Product Specification Sheet

Product Name: GDC-0349

Catalog Number: C4034

Technical information:

Chemical Formula: $C_{24}H_{32}N_6O_3$

CAS #: 1207360-89-1

Molecular Weight: 452.55

Purity: > 98%

Appearance: light yellow solid

Solubility: Soluble in DMSO up to 50 mM

Chemical Name: (S)-1-ethyl-3-(4-(4-(3-methylmorpholino)-7-(oxetan-3-yl)-5,6,7,8-tetrahydropyrido[3,4-d]pyrimidin-

2-yl)phenyl)urea

Storage: Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.

Shelf Life: In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months

under proper storage condition.

Handling: • To make 10 mM stock solution, add 0.221mL of DMSO for each mg of GDC-0349.

• For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum

sample recovery.

Biological Activity: GDC-0349 is an ATP-competitive, potent and selective inhibitor of mTOR with an Ki value of 3.8

nM. It has ~800-fold selectivity over PI3Ka and in PC3 cells exhibits an EC50 of 270 nM.

Additionally GDC-0349 showed <25% inhibition in a panel of 266 kinases, including all isoforms of PI3K. In in vivo PK/PD mouse studies, GDC-0349 inhibits key mTOR biomarkers such as phospho-4EBP1 and phospho-Akt (S473), which supports the notion of concurrent inhibition of both

mTORC1 and mTORC2 complexes. (1)

Reference: 1. Pei et al., Discovery and Biological Profiling of Potent and Selective mTOR Inhibitor GDC-0349. ACS Med.

Chem. Lett. 2013, 4, 103-107.

To reorder: http://www.cellagentech.com/GDC-0349/

For Technical Support: <u>technical@cellagentech.com</u>

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