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产品名称：A-804598
产品别名：A-804598

生物活性：

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].
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].
	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].
	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.

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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

	Solubility: ≥ 2.5 mg/mL (7.93 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (7.93 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。 2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline) Solubility: 2.5 mg/mL (7.93 mM); Clear solution; Need ultrasonic 此方案可获得 2.5 mg/mL (7.93 mM)的澄清溶液。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (7.93 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (7.93 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
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.