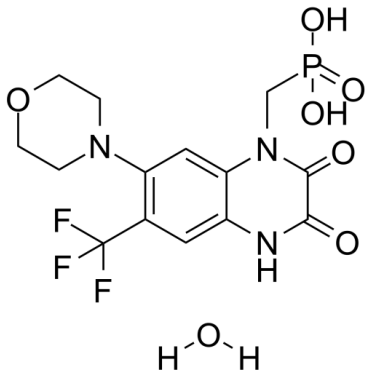
Data Sheet

Product Name:	Fanapanel hydrate
Cat. No.:	CS-3612
CAS No.:	1255517-78-2
Molecular Formula:	C14H17F3N3O7P
Molecular Weight:	427.27
Target:	iGluR
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Solubility:	DMSO : 5 mg/mL (11.70 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

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: 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, 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]. **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.

CAIndexNames:

Phosphonic acid, P-[[3,4-dihydro-7-(4-morpholinyl)-2,3-dioxo-6-(trifluoromethyl)-1(2H)-quinoxalinyl]methyl]-, hydrate

SMILES:

O=C(N1CP(O)(O)=O)C(NC(C1=C2)=CC(C(F)(F)F)=C2N3CCOCC3)=O.[H]O[H]

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

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