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## Product Data Sheet

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Product Name: Ro 41-5253

Cat. No.: GC66433

### Chemical Properties

Cas. No. 144092-31-9

Formula  $C_{28}H_{36}O_5S$  M.Wt 484.65

Solubility Storage Store at  $-20^{\circ}C$

General tips For obtaining a higher solubility, please warm the tube at  $37^{\circ}C$  and shake it in the ultrasonic bath for a while. Stock solution can be stored below  $-20^{\circ}C$  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

### Background

IC50: 60 nM (RAR $\alpha$ ), 2.4  $\mu$ M (RAR $\beta$ ), 3.3  $\mu$ M (RAR $\gamma$ )<sup>[3]</sup>.

Ro 41-5253 is an orally active selective retinoic acid receptor alpha (**RAR $\alpha$** ) antagonist. Ro 41-5253 can bind RAR $\alpha$  without inducing transcription or affecting RAR/RXR heterodimerization and DNA binding. Ro 41-5253 can inhibit cancer cell proliferation and induce **apoptosis, has antitumor activity**<sup>[1][2]</sup>.

Ro 41-5253 (1 nM-10  $\mu$ M, 10 days) significantly inhibits MCF-7 and ZR 75.1 cell proliferation and induces cell apoptosis in a time and dose-dependent manner<sup>[1]</sup>.

Cell Proliferation Assay<sup>[1]</sup>

Cell Line: Human breast-carcinoma lines MCF-7 and ZR 75.1

Concentration: 1 nM-10  $\mu$ M

Incubation

Time: 10 days

**Caution: Product has not been fully validated for medical applications. For research use only.**

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**Result:** Inhibited 81% MCF-7 cell growth at 10  $\mu$ M, 30% cell growth at 1  $\mu$ M and no significant inhibitory effect at concentrations below 0.1  $\mu$ M. Inhibited 74% ZR 75.1 cell growth at 10  $\mu$ M, 63% cell growth at 1  $\mu$ M and 42% cell growth at 0.1  $\mu$ M.

**Apoptosis Analysis<sup>[1]</sup>**

**Cell Line:** Human breast-carcinoma lines MCF-7 and ZR 75.1

**Concentration:** 1 nM-10  $\mu$ M

**Incubation Time:** 10 days

**Result:** Induced 28.5, 21.6, 16 and 12% of MCF-7 cells apoptosis at 10  $\mu$ M, 1  $\mu$ M, 0.1  $\mu$ M and 0.01  $\mu$ M respectively on the fourth day while induced 58, 51, 36 and 21% of cells apoptosis at 10  $\mu$ M, 1  $\mu$ M, 0.1  $\mu$ M and 0.01  $\mu$ M respectively after six days. Induced 80, 65, 43 and 29% of ZR 75.1 cells apoptosis at 10  $\mu$ M, 1  $\mu$ M, 0.1  $\mu$ M and 0.01  $\mu$ M respectively on the sixth day.

Ro 41-5253 (oral gavage, 10-600 mg/kg, once a week, 4 weeks) can reduce tumor volume in female athymic Balb/mice transplanted with MCF-7 cell line<sup>[2]</sup>.

**Animal Model:** Six-week-old female athymic Balb/mice transplanted with MCF-7 cell line<sup>[2]</sup>

**Dosage:** 10, 30, 100, 300 and 600 mg/kg

**Administration:** Oral gavage; once a week; 4 weeks

**Result:** Resulted in a reduction in tumor volume at doses of 10, 30 and 100 mg/kg with no toxic side effects.

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