Product Data Sheet

Product Name: Vactosertib Hydrochloride
Cat. No.: GC37880

Chemical Properties

Cas. No.	1352610-25-3		
SMILES	CC1=CC=CC(C2=C(C3=CN4C(C=C3)=NC=N4)NC(CNC5=CC=CC=C5F)=N2)=N1.Cl		
Formula	$C_{22}H_{19}ClFN_7$	M.Wt	435.88
Solubility	Water: 50 mg/mL (114.71 mM); DMSO: 50 mg/mL (114.71 mM)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Vactosertib Hydrochloride		

Background

Vactosertib Hydrochloride (EW-7197 Hydrochloride) is a small-molecule ATP-competitive inhibitor of TGFβRI (ALK5) with an IC50 of 12.9 nM. IC50: 12.9 nM (ALK5)[1]

Kinase assays demonstrate that Vactosertib (EW-7197) is a small-molecule ATP-competitive inhibitor of TGFβRI (ALK5) with an IC50 of 12.9 nM. The IC50 values of Vactosertib against p38a is 1775 nM. Vactosertib also inhibits ACVR1B/ALK4 and the IC50 value against it is determined to be 17.3 nM. Vactosertib blocks the TGFβ-induced phosphorylation of Smad2 or Smad3 in a dose-dependent manner in 4T1 cells, and MDA-MB-231 cells. Vactosertib suppresses the TGFβ-induced nuclear translocation of Smad2/3 in 4T1 cells and MCF10A cells[1]. Vactosertib (EW-7197) treatment also dramatically reduces the colony-forming capacity of CML-MPPs in vitro in a dose-dependent manner[2].

Vactosertib (EW-7197; 40 mg/kg) treatment of MMTV/c-Neu transgenic mice significantly reduces lung metastasis by 60% compare with the control. Treatment with Vactosertib decreases the number of metastatic nodules compare with that in the Veh-treated control group by 53% and 68% (5 and 20 mg/kg). Vactosertib (0.625, 1.25, 2.5, or 5 mg/kg; five times/week) inhibits lung metastasis and increases the survival of 4T1-Luc cells, in a dose-dependent manner. Vactosertib also prolongs the survival of BALB/c mice orthotopically

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

bearing 4T1 tumors by 36% at doses of 2.5 and 5 mg/kg[1].

[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.