

PRODUCT INFORMATION

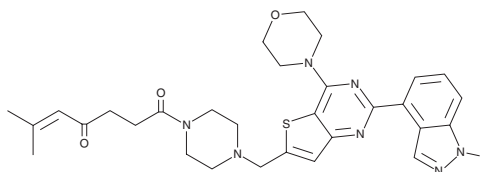


CNX-1351

Item No. 30264

CAS Registry No.: 1276105-89-5
Formal Name: 1-[4-[[2-(1H-indazol-4-yl)-4-(4-morpholinyl)thieno[3,2-d]pyrimidin-6-yl]methyl]-1-piperazinyl]-6-methyl-5-heptene-1,4-dione

MF: C₃₀H₃₅N₇O₃S
FW: 573.7
Purity: ≥98%
UV/Vis.: λ_{max}: 216, 320 nm
Supplied as: A crystalline 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

CNX-1351 is supplied as a crystalline solid. A stock solution may be made by dissolving the CNX-1351 in the solvent of choice, which should be purged with an inert gas. CNX-1351 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of CNX-1351 in these solvents is approximately 1 mg/ml.

CNX-1351 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CNX-1351 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. CNX-1351 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

CNX-1351 is a covalent inhibitor of PI3Kα (IC₅₀ = 6.8 nM).¹ It is selective for PI3Kα over PI3Kβ, -γ, and -δ (IC₅₀s = 166, 240.3, and 3,020 nM, respectively), as well as PI3K2A, PI3KC, PI4Kα, PI4Kβ, SPHK1, and SPHK2 (IC₅₀s = >1 μM for all). CNX-1351 (500 nM) inhibits phosphorylation of Akt in SKOV3 cells. It inhibits the growth of SKOV3 and MCF-7 cancer cells (GI₅₀s = 77.6 and 54.7 nM, respectively). CNX-1351 (100 mg/kg) inhibits Akt phosphorylation in mouse spleen.

Reference

1. Nacht, M., Qiao, L., Sheets, M.P., *et al.* Discovery of a potent and isoform-selective targeted covalent inhibitor of the lipid kinase PI3Kα. *J. Med. Chem.* **56**(3), 712-721 (2013).

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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