Product Datasheet

EW-7197

Catalog No. **BP-01874** · CAS **1352608-82-2** · Form **free base** · Physical state **solid** · Color **Off-white to yellow** · Version **1.0** · Revision **2026-09-07**

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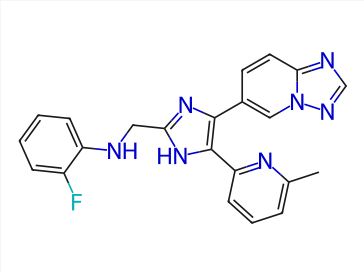
Target	Research area
TGF-β Receptor	**Cancer**

Molecular formula	$C_{22}H_{18}FN_7$
Molecular weight	**399.4236**

STRUCTURE



DESCRIPTION

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

BIOLOGICAL ACTIVITY

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.

? Vactosertib suppresses the TGFβ-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.

? Vactosertib abrogates TGFb1-induced tumor cell migration and invasion.

? 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).

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.

? 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.

SOLUBILITY

Soluble in DMSO

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.

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