

PRODUCT INFORMATION

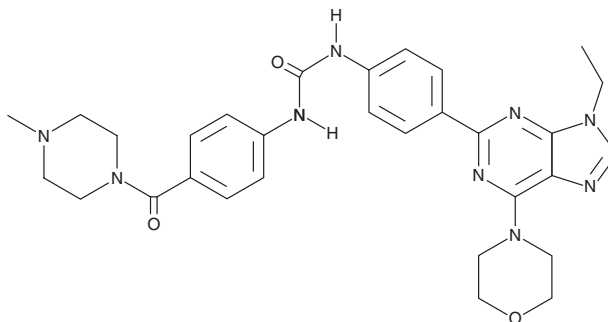


PKI-402

Item No. 27664

CAS Registry No.: 1173204-81-3
Formal Name: N-[4-[3-ethyl-7-(4-morpholinyl)-3H-1,2,3-triazolo[4,5-d]pyrimidin-5-yl]phenyl]-N'-[4-[(4-methyl-1-piperazinyl)carbonyl]phenyl]-urea

MF: C₂₉H₃₄N₁₀O₃
FW: 570.6
Purity: ≥98%
Supplied as: A solid
Storage: -20°C
Stability: ≥2 years



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

Laboratory Procedures

PKI-402 is supplied as a solid. A stock solution may be made by dissolving the PKI-402 in the solvent of choice, which should be purged with an inert gas. PKI-402 is soluble in the organic solvent DMSO.

Description

PKI-402 is a dual inhibitor of PI3K and mammalian target of rapamycin (mTOR; IC₅₀s = 1.4, 9.2, and 1.7 nM for PI3Kα, PI3Kγ, and mTOR, respectively).¹ It reduces proliferation of MDA-MB-361 and PC3 cancer cells (IC₅₀s = 8 and 21 nM, respectively) and inhibits phosphorylation of Akt in MDA-MB-361 cells (IC₅₀ = 5 nM). PKI-402 (25, 50, and 100 mg/kg) reduces intratumor phosphorylation of Akt and tumor growth in an MDA-MB-361 mouse xenograft model. It also inhibits tumor growth in U87MG glioma and A549 lung cancer mouse xenograft models in a dose-dependent manner.²

References

1. Dehnhardt, C.M., Venkatesan, A.M., Delos Santos, E., *et al.* Lead optimization of N-3-substituted 7-morpholinotriazolopyrimidines as dual phosphoinositide 3-kinase/mammalian target of rapamycin inhibitors: Discovery of PKI-402. *J. Med. Chem.* **53**(2), 798-810 (2009).
2. Mallon, R., Hollander, I., Feldberg, L., *et al.* Antitumor efficacy profile of PKI-402, a dual phosphatidylinositol 3-kinase/mammalian target of rapamycin inhibitor. *Mol. Cancer Ther.* **9**(4), 976-984 (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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