Product Specification Sheet

Product Name: SHH Antagonist LDE225

Catalog Number: C5332-2 (powder)

C5332-2s (10 mM in DMSO)

Package Size: 2 mg

Technical information:

Chemical Formula: C₂₆H₂₆F₃N₃O₃

CAS #: 956697-53-3

Molecular Weight: 485.50

Purity: >98%

Formulation: White solid

Solubility: Soluble in DMSO up to 50 mM

Chemical Name: N-(6-((2S,6R)-2,6-dimethylmorpholino)pyridin-3-yl)-2-methyl-4'-

(trifluoromethoxy)biphenyl-3-carboxamide

Storage: Store solid powder at 4°C desiccated;

Store DMSO solution at -20°C.

Handling: • For C5332-2 (powder), add 412 μL of DMSO to make 10 mM solution.

For C5332-2s, 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: LDE225 is a novel and specific, orally bioavailable Smo inhibitor with an

IC50 of 11 nM. It has been shown to potentially inhibit Hh-and Smodependent proliferation in vivo. It also induced the regression of preformed basaloid lesions with an IC50 of <150 nM and almost complete regression at 1.5 μ M. Topical application of a 1% LDE225 solution to depilated skin of C57/BL6 mice completely inhibited hair growth during anagen phase as well as the expression of the Hh-pathway target genes (Gli1, Gli2, Sox9, and N-

Myc) and partial inhibition was obtained when applying a 0.3% solution.

Reference: 1. Shifeng Pan et al. Discovery of NVP-LDE225, a Potent and Selective

Smoothened Antagonist. ACS Med. Chem. Lett., 2010, 1 (3), pp 130–

134.

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