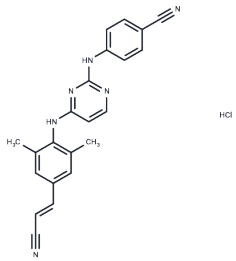
Data Sheet (Cat.No.T2330L)

TargetMol

Rilpivirine HCl

Chemical Properties



CAS No. : 700361-47-3

Formula: C22H19ClN6

Molecular Weight: 402.88

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	Rilpivirine HCl (Rilpivirine hydrochloride) is a selective and potent non-nucleoside reverse transcriptase inhibitor (NNRTI) with antiviral activity that inhibits the HIV virus and can be used in the study of HIV infections.
Targets(IC50)	MMP,SARS-CoV
In vitro	Rilpivirine HCl showed significant activity against wild-type HIV-1 (EC50=0.4 nM) and activity ranged from EC50=0.1-2.0 nM against all single and double mutants tested. no indication of breakthrough of wild-type HIV-1 at 1 μM was observed with Rilpivirine HCl in 30-day experiments at concentrations ranging from 10 to 5000 nM. Rilpivirine HCl was able to inhibit 81% of clinical isolates (approximately 1,200 recombinant clinical isolates) with an EC50 of less than 1 nM and 94% of clinical isolates with an EC50 of less than 10 nM. [1] Rilpivirine HCl showed sub-nanomolar activity against HIV-1 Group M wild-type isolates with EC50s ranging from 0.07 to 1.01 nM. [2]
In vivo	Oral administration of Rilpivirine HCl in rats (10-160 mg/kg for 1 month) did not elicit significant abnormal responses, except for increased liver weight and species-specific thyroid hypertrophy observed at some of the higher doses. The elimination half-life of intravenously administered Rilpivirine HCl ranged from 4.4 hours in rats to 31 hours in dogs, with drug exposures (AUCinf) ranging from 3.1 μg-h/mL in rats (4 mg/kg), to 8.7 μg-h/mL in dogs (1.25 mg/kg), 1.4 μg-h/mL in monkeys (1.25 mg/kg), and 1.4 μg-h/mL in rabbits (1.25 mg/kg) and 44 μg-h/mL in rabbit (1.25 mg/kg). When administered orally, the half-life of Rilpivirine HCl was 2.8 hours in rats and 39 hours in dogs, with oral bioavailability of 32% and 31% in rats and dogs, respectively. [1]

Solubility Information

Solubility	DMSO: 100 mg/mL (248.21 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4821 mL	12.4106 mL	24.8213 mL
5 mM	0.4964 mL	2.4821 mL	4.9643 mL
10 mM	0.2482 mL	1.2411 mL	2.4821 mL
50 mM	0.0496 mL	0.2482 mL	0.4964 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

Haga S, et al. TACE antagonists blocking ACE2 shedding caused by the spike protein of SARS-CoV are candidate antiviral compounds. Antiviral Res. 2010 Mar;85(3):551-5.
Wang R, et al. A Disintegrin and Metalloproteinase Domain 17 Regulates Colorectal Cancer Stem Cells and Chemosensitivity Via Notch1 Signaling. Stem Cells Transl Med. 2016 Mar;5(3):331-8.
Kruse MN, et al. Human meprin alpha and beta homo-oligomers: cleavage of basement membrane proteins and sensitivity to metalloprotease inhibitors. Biochem J. 2004 Mar 1;378(Pt 2):383-9.
Di Biagio A, Riccardi N, Taramasso L, Capetti A, Cenderello G, Signori A, Vitiello P, Guerra M, de Socio GV, Cassola G, Quirino T, Viscoli C. Switch from unboosted protease inhibitor to a single-tablet regimen containing rilpivirine improves cholesterol and triglycerides. Int J Antimicrob Agents. 2016 Nov;48(5):551-554. doi: 10.1016/j.ijantimicag.2016.07.009. PubMed PMID: 27566908.