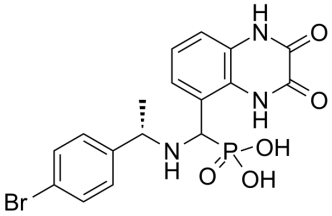
PEAQX

Cat. No.:	HY-12294
CAS No.:	459836-30-7
Molecular Formula:	$C_{17}H_{17}BrN_3O_5P$
Molecular Weight:	454.21
Target:	iGluR
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

PEAQX(NVP-AAM 077) 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 antagonistin 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].

CUSTOMER VALIDATION

- J Clin Invest. 2019 Sep 3;129(9):3864-3876.
- Front Neurosci. 2021; 15: 703044.
- Neuroscience. 2018 Nov 1;391:1-12.
- Am J Hypertens. 2021 Apr 15;hpab047.

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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.

[3]. Yu X, et al. Src is Implicated in Hepatic Ischemia Reperfusion-Induced Hippocampus Injury and Long-Term Cognitive Impairment in Young Mice via NMDA Receptor Subunit 2A Activation. Neuroscience. 2018 Nov 1;391:1-12.

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