Product Specification Sheet

Product Name: RO4929097

Catalog Number: C7649-2 (powder)

C7649-2s (10 mM in DMSO)

Package Size: 2 mg

Technical information:

Chemical Formula: C₂₂H₂₀F₅N₃O₃

CAS #: 847925-91-1

Molecular Weight: 469.40

Purity: >98%

Formulation: White solid

Solubility: Soluble in DMSO up to 50 mM

Chemical Name: 2,2-dimethyl-N-((S)-6-oxo-6,7-dihydro-5H-dibenzo[b, d]azepin-7-yl)-N'-

(2,2,3,3,3-pentafluoro-propyl)-malonamide

Storage: Store solid powder at 4°C desiccated;

Store DMSO solution at -20°C.

Handling: • For C7649-2 (powder), add 426 uL of DMSO to make 10 mM solution.

• For C7649-2s, before open the vial, centrifuge the vial at 500rpm x 1 min in a 50 mL conical tube to ensure full recovery of sample.

Biological Activity: RO4929097 is a potent and selective small molecule inhibitor of γ-secretase

 $(IC_{50}=4nM)$, producing inhibitory activity of Notch signaling in tumor cells. It inhibits Notch processing in tumor cells as measured by the reduction of intracellular Notch expression by Western blot. This leads to reduced expression of the Notch transcriptional target gene Hes1. RO4929097 does not block tumor cell proliferation or induce apoptosis but instead produces a less transformed, flattened, slower-growing phenotype. Current it is in

phase I study in patients with advanced solid tumors.

Reference: 1. Luistro L, He W et al. Preclinical profile of a potent gamma-secretase

inhibitor targeting notch signaling with in vivo efficacy and

pharmacodynamic properties. Cancer Res. 2009;69(19):7672-80.

For Technical Support: technical@cellagentech.com

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