Vactosertib

Cat. No.: HY-19928

CAS No.: 1352608-82-2

Molecular Formula: $C_{22}H_{18}FN_7$

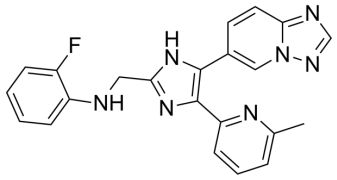
Molecular Weight: 399.42

Target: TGF-β Receptor

Pathway: TGF-beta/Smad

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (250.36 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5036 mL	12.5182 mL	25.0363 mL
	5 mM	0.5007 mL	2.5036 mL	5.0073 mL
	10 mM	0.2504 mL	1.2518 mL	2.5036 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 2.5 mg/mL (6.26 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.26 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.26 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Vactosertib (EW-7197) is a potent, orally active and ATP-competitive activin receptor-like kinase 5 (ALK5) inhibitor with an IC_{50} of 12.9 nM. Vactosertib also inhibits ALK2 and ALK4 (IC_{50} of 17.3 nM) at nanomolar concentrations. Vactosertib has potently antimetastatic activity and anticancer effect[1][2].

IC_{50} & Target

ALK5
12.9 nM (IC_{50})

In Vitro

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[1].
Vactosertib suppresses the TGFβ-induced nuclear translocation of Smad2/3 in 4T1 cells and MCF10A cells. The IC_{50} value of Vactosertib on pSmad3 in 4T1 cells is 10-30 nM[1].
Vactosertib abrogates TGFb1-induced tumor cell migration and invasion[1].
TGFβ1 downregulated the mRNA level of CDH1 and upregulated the mRNA levels of FN1, HMGA2 (high-mobility group AT-hook 2), SNAI1, and SNAI2 (Snail family zinc finger 1 and 2, respectively). Moreover, Vactosertib abolishes the TGFβ1-induced effects on genes related to epithelial-to-mesenchymal transition (EMT)[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	4T1 cells
Concentration:	10 nM, 30 μM, 50 nM, 100 μM, 300 nM, 500 nM, 1000 nM
Incubation Time:	30 minutes
Result:	Blocked the TGFb-induced phosphorylation of Smad2 or Smad3 in a dose-dependent manner.

In Vivo

Vactosertib (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[1].
Vactosertib also inhibits the epithelial-to-mesenchymal transition (EMT) in both TGFβ-treated breast cancer cells and 4T1 orthotopic-grafted mice. Furthermore, 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].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Mammary tumor virus (MMTV)/c-Neu female mice (32-week-old)[1]
Dosage:	40 mg/kg
Administration:	Intraperitoneal injection; every other day; for 10 weeks
Result:	Inhibited Smad/TGFβ signaling, cell migration, invasion, and lung metastasis in MMTV/c-Neu mice.

CUSTOMER VALIDATION

- Cell Discov. 2022 Sep 20;8(1):94.
- Cancers. 2020 Jun 30;12(7):1737.
- Research Square Preprint. 2023 Jul 17.

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REFERENCES

[1]. Son JY et al. EW-7197, a novel ALK-5 kinase inhibitor, potently inhibits breast to lung metastasis, 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.

Caution: Product has not been fully validated for medical applications. For research use only.

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