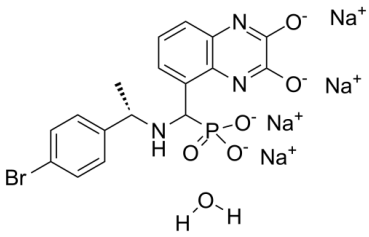
Data Sheet

Product Name:	PEAQX (tetrasodium hydrate)
Cat. No.:	CS-3383
Molecular Formula:	C17H15BrN3Na4O6P
Molecular Weight:	560.15
Target:	Apoptosis; iGluR
Pathway:	Apoptosis; Membrane Transporter/Ion Channel; Neuronal Signaling
Solubility:	H2O : 25.5 mg/mL (45.52 mM; Need ultrasonic and warming)



BIOLOGICAL ACTIVITY:

PEAQX tetrasodium hydrate (NVP-AAM077 tetrasodium hydrate) is a potent and orally active NMDA antagonist with a 15-fold preference for human NMDA receptors with the 1A/2A(IC50=270 nM), rather than 1A/2B(29,600 nM). IC50 value: 270 nM(hNMDA A1/A2) [1] Target: NR2A antagonist in vitro: PEAQX has a high binding affinity for NMDA receptors (IC50=8 nM), and a functional preference in excess of 100-fold for hNMDA 1A/2A (IC50=of 270 nM) over 1A/2B receptors (IC50=29,600 nM) [1]. in vivo: PEAQX is practically inactive in Xenopus oocytes expressing hNMDA 1A/2B receptors, displays an ED50 value of 23 mg/kg in the MES test [1]. Sprague-Dawley rats were treated on PN7, PN9, and PN11 with PCP (10 mg/kg), PEAQX (NR2A-preferring antagonist; 10, 20, or 40 mg/kg), or ifenprodil (selective NR2B antagonist; 1, 5, or 10 mg/kg) and sacrificed for measurement of caspase-3 activity (an index of apoptosis) or allowed to age and tested for locomotor sensitization to PCP challenge on PN28-PN35. PCP or PEAQX on PN7, PN9, and PN11 markedly elevated caspase-3 activity in the cortex; ifenprodil showed no effect. Striatal apoptosis was evident only after subchronic treatment with a high dose of PEAQX (20 mg/kg). Animals treated with PCP or PEAQX on PN7, PN9, and PN11 showed a sensitized locomotor response to PCP challenge on PN28-PN35 [2].

PROTOCOL (Extracted from published papers and Only for reference)

Animal administration [2] On the day of testing (PN28-35), animals were placed in locomotor activity chambers and allowed to habituate for 30 minutes prior to a challenge dose of PCP (4 mg/kg, i.p.), PEAQX (4 mg/kg, i.p.) or ifenprodil (5 mg/kg, i.p.). Locomotor activity was measured for an additional 90 minutes in an open-field activity system (San Diego Instruments, San Diego, CA) which consisted of a square enclosure with Plexiglas walls (40 × 40 × 40 cm). Horizontal activity was measured with a 4 × 4 photobeam matrix which recorded both central and peripheral activity in 5 min bins as previously described (Anastasio & Johnson 2008a).

References:

[1]. Auberson YP, et al. 5-Phosphonomethylquinoxalinediones as competitive NMDA receptor antagonists with a preference for the human 1A/2A, rather than 1A/2B receptor composition. Bioorg Med Chem Lett. 2002 Apr 8;12(7):1099-102.

[2]. Anastasio NC, et al. Differential role of N-methyl-D-aspartate receptor subunits 2A and 2B in mediating phencyclidine-induced perinatal neuronal apoptosis and behavioral deficits. Neuroscience. 2009 Nov 10;163(4):1181-91.

CAIndexNames:

sodium ((((S)-1-(4-bromophenyl)ethyl)amino)(2,3-dioxidoquinoxalin-5-yl)methyl)phosphonate hydrate

SMILES:

[O-]P([O-])(C(N[C@@H](C)C1=CC=C(Br)C=C1)C2=CC=CC3=C2N=C([O-])C([O-])=N3)=O.[H]O[H].[Na+].[Na+].[Na+].[Na+]

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