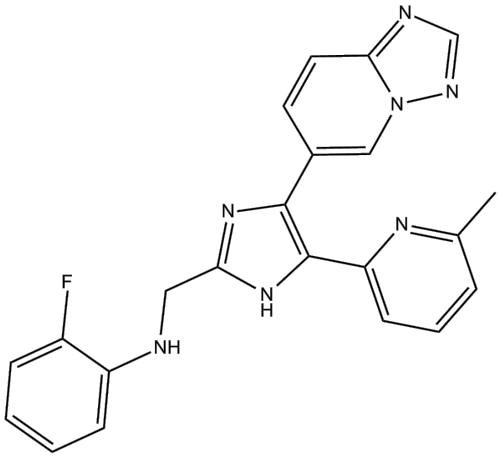
Product Data Sheet

Product Name: EW-7197
Cat. No.: GC13354

Chemical Properties

Cas. No.	1352608-82-2		
Chemical Name	N-((4-([1,2,4]triazolo[1,5-a]pyridin-6-yl)-5-(6-methylpyridin-2-yl)-1H-imidazol-2-yl)methyl)-2-fluoroaniline		
SMILES	CC1=NC(C2=C(N=C(N2)CNC3=CC=CC=C3F)C(C=C4)=CN5C4=NC=N5)=CC=C1		
Formula	$C_{22}H_{18}FN_7$	M.Wt	399.42
Solubility	≥ 39.9mg/mL in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Protocol

Cell experiment [1]:

Cell lines BV-2 microglial cells

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Preparation Method	The BV-2 microglial cells were pretreated with 100nM of TGF-βR1 inhibitors EW-7197 for 4h followed by the exposure of 2μM Aβ for 24h.
Reaction Conditions	100nM; 4h
Applications	Pretreatment with 100nM of EW-7197 for 4h prior to exposure of 2μM Aβ resulted in a significant attenuation in the production of proinflammatory cytokines TNF-α and IL-1β compared with the Aβ control group.

Animal experiment [2]:

Animal models	C57BL/6 male mice
Preparation Method	Mice were randomly divided into three groups (n = 6 for each group). (a) A control group received drinking water for 10 days. (b) A colitis group that received 1% (w/v) dextran sulfate sodium (DSS) in drinking water for 7 days and then normal drinking water for the next 3 days. (c) The EW-7197 treated mice received DSS 1% (w/v) from Day 1–7, and EW-7197 (5mg/kg/day; oral gavage) from Day 3–10.
Dosage form	5mg/kg/day; oral gavage; Day 3-10
Applications	EW-7197 elicited its anticolitis properties partially by regulating inflammatory gene expression as well as oxidant/antioxidant balance in colitis mice.

Caution: Product has not been fully validated for medical applications. For research use only.
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References:
[1] Tiong S Q, Mohgan R N, Quek J Y, et al. Inhibition of the Transforming Growth Factor-? Signaling Pathway Confers Neuroprotective Effects on Beta-Amyloid-Induced Direct Neurotoxicity and Microglia-Mediated Neuroinflammation. Neurol Res Int. 2025 Jan 30:2025:8948290.
[2] Binabaj M M, Asgharzadeh F, Avan A, et al. EW-7197 prevents ulcerative colitis-associated fibrosis and inflammation. J Cell Physiol. 2019 Jul;234(7):11654-11661.

Background

EW-7197 is an orally bioavailable inhibitor of the serine/threonine kinase, transforming growth factor (TGF)-beta receptor type 1 (TGFBR1), also known as activin receptor-like kinase 5 (ALK5) with IC_{50} value of 12.9nM[1]. EW-7197 exerts the anti-inflammatory and anti-fibrotic properties [2][4][5]. EW-7197 has also demonstrated robust antitumor activity across various cancer models, including pancreatic cancer and breast cancer[3][6].

