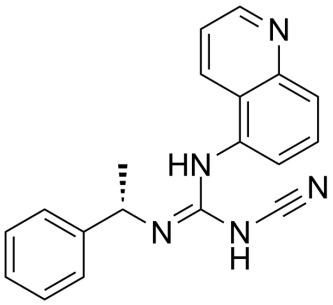
A-804598

Cat. No.:	HY-100483
CAS No.:	1125758-85-1
Molecular Formula:	$C_{19}H_{17}N_5$
Molecular Weight:	315.37
Target:	P2X Receptor
Pathway:	Membrane Transporter/Ion Channel
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 32 mg/mL (101.47 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.1709 mL	15.8544 mL	31.7088 mL
	5 mM	0.6342 mL	3.1709 mL	6.3418 mL
	10 mM	0.3171 mL	1.5854 mL	3.1709 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (7.93 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (7.93 mM); Clear solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.93 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

A-804598 is a CNS penetrant, competitive and selective P2X7 receptor antagonist with IC_{50}s of 9 nM, 10 nM and 11 nM for mouse, rat and human P2X7 receptors, respectively[1].

IC_{50} & Target

IC50: 9 nM (mouse P2X7 receptor), 10 nM (rat P2X7 receptor), 11 nM (human P2X7 receptor)[1]

In Vitro

Pre-incubation with A-804598 (0.1-10 μM; 1 hour) significantly attenuates BzATP-induced cell loss in a concentration-

dependent manner. 3 μM A-804598 exhibits the greatest protective effect against BzATP-induced cytotoxicity[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cytotoxicity Assay[2]

Cell Line:	microglial cell
Concentration:	0.1, 0.3, 1, 3, 10 μM
Incubation Time:	1 hour
Result:	Protected against BzATP-induced cytotoxicity in both inactivated and activated microglia.

In Vivo

A chroni treatment with A-804598 (intraperitoneal injection; 30 mg/kg; five times a week) decreases the expression of LC3B-II and SQSTM1/p62 in lumbar spinal cord at end stage of disease[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Adult B6.Cg-Tg (SOD1-G93A) 1Gur/J female mice [3]
Dosage:	30 mg/kg
Administration:	Intraperitoneal injection; five times a week
Result:	Decreased SQSTM1/p62 expression.

CUSTOMER VALIDATION

- Front Pharmacol. 2023 Jan 25.
- Cell Cycle. 2021 Jan 18;1-10.

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REFERENCES

[1]. Donnelly-Roberts DL et al. [3H]A-804598 ([3H]2-cyano-1-[(1S)-1-phenylethyl]-3-quinolin-5-ylguanidine) is a novel, potent, and selective antagonist radioligand for P2X7 receptors. Neuropharmacology, 2009 Jan, 56(1):223-9.

[2]. Yingbo He et al. The role of microglial P2X7: modulation of cell death and cytokine release. Neuroinflammation, 2017 Jul, 14(1):135.

[3]. Paola Fabbrizio et al. P2X7 Receptor Activation Modulates Autophagy in SOD1-G93A Mouse Microglia.Cell Neurosci, 2017 Aug, 11:249.

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