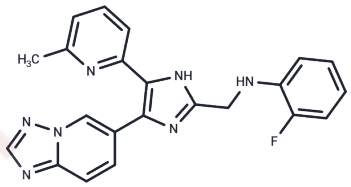
Data Sheet (Cat.No.T6496)

TargetMol

Vactosertib

Chemical Properties



CAS No. : 1352608-82-2

Formula: C22H18FN7

Molecular Weight: 399.42

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Vactosertib (EW-7197) is an orally bioavailable inhibitor of the serine/threonine kinase, transforming growth factor (TGF)-beta receptor type 1 (TGFBR1), also known as activin receptor-like kinase 5 (ALK5), with potential antineoplastic activity.
Targets(IC50)	ALK,TGF-beta/Smad
In vitro	In HaCaT (3TP-luc) and 4T1 (3TP-luc) stable cells, 12b potently inhibits the TGF-β1-induced luciferase reporter activity with IC50 of 16.5 and 12.1 nM, respectively. [1] Vactosertib inhibits TGFβ-induced Smad2 or Smad3 phosphorylation and the epithelial-to-mesenchymal transition (EMT) in TGFβ-treated breast cancer cells. In addition, Vactosertib also abrogates TGFβ1-induced tumor cell migration and invasion in breast cells. [3]
In vivo	In rats, Vactosertib shows an oral bioavailability of 51% with high systemic exposure (AUC) of 1426 ng×h/mL and maximum plasma concentration (Cmax) of 1620 ng/mL. Vactosertib also shows low toxicity on the cardiovascular system, central nervous system, and respiratory system. [1] In a mouse B16 melanoma model, Vactosertib (2.5 mg/kg daily p.o.) suppresses the progression of melanoma with enhanced cytotoxic T-lymphocyte (CTL) responses. [2] Vactosertib enhances cytotoxic T lymphocyte activity in 4T1 orthotopic-grafted mice and increased the survival time of 4T1-Luc and 4T1 breast tumor-bearing mice. [3]
Kinase Assay	Protein Kinase Assay: A radioisotopic protein kinase assay (HotSpot assay) is performed at Reaction Biology Corporation.
Cell Research	Cells are seeded in 96 well plate and treated with indicated concentrations of EW-7197 in 0.2% HI-FBS medium for 72 h. Cells are dried after incubation with 10% TCA in media. Then, cells are incubated with 0.4% SRB (Sulforhodamine B) in 1% acetic acid for 30 min. After washing with 1% glacial acetic acid, bounded dye is released in 10 mM Tris buffer (pH 10.5) for 30 min. Absorbance is measured at 570 nm. (Only for Reference)

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: 40 mg/mL (100.15 mM),Sonication is recommended. DMSO: 60 mg/mL (150.22 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.5036 mL	12.5182 mL	25.0363 mL
5 mM	0.5007 mL	2.5036 mL	5.0073 mL
10 mM	0.2504 mL	1.2518 mL	2.5036 mL
50 mM	0.0501 mL	0.2504 mL	0.5007 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.

Reference

Jin CH, et al. J Med Chem. 2014, 57(10), 4213-4238.
Kalli M, Mpekris F, Wong C K, et al. Activin A signaling regulates IL13Rα2 expression to promote breast cancer metastasis. Frontiers in Oncology. 2019 Feb 5;9:32
Yoon JH, et al. EMBO Mol Med. 2013, 5(11), 1720-1739.
Son JY, et al. Mol Cancer Ther. 2014, 13(7), 1704-1716.
Kalli M, Mpekris F, Wong C K, et al. Activin A signaling regulates IL13Rα2 expression to promote breast cancer metastasis[J]. Frontiers in oncology. 2019 Feb 5;9:32.