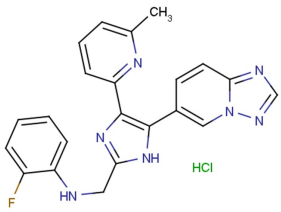
Data Sheet (Cat.No.T15262)

TargetMol
A DRUG SCREENING EXPERT

Vactosertib Hydrochloride

Chemical Properties



CAS No.:	1352610-25-3
Formula:	C22H19ClFN7
Molecular Weight:	435.88
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Vactosertib Hydrochloride is an orally active and ATP-competitive ALK5 inhibitor (IC50: 12.9 nM). It has potently antimetastatic activity and anticancer effect. Vactosertib Hydrochloride also inhibits ALK2 and ALK4 (IC50: 17.3 nM).
Targets(IC_{50})	ALK5: 12.9 nM
In vitro	Vactosertib inhibits the TGFβ-induced nuclear translocation of Smad2/3 in 4T1 cells and MCF10A cells (IC50: 10-30 nM for Vactosertib on pSmad3 in 4T1 cells). Vactosertib (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 abrogates TGFb1-induced tumor cell migration and invasion. Moreover, Vactosertib abolishes the TGFβ1-induced effects on genes related to epithelial-to-mesenchymal transition (EMT) [1].
In vivo	Vactosertib inhibits the epithelial-to-mesenchymal transition (EMT) in both TGFβ-treated breast cancer cells and 4T1 orthotopic-grafted mice. Vactosertib (40 mg/kg; i.p.; 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 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: 50 mg/mL (114.71 mM) DMSO: 50 mg/mL (114.71 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.294 mL	11.471 mL	22.942 mL
5 mM	0.459 mL	2.294 mL	4.588 mL
10 mM	0.229 mL	1.147 mL	2.294 mL
50 mM	0.046 mL	0.229 mL	0.459 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. 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.

2. 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.

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