

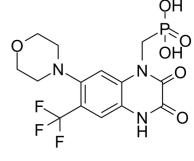
Data Sheet

Product Name: Fanapanel
Cat. No.: CS-3611
CAS No.: 161605-73-8
Molecular Formula: C14H15F3N3O6P

Molecular Weight: 409.25 Target: iGluR

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Solubility: DMSO : 1.25 mg/mL (3.05 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

Fanapanel (ZK200775) 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

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:

 $Phosphonic\ acid,\ P-[[3,4-dihydro-7-(4-morpholinyl)-2,3-dioxo-6-(trifluoromethyl)-1(2H)-quinoxalinyl] methyl]-1(2H)-quinoxalinyl] methyll-1(2H)-quinoxalinyl] methyll-1(H)-quinoxalinyl] methyll-1(H)-quinoxalinyll-1(H)-quinoxalinyll-1(H)-quinoxalinyll-1(H)-quinoxalinyll-1(H)-quinoxalinyll-1(H)-quinoxalinyll-1(H)-quinoxalinyll-1(H)-quinox$

SMILES:

O = C(N1CP(O)(O) = O)C(NC(C1 = C2) = CC(C(F)(F)F) = C2N3CCOCC3) = O

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

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