, loss of profit, business, reputation, depletion of brand, contracts, revenues or anticipated savings or for any special indirect or consequential damage or loss of any nature except as may otherwise be expressly provided for in these terms. All implied warranties, terms and representations in respect of the products (whether implied by statute or otherwise) are excluded to the fullest extent permitted by law. The buyer shall indemnify Iris Biotech GmbH for and against any and all losses, damages and expenses, including legal fees and other costs of defending any action, that Iris Biotech GmbH may sustain or incur as a result of any act or omission by the buyer, its officers, agents or employees, its successors or assignees, its customers or all other third parties, whether direct or indirect, in connection with the use of any product. For the avoidance of doubt and in the event that Iris Biotech GmbH supplies bespoke or custom product to the buyer's design or specification, this indemnity shall extend to include any claim by a third party that the manufacture of the product for the buyer or the use of the product by the buyer infringes the intellectual property rights of any third party.

## General

Iris Biotech GmbH shall be entitled to assign or sub-contract all or any of its rights and obligations hereunder. The buyer shall not be entitled to assign, transfer, sub-contract or otherwise delegate any of its rights or obligations hereunder. Any delay or forbearance by Iris Biotech GmbH in exercising any right or remedy under these terms shall not constitute a waiver of such right or remedy. If any provision of these terms is held by any competent authority to be invalid or unenforceable in whole or in part the validity of the other provisions of these terms and the remainder of the provision in question shall not be affected. These terms shall be governed by German Law and the German Courts shall have exclusive jurisdiction for the hearing of any dispute between the parties save in relation to enforcement where the jurisdiction of the German Courts shall be non-exclusive.

# Get in Contact

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# Distribution Partners

The list contains the current distributors of Iris Biotech in different regions of the world. The latest list of distribution partners and contact details is available at: *www.iris-biotech.de/distribution-partner*

## China:

**Chengdu Yoo Technology Co., Ltd.**

## Japan:

**BizCom Japan, Inc.**

**Shigematsu & Co., Ltd**

**Cosmo Bio Co., Ltd.**

## USA & Canada:

**Peptide Solutions LLC**

## India, Bangladesh, Oman, Sri Lanka, United Arab Emirates:

**Sumit Biosciences Pvt Ltd.**

# Empowering Peptide Innovation