Product Specification Sheet

Product Name: MLN-8237 (Alisertib)

Catalog Number: C6561-2 (powder)

C6561-2s (10mM in DMSO)

Package Size: 2 mg

Technical information:

Chemical Formula: C₂₇H₂0ClFN₄O₄

CAS #: 1028486-01-2

Molecular Weight: 518.92

Purity: >98%

Formulation: White solid

Solubility: Soluble in DMSO up to 50 mM

Chemical Name: 4-((9-chloro-7-(2-fluoro-6-methoxyphenyl)-5H-benzo[c]pyrimido[4,5-

e]azepin-2-yl)amino)-2-methoxybenzoic acid

Storage: Store solid powder at 4°C desiccated;

Store DMSO solution at -20°C.

Handling: • For C6561-2 (powder), add 386 μL of DMSO to make 10 mM solution.

• For C6561-2s, before open the vial, centrifuge the vial at 500rpm x 1

min in a 50 mL conical tube to ensure full sample recovery.

Biological Activity: MLN-8237 is a second-generation, selective Aurora A kinase (AAK) inhibitor

with an IC50 of 1 nM. MLN8237 shows 200-fold more selective activity against Aurora-A than related kinase Aurora-B. Inhibition of AAK by MLN-8237 induces cytotoxicity and cell arrest. Several completed as well as actively on-going phase I/II clinical trials evaluate MLN-8237 in advanced

solid tumor as well as advanced hematological malignancies.

Reference: 1. Gorgun G et al. A novel Aurora-A kinase inhibitor MLN8237 induces cytotoxicity and cell-cycle arrest in multiple myeloma. Blood. 2010;

115(25): 5202-5213.

2. Manfredi MG et al. Characterization of Alisertib (MLN8237), an investigational small-molecule inhibitor of aurora A kinase using novel in vivo pharmacodynamic assays. Clin Cancer Res. 2011; 17(24):7614-

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