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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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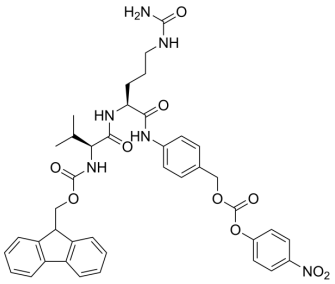
Fmoc-Val-Cit-PAB-PNP

Cat. No.:	HY-41189
CAS No.:	863971-53-3
Molecular Formula:	$C_{40}H_{42}N_6O_{10}$
Molecular Weight:	766.8
Sequence Shortening:	Fmoc-V-Cit-PAB-PNP
Target:	ADC Linker
Pathway:	Antibody-drug Conjugate/ADC Related
Storage:	-20°C, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 40 mg/mL (52.16 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.3041 mL	6.5206 mL	13.0412 mL
5 mM	0.2608 mL	1.3041 mL	2.6082 mL
10 mM	0.1304 mL	0.6521 mL	1.3041 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 5.25 mg/mL (6.85 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Fmoc-Val-Cit-PAB-PNP is a cleavable ADC linker used in the synthesis of antibody-drug conjugates (ADCs). Fmoc-Val-Cit-PAB-PNP has superior plasma stability comparable to that of non-cleavable linkers[1][2][3].

IC_{50} & Target		
	Protease Cleavable Linker	Cleavable Linker

In Vitro

Fmoc-Val-Cit-PAB-PNP contains peptide sequence degradable by a lysosome enzyme[1].
Cathepsin B in the lysosome cleaves the peptide bond between Cit-PAB of dipeptide linkers containing Valine (Val)-citrulline (Cit) and p-aminobenzylalcohol (PAB). When PAB and a drug are binded covalently with carbamate bonds, the drug can be released by hydrolysis after cleavage of the peptide bond between Cit-PAB. Antibody-drug conjugates (ADCs) has been developed using this mechanism[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo	Fmoc-Val-Cit-PAB-PNP linker stabilization in the mouse is an essential prerequisite for designing successful efficacy and safety studies in rodents during preclinical stages of ADC programs[3]. Conjugation site plays an important role in determining VC-PABC linker stability in mouse plasma, and that the stability of the linker positively correlates with ADC cytotoxic potency both in vitro and in vivo[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Dubowchik GM, et al. Cathepsin B-labile dipeptide linkers for lysosomal release of doxorubicin from internalizing immunoconjugates: model studies of enzymatic drug release and antigen-specific in vitro anticancer activity. Bioconjug Chem. 2002 Jul-Aug;13(4):855-69.

[2]. Yoneda Y, et al. A cell-penetrating peptidic GRP78 ligand for tumor cell-specific prodrug therapy. Bioorg Med Chem Lett. 2008 Mar 1;18(5):1632-6.

[3]. Dorywalska M, et al. Effect of attachment site on stability of cleavable antibody drug conjugates. Bioconjug Chem. 2015 Apr 15;26(4):650-9.

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