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Zuschläge

- Mindermengenzuschlag
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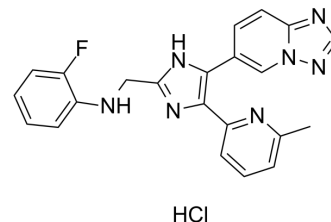
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Vactosertib Hydrochloride

Cat. No.:	HY-19928A
CAS No.:	1352610-25-3
Molecular Formula:	C ₂₂ H ₁₉ ClFN ₇
Molecular Weight:	435.88
Target:	TGF-β Receptor
Pathway:	TGF-beta/Smad
Storage:	-20°C, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

H₂O : 50 mg/mL (114.71 mM; Need ultrasonic)
DMSO : 50 mg/mL (114.71 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	2.2942 mL	11.4710 mL	22.9421 mL
	5 mM	0.4588 mL	2.2942 mL	4.5884 mL
	10 mM	0.2294 mL	1.1471 mL	2.2942 mL

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

In Vivo

- Add each solvent one by one: PBS
Solubility: 65 mg/mL (149.12 mM); Clear solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.5 mg/mL (5.74 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (5.74 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (5.74 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Vactosertib Hydrochloride (EW-7197 Hydrochloride) is a potent, orally active and ATP-competitive activin receptor-like kinase 5 (ALK5) inhibitor with an IC₅₀ of 12.9 nM. Vactosertib Hydrochloride also inhibits ALK2 and ALK4 (IC₅₀ of 17.3 nM) at nanomolar concentrations. Vactosertib Hydrochloride has potently antimetastatic activity and anticancer effect^{[1][2]}.

IC₅₀ & Target

ALK5
12.9 nM (IC₅₀)

In Vitro

Vactosertib (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^[1].

Vactosertib suppresses the TGF β -induced nuclear translocation of Smad2/3 in 4T1 cells and MCF10A cells. The IC₅₀ value of Vactosertib on pSmad3 in 4T1 cells is 10-30 nM^[1].

Vactosertib abrogates TGF β 1-induced tumor cell migration and invasion^[1].

TGF β 1 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 TGF β 1-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 μ M, 50 nM, 100 μ M, 300 nM, 500 nM, 1000 nM
Incubation Time:	30 minutes
Result:	Blocked the TGF β -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/TGF β signaling, cell migration, invasion, and lung metastasis in MMTV/c-Neu mice^[1].

Vactosertib also inhibits the epithelial-to-mesenchymal transition (EMT) in both TGF β -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/TGF β 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.

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REFERENCES

[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.

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

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