

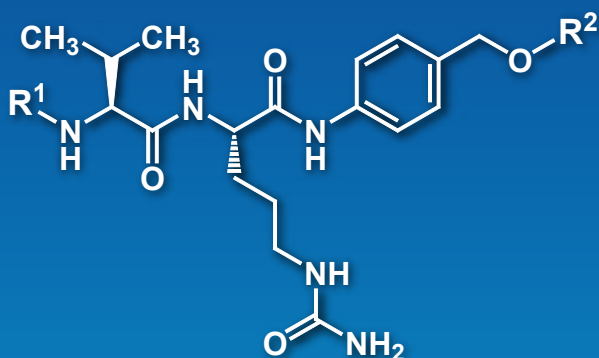
New

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# Enzymatically Cleavable Linkers for Antibody-Drug Conjugates (ADCs)



R<sup>1</sup> = H, R<sup>2</sup> = H [V0155]

R<sup>1</sup> = Fmoc, R<sup>2</sup> = H [F1223]

R<sup>1</sup> = Fmoc, R<sup>2</sup> = C(=O)O-pNP [F1114]

R<sup>1</sup> = Alloc, R<sup>2</sup> = H [A3348]

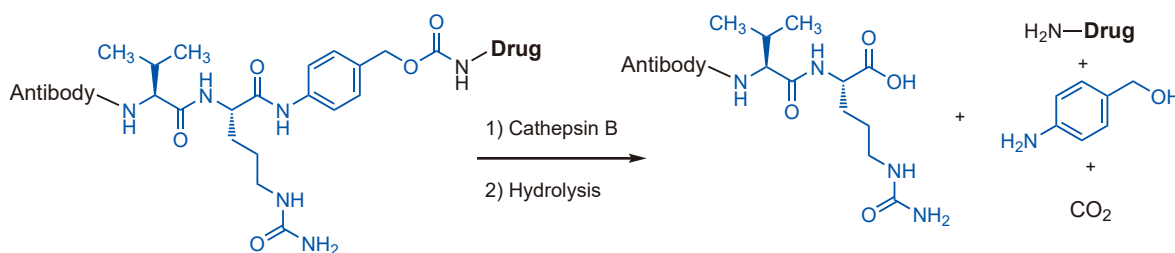
R<sup>1</sup> = C(=O)(CH<sub>2</sub>)<sub>5</sub>-maleimide, R<sup>2</sup> = H [M3224]

## Advantages

- Contain Val-Cit 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.  
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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](http://www.TCIchemicals.com).

linkers

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