

Product Data Sheet



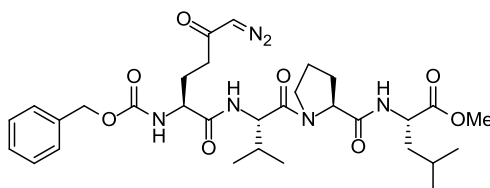
Product Number **Z006**
Revision Number **RN5.6**

Product Name Z-DON-Val-Pro-Leu-OMe, "Z-DON"
Application Site specific inhibitor of tissue transglutaminase
IC₅₀ ~ 0.02 µM^[1]
Cell permeable at 40 µM^[1]

Molecular Formula C₃₁H₄₄N₆O₈

Molecular Weight 628.72

Chemical Structure



Purity by HPLC >95 % (214 nm)

Solubility

100 µM in 2% (v/v) DMSO or 2% (v/v) Ethanol / aqueous buffers.

Pre-dissolve the complete 10 mg (adjust to the weight given on the vial) in 318 µl DMSO or EtOH (50 mM).

Stock solutions can be stored at -20°C for at least 6 months. To avoid too many freeze-thaw cycles, we strongly recommend storage of aliquots.

Take e.g. 10 µl of the 50 mM stock solution and add 90 µl DMSO (or EtOH) to obtain a 5 mM stock solution - dilute by adding 4.9 ml buffer to obtain a 100 µM working solution.

In case your assay or experimental setting does not tolerate these DMSO levels, we recommend the following procedure:

Pre-dissolve the complete 10 mg (adjust to the weight given on the vial) in 159 µl DMSO (100 mM). Make sure that the compound is dissolved properly.

Take e.g. 10 µl of the 100 mM stock solution and add 9.99 ml buffer (1:1,000) to obtain a 100 µM working solution. In our experience, the compound dissolves in Tris-buffered saline even if locally a milky precipitate may form initially.

Selectivity & Cytotoxicity^[1]

IC ₅₀ in µM						Inhibition of cellular TG2 (µM)	Cytotoxicity (µM)
hTG2	hTG1	hTG3	hTG6	FXIIIa	mouse TG2		
0.02	7.3	0.2	0.15	67	0.07	40	146

Wang *et al.*^[8] reported no toxicity toward human umbilical vein endothelial cells (HUVECs) or fibroblasts up to 750 µM during a 72-h culture period.

Crystallization

Z-DON-Val-Pro-Leu-OMe is able to trap tissue transglutaminase in the open conformation. The structure is deposited at PDB (3S3J).

Appearance

Pale yellow solid

Storage

Store at -20°C, desiccate

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Related Products
A102 Abz-APE(CAD-DNP)QEA-OH
T002 Rec. human tissue transglutaminase (His6-rhTG2)
Z011 Z-(D)-DON-Val-Pro-Leu-OMe (inactive D-isomer of Z006)
B003 Boc-DON-Gln-Ile-Val-OMe

Reference(s)
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[3] McConoughey, S. J. *et al. EMBO Mol. Med.* **2010**, *2*, 349.
[4] Lauzier, A. *et al. Arthritis Res. Ther.* **2012**, *14*, R159.
[5] Verhaar, R. *et al. Neurochem Int.* **2013**, *62*, 486.
[6] Fischer J. *et al. J. Invest. Dermatol.* **2013**, *133*, 1170.
[7] Lauzier, A. *et al. Arthritis Research & Therapy* **2012**, *14*, R159.
[8] Wang Z. *et al. Cell Death & Dis.* **2013**, *4*, e808.
[9] de Jager, M. *et al. J. Neurochem.* **2015**, *134*, 1116.
[10] de Jager, M. *et al. Neuropathol. Appl. Neurobiol.* **2015**, *Accepted manuscript*
(DOI: 10.1111/nan.12244).

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