

Product Data Sheet

Product Name: Ro 61-8048

Cat. No.: GC11680

Chemical Properties

Cas. No. 199666-03-0

Chemical Name 3,4-dimethoxy-N-(4-(3-nitrophenyl)thiazol-2-yl)benzenesulfonamide

SMILES O=S(NC1=NC(C2=CC=CC([N+])([O-])=O)=C2)=CS1)(C(C=C3OC)=CC=C3OC)=O

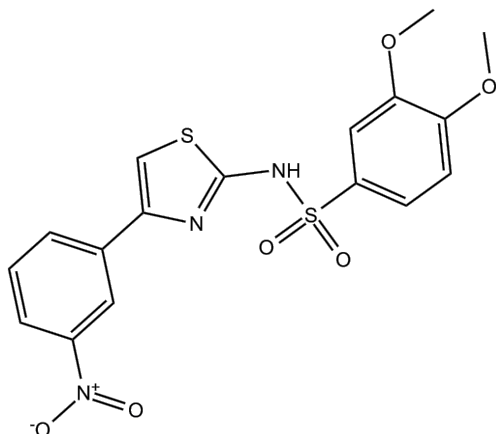
Formula $C_{17}H_{15}N_3O_6S_2$ M.Wt 421.45

Solubility DMF: 25 mg/ml, DMF:PBS(pH 7.2) (1:1): 0.5 mg/ml, DMSO: 14 mg/ml, Ethanol: 0.5 mg/ml
Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

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Cell lines	BV2 cells
Preparation Method	BV2 cells were cultured in DMEM/F12 medium, supplemented with 10% fetal bovine serum (FBS), 10µg/ml bovine insulin, 50µg/ml of penicillin and streptomycin, and 2.5µg/ml amphotericin B, at 37°C in an incubator with 5% CO ₂ . BV2 cells were treated with saline or LPS (100ng/ml), then immediately followed by treatment with Ro 61-8048 (10µM). After 6h, RNA was isolated for analysis.
Reaction Conditions	10µM; 6h
Applications	Ro 61-8048 treatment attenuated LPS-induced TNF-α upregulation and nitrite accumulation in BV2 cells.

Animal experiment [2]:

Animal models	Male C57BL/6 mice
Preparation Method	Male C57BL/6 mice (3 weeks old; 10-13g) were acclimatized in controlled conditions (22 ±1°C, humidity 45±10%, 12h light/12h dark cycles) for 7 days before the experiment started. Mice were divided into three groups. The control group was fed with a standard diet (11Kcal%), while the model group and Ro 61-8048 group were fed a high-fat diet (58Kcal%) and treated with 42g/l of carbohydrates mixed in drinking water at a ratio of 55% fructose and 45% sucrose throughout the whole experimental period. For the Ro 61-8048 group, mice were given an intraperitoneal injection of 50mg/kg/day Ro 61-8048 from the fifth week onwards, while the control group and the model group received an equal volume of solvent. At the end of the eighth week, liver tissues were collected for analysis.

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Dosage form	50mg/kg/day; 4 weeks; i.p.
Applications	Ro 61-8048 treatment mitigated hepatic inflammation and oxidative stress in mice with a high-fat diet.
References:[1] Garrison A M, Parrott J M, Tuñon A, et al. Kynurenine pathway metabolic balance influences microglia activity: Targeting kynurenine monooxygenase to dampen neuroinflammation[J]. Psychoneuroendocrinology, 2018, 94: 1-10.[2] Liu Y, Xing H, Zhou T, et al. Kynurenine 3-hydroxylase Inhibitor RO 61-8048 Alleviates Nonalcoholic Fatty Liver Disease in High-Fat Diet-Induced Obese Mice[J]. Journal of Biochemical and Molecular Toxicology, 2025, 39(9): e70511.	

