

Fanapanel hydrate

Cat. No.: HY-15069A

CAS No.: 1255517-78-2 Molecular Formula: $C_{14}H_{17}F_3N_3O_7P$

Molecular Weight: 427.27 Target: iGluR

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage: Powder -20°C 3 years

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 Mass Concentration	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

- 1. 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
- 2. 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
- 3. 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.
IC ₅₀ & Target	AMPAR
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,

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	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].

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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