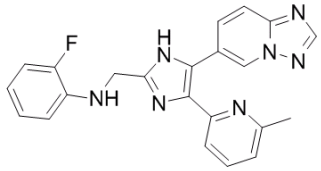
Data Sheet

Product Name:	EW–7197
Cat. No.:	HY-19928
CAS No.:	1352608-82-2
Molecular Formula:	C22H18FN7
Molecular Weight:	399.42
Target:	TGF–β Receptor
Pathway:	TGF–beta/Smad
Solubility:	10 mM in DMSO



BIOLOGICAL ACTIVITY:

EW–7197 is a highly potent, selective, and orally bioavailable TGF–β receptor ALK4/ALK5 inhibitor with IC50 of 13 nM and 11 nM, respectively.
target: ALK4/ALK5
IC 50: 13 nM for TGF–β receptor ALK4, 11 nM for TGF–β receptor ALK5. [1]
In vitro: EW–7197 inhibits TGFβ–induced Smad2 or Smad3 phosphorylation and the epithelial–to–mesenchymal transition (EMT) in TGFβ–treated breast cancer cells. In addition, EW–7197 also abrogates TGFβ1–induced tumor cell migration and invasion in breast cells. EW–7197 inhibited Smad/TGFβ signaling, cell migration, invasion, and lung metastasis in mouse mammary tumor virus. [2]
In vivo: EW–7197 inhibition downregulates Smad4 in melanoma–bearing mice. EW–7197 induces ubiquitin–mediated degradation of Smad4 in melanoma–bearing mice. In a mouse B16 melanoma model, EW–7197 (2.5 mg/kg daily p.o.) suppresses the progression of melanoma with enhanced cytotoxic T–lymphocyte (CTL) responses. EW–7197 dissolved in artificial gastric fluid formulation (vehicle; ddH2O 900 ml, conc. HCl 7 ml, NaCl 2.0 g, pepsin 3.2 g) was given orally by feeding needle to mice from 4 days after inoculation. [3]

References:

[1]. Jin CH et al. Discovery of N–((4–([1,2,4]triazolo[1,5–a]pyridin–6–yl)–5–(6–methylpyridin–2–yl)–1H–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 Med Chem, 2014 May 22, 57(10):4213–38.
[2]. Son JY et al. EW–7197, a novel ALK–5 kinase inhibitor, potently inhibits breast to lung metastasis, 2014 Jul, 13(7):1704–16.
[3]. Yoon JH et al. Activin receptor–like kinase5 inhibition suppresses mouse melanoma by ubiquitin degradation of Smad4,thereby derepressing eomesodermin in cytotoxic T lymphocytes. EMBO Mol Med, 2013 Nov, 5(11):1720–39.

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