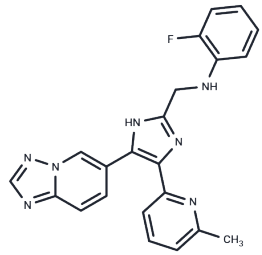
Data Sheet (Cat.No.T15262)

TargetMol

Vactosertib Hydrochloride

Chemical Properties



CAS No. : 1352610-25-3

Formula: C22H19ClFN7

Molecular Weight: 435.89

Storage: Keep away from moisture,Store at low temperature
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	Vactosertib Hydrochloride (EW-7197 Hydrochloride) is an orally active and highly efficient ATP-competitive ALK5 (activin receptor-like kinase 5) inhibitor, a TGF-β receptor I inhibitor with anti-metastatic and anticancer properties. It sensitizes pancreatic cancer cells to gemcitabine by inhibiting their viability.
Targets(IC50)	ALK,TGF-beta/Smad
In vitro	Vactosertib Hydrochloride inhibits the TGFβ-induced nuclear translocation of Smad2/3 in 4T1 cells and MCF10A cells (IC50: 10-30 nM for Vactosertib Hydrochloride on pSmad3 in 4T1 cells). Vactosertib Hydrochloride (10-1000 nM; 30 minutes; 4T1 cells) treatment blocks the TGFβ-induced phosphorylation of Smad2 or Smad3 in a dose-dependent manner in 4T1 cells. Vactosertib Hydrochloride abrogates TGFb1-induced tumor cell migration and invasion. Moreover, Vactosertib Hydrochloride abolishes the TGFβ1-induced effects on genes related to epithelial-to-mesenchymal transition (EMT)[2].
In vivo	Vactosertib Hydrochloride inhibits the epithelial-to-mesenchymal transition (EMT) in both TGFβ-treated breast cancer cells and 4T1 orthotopic-grafted mice. Vactosertib Hydrochloride (40 mg/kg; intraperitoneal injection; every other day; for 10 weeks; MMTV/c-Neu female mice) treatment inhibits Smad/TGFβ signaling, cell migration, invasion, and lung metastasis in MMTV/c-Neu mice. Vactosertib Hydrochloride enhances cytotoxic T lymphocyte activity in 4T1 orthotopic-grafted mice and increased the survival time of 4T1-Luc and 4T1 breast tumor-bearing mice[1].

Solubility Information

Solubility	H2O: 20 mg/mL (45.88 mM),Sonication is recommended. DMSO: 100 mg/mL (229.42 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 4 mg/mL (9.18 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2942 mL	11.4708 mL	22.9416 mL
5 mM	0.4588 mL	2.2942 mL	4.5883 mL
10 mM	0.2294 mL	1.1471 mL	2.2942 mL
50 mM	0.0459 mL	0.2294 mL	0.4588 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

Son JY, et al. EW-7197, a novel ALK-5 kinase inhibitor, potently inhibits breast to lung metastasis. Mol Cancer Ther. 2014 Jul;13(7):1704-16.

Naka K, et al. Novel oral transforming growth factor-β signaling inhibitor EW-7197 eradicates CML-initiating cells. Cancer Sci. 2016 Feb;107(2):140-8.