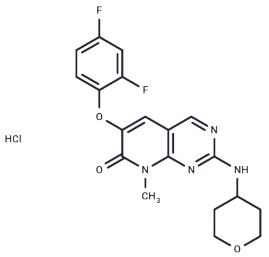
Data Sheet (Cat.No.T7162)

TargetMol

R1487 Hydrochloride

Chemical Properties



CAS No. :	449808-64-4
Formula:	C19H19ClF2N4O3
Molecular Weight:	424.83
Storage:	Store at low temperature Powder: -20°C for 3 years | In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.

Biological Description

Description	R1487 Hydrochloride is an orally available, selective and potent inhibitor of mitogen-activated protein kinase (p38α) for the study of metabolic diseases.
Targets(IC50)	Autophagy,p38 MAPK
In vitro	R1487 Hydrochloride is a highly potent and selective inhibitor of p38α with Kd values of 0.2 nM for p38α and 29 nM for p38β. R1487 Hydrochloride has an IC50 value of 10 nM for inhibition of p38α and 200 nM for inhibition of TNFα-induced IL-1β production. R1487 Hydrochloride inhibited p38α with an IC50 value of 10 nM and TNFα-induced IL-1β production with an IC50 value of 200 nM. R1487 Hydrochloride was able to inhibit TNFα production in a human monocyte cell line (THP-1) and effectively inhibited LPS-induced IL-1β production in human whole blood samples. [1]
In vivo	After oral administration of R1487 Hydrochloride, its serum levels of TNFα and IL-1β showed significant dose-dependent inhibition. At a dose of 10 mg/kg orally, the bioavailability of R1487 Hydrochloride in monkeys, mice and dogs reached 51.6%, 29.3% and 10.3%, respectively. [1]

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble) DMSO: 16 mg/mL (37.66 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.71 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0471 mL	0.2354 mL	0.4708 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.