Background

Ro 61-8048 is an orally active and selective inhibitor of Kynurenine 3-hydroxylase, with an IC₅₀ value of 37nM^[1]. Ro 61-8048 increases brain kynurenic acid synthesis by inhibiting Kynurenine 3-hydroxylase, and selectively reduces extracellular glutamate concentrations and decreases excitability in the basal ganglia^[2]. Ro 61-8048 has been widely used as an adjunct to trypanocidal chemotherapy, helping to prevent or alleviate trypanosomiasis-associated inflammatory responses in animal models^[3].

In vitro, Ro 61-8048 (10μM) treatment for 6 hours attenuated 100ng/ml lipopolysaccharide (LPS)-induced extracellular nitrite accumulation and TNF-α expression in BV2 cells^[4]. Incubation with 1μM Ro 61-8048 for 3 hours inhibited the expression of multiple pro-inflammatory cytokines, including TNF-α, IL-1β, MCP-1, CXCL10, and IL-8, in Caco-2 cells stimulated with IL-1β (10 ng/ml)^[5].

In vivo, a single intraperitoneal injection of Ro 61-8048 at a dose of 50mg/kg reduced ethanol intake and preference in a mouse model of alcohol abuse 30 minutes later^[6]. A single intraperitoneal injection of Ro 61-8048 at a dose of 100mg/kg significantly mitigated dystonia severity and elevated kynurenic acid levels in the striatum, cerebellum, and brainstem of dt^{SZ} mutant hamsters within 1 hour^[7]. Intraperitoneal injection of Ro 61-8048 at a dose of 50mg/kg/day for 4 consecutive weeks alleviated high-fat diet-induced body weight gain and liver injury, and inhibited apoptosis of liver tissue

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cells in mice^[8].

References:

- [1] Röver S, Cesura A M, Huguenin P, et al. Synthesis and biochemical evaluation of N-(4-phenylthiazol-2-yl) benzenesulfonamides as high-affinity inhibitors of kynurenine 3-hydroxylase[J]. Journal of medicinal chemistry, 1997, 40(26): 4378-4385.
- [2] Moroni F, Cozzi A, Carpendo R, et al. Kynurenine 3-mono-oxygenase inhibitors reduce glutamate concentration in the extracellular spaces of the basal ganglia but not in those of the cortex or hippocampus[J]. Neuropharmacology, 2005, 48(6): 788-795.
- [3] Röver S, Cesura A M, Huguenin P, et al. Synthesis and biochemical evaluation of N-(4-phenylthiazol-2-yl) benzenesulfonamides as high-affinity inhibitors of kynurenine 3-hydroxylase[J]. Journal of medicinal chemistry, 1997, 40(26): 4378-4385.
- [4] Garrison A M, Parrott J M, Tuñon A, et al. Kynurenine pathway metabolic balance influences microglia activity: Targeting kynurenine monooxygenase to dampen neuroinflammation[J]. Psychoneuroendocrinology, 2018, 94: 1-10.
- [5] Shi Y, Luo S, Zhai J, et al. A novel causative role of imbalanced kynurenine pathway in ulcerative colitis: upregulation of KMO and KYNU promotes intestinal inflammation[J]. Biochimica et Biophysica Acta (BBA)-Molecular Basis of Disease, 2024, 1870(2): 166929.
- [6] Gil de Biedma-Elduayen L, Giménez-Gómez P, Morales-Puerto N, et al. Influx of kynurenine into the brain is involved in the reduction of ethanol consumption induced by Ro 61-8048 after chronic intermittent ethanol in mice[J]. British Journal of Pharmacology, 2022, 179(14): 3711-3726.
- [7] Hamann M, Sander S E, Richter A. Effects of the kynurenine 3-hydroxylase inhibitor Ro 61-8048 after intrastriatal injections on the severity of dystonia in the dtsz mutant[J]. European journal of pharmacology, 2008, 586(1-3): 156-159.
- [8] Liu Y, Xing H, Zhou T, et al. Kynurenine 3-hydroxylase Inhibitor RO 61-8048 Alleviates Nonalcoholic Fatty Liver Disease in High-Fat Diet-Induced Obese Mice[J]. Journal of Biochemical and Molecular Toxicology, 2025, 39(9): e70511.

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