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Zuschläge

- Mindermengenzuschlag
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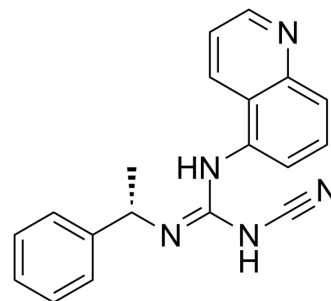
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A-804598

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.

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	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

- 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
- 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
- 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 IC₅₀s of 9 nM, 10 nM and 11 nM for mouse, rat and human P2X7 receptors, respectively^[1].

IC₅₀ & 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 μ M 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 μ M
Incubation Time:	1 hour
Result:	Protected against BzATP-induced cytotoxicity in both inactivated and activated microglia.

In Vivo

A chronic 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 Fabbri et al. P2X7 Receptor Activation Modulates Autophagy in SOD1-G93A Mouse Microglia. *Cell Neurosci*, 2017 Aug, 11:249.

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

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