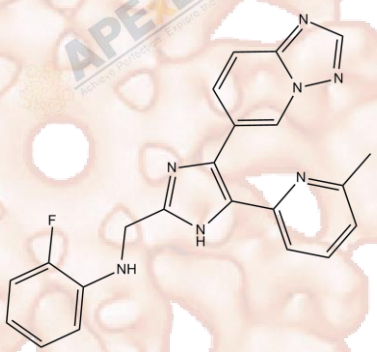
Product Data Sheet

EW-7197



Cat. No.:	B5946
CAS No.:	1352608-82-2
Formula:	C22H18FN7
M.Wt:	399.42
Synonyms:	
Target:	TGF-β / Smad Signaling
Pathway:	
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

⩾39.9 mg/mL in DMSO; insoluble in H2O; ⩾4.55 mg/mL in EtOH with ultrasonic

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
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.

Biological Activity

Shortsummary	Selective inhibitor of TGF-β type I receptor kinase
IC_{50} & Target	

In Vitro

Cell Viability Assay

Cell Line:	HaCaT (3TP-luc) and 4T1 (3TP-luc) stable cells, TGFβ-treated breast cancer cells
Preparation method:	Soluble in DMSO. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions:	96 hours

	Applications:	In HaCaT (3TP-luc) and 4T1 (3TP-luc) stable cells, EW-7197 potently inhibited the TGF-β1-induced luciferase reporter activity with IC50 of 16.5 and 12.1 nM, respectively. EW-7197 inhibited TGFβ-induced Smad2 or Smad3 phosphorylation and the epithelial-to-mesenchymal transition (EMT) in TGFβ-treated breast cancer cells. EW-7197 abrogated TGFβ1-induced tumor cell migration and invasion in breast cells.
In Vivo	**Animal experiment**	
	Animal models:	Mouse mammary tumor virus (MMTV)/c-Neu mice and 4T1 orthotopic–grafted mice
	Dosage form:	intraperitoneal injection, 40 mg/kg, three times per week for 10 weeks
	Applications:	EW-7197 inhibited Smad/TGFβ signaling, cell migration, invasion, and lung metastasis in MMTV/c-Neu mice and 4T1 orthotopic–grafted mice. EW-7197 inhibited the epithelial-to-mesenchymal transition (EMT) in 4T1 orthotopic–grafted mice. EW -7197 enhanced cytotoxic T lymphocyte activity in 4T1 orthotopic–grafted mice and increased the survival time of 4T1-Luc and 4T1 breast tumor–bearing mice.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Wei HJ, Pareek TK, et al. "A unique tolerizing dendritic cell phenotype induced by the synthetic triterpenoid CDDO-DFPA (RTA-408) is protective against EAE." Sci Rep. 2017 Aug 29;7(1):9886.PMID:28851867

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References

[1]. Son J Y, Park S Y, Kim S J, et al. EW-7197, a novel ALK-5 kinase inhibitor, potently inhibits breast to lung metastasis[J]. Molecular cancer therapeutics, 2014, 13(7): 1704-1716.

[2]. Jin C H, Krishnaiah M, Sreenu D, et al. Discovery of N-((4-([1, 2, 4] Triazolo [1, 5-a] pyridin-6-yl)-5-(6-methylpyridin-2-yl)-1 H-imidazol-2-yl) methyl)-2-fluoroaniline (EW-7197): A Highly Potent, Selective, and Orally Bioavailable Inhibitor of TGF-β Type I Receptor Kinase as Cancer Immunotherapeutic/Antifibrotic Agent[J]. Journal of medicinal chemistry, 2014, 57(10): 4213-4238.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage

temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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