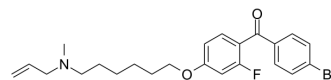


## Ro 48-8071

Cat. No.:	HY-18630
CAS No.:	161582-11-2
Molecular Formula:	C <sub>23</sub> H <sub>27</sub> BrFNO <sub>2</sub>
Molecular Weight:	448.37
Target:	Others
Pathway:	Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



### BIOLOGICAL ACTIVITY

<b>Description</b>	Ro 48-8071 is an inhibitor of OSC (Oxidosqualene cyclase) with IC <sub>50</sub> of appr 6.5 nM.
<b>IC<sub>50</sub> &amp; Target</b>	IC <sub>50</sub> : appr 6.5 nM (Oxidosqualene cyclase) <sup>[1]</sup>
<b>In Vitro</b>	<p>In HepG2 cells, Ro 48-8071 reduces cholesterol synthesis dose dependently with an IC<sub>50</sub> value of appr 1.5 nM<sup>[1]</sup>. 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<sup>[2]</sup>. 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<sup>[3]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
<b>In Vivo</b>	<p>Ro 48-8071 lowers LDL-C maximally appr 60% at 150 μmol/kg per day, with no further reduction up to 300 μmol/kg per day, leaving HDL-C unchanged at all doses in hamsters. Ro 48-8071 (≥200 μmol/kg per day) increases the amount of MOS in liver of hamsters. Ro 48-8071 (300 μmol/kg per day) remarkably and significantly reduces VLDL secretion of hamsters<sup>[1]</sup>. Ro 48-8071 (5 or 20 mg/kg) significantly reduces in vivo tumor growth in mice, without weight loss of the mice. Furthermore, Ro 48-8071 at a concentration of 20 mg/kg, completely eradicates two of the 12 tumors being monitored in the mice in the timeframe tested<sup>[2]</sup>. Ro 48-8071 (20 mg/day/kg body weight) leads to a rapid and sustained inhibition (&gt;50%) of cholesterol synthesis in the whole small intestine of BALB/c mice. Sterol synthesis is also reduced in the large intestine and stomach<sup>[4]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

### PROTOCOL

<b>Animal Administration</b> <sup>[2]</sup>	<p>Six-week-old male athymic nude mice (nu/nu) weighing 20-22 g are used in the assay. Castration-resistant PC-3 cells (5×10<sup>6</sup> in 0.15 mL solution) are mixed with matrigel and RPMI-1640 medium (1/1, v/v) and injected subcutaneously into both flanks of each mouse (n=6 animals/group) and tumors allowed to develop. The tumors are measured twice per week with a digital caliper. Tumor volumes are calculated by the formula (L × W × H) × π/6. Drug treatment is started when tumor volumes reach appr 100 mm<sup>3</sup>. Mice are given daily tail vein injections of 0.1 mL solution of either 5 or 20 mg/kg Ro 48-8071 for 5 days.</p>
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This is followed by an injection every other day for six additional treatments and then a final injection 2 hours prior to sacrifice. Control mice receive the same volume of phosphate-buffered saline on the same schedule. The animals are weighed and tumor volumes are measured twice weekly throughout the drug treatment period. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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## CUSTOMER VALIDATION

- Cancer Cell. 2023 May 23;S1535-6108(23)00142-3.
- Mol Cell. 2021 Jul 1;81(13):2736-2751.e8.
- Sci China Life Sci. 2021 May 27;1-21.
- Cell Death Dis. 2021 May 13;12(5):482.
- Cell Rep. 2022 Dec 13;41(11):111827.

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## REFERENCES

- [1]. 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.
- [2]. 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
- [3]. 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.
- [4]. 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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**Caution: Product has not been fully validated for medical applications. For research use only.**

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