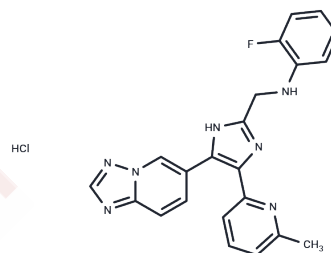


Vactosertib Hydrochloride

Chemical Properties

CAS No. :	1352610-25-3
Formula:	C ₂₂ H ₁₉ ClFN ₇
Molecular Weight:	435.89
Appearance:	no data available
Storage:	keep away from moisture,store at low temperature Powder: -20°C for 3 years In solvent: -80°C for 1 year



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(IC ₅₀)	ALK
In vitro	Vactosertib Hydrochloride inhibits the TGF β -induced nuclear translocation of Smad2/3 in 4T1 cells and MCF10A cells (IC ₅₀ : 10-30 nM for Vactosertib Hydrochloride on pSmad3 in 4T1 cells). Vactosertib Hydrochloride (10-1000 nM; 30 minutes; 4T1 cells) treatment blocks the TGF β -induced phosphorylation of Smad2 or Smad3 in a dose-dependent manner in 4T1 cells. Vactosertib Hydrochloride abrogates TGF β 1-induced tumor cell migration and invasion. Moreover, Vactosertib Hydrochloride abolishes the TGF β 1-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 TGF β -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/TGF β 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	H ₂ O: 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)
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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.

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.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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Tel:781-999-4286 E_mail:info@targetmol.com Address:36 Washington Street,Wellesley Hills,MA 02481