

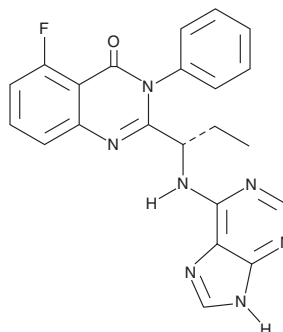
PRODUCT INFORMATION



CAL-101

Item No. 15279

CAS Registry No.: 870281-82-6
Formal Name: 5-fluoro-3-phenyl-2-[(1S)-1-(9H-purin-6-ylamino)propyl]-4(3H)-quinazolinone
Synonyms: GS-1101, Idelalisib
MF: C₂₂H₁₈FN₇O
FW: 415.4
Purity: ≥98%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid
UV/Vis.: λ_{max}: 269, 311 nm



Laboratory Procedures

For long term storage, we suggest that CAL-101 be stored as supplied at -20°C. It should be stable for at least two years.

CAL-101 is supplied as a crystalline solid. A stock solution may be made by dissolving the CAL-101 in the solvent of choice. CAL-101 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of CAL-101 in these solvents is approximately 15, 25, and 30 mg/ml, respectively.

CAL-101 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CAL-101 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. CAL-101 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

The phosphatidylinositol 3-kinase (PI3K) signaling pathway has central roles in cell growth, development, and survival.^{1,2} CAL-101 is a cell permeable inhibitor of the PI3K catalytic subunit p110δ (IC₅₀ = 2.5 nM) that demonstrates 40- to 300-fold selectivity against other PI3K class I enzymes (IC₅₀s = 820, 565, and 89 nM for p110α, β, and γ, respectively).³ At 1 μM it can block constitutive PI3K signaling in malignant B-cell lines and primary patient tumor cells, resulting in decreased phosphorylation of Akt and other downstream effectors, an increase in poly(ADP-ribose) polymerase, and apoptosis.³ It has also been shown to inhibit the chemotaxis of chronic lymphocytic leukemia cells and to downregulate the secretion of chemokines triggered by B-cell receptor signaling.⁴

References

1. Hennessy, B.T., Smith, D.L., Ram, P.T., *et al. Nat. Rev. Drug Discov.* **4**, 988-1004 (2005).
2. Hirsch, E., Ciruolo, E., Ghigo, A., *et al. Pharmacol. Ther.* **118**, 192-205 (2008).
3. Lannutti, B.H., Meadows, S.A., Hermann, S.E.M., *et al. Blood* **117**(2), 591-594 (2011).
4. Hoellenriegel, J., Meadows, S.A., Sivina, M., *et al. Blood* **118**(13), 3603-3612 (2011).

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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