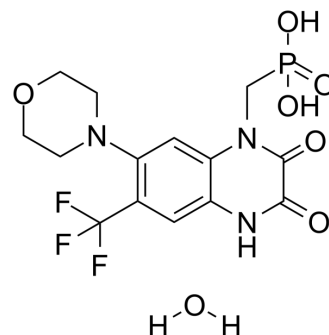


Fanapanel hydrate

Cat. No.:	HY-15069A		
CAS No.:	1255517-78-2		
Molecular Formula:	C ₁₄ H ₁₇ F ₃ N ₃ O ₇ P		
Molecular Weight:	427.27		
Target:	iGluR		
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 5 mg/mL (11.70 mM; Need ultrasonic)
 H₂O : 5 mg/mL (11.70 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	2.3404 mL	11.7022 mL	23.4044 mL
	5 mM	0.4681 mL	2.3404 mL	4.6809 mL
	10 mM	0.2340 mL	1.1702 mL	2.3404 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
 Solubility: ≥ 0.5 mg/mL (1.17 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
 Solubility: ≥ 0.5 mg/mL (1.17 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 0.5 mg/mL (1.17 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Fanapanel hydrate (ZK200775 hydrate) is a highly selective AMPA/kainate antagonist with little activity against NMDA; have Ki values of 3.2 nM, 100 nM, and 8.5 μM against quisqualate, kainate, and NMDA, respectively.

IC₅₀ & Target

AMPA

In Vitro

In the cortical slice preparation assay, ZK200775 gave Ki values of 3.2 nM, 100 nM, and 8.5 μM against quisqualate, kainate,

and NMDA, respectively. In the spreading depression assay, it gave IC₅₀ values of 200 nM, 76 nM, 13 μM, and 18 μM against quisqualate, kainate, NMDA, and glycine [1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

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]. 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 showed 34-fold selectivity for AMPA receptors compared to NMDA receptors and no affinity to nicotine receptors [2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[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.

Caution: Product has not been fully validated for medical applications. For research use only.

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