Web: www.taiclone.com
Tel: +886-2-2735-9682
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Vactosertib (Hydrochloride)

Catalog No: tcsc0040677

Available Sizes

Size: 2mg

Size: 5mg

Size: 10mg

Size: 25mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1352610-25-3

Formula:
$C_{22}H_{19}ClFN_7$

Pathway:
TGF-beta/Smad

Target:
TGF-β Receptor

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Alternative Names:
EW-7197 (Hydrochloride);TEW-7197 (Hydrochloride)

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Observed Molecular Weight:
435.88

Product Description

Vactosertib Hydrochloride (EW-7197 Hydrochloride) is a small-molecule ATP-competitive inhibitor of **TGFβRI** (**ALK5**) with an **IC_{50}** of 12.9 nM.

IC50 & Target: IC50: 12.9 nM (ALK5)[1]

In Vitro: Kinase assays demonstrate that Vactosertib (EW-7197) is a small-molecule ATP-competitive inhibitor of TGFβRI (ALK5) with an IC_{50} of 12.9 nM. The IC_{50} values of Vactosertib against p38a is 1775 nM. Vactosertib also inhibits ACVR1B/ALK4 and the IC_{50} 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].

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