R1487 Hydrochloride

Cat. No.: HY-14975

CAS No.: 449808-64-4

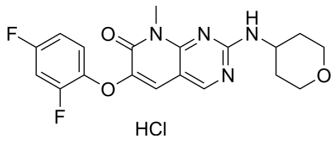
Molecular Formula: $C_{19}H_{19}ClF_2N_4O_3$

Molecular Weight: 424.83

Target: p38 MAPK; Autophagy

Pathway: MAPK/ERK Pathway; Autophagy

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 20.83 mg/mL (49.03 mM; Need ultrasonic)
H_2O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.3539 mL	11.7694 mL	23.5388 mL
5 mM	0.4708 mL	2.3539 mL	4.7078 mL
10 mM	0.2354 mL	1.1769 mL	2.3539 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.90 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.90 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

R1487 Hydrochloride is a highly potent and selective p38α inhibitor, with K_d values of 0.2 nM and 29 nM for p38α and p38β, respectively[1].

IC_{50} & Target

p38α	p38β
0.2 nM (Kd)	29 nM (Kd)

In Vitro

R1487 Hydrochloride exhibits IC_{50} values of 10 nM for p38α inhibition and 200 nM for the inhibition of TNFα induced production of IL-1β[1].
R1487 (Compounds 2a) inhibits production of TNFα by human monocytic cells (THP-1) and inhibits production of IL-1β in human whole blood (HWB) induced by LPS[1].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	R1487 (Compounds 2a, orally) demonstrates significant dose-dependent inhibition of serum TNFα and IL-1β[1]. Oral bioavailability of 10 mg/kg R1487 (Compounds 2a) in monkey, rat, and dog was 51.6%, 29.3%, 10.3%, respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Dis Model Mech. 2023 Mar 2;dmm.049769.

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REFERENCES

[1]. Goldstein DM et al. Discovery of 6-(2,4-difluorophenoxy)-2-[3-hydroxy-1-(2-hydroxyethyl)propylamino]-8-methyl-8H-pyrido[2,3-d]pyrimidin-7-one (pamapimod) and 6-(2,4-difluorophenoxy)-8-methyl-2-(tetrahydro-2H-pyran-4-ylamino)pyrido[2,3-d]pyrimidin-7(8H)-one (R1487) as orally bioavailable and highly selective inhibitors of p38α mitogen-activated protein kinase. J Med Chem. 2011 Apr 14;54(7):2255-65.

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