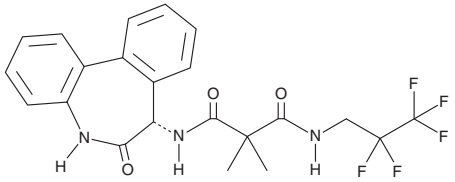
PRODUCT INFORMATION

Ro 4929097

Item No. 19996

CAS Registry No.:	847925-91-1
Formal Name:	N^1-[(7S)-6,7-dihydro-6-oxo-5H-dibenz[b,d] azepin-7-yl]-2,2-dimethyl-N^3-(2,2,3,3,3-pentafluoropropyl)-propanediamide
MF:	$C_{22}H_{20}F_5N_3O_3$
FW:	469.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 230 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Ro 4929097 is supplied as a crystalline solid. A stock solution may be made by dissolving the Ro 4929097 in the solvent of choice, which should be purged with an inert gas. Ro 4929097 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of Ro 4929097 in these solvents is approximately 10, 15, and 25 mg/ml, respectively.

Ro 4929097 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, Ro 4929097 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Ro 4929097 has a solubility of approximately 0.16 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Ro 4929097 is a potent inhibitor of γ-secretase (IC_{50} = 4 nM) that blocks both Aβ40 and Notch processing (IC_{50}s = 14 and 5 nM, respectively).[1] It displays greater than 100-fold selectivity for γ-secretase over a panel of 75 other enzymes, receptors, and ion channels. Ro 4929097 does not block tumor cell growth or induce apoptosis but instead produces a less transformed, flattened, slower-growing phenotype.[1] It is orally active against cancer xenograft models, altering gene expression related to angiogenesis and cell differentiation.[1] Ro 4929097 also reduces tumor initiating potential of melanoma cells *in vivo* by blocking Notch-dependent gene expression.[2]

References

1. Luistro, L., He, W., Smith, M., *et al.* Preclinical profile of a potent γ-secretase inhibitor targeting notch signaling with *in vivo* efficacy and pharmacodynamic properties. *Cancer Res.* **69(19)**, 7620-7680 (2009).
2. Huynh, C., Poliseno, L., Segura, M. F., *et al.* The novel γ secretase inhibitor RO4929097 reduces the tumor initiating potential of melanoma. *PLoS One* **6(9)**, (2011).

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