

## Ro 48-8071 fumarate

## Chemical Properties

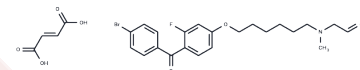
CAS No. : 189197-69-1

Formula: C<sub>27</sub>H<sub>31</sub>BrFNO<sub>6</sub>

Molecular Weight: 564.44

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



## Biological Description

Description	Ro 48-8071 fumarate, an inhibitor of OSC (Oxidosqualene cyclase; IC <sub>50</sub> =6.5 nM), exhibits LDL (low-density lipoprotein) cholesterol-lowering activity.
Targets(IC <sub>50</sub> )	Others
In vitro	Ro 48-8071 reduces cholesterol synthesis dose-dependently with an IC <sub>50</sub> value of appr 1.5 nM in HepG2 cells[1]. Ro 48-8071 (10 μM) significantly reduces the viability of PC-3 prostate cancer cells, but not normal prostate cells. Ro 48-8071 (10-30 μM) induces apoptosis of both LNCaP and C4-2 cell lines in a dose-dependent manner. And castration-resistant PC-3 and DU145 cells also demonstrate significant levels of apoptosis following 24-hour treatment with Ro 48-8071. Ro 48-8071 (10-25 μM) reduces AR protein expression in a dose-dependent manner. Ro 48-8071 (0.1-1 μM) increases ERβ protein expression dose-dependently in both hormone-dependent LNCaP and castration-resistant PC-3 cells[2]. Using mammalian cells engineered to express human ERα or ERβ protein, together with an ER-responsive luciferase promoter, Ro 48-8071 dose-dependently inhibits 17β-estradiol (E2)-induced ERα responsive luciferase activity (IC <sub>50</sub> , appr 10 μM), under conditions that are non-toxic to the cells[3].
In vivo	Ro 48-8071 effectively reduces LDL-C by approximately 60% at a dose of 150 μmol/kg per day without further decrease at up to 300 μmol/kg per day, while not affecting HDL-C levels at any dose in hamsters. At doses of 300 μmol/kg per day or higher, it significantly elevates MOS levels in the liver and markedly diminishes VLDL secretion in hamsters. Additionally, Ro 48-8071, at 5 or 20 mg/kg, substantially curtails in vivo tumor growth in mice and completely eliminates two out of 12 monitored tumors at 20 mg/kg, without causing weight loss in the mice. Furthermore, at 20 mg/kg/day, it achieves a rapid and enduring suppression of more than 50% in cholesterol synthesis in the entire small intestine of BALB/c mice, along with reductions in sterol synthesis in the large intestine and stomach.

## Solubility Information

Solubility	DMSO: 22.5 mg/mL (39.86 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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## Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7717 mL	8.8583 mL	17.7167 mL
5 mM	0.3543 mL	1.7717 mL	3.5433 mL
10 mM	0.1772 mL	0.8858 mL	1.7717 mL
50 mM	0.0354 mL	0.1772 mL	0.3543 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

## Reference

- Morand OH, et al. Ro 48-8.071, a new 2,3-oxidosqualene:lanosterol cyclase inhibitor lowering plasma cholesterol in hamsters, squirrel monkeys, and minipigs: comparison to simvastatin. *J Lipid Res.* 1997 Feb;38(2):373-90.
- Zhang L, Yi Y, Wang T, et al. 25-Hydroxycholesterol inhibits classical swine fever virus entry into porcine alveolar macrophages by depleting plasma membrane cholesterol. *Veterinary Microbiology.* 2023: 109668.
- Liang Y, et al. Cholesterol biosynthesis inhibitor RO 48-8071 suppresses growth of hormone-dependent and castration-resistant prostate cancer cells. *Onco Targets Ther.* 2016 May 30;9:3223-32.
- Liu Y, Wang Z, Jin H, et al. Squalene-epoxidase-catalyzed 24 (S), 25-epoxycholesterol synthesis promotes trained-immunity-mediated antitumor activity. *Cell Reports.* 2024, 43(4).
- Liang Y, et al. Cholesterol biosynthesis inhibitors as potent novel anti-cancer agents: suppression of hormone-dependent breast cancer by the oxidosqualene cyclase inhibitor RO 48-8071. *Breast Cancer Res Treat.* 2014 Jul;146(1):51-62.
- Chuang JC, et al. Sustained and selective suppression of intestinal cholesterol synthesis by Ro 48-8071, an inhibitor of 2,3-oxidosqualene:lanosterol cyclase, in the BALB/c mouse. *Biochem Pharmacol.* 2014 Apr 1;88(3):351-63.

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