

PRODUCT INFORMATION

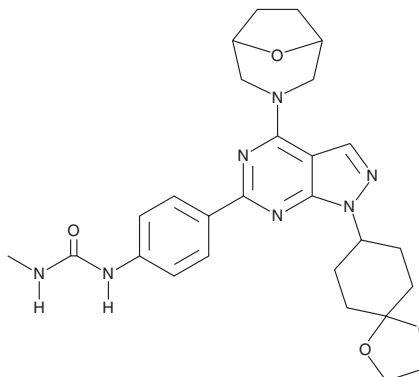


WYE-125132

Item No. 18372

CAS Registry No.: 1144068-46-1
Formal Name: 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-urea

Synonym: WYE-132
MF: C₂₇H₃₃N₇O₄
FW: 519.6
Purity: ≥98%
UV/Vis.: λ_{max}: 203, 290 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

WYE-125132 is supplied as a crystalline solid. A stock solution may be made by dissolving the WYE-125132 in the solvent of choice, which should be purged with an inert gas. WYE-125132 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of WYE-125132 in these solvents is approximately 0.4, 30, 50 mg/ml, respectively.

WYE-125132 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, WYE-125132 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. WYE-125132 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

The mammalian target of rapamycin (mTOR) is a serine-threonine kinase that is central to two protein complexes, mTORC1 and mTORC2. These complexes are differentially regulated (e.g., only mTORC1 is sensitive to rapamycin (Item No. 13346)) and regulate different pathways. WYE-125132 is an ATP-competitive inhibitor of mTOR (IC₅₀ = 0.19 nM) that inhibits signaling through both mTORC1 and mTORC2.¹ It is selective for mTOR over phosphatidylinositol 3-kinase isoforms.¹ WYE-125132 is effective against mTORC1 and mTORC2 in diverse cancer models, both *in vitro* and *in vivo*.¹ Oral administration of WYE-125132 alone blocks mTOR signaling and prevents tumor growth in breast, lung, renal, and glioma cancer xenografts in mice, while combination therapy with the VEGF-inhibitor bevacizumab causes complete regression of A498 renal carcinoma tumors.¹ In addition to its applications in cancer, WYE-125132 has been used to delineate novel aspects of mTOR signaling.²

References

1. Yu, K., Shi, C., Toral-Barza, L., *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.* **70**(2), 621-631 (2010).
2. Shor, B., Wu, J., Shakey, Q., *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.* **285**(20), 15380-15392 (2010).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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