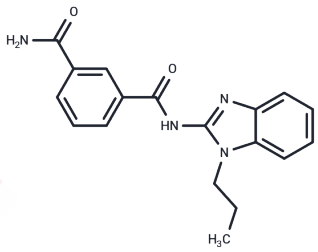
Data Sheet (Cat.No.T4264)

TargetMol

Takinib

Chemical Properties



CAS No. : 1111556-37-6

Formula: C18H18N4O2

Molecular Weight: 322.36

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Takinib (EDHS-206) is a specific and effective TAK1 inhibitor(IC50= 9.5 nM).
Targets(IC50)	Apoptosis,MAPK
In vitro	Takinib reduces phosphorylation significantly but does not influence total protein levels. Takinib inhibits phosphorylation of IKK, MAPK 8/9, and c-Jun in a dose-dependent manner. Takinib(10 mM) shows significant inhibitory activity (<10% enzyme activity after exposure) on six serine/threonine kinases, including TAK1, IRAK4, IRAK1, GCK, CLK2, and MINK1.
Kinase Assay	TAK1-TAB1 (50 ng/well) is incubated with 5 μM ATP containing radiolabeled [32P]-ATP in the presence of 300 mM substrate peptide (RLGRDKYKTLRQIRQ) in a final volume of 40 μL in the presence of buffer (containing 50 mM Tris pH 7.5, 0.1 mM EGTA, 0.1% β-Mercaptoethanol, 10 mM magnesium acetate, 0.5 mM MnCl) and indicated compounds. The reaction is let go for 10 min and stopped with 10 μL concentrated H3PO4.
Cell Research	MDA-MB-231 cells (1,000 cells/well) are seeded in a 96-well plate with 10% FBS, 5% Pen/Strep, 4 g/L glucose DMEM medium. After 24h, cells are serum starved with 1% FBS, 5% Pen/Strep, 4 g/L glucose DMEM medium for 4h. Cells are treated with titrations of Takinib in the presence or absence of 30 ng/mL TNFα. Plates at 0 h and 24 h following treatment are frozen at -80°C after removal of media. After 24 h, 100 μL ddH2O is added to each well and plates are refrozen. 1 μL from Hoechst stock [1 mg/mL in 1:4 DMSO/H2O] is dissolved in 1 mL of TNE buffer (10 mM Tris, 2 M NaCl, 1 mM Na2EDTA) and 100 μL of this solution is added to each well. The fluorescence is determined at 355/460 nm.

Solubility Information

Solubility	DMSO: 1.61 mg/mL (4.99 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.1021 mL	15.5106 mL	31.0212 mL
5 mM	0.6204 mL	3.1021 mL	6.2042 mL
10 mM	0.3102 mL	1.5511 mL	3.1021 mL
50 mM	0.062 mL	0.3102 mL	0.6204 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Totzke J, et al. Takinib, a Selective TAK1 Inhibitor, Broadens the Therapeutic Efficacy of TNF-α Inhibition for Cancer and Autoimmune Disease. Cell Chem Biol. 2017 Aug 17;24(8):1029-1039.
Da Q, Yan Z, Li Z, et al. TAK1 is involved in sodium L-lactate-stimulated p38 signaling and promotes apoptosis. Molecular and Cellular Biochemistry. 2020: 1-10
Da Q, Yan Z, Li Z, et al. TAK1 is involved in sodium L-lactate-stimulated p38 signaling and promotes apoptosis[J]. Molecular and Cellular Biochemistry. 2020: 1-10.

Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481