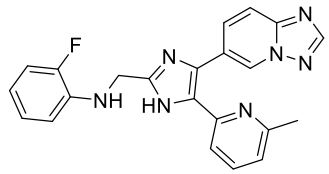
Product data sheet

MedKoo Cat#: 558278 Name: Vactosertib CAS#: 1352608-82-2 Chemical Formula: $C_{22}H_{18}FN_7$ Exact Mass: 399.16077 Molecular Weight: 399.42	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Vactosertib, also known as TEW-7197 is a potent ALK5 inhibitor. TEW-7197 showed potent in vivo anti-metastatic activity, indicating its potential for use as an anti-cancer therapy. EW-7197 inhibits TGF-β/Smad signaling. EW-7197 abrogates TGF-β1-induced tumor cell migration and invasion. EW-7197 inhibits breast cancer metastasis to the lung.EW-7197 prolongs the life span of BALB/c 4T1 mice via inhibition of EMT. EW-7197 inhibits metastasis and enhances the activity of cytotoxic T lymphocytes (CTLs) in 4T1 orthotopic grafted mice.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	80.0	200.0
Water	0.1	0.25

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.50 mL	12.52 mL	25.04 mL
5 mM	0.50 mL	2.50 mL	5.01 mL
10 mM	0.25 mL	1.25 mL	2.50 mL
50 mM	0.05 mL	0.25 mL	0.50 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Kim MJ, Park SA, Kim CH, Park SY, Kim JS, Kim DK, Nam JS, Sheen YY. TGF-β Type I Receptor Kinase Inhibitor EW-7197 Suppresses Cholestatic Liver Fibrosis by Inhibiting HIF1α-Induced Epithelial Mesenchymal Transition. Cell Physiol Biochem. 2016;38(2):571-88. doi: 10.1159/000438651. Epub 2016 Feb 5. PMID: 26845171.
2. Park SA, Kim MJ, Park SY, Kim JS, Lim W, Nam JS, Yhong Sheen Y. TIMP-1 mediates TGF-β-dependent crosstalk between hepatic stellate and cancer cells via FAK signaling. Sci Rep. 2015 Nov 9;5:16492. doi: 10.1038/srep16492. PMID: 26549110; PMCID: PMC4637930.

In vivo study

1. Song KM, Chung DY, Choi MJ, Ghatak K, Minh NN, Limanjaya A, Kwon MH, Ock J, Yin GN, Kim DK, Ryu JK, Suh JK. Vactosertib, a Novel, Orally Bioavailable Activin Receptor-Like Kinase 5 Inhibitor, Promotes Regression of Fibrotic Plaques in a Rat Model of Peyronie's Disease. World J Mens Health. 2020 Oct;38(4):552-563. doi: 10.5534/wjmh.190071. Epub 2019 Aug 27. PMID: 31496148; PMCID: PMC7502315.

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2. Son JY, Park SY, Kim SJ, Lee SJ, Park SA, Kim MJ, Kim SW, Kim DK, Nam JS, Sheen YY. EW-7197, a novel ALK-5 kinase inhibitor, potently inhibits breast to lung metastasis. Mol Cancer Ther. 2014 Jul;13(7):1704-16. doi: 10.1158/1535-7163.MCT-13-0903. Epub 2014 May 9. PMID: 24817629.

7. Bioactivity

Biological target:

Vactosertib (EW-7197) is an ATP-competitive activin receptor-like kinase 5 (ALK5) inhibitor with an IC50 of 12.9 nM and also inhibits ALK2 and ALK4 (IC50 of 17.3 nM) at nanomolar concentrations.

In vitro activity

These data indicated that EW-7197 inhibited the growth of HCC cells (Figs. 1A,C) without cytotoxicity. This study compared the activity of EW-7197 with those of other ALK5 inhibitors in SK-HEP1-Lux cells using a reporter gene assay. The assessment of TGF-β1-induced luciferase activity indicated that EW-7197 exerted a more potent inhibitory effect than the other ALK5 inhibitors (Supplementary Fig. S2A). Immunofluorescence and Western blot analysis showed that EW-7197 inhibited the TGF-β1-induced phosphorylation of Smad3 in SK-HEP1, SNU354, HepG2, and Huh7 cells in vitro (Supplementary Figs. S2B and S2C).

Reference: Sci Rep. 2015; 5: 16492. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC4637930/

In vivo activity

Repeated intratunical injections of fibrin induced fibrotic scarring in the TA. PD rats treated with Vactosertib showed a remarkable regression of fibrotic plaques and improved the disorganization of collagen distribution (Fig. 2). H&E and immunohistochemical staining for vimentin revealed infiltration of lymphocytes and fibroblasts in fibrotic plaques of the vehicle-treated PD rats. Oral administration of Vactosertib significantly decreased inflammatory cell infiltration (Fig. 3A).

Reference: World J Mens Health. 2020 Oct; 38(4): 552–563. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7502315/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.