
Product Data Sheet

Product Name: (S,S)-TAPI-1

Cat. No.: GC64535

Chemical Properties

Cas. No. 171235-71-5

Formula C₂₆H₃₇N₅O₅

M.Wt 499.6

Solubility DMSO : 25 mg/mL (50.04 mM; Need ultrasonic) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure

Background

TNF- α converting enzyme (TACE, also known as ADAM17) is a zinc metalloprotease that cleaves the membrane-bound precursor of TNF- α to give the mature soluble form of TNF- α .^{1,2} It also mediates the proteolytic release of several other cell-surface proteins, including TNF- α receptors (TNFRs). TAPI-1, known in the earlier literature as just TAPI, is an inhibitor of TACE that inhibits the cleavage of TNF- α , TNFRI (p60), and TNFRII (p80) with IC₅₀ values ranging from 5-100 μ M.^{1,3,4} It also blocks the shedding of other TACE-dependent proteins, including IL-6R and Klotho, and may inhibit metalloproteases other than TACE.^{2,5,6} TAPI-1 differs from TAPI-0 , structurally, by the presence of an aminoethyl addition at the alanyl group, and is generally more stable in tissue culture and *in vivo*.

1. Mohler, K.M., Sleath, P.R., Fitzner, J.N., et al. Protection against a lethal dose of endotoxin by an inhibitor of tumour necrosis factor processing. *Nature* 370(6486)218-220(1994) 2. Müllberg, J., Durie, F.H., Otten-Evans, C., et al. A metalloprotease inhibitor blocks shedding of the IL-6 receptor and the p60 TNF receptor. *J. Immunol.* 155(11)5198-5205(1995) 3. Crowe, P.D., Walter, B.N., Mohler, K.M., et al. A metalloprotease inhibitor blocks shedding of the 80-kD TNF receptor and TNF processing in T lymphocytes. *J. Exp.*

Caution: Product has not been fully validated for medical applications. For research use only.

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Med.181(3)1502-1210(1995) 4.Hooper, N.M., Karran, E.H., and Turner, A.J.Membrane protein secretasesBiochem. J.321(2)265-279(1997) 5.Chen, C.D., Podvin, S., Gillespie, E., et al.Insulin stimulates the cleavage and release of the extracellular domain of klotho by ADAM10 and ADAM17Proc. Natl. Acad. Sci. USA104(50)19796-19801(2007) 6.Yamamoto, K., Miyazaki, K., and Higashi, S.Pericellular proteolysis by matrix metalloproteinase-7 is differentially modulated by cholesterol sulfate, sulfatide, and cardiolipinFEBS J.281(15)3346-3356(2014)

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