Product Data Sheet

Product Number **Z006**
Revision Number RN6.0

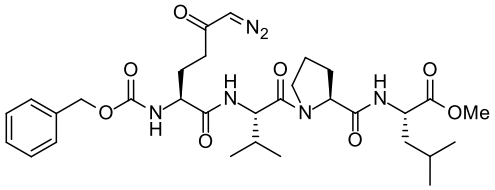
Product Name Z-DON-Val-Pro-Leu-OMe, “Z-DON”

Application Site specific inhibitor of tissue transglutaminase
IC_{50} ~ 0.02 µM[1]
Cell permeable at 40 µM[1]

Molecular Formula $C_{31}H_{44}N_6O_8$

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).

DMSO stock solutions are sterile and 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 or assay components to obtain your final assay solution (100 µM).

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/ assay components (1:1,000) to obtain your final assay solution (100 µM).
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)	Cytotoxcity (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 is able to trap tissue transglutaminase in the open conformation. The structure is deposit at PDB (3S3J).

Stability Z-DON is stable (>70%) in phosphate-buffered saline (PBS, pH 7.4, 37°C) for at least 3 days.

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Appearance	Pale yellow solid
Storage	Store at -20°C, desiccate
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)	[1] Schaertl, S. *et al. J. Biomol. Screen.* **2010**, *15*, 478. [2] Verhaar, R. *et al. Neurochem. Int.* **2011**, *58*, 785. [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.* **2016**, *42*, 255. [11] Wilhelmus, M. *et al. Sci Rep.* **2016**, *6*, 20569. [12] van der Wildt, B. *et al. Nucl. Med. Biol.* **2016**, *43*, 232. [13] Recktenwald, C. *et al. J. Biol. Chem.* **2016**, 291, 13580. [14] Singh, G. *et al. J. Biol. Chem.* **2016**, *291*, 9119. [15] Espitia Pinzon, N. *et al. Sci Rep.* **2017**, *7*, 40995. [17] Katt, P.W. *et al. Mol. Pharmaceutics* **2015**, *12*, 46-55. [18] Algarni A.S. *et al. Biochem. Pharmacol.* **2017**, *128*, 55-73. [19] Chrobok, N.L. *et al. PLoS ONE* **2018**, *13*, e0209522.
Release Date	17 December 2021
NOTE	INTENDED FOR RESEARCH USE ONLY, NOT FOR USE IN HUMAN, THERAPEUTIC OR DIAGNOSTIC APPLICATIONS.