

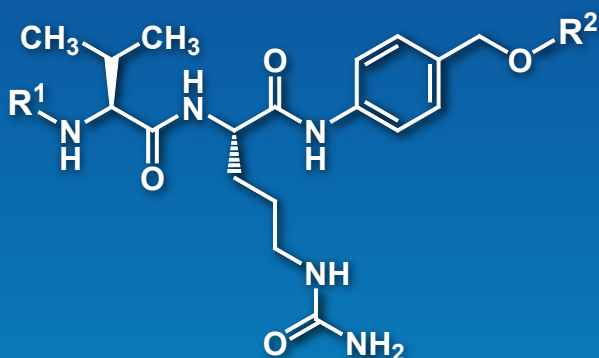
New

CHEMISTRY

LIFE SCIENCE

TCI®

Enzymatically Cleavable Linkers for Antibody-Drug Conjugates (ADCs)



$R^1 = H, R^2 = H$ [V0155]

$R^1 = Fmoc, R^2 = H$ [F1223]

$R^1 = Fmoc, R^2 = C(=O)O-pNP$ [F1114]

$R^1 = Alloc, R^2 = H$ [A3348]

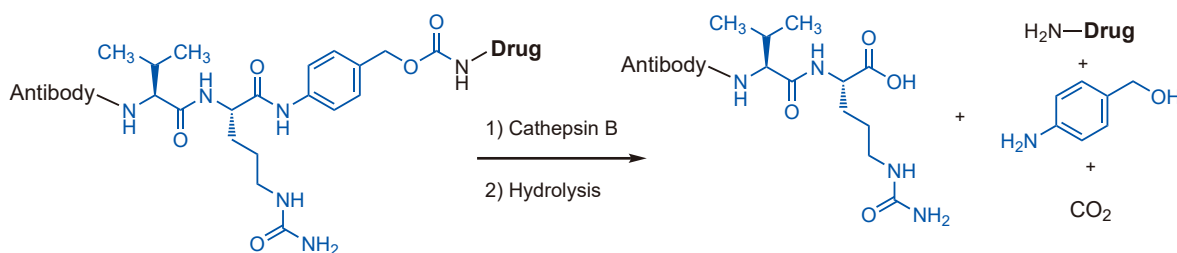
$R^1 = C(=O)(CH_2)_5\text{-maleimide}, R^2 = H$ [M3224]

Advantages

- Contain peptide sequence degradable by a lysosome enzyme
- Have superior plasma stability comparable to that of non-cleavable linkers

Applications

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.



References G. M. Dubowchik, R. A. Firestone, L. Padilla, D. Willner, S. J. Hofstead, K. Mosure, J. O. Knipe, S. J. Lasch, P. A. Trail, *Bioconjugate Chem.* **2002**, 13, 855.
Y. Yoneda, S. C. J. Steiniger, K. Čapková, J. M. Mee, Y. Liu, Gunnar, F. Kaufmann, K. D. Janda, *Bioorg. Med. Chem. Lett.* **2008**, 18, 1632.
M. Dorywalska, P. Strop, *et al*, *Bioconjugate Chem.* **2015**, 26, 650.

Val-Cit-PAB-OH

25mg / 100mg [V0155]

Fmoc-Val-Cit-PAB-OH

25mg / 100mg [F1223]

Fmoc-Val-Cit-PAB-PNP

100mg / 500mg [F1114]

Alloc-Val-Cit-PAB-OH

250mg / 1g [A3348]

MC-Val-Cit-PAB-OH

100mg / 500mg [M3224]

*TCI can offer these products on tens gram scale.

For further information please refer to our website at www.TCIchemicals.com.

linkers

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Tel : 800-423-8616 / 503-283-1681
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E-mail : Sales-US@TCIchemicals.com

TCI EUROPE N.V.

Tel : +32 (0)3 735 07 00
Fax : +32 (0)3 735 07 01
E-mail : Sales-EU@TCIchemicals.com

TCI Deutschland GmbH

Tel : +49 (0)6196 64053-00
Fax : +49 (0)6196 64053-01
E-mail : Sales-DE@TCIchemicals.com

Tokyo Chemical Industry UK Ltd.

Tel : +44 (0)1865 784560
Fax : +44 (0)1865 784561
E-mail : Sales-UK@TCIchemicals.com

TCI Chemicals (India) Pvt. Ltd.

Tel : 1800 425 7889 / 044-2262 0909
Fax : 044-2262 8902
E-mail : Sales-IN@TCIchemicals.com

梯希爱(上海)化成工业发展有限公司

Tel : 800-988-0390 / 021-67121386
Fax : 021-6712-1385
E-mail : Sales-CN@TCIchemicals.com

TOKYO CHEMICAL INDUSTRY CO., LTD.

Tel : +81 (0)3-5640-8878
Fax : +81 (0)3-5640-8902
E-mail : globalbusiness@TCIchemicals.com

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