

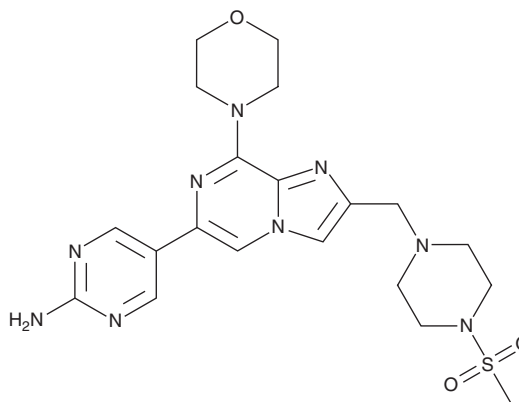
PRODUCT INFORMATION



ETP-46321

Item No. 37330

CAS Registry No.: 1252594-99-2
Formal Name: 5-[2-[[4-(methylsulfonyl)-1-piperazinyl]methyl]-8-(4-morpholinyl)imidazo[1,2-a]pyrazin-6-yl]-2-pyrimidinamine
MF: C₂₀H₂₇N₉O₃S
FW: 473.6
Purity: ≥98%
UV/Vis.: λ_{max}: 270 nm
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

ETP-46321 is supplied as a solid. A stock solution may be made by dissolving the ETP-46321 in the solvent of choice, which should be purged with an inert gas. ETP-46321 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of ETP-46321 in these solvents is approximately 10 mg/ml.

Description

ETP-46321 is an inhibitor of PI3K p110α and p110δ (apparent K_is = 2.3 and 14.2 nM, respectively).¹ It is selective for PI3K p110α and p110δ over p110β and p110γ (apparent K_is = 170 and 179 nM, respectively), as well as a panel of 288 kinases at 1 μM. ETP-46321 inhibits Akt phosphorylation in U2OS cells (IC₅₀ = 8.3 nM). *In vivo*, ETP-46321 (50 mg/kg) reduces tumor volume in a K-Ras^{G12V}-driven murine lung tumor model. It also reduces anti-collagen IgG1 and IgG2a production, swelling, and joint rigidity in a mouse model of collagen-induced arthritis.²

References

- González, S.M., Hernández, A.I., Varela, C., *et al.* Identification of ETP-46321, a potent and orally bioavailable PI3K α, δ inhibitor. *Bioorg. Med. Chem. Lett.* **22(10)**, 3460-3466 (2012).
- Aragoneses-Fenoll, L., Montes-Casado, M., Ojeda, G., *et al.* ETP-46321, a dual p110α/δ class IA phosphoinositide 3-kinase inhibitor modulates T lymphocyte activation and collagen-induced arthritis. *Biochem. Pharmacol.* **106**, 56-69 (2016).

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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