

Product Data Sheet

Product Name: NBQX
Cat. No.: GC14156

Chemical Properties

Cas. No. 118876-58-7

Chemical Name 6-nitro-2,3-dioxo-1,2,3,4-tetrahydrobenzo[f]quinoxaline-7-sulfonamide

SMILES O=S(N)(C1=CC=CC(C1=C2[N+]([O-])=O)=C(C(NC3=O)=C2)NC3=O)=O

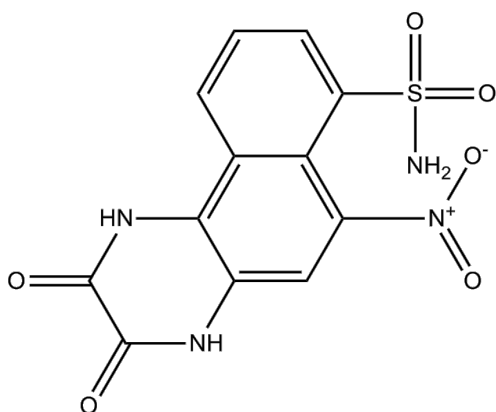
Formula $C_{12}H_8N_4O_6S$ M.Wt 336.28

Solubility DMSO : ≥ 75 mg/mL (223.03 mM); 1 M NaOH : 1 mg/mL (2.97 mM); ultrasonic and warming and heat to 60°C); H_2O : < 0.1 mg/mL (insoluble) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

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Cell lines Mouse neocortical neurones

Cells are continuously superfused with extracellular saline at a rate of 2.5 ml/min. After giga-seal formation the whole cell configuration is obtained by suction. The holding potential is - 60 mV and at the start of each experiment the current is measured continuously for 30 s to ensure a stable baseline. Agonist-containing solutions are delivered to the chamber through a custom-made gravity-driven flowpipe, the tip of which is placed approximately 50 μ m from the cell. Application Preparation Method is triggered when the tubing connected to the flowpipe is compressed by a valve controlled by the Pulse software. In general, agonists are applied for 1.5 or 3 s every 45 s. The sample interval during application is 600 μ s. After stable responses are obtained, the extracellular saline as well as the agonist-containing solution are replaced by solutions containing NBQX to be tested. NBQX is present until responses of a repeatable amplitude are achieved. Currents are measured at the plateau phase of the responses just before deactivation.

Reaction Conditions 0.1 μ M - 100 μ M

Applications NBQX is highly selective. The IC₅₀ value for inhibition of AMPA-evoked inward currents is 0.4 μ M for NBQX. While NBQX inhibits NMDA (N-methyl-d-aspartic acid) -induced currents with IC₅₀ value of 60 μ M.

Animal experiment [2]:

Animal models Male Wistar rats

Preparation Method Rat were exposed to EtOH vapor daily for 4 h such that an average of BAC of 160 mg% was achieved daily. then treated daily with NBQX (5 mg/kg) and evaluated for their behavior approximately 18 h later.

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Dosage form 5mg/kg;8days;i.p

Applications NBQX Attenuate Alcohol-Withdrawal Induced Depression in Male Rats.

References:

- [1]. Mathiesen C, Varming T, et.al. In vivo and in vitro evaluation of AMPA receptor antagonists in rat hippocampal neurones and cultured mouse cortical neurones. Eur J Pharmacol. 1998 Jul 24;353(2-3):159-67. doi: 10.1016/s0014-2999(98)00401-4. PMID: 9726646.
- [2].Getachew B, Tizabi Y. Both Ketamine and NBQX Attenuate Alcohol-Withdrawal Induced Depression in Male Rats. J Drug Alcohol Res. 2019;8:236069. doi: 10.4303/jdar/236069. PMID: 31032138; PMCID: PMC6483102.

Background

NBQX is a highly selective and competitive AMPA receptor antagonist. NBQX has neuroprotective and anticonvulsant activity, besides, it has antiepileptic effects. NBQX has little or no affinity for glutamate recognition sites on the NMDA receptor complex^[1-3].

NBQX is highly selective. The IC₅₀ value for inhibition of AMPA-evoked inward currents is 0.4 μM for NBQX. While NBQX inhibits NMDA-induced currents with IC₅₀ value of 60 μM^[4]. NBQX prevents kainic acid (KA)-induced cell death in cultures of rat cerebellar granule cells (CGCs) ^[5].

NBQX(5mg/kg; 8days;i.p) attenuate alcohol-withdrawal induced depression in male rats and NBQX (5 and 10 mg/kg) significantly attenuated percent alcohol intake^[6-7]. NBQX(20 mg/kg, i.p.;3days) increased PNNs (WFA), tenascin-R, aggrecan and Neurocan in the medial prefrontal cortex in pentylenetetrazole(PTZ)-treated rats^[8]. Although NBQX had previously been shown to be an effective anticonvulsant, it had the opposite effect in TMeV-induced seizure models. Treatment with NBQX(22.5 mg/kg ;i.p;twice daily) significantly increased the number of mice with seizures and significantly increased mortality in the mice^[9].

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References:

- [1]. Fukushima K, Tabata Y, et,al. Characterization of Human Hippocampal Neural Stem/Progenitor Cells and Their Application to Physiologically Relevant Assays for Multiple Ionotropic Glutamate Receptors. J Biomol Screen. 2014 Sep;19(8):1174-84. doi: 10.1177/1087057114541149. Epub 2014 Jun 30. PMID: 24980597.
- [2]. Lippman-Bell JJ, Rakhade SN, et,al. AMPA receptor antagonist NBQX attenuates later-life epileptic seizures and autistic-like social deficits following neonatal seizures. Epilepsia. 2013 Nov;54(11):1922-32. doi: 10.1111/epi.12378. Epub 2013 Oct 1. PMID: 24117347; PMCID: PMC4262152.
- [3]. Potschka H, Löscher W, et,al. LU 73068, a new non-NMDA and glycine/NMDA receptor antagonist: pharmacological characterization and comparison with NBQX and L-701,324 in the kindling model of epilepsy. Br J Pharmacol. 1998 Nov;125(6):1258-66. doi: 10.1038/sj.bjp.0702172. PMID: 9863655; PMCID: PMC1565685.
- [4]. Mathiesen C, Varming T, et,al. In vivo and in vitro evaluation of AMPA receptor antagonists in rat hippocampal neurones and cultured mouse cortical neurones. Eur J Pharmacol. 1998 Jul 24;353(2-3):159-67. doi: 10.1016/s0014-2999(98)00401-4. PMID: 9726646.
- [5]. Verdaguer E, García-Jordà E, et,al. Kainic acid-induced neuronal cell death in cerebellar granule cells is not prevented by caspase inhibitors. Br J Pharmacol. 2002 Mar;135(5):1297-307. doi: 10.1038/sj.bjp.0704581. PMID: 11877339; PMCID: PMC1573245.
- [6]. Getachew B, Tizabi Y. Both Ketamine and NBQX Attenuate Alcohol-Withdrawal Induced Depression in Male Rats. J Drug Alcohol Res. 2019;8:236069. doi: 10.4303/jdar/236069. PMID: 31032138; PMCID: PMC6483102.
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