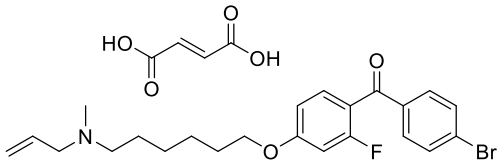
Product data sheet

MedKoo Cat#: 510318 Name: Ro 48-8071 fumarate CAS#: 189197-69-1 (fumarate) Chemical Formula: $C_{27}H_{31}BrFNO_6$ Molecular Weight: 564.45	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

Ro 48-8071 is an orally active cholesterol synthesis inhibitor or a 2,3-oxidosqualene:lanosterol cyclase (OSC) inhibitor. OSC (EC 5.4.99.7) represents a unique target for a cholesterol-lowering drug. Partial inhibition of OSC should reduce synthesis of lanosterol and subsequent sterols, and also stimulate the production of epoxysterols that repress HMG-CoA reductase expression, generating a synergistic, self-limited negative regulatory loop.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	56.0	99.2
Ethanol	11.0	19.5

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	1.77 mL	8.86 mL	17.72 mL
5 mM	0.35 mL	1.77 mL	3.54 mL
10 mM	0.18 mL	0.89 mL	1.77 mL
50 mM	0.04 mL	0.18 mL	0.35 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Liang Y, Goyette S, Hyder SM. Cholesterol biosynthesis inhibitor RO 48-8071 reduces progesterone receptor expression and inhibits progestin-dependent stem cell-like cell growth in hormone-dependent human breast cancer cells. Breast Cancer (Dove Med Press). 2017 Jul 7;9:487-494. doi: 10.2147/BCTT.S140265. PMID: 28744156; PMCID: PMC5511027.
2. Mejia-Pous C, Damiola F, Gandrillon O. Cholesterol synthesis-related enzyme oxidosqualene cyclase is required to maintain self-renewal in primary erythroid progenitors. Cell Prolif. 2011 Oct;44(5):441-52. doi: 10.1111/j.1365-2184.2011.00771.x. PMID: 21951287; PMCID: PMC6495882.

In vivo study

1. Castro VL, Reyes-Nava NG, Sanchez BB, Gonzalez CG, Paz D, Quintana AM. Activation of WNT signaling restores the facial deficits in a zebrafish with defects in cholesterol metabolism. Genesis. 2020 Dec;58(12):e23397. doi: 10.1002/dvg.23397. Epub 2020 Nov 16. PMID: 33197123; PMCID: PMC7816230.
2. Maione F, Oliaro-Bosso S, Meda C, Di Nicolantonio F, Bussolino F, Balliano G, Viola F, Giraudo E. The cholesterol biosynthesis enzyme oxidosqualene cyclase is a new target to impair tumour angiogenesis and metastasis dissemination. Sci Rep. 2015 Mar 12;5:9054. doi: 10.1038/srep09054. PMID: 25761781; PMCID: PMC4357009.

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7. Bioactivity

Biological target:

Ro 48-8071 fumarate is an inhibitor of OSC (Oxidosqualene cyclase) with IC50 of appr 6.5 nM.

In vitro activity

Addition of Ro48-8071 to self-renewing T2EC cells caused reduction in cell number, detectable at the beginning of treatment and becoming significant by fourth day after inhibitor addition, when treated populations showed reduction in cell number in the order of 40% compared to untreated control (Fig. 1: $1.0\times10^6 \pm 0.2$ and $1.7\times10^6 \pm 0.4$, respectively). This effect was amplified over time and after 7 days treatment, cell number of Ro48-8071-treated population was four times lower than that of the control group (Fig. 1: $8.6\times10^6 \pm 1.4$ and $3.2\times10^7 \pm 0.3$ respectively).

Reference: Cell Prolif. 2011 Oct; 44(5): 441–452. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6495882/

In vivo activity

Treatment with Ro 48-8071 resulted in a statistically significant decrease in *axin2* expression (Figure 1b). However, treatment with lonafarnib, which inhibits farnesylated isoprenoids did not result in a statistically significant decrease in *axin2* expression. This study next analyzed the effects of increased concentrations of Ro 48-8071 on *axin2* expression. Embryos were treated with 1, 2, or 3 μM Ro 48-8071 and the level of *axin2* expression was measured by qPCR at 30 HPF. This study observed decreased *axin2* expression in all groups, with the most dramatic decrease in embryos treated with the highest concentration of 3 μM (Figure 1c).

Reference: Genesis. 2020 Dec; 58(12): e23397. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7816230/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.