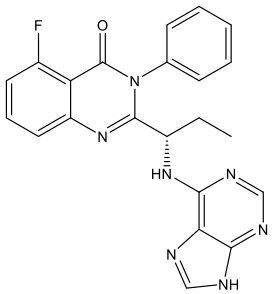
Catalog # 10-4820
Idelalisib
CAS# 870281-82-6

5-Fluoro-3-phenyl-2-[(1S)-1-(7H-purin-6-ylamino)propyl]quinazolin-4-one; CAL-101

Lot # FBS1112



Idelalisib is a potent (IC_{50} = 2.5nM) and selective (IC_{50}'s: PI3Kα = 820nM, PI3Kβ = 565nM, PI3Kγ = 89nM) PI3Kδ inhibitor.[1,2] Useful clinical agent for the treatment of various blood cancers. Idelalisib attenuates regulatory T cells (Treg) but not conventional T cells (Tconv) resulting in a significant increase in tumor-infiltrating antigen-specific CD8 T cells in a murine lung cancer model.[3] Conversely, systemic PI3Kδ inactivation antagonized anti-CTLA-4 and anti-PD-L1 treatment.[4] Others have found that Idelalisib minimally influenced rituximab- and obinutuzumab-mediated Ab-dependent cellular cytotoxicity in human lymphoma cells.[5]

1) Herman *et al.* (2010) *Phosphatidylinositol 2-kinase-δ inhibitor CAL-101 shows promising preclinical activity in chronic lymphocytic leukemia by antagonizing intrinsic and extrinsic cellular survival signals;* Blood **116** 2078
2) Lannutti *et al.* (2011) *CAL-101, a p110d selective phosphatidylinositol-3-kinase inhibitor for the treatment of B-cell malignancies, inhibits PI3K signaling and cellular viability;* Blood **117** 591
3) Ahmad *et al.* (2017) *Differential PI3Kd Signaling in CD4+ T-cell Subsets Enables Selective Targeting of T Regulatory Cells to Enhance Cancer Immunