Inhibitors

Screening Libraries · Proteins

# **Click Chemistry**

In 2001, Sharpless and his colleagues put forward the concept of "Click Chemistry" for the first time, that is, to rapidly synthesize



Figure. 1 The "click" in click chemistry[1]

useful new compounds through heteroatom linking (C - X - C)[2]. Bertozzi developed click chemistry in a new dimension i.e. its applications in the biomedical field. MCE can provide 1000+ click chemistry-related products, including Azide, Alkyne, DBCO, BCN, TCO and Tetrazine reagent types. We support the development of scientific research career by providing high quality products and services.

### Three Types of Click Chemistry Reactions and Representative Reactions

Copper(I)-catalyzed azide-alkyne cycloaddition (CuAAC)

Alkyne

Azide

Inverse-electron-demand Diels-Alder (iEDDA)

Strain-promoted alkyne-azide cycloaddition (SPAAC)

$$N_{z}^{\dagger}N_{z}^{\dagger}$$
 + Or  $N_{z}^{\dagger}N_{z}^{\dagger}$  + Azide BCN Azide DBCO

### Applications of Click Chemistry in Biomedical Field

### Fluorescence Imaging

In the iEDDA reaction, innate target proteins (TOI) in living cells can be visualized through the treatment of a TCO-ligand conjugate and Tz containing fluorophores (FLTz)[3].

### Targeted Drug Delivery

The fast "second-order reaction rate constant", simplicity and orthogonality of click chemistry can be exploited for polymer synthesis or positional modification of biological ligands during drug carrier development, such as targeted delivery of drug-loaded nanoparticles[3].

### ADC and PROTAC

Click chemistry is applied to ADC synthesis such as ADCT-601<sup>[4]</sup>. It has also been applied to PROTAC molecular synthesis for linking ligands at both ends of the linker [5].

Click chemistry can also be used to develop molecular tools to understand disease diagnosis and therapeutic monitoring[3]. For example, Lee et al. reported a microfluidic system combining iEDDA-type click chemistry and miniaturized NMR (µNMR)[3].

| MCE Products |                                    |                                      |            |
|--------------|------------------------------------|--------------------------------------|------------|
| Types        | Name                               | Research Area                        | Cat. No.   |
| Azide        | NAI-N3                             | RNA structure probe                  | HY-103006  |
|              | L-Azidohomoalanine (hydrochloride) | PROTAC synthesis                     | HY-140346A |
|              | Biotin-azide                       | Biotin labeling                      | HY-129832  |
|              | Ac4ManNAz                          | Targeted drug delivery               | HY-118297  |
| Alkynes      | 5-Ethynyl-2'-deoxyuridine          | PROTAC synthesis                     | HY-118411  |
|              | Alkyne tyramide                    | Ascorbate Peroxidase 2 (APEX2) Probe | HY-131442  |
| DBCO         | DBCO-(PEG)3-VC-PAB-MMAE            | ADC synthesis                        | HY-111012  |
|              | DBCO-PEG4-Biotin                   | Biotin labeling                      | HY-130809  |
|              | DBCO-PEG4-NHS ester                | PROTAC synthesis                     | HY-140272  |
| тсо          | TCO-PEG4-VC-PAB-MMAE               | ADC synthesis                        | HY-148057  |
|              | TCO-NHS ester                      | PROTAC synthesis                     | HY-141165  |
| Tetrazine    | Methyltetrazine-acid               | PROTAC synthesis                     | HY-141263  |
|              | Tetrazine-Ph-NHCO-C3-NHS ester     | PROTAC synthesis                     | HY-133479  |
|              | Tetrazine-Ph-acid                  | PROTAC synthesis                     | HY-124480  |
| BCN          | BCN-PEG3-Biotin                    | ADC synthesis                        | HY-130924  |
|              | endo-BCN-PEG3-mal                  | PROTAC synthesis                     | HY-133400  |

### Our advantages regarding click chemistry services:

• Shorter synthesis cycle

· High quality products

· Short lead time

· Professional technical service

• Rich experience in the synthesis of novel and complex compounds

### References:

[1] Chem. Rev. 2021, 121, 12, 6697–6698. [3] Chem Sci. 2019 Aug 16;10(34):7835-7851. [5] J Med Chem. 2018 Jan 25;61(2):453-461.

[2] Angew Chem Int Ed Engl. 2001 Jun 1;40(11):2004-2021. [4] Mol Cancer Ther. 2022 Apr 1;21(4):582-593.

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