Product Datasheet

R-268712

Catalog No. **BP-02096** · CAS **879487-87-3** · Form **free base** · Physical state **other** · Version **1.0** · Revision **2026-09-14**

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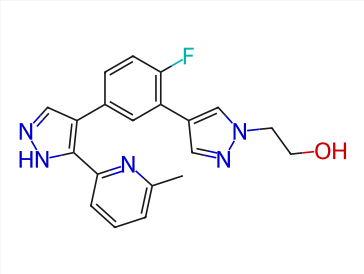
Target	Research area
TGF-β Receptor; TGF-beta/Smad; Anaplastic lymphoma kinase (ALK)	**Cardiovascular Disease; Inflammation/Immunology; Cancer**

Molecular formula	$C_{20}H_{18}FN_5O$
Molecular weight	**363.3882**

STRUCTURE



DESCRIPTION

R-268712 is an orally active and selective ALK-5 inhibitor, with an IC50 of 2.5 nM. R-268712 inhibits the phosphorylation of Smad3 in a dose-dependent manner with an IC50 of 10.4 nM. R-268712 suppresses glomerulonephritis as well as glomerulosclerosis by inhibiting TGF-β signaling, which can be used in studies of renal fibrosis and cancer .

BIOLOGICAL ACTIVITY

In Vitro

R-268712 (3, 10, 30, 100, 300 nM; 1 h) inhibits the phosphorylation of Smad3 in a dose-dependent manner with an IC50 of 10.4 nM in HFL-1 cells[1]. R-268712 (3, 10, 30, 100, 300 nM; 72 h) inhibits myofibroblast transdifferentiation (MTD) from fibroblasts in a dose-dependent manner without inhibition of cell growth in HFL-1cells.

In Vivo

R-268712 (0.3, 1, 3, 10 mg/kg; p.o.; single) shows AUC0-24 values of 0.075, 0.28, 1.6 and 8.2 μg h/mL for dosages of 0.3, 1, 3, 10 mg/kg, respectively.

R-268712 (1, 3, 10 mg/kg; p.o.; single daily for 3 days) inhibits renal luciferase activity in a dose-dependent manner in UUO model.

R-268712 (0.3, 1 mg/kg; p.o.; single daily for 33 days) shows renoprotective effects (improves and maintains renal function as well as inhibits glomerular sclerosis) on Thy1 nephritis model when at dosage of 1 mg/kg.

SOLUBILITY

Soluble in DMSO

REFERENCES

[1]. Terashima H, et al. Attenuation of pulmonary fibrosis in type I collagen-targeted reporter mice with ALK-5 inhibitors. Pulm Pharmacol Ther. 2019 Feb;54:31-38.

[2]. Terashima H, et al. R-268712, an orally active transforming growth factor-β type I receptor inhibitor, prevents glomerular sclerosis in a Thy1 nephritis model. Eur J Pharmacol. 2014 Jul 5;734:60-6.

[3]. Wang H, et al. Development of small molecule inhibitors targeting TGF-β ligand and receptor: Structures, mechanism, preclinical studies and clinical usage. Eur J Med Chem. 2020 Apr 1;191:112154.

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