

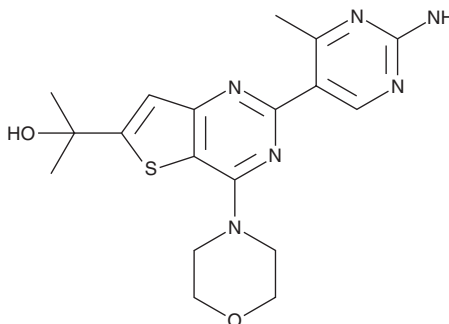
PRODUCT INFORMATION



GNE-490

Item No. 41120

CAS Registry No.: 1033739-92-2
Formal Name: 2-(2-amino-4-methyl-5-pyrimidinyl)-
α,α-dimethyl-4-(4-morpholinyl)-
thieno[3,2-d]pyrimidine-6-methanol
MF: C₁₈H₂₂N₆O₂S
FW: 386.5
Purity: ≥98%
Supplied as: A 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

GNE-490 is supplied as a solid. A stock solution may be made by dissolving the GNE-490 in the solvent of choice, which should be purged with an inert gas. GNE-490 is soluble (≥10 mg/ml) in DMSO.

Description

GNE-490 is an inhibitor of PI3K (IC₅₀s = 3.5, 25, 5.2, and 15 nM for PI3Kα, PI3Kβ, PI3Kδ, and PI3Kγ, respectively).¹ It is selective for PI3K over mTOR (IC₅₀ = 740 nM) and a panel of 142 kinases at 1 μM. GNE-490 (0.4 μM) reduces cell migration by, the number of vessel outgrowths from, and induces apoptosis in, human umbilical vein endothelial cells (HUVECs).² It increases the length of circadian rhythms in zebrafish larvae.³ GNE-490 (30 mg/kg) decreases vascularization and tumor growth in a PC3 prostate cancer mouse xenograft model.²

References

1. Sutherlin, D.P., Sampath, D., Berry, M., *et al.* Discovery of (thienopyrimidin-2-yl)aminopyrimidines as potent, selective, and orally available pan-PI3-kinase and dual pan-PI3-kinase/mTOR inhibitors. *J. Med. Chem.* **53**(3), 1086-1097 (2010).
2. Sampath, D., Oeh, J., Wyatt, S.K., *et al.* Multimodal microvascular imaging reveals that selective inhibition of class I PI3K is sufficient to induce an antivascular response. *Neoplasia* **15**(7), 694-711 (2013).
3. Mosser, E.A., Chiu, C.N., Tamai, T.K., *et al.* Identification of pathways that regulate circadian rhythms using a larval zebrafish small molecule screen. *Sci. Rep.* **9**(1), 12405 (2019).

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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