In vitro, pretreated SH-SY5Y neuroblastoma cells with EW-7197 (50nM, 100nM, 150nM) for 24h performed neuroprotective effect on SH-SY5Y against Aβ-induced neurotoxicity. Pretreated BV-2 microglial cells with 100nM EW-7197 for 4h prior to exposure of 2μM Aβ resulted in a significant attenuation in the production of proinflammatory cytokines (TNF-α and IL-1β) compared with the Aβ control group. The results show that EW-7197 could be an alternative approach to selectively modulate Aβ-induced neuroinflammatory responses in microglia of Alzheimer's disease patients by targeting TGF-β signaling[2]. Pancreatic cancer cell lines MIA PaCa-2 and PANC-1 treated with EW-7197 (10μM) for 72 hours inhibited cell viability and proliferation. The therapeutic effect of EW-7197 on pancreatic cancer was significantly enhanced when applied in combination with gemcitabine (0.1μM) for an additional 48 hours[3]. Hepatocellular fibrosis was induced in human hepatic stellate cells (LX-2) and Alpha mouse liver 12 (AML12) mouse hepatocytes using TGF-β (2ng/mL). The cells were then treated with EW-7197 (0.5μM) for 24h. EW-7197 demonstrated significant anti-fibrotic effects in both LX-2 and AML12 cells, significantly reducing the expression of fibrosis markers (such as α-SMA, Col I, and p-SMAD2/3) induced by TGF-β and partially restoring the activity of Erk and Akt[4].

In vivo, EW-7197 (5mg/kg/day) administered via oral gavage in dextran sulfate sodium (DSS)-induced colitis mice for 7 days ameliorated colitis clinical symptoms and attenuated histological

damage to colon tissue by regulating inflammatory gene expression as well as oxidant/antioxidant balance in colitis mice[5]. EW-7197 (10mg/kg) or vehicle (simulated gastric fluid) was given orally five times a week for 2 weeks into rat Models of Peyronie's Disease (PD). EW-7197 induced significant regression of fibrotic plaques in PD rats in vivo through reduced infiltration of inflammatory cells and reduced expression of phospho-Smad2, which recovered erectile function[6]. EW-7197 (2.5mg/kg) were orally administered into 4T1-Luc allograft BALB/c syngeneic mouse model for two weeks to investigate whether EW-7197 blocks radiation-induced TGF-β signaling in breast cancer. The results showed that EW-7197 treatment overcomed the limitations of radiotherapy in breast cancer treatment by inhibiting oxidative stress, EMT, cancer stem cell (CSC), and fibrosis[7].

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. Mol Cancer Ther. 2014 Jul;13(7):1704-16.
[2] Tiong S Q, Mohgan R N, Quek J Y, et al. Inhibition of the Transforming Growth Factor-β Signaling Pathway Confers Neuroprotective Effects on Beta-Amyloid-Induced Direct Neurotoxicity and Microglia-Mediated Neuroinflammation. Neurol Res Int. 2025 Jan 30:2025:8948290.
[3] Lee J E, Lee P, Yoon Y C, et al. EW-7197, TGF-β receptor I inhibitor, augments the sensitization of the anti-cancer activity of gemcitabine in pancreatic cancer. Biomed Pharmacother. 2023 Jun:162:114716.
[4] Ha K B, Lee E S, Park N W, et al. Beneficial Effects of a Curcumin Derivative and Transforming Growth Factor-β Receptor I Inhibitor Combination on Nonalcoholic Steatohepatitis. Diabetes Metab J. 2023 Jul;47(4):500-513
[5] Binabaj M M, Asgharzadeh F, Avan A, et al. EW-7197 prevents ulcerative colitis-associated fibrosis and inflammation. J Cell Physiol. 2019 Jul;234(7):11654-11661.
[6] Song K M, Chung D Y, Choi M J, et al. EW-7197, 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.
[7] Park J Y, Choi J W, Cho I Y, Sheen Y Y. Radiotherapy-induced oxidative stress and fibrosis in breast cancer are suppressed by vactosertib, a novel, orally bioavailable TGF-β/ALK5 inhibitor. Sci Rep. 2022 Sep 27;12(1):16104.