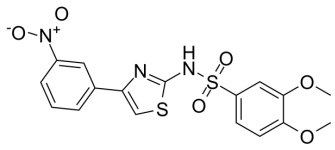
Ro 61-8048

Cat. No.:	HY-12347
CAS No.:	199666-03-0
Molecular Formula:	$C_{17}H_{15}N_3O_6S_2$
Molecular Weight:	421.45
Target:	Others
Pathway:	Others

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 : ≥ 59 mg/mL (139.99 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / 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

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

In Vivo

1. Add each solvent one by one: 50% PEG300 >> 50% saline
   Solubility: 20 mg/mL (47.46 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.93 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (5.93 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Ro 61-8048 is an orally active and selective inhibitor of kynurenine 3-hydroxylase, with an IC_{50} of 37 nM. Ro 61-8048 provokes a significant increase of extracellular kynurenic acid concentrations[1].

In Vitro

In gerbils, a dose of 30 μmol/kg po (12.64 μg/kg Ro 61-8048, compound 16) leads to inhibition of the cerebral enzyme which peaked after 2h (-85% inhibition) and persisted for up to 8 h[1].
Ro 61-8048 (0.1-100 μM) strongly reduces QUIN neo-formation, suggesting that, in vitro, kynurenine hydroxylase activity is

required for QUIN neosynthesis[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Ro 61-8048 (50, 100 and 150 mg/kg i.p.) significantly reduces the severity of dystonia in dt^{sz} hamsters without leading to marked central side effects[2].
Ro 61-8048 (100 mg/kg i.p.) provokes a two- to threefold increase of the endogeneous broad spectrum glutamate receptor antagonist kynurenic acid in the striatum, cerebellum and brainstem of mutant hamsters[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male and female dt^{sz} mutant Syrian golden hamsters[2].
Dosage:	50, 100 and 150 mg/kg.
Administration:	I.P., one dose.
Result:	Significantly reduced the individual maximum severity of dystonia reached at the end of the observation period of 3 h at doses of 50, 100 and 150 mg/kg i.p.. 100 and 150 mg/kg significantly decreased the severity, indicating a fast onset of action. Adelayed onset of dystonic attacks was observed after treatment with 150 mg/kg but not after administration of 50 and 100 mg/kg. At lower doses of 10 and 25 mg/kg, the compound failed to exert any antidystonic effects. Caused a moderate sedation and hypolocomotion 5 to 70 min after administration of 100and 150 mg/kg, while no central adverse effects were observable at a dose of 50 mg/kg or lower doses.

CUSTOMER VALIDATION

- Am J Reprod Immunol. 2021, 103270.

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REFERENCES

[1]. S Röver, 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]. Melanie Hamann, 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.

[3]. AlbertoChiarugi, et al. Quinolinic acid formation in immune-activated mice: studies with (m-nitrobenzoyl)-alanine (mNBA) and 3,4-dimethoxy-[-N-4-(-3-nitrophenyl) thiazol-2yl]-benzenesulfonamide (Ro 61-8048), two potent and selective inhibitors of kynureni

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