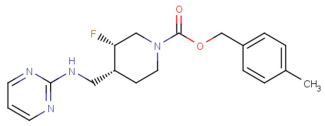
Data Sheet (Cat.No.T12733)

TargetMol
A DRUG SCREENING EXPERT

Rislenemdaz

Chemical Properties



CAS No.:	808732-98-1
Formula:	C19H23FN4O2
Molecular Weight:	358.41
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Rislenemdaz is an orally bioavailable and selective antagonist of N-methyl-D-aspartate (NMDA) receptor subunit 2B (GluN2B)(Ki and IC 50 of 8.1 nM and 3.6 nM, respectively).
Targets(IC_{50})	GluN2B: (ki)8.1 nM
In vitro	Rislenemdaz inhibits calcium influx into agonist-stimulating NMDA-GluN1a/GluN2B L(tk-) cells(IC50 of 3.6 nM). Rislenemdaz exhibits at least 1000× selectivity for the GluN2B receptor versus all targets tested, including the hERG potassium channel. Rislenemdaz also exhibits minimal activity against sigma-type receptors at 10 uM.
In vivo	Rislenemdaz plasma levels are approximately 15, 120, 390, 1420, 4700, and 14,110 nM (0.015, 0.120, 0.390, 1.42, 4.7, and 14.11 uM) at the time of sampling, corresponding to approximately 5, 29, 56, 83, 94, and 98% RO, respectively, in rats. The ED50 for increaing in frequency of swimming and decreasing in immobility are ~0.3 and 0.7 mg/kg, respectively, corresponding to RO of ~30 and 50%. Rislenemdaz (1, 3, 10, and 30 mg/kg) significantly increases total distance traveling (P<0.01 for 1 mg/kg; P<0.001 for 3, 10, and 30 mg/kg) compare to vehicle control over the 60 min test.

Solubility Information

Solubility	DMSO: 100 mg/mL (279.01 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.79 mL	13.951 mL	27.901 mL
5 mM	0.558 mL	2.79 mL	5.58 mL
10 mM	0.279 mL	1.395 mL	2.79 mL
50 mM	0.056 mL	0.279 mL	0.558 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Rachel Garner, et al. Preclinical pharmacology and pharmacokinetics of CERC-301, a GluN2B-selective N-methyl-D-aspartate receptor antagonist. Pharmacol Res Perspect. 2015 Dec; 3(6): e00198.

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Tel:781-999-4286 E-mail:info@targetmol.com Address:36 Washington Street,Wellesley Hills,MA 02481