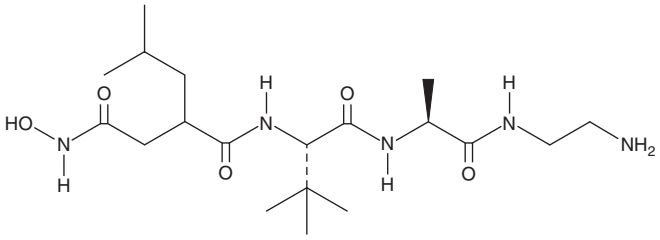
PRODUCT INFORMATION

TAPI-2

Item No. 14695

CAS Registry No.: 187034-31-7
Formal Name: N-[2-[2-(hydroxyamino)-2-oxoethyl]-4-methyl-1-oxopentyl]-3-methyl-L-valyl-N-(2-aminoethyl)-L-alaninamide
Synonym: TNF Protease Inhibitor 2
MF: $C_{19}H_{37}N_5O_5$
FW: 415.5
Purity: ≥95%
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

TAPI-2 is supplied as a crystalline solid. A stock solution may be made by dissolving the TAPI-2 in the solvent of choice, which should be purged with an inert gas. TAPI-2 is soluble in the organic solvent ethanol. It is also soluble in water. The solubility of TAPI-2 in ethanol and water is approximately 5 and 8 mg/ml, respectively. We do not recommend storing the aqueous solution for more than one day.

Description

The extracellular domains of many different types of transmembrane proteins, including growth factors, growth factor receptors and cell adhesion molecules, are proteolytically released (shed) in response to environmental cues. TNF protease inhibitor 2 (TAPI-2) is a broad-spectrum inhibitor of TNF-α converting enzyme (TACE), other ADAMs (a disintegrin and metalloproteinases), and other matrix metalloproteinases. It inhibits phorbol-12-myristate-13-acetate-induced (PMA) shedding of various cell surface proteins, such as TGF-α, β amyloid precursor protein, L-selectin, and IL-6 receptor α subunit, with an IC_{50} value of 10 µM.[1] TAPI-2 inhibits ADAM8, 10, 12, and TACE with K_i values of 10, 3, 100, and 0.12 µM, respectively.[2] In T4-2 breast cancer cells, 20 µM TAPI-2 prevented mobilization of the growth factors TGF-α and amphiregulin leading to reversion of the malignant cellular phenotype.[3]

Reference

1. Arribas, J., Coodly, L., Vollmer, P., *et al.* Diverse cell surface protein ectodomains are shed by a system sensitive to metalloprotease inhibitors. *J. Biol. Chem.* **271(19)**, 11376-11386 (1996).
2. Moss, M.L. and Rasmussen, F.H. Fluorescent substrates for the proteinases ADAM17, ADAM10, ADAM8, and ADAM12 useful for high-throughput inhibitor screening. *Anal. Biochem.* **366(2)**, 144-148 (2007).
3. Kenny, P.A. and Bissell, M.J. Targeting TACE-dependent EGFR ligand shedding in breast cancer. *J. Clin. Invest.* **117(2)**, 337-345 (2007).

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