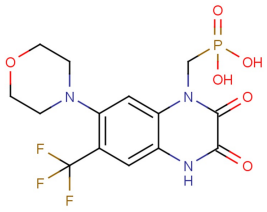
Data Sheet (Cat.No.T13405L)

TargetMol
A DRUG SCREENING EXPERT

Fanapanel

Chemical Properties



CAS No.:	161605-73-8
Formula:	C14H15F3N3O6P
Molecular Weight:	409.25
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Fanapanel is a highly selective AMPA/kainate antagonist with little activity against NMDA. It also has Ki values of 3.2 nM, 100 nM, and 8.5 μM against quisqualate, kainate, and NMDA, respectively.
Targets(IC_{50})	AMPAR: None
In vitro	ZK200775 gave Ki values of 3.2 nM, 100 nM, and 8.5 μM against quisqualate, kainate, and NMDA, in the cortical slice preparation assay. It gave IC50 values of 200 nM, 76 nM, 13 μM, and 18 μM against quisqualate, kainate, NMDA, and glycine in the spreading depression assay [1].
In vivo	ZK200775 showed 34-fold selectivity for AMPA receptors compared to NMDA receptors and no affinity to nicotine receptors. ZK200775 (3.0 but not 1.5 or 6.0 mg/kg) significantly decreased the nicotine-induced (0.6 mg/kg) DA release in the NAcc and nicotine-stimulated LMA. ZK200775 (1.5, 3.0, 6.0 mg/kg) alone influenced neither DA release nor LMA. ZK200775 elevated the threshold for AMPA- and kainate-induced clonic seizures in mice with a THRD50 (threshold dose) of 2.9 (1.7–4.6) and 1.6 (1.3–2.0) mg/kg i.v., whereas the threshold for NMDA-induced seizures was elevated only in doses, THRD50 of 24.1 (21.9–26.5) mg/kg i.v., which affected motor coordination in the rotating rod, ED50 14.6 (12.1–17.6) mg/kg. ZK200775 in doses of 10 and 30 mg/kg i.v. reduced muscle tone in genetically spastic rats [1].

Solubility Information

Solubility	DMSO: 1.25 mg/mL (3.05 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.443 mL	12.217 mL	24.435 mL
5 mM	0.489 mL	2.443 mL	4.887 mL
10 mM	0.244 mL	1.222 mL	2.443 mL
50 mM	0.049 mL	0.244 mL	0.489 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. Turski L, et al. ZK200775: a phosphonate quinoxalinedione AMPA antagonist for neuroprotection in stroke and trauma. Proc Natl Acad Sci U S A. 1998 Sep 1;95(18):10960-5.

2. Kosowski AR, et al. Nicotine-induced dopamine release in the nucleus accumbens is inhibited by the novel AMPA antagonist ZK200775 and the NMDA antagonist CGP39551. Psychopharmacology (Berl). 2004 Aug;175(1):114-23.

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