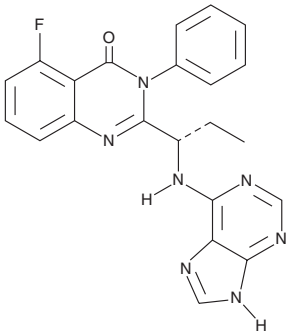
PRODUCT INFORMATION

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:	$C_{22}H_{18}FN_7O$
FW:	415.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 269, 311 nm
Supplied as:	A crystalline 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

CAL-101 is supplied as a crystalline solid. A stock solution may be made by dissolving the CAL-101 in the solvent of choice, which should be purged with an inert gas. CAL-101 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). 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 (IC_{50} = 2.5 nM) that demonstrates 40- to 300-fold selectivity against other PI3K class I enzymes (IC_{50}s = 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.* Exploiting the PI3K/AKT pathway for cancer drug discovery. *Nat. Rev. Drug Discov.* **4(12)**, 988-1004 (2005).
2. Hirsch, E., Ciraolo, E., Ghigo, A., *et al.* Taming the PI3K team to hold inflammation and cancer at bay. *Pharmacol. Ther.* **118(2)**, 192-205 (2008).
3. Lannutti, B.H., Meadows, S.A., Hermann, S.E.M., *et al.* CAL-101, a p110δ selective phosphatidylinositol-3-kinase inhibitor for the treatment of B-cell malignancies, inhibits PI3K signaling and cellular viability. *Blood* **117(2)**, 591-594 (2011).
4. Hoellenriegel, J., Meadows, S.A., Sivina, M., *et al.* The phosphoinositide 3'-kinase delta inhibitor, CAL-101, inhibits B-cell receptor signaling and chemokine networks in chronic lymphocytic leukemia. *Blood* **118(13)**, 3603-3612 (2011).

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