PRODUCT INFORMATION



GNE-493

Item No. 29224

CAS Registry No.: Formal Name:	1033735-94-2 2-(2-amino-5-pyrimidinyl)-α,α-dimethyl- 4-(4-morpholinyl)-thieno[3,2-d]	
MF: FW: Purity: UV/Vis.: Supplied as: Storage: Stability:	$C_{17}H_{20}N_6O_2S$ 372.4 ≥98% λ _{max} : 271 nm A crystalline solid -20°C ≥4 years	

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

Laboratory Procedures

GNE-493 is supplied as a crystalline solid. A stock solution may be made by dissolving the GNE-493 in the solvent of choice, which should be purged with an inert gas. GNE-493 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of GNE-493 in these solvents is approximately 0.5, 16, and 20 mg/ml, respectively.

GNE-493 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GNE-493 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. GNE-493 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

GNE-493 is a dual inhibitor of PI3K and mammalian target of rapamycin (mTOR; $IC_{so}s = 3.4, 12, 16, 16, and 32 nM$ for PI3K α , PI3K β , PI3K δ , PI3K γ , and mTOR, respectively).¹ It inhibits proliferation of PC3 and MCF-7.1 cells with IC50 values of 330 and 180 nM, respectively. GNE-493 (10 mg/kg per day) reduces tumor volume in PC3 and MCF-7.1 mouse xenograft models, which are PTEN negative or PI3Ka activating mutation positive, respectively. It also decreases relative levels of phosphorylated Akt, PRAS40, and S6RP in tumor tissue in the MCF-7.1 mouse xenograft model.

Reference

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).

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

SAFFTY 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.

WARRANTY AND LIMITATION OF REMEDY

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