产品名称：RO 61-8048
产品别名：Ro 61-8048

生物活性：

Description

Ro 61-8048 is a potent and selective inhibitor of kynurenine hydroxylase with IC50 of 37 nM. IC50 value: 37 nM [1] Target: kynurenine hydroxylase inhibitor in vitro: in vivo: Ro 61-8048 blocked rat and gerbil kynurenine 3-hydroxylase after oral administration, with ED50's in the 3-5 mumol/kg range in gerbil brain. In a microdialysis experiment in rats, 16 dose dependently increased kynurenic acid concentration in the extracellular hippocampal fluid. A dose of 100 mumol/kg po led to a 7.5-fold increase in kynurenic acid outflow [1]. A significant reduction in infarct volumes also was found when the kynurenine hydroxylase inhibitors were given to rats after permanent middle cerebral artery occlusion (from 207+/-111 mm3 in vehicle-treated rats to 82+/-18 and to 62+/-57 mm3 in rats treated with mNBA, 400 mg/kg intraperitoneally, or with Ro 61-8048, 40 mg/kg intraperitoneally, respectively) [2]. intrastriatal injections of Ro 61-8048 (60-80 microg/hemisphere) significantly reduced the severity of dystonia in dt(sz) hamsters [3].

Solvent&Solubility

In Vitro:

DMSO : ≥ 59 mg/mL (139.99 mM)

H2O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3728 mL	11.8638 mL	23.7276 mL
	5 mM	0.4746 mL	2.3728 mL	4.7455 mL
	10 mM	0.2373 mL	1.1864 mL	2.3728 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 (5.93 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (5.93 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 (5.93 mM); Suspended solution; Need ultrasonic

此方案可获得 2.5 mg/mL (5.93 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。

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。

References	[1]. Rover S, et al. Synthesis and biochemical evaluation of N-(4-phenylthiazol-2-yl)benzenesulfonamides as high-affinity inhibitors of kynurenine 3-hydroxylase. J Med Chem. 1997 Dec 19;40(26):4378-85. [2]. Cozzi A, et al. Kynurenine hydroxylase inhibitors reduce ischemic brain damage: studies with (m-nitrobenzoyl)-alanine (mNBA) and 3,4-dimethoxy-[-N-4-(nitrophenyl)thiazol-2yl]-benzenesulfonamide (Ro 61-8048) in models of focal or global brain ischemia. J C [3]. Hamann M, et al. Effects of the kynurenine 3-hydroxylase inhibitor Ro 61-8048 after intrastriatal injections on the severity of dystonia in the dt sz mutant. Eur J Pharmacol. 2008 May 31;586(1-3):156-9.