

## **Product Specification Sheet**

**Product Name:** WYE125132

Catalog Number: C9125

**Technical information:** 

Chemical Formula: C<sub>27</sub>H<sub>33</sub>N<sub>7</sub>O<sub>4</sub>

CAS #: 1144068-46-1

Molecular Weight: 519.6

Purity: > 99%
Appearance: White solid

Solubility: Soluble in DMSO up to 100 mM

Chemical Name: Urea, N-[4-[1-(1,4-dioxaspiro[4.5]dec-8-yl)-4-(8-oxa-3-azabicyclo[3.2.1]oct-3-yl)-1H-pyrazolo[3,4-

d]pyrimidin-6-yl]phenyl]-N'-methyl

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 0.192mL of DMSO for each mg of WYE125132.

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

sample recovery.

**Biological Activity:** WYE125132 is an orally-available, ATP-competitive, pyrazolopyrimidine-based, specific inhibitor of

mTORC1 and mTORC2 with an mTOR potency of 0.19 nM and >5000-fold selectivity against the PI3K isoform family, hSMG1, and ATR enzymes. WYE125132 selectively inhibits phosphorylation of p-Akt (S473) and Akt without significantly reducing levels of T308. FACS analysis reveals that WYE125132 induces cell cycle arrest at the G1-phase, with a reduction in S-phase cells. [1]

VYE125132

WYE125132 induces the rapid loss of phosphorylation at Ser75. Maf1 dephosphorylation was also observed and correlated with its accumulation in the nucleus and a marked decline in the cellular

levels of pre-tRNAs. [2]

**Reference:** 1. Yu et al., Beyond Rapalog Therapy: Preclinical Pharmacology and Antitumor Activity of WYE-125132, an ATP-Competitive and Specific Inhibitor of mTORC1 and mTORC2. Cancer Res. 2010, 70, 621-631. Pubmed ID:

20068177

 Shor et al., Requirement of the mTOR Kinase for the Regulation of Maf1 Phosphorylation and Control of RNA Polymerase III-dependent Transcription in Cancer Cells. J. Biol. Chem. 2010, 285(20), 15380-15392. Pubmed

ID: 20233713

To reorder: <a href="http://www.cellagentech.com/WYE125132/">http://www.cellagentech.com/WYE125132/</a>

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

Chemicals are sold for research use only, not for clinical or diagnostic use.