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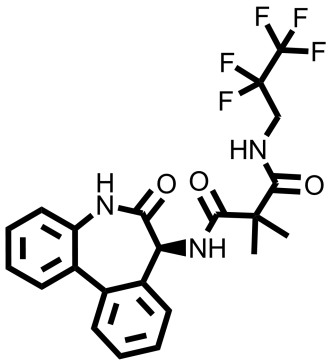
# γ-Secretase Inhibitor RO4929097

**Chemical Name:** N1-[(7S)-6,7-Dihydro-6-oxo-5H-dibenz[b,d]azepin-7-yl]-2,2-dimethyl-N3-(2,2,3,3,3-pentafluoropropyl)propanediamide



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|---|---|
| Molecular Weight: | 469.40 |
| Formula: | $C_{22}H_{20}F_5N_3O_3$ |
| Purity: | ≥98% |
| CAS#: | 847925-91-1 |
| Solubility: | DMSO up to 100 mM |
| Storage | Powder: 4°C 1 year<br>DMSO: 4°C 3 month<br>-20°C 1 year |

**Biological Activity:**

RO4929097 is a highly potent and selective γ-secretase inhibitor with an $IC_{50}$ ~4 nM. It can cause a dramatic reduction of the intracellular Notch level and lead to significantly decreased expression of the Notch transcriptional target genes, such as Hes1. It exhibits potent inhibitory activity of Notch signaling in tumor cells. Currently RO4929097 is in multiple phase I/II clinical trials to treat cancer.

**How to Use:**

**In vitro:** RO4929097 was used at 1-10 μM in vitro.

**In vivo:** RO4929097 was administered orally at 10 mg/kg with an intermittent or daily schedule and showed anti-tumor activity in multiple tumor xenograft models.

**Reference:**

1. Luistro L, et al. Preclinical profile of a potent gamma-secretase inhibitor targeting notch signaling with in vivo efficacy and pharmacodynamic properties. (2009) Cancer Res. 69(19):7672-80.
2. He W, et al. High tumor levels of IL6 and IL8 abrogate preclinical efficacy of the γ-secretase inhibitor, RO4929097. (2011) Mol Oncol. 5(3):292-301.
3. Huynh C, et al. The novel gamma secretase inhibitor RO4929097 reduces the tumor initiating potential of melanoma. (2011) PLoS One. 6(9):e25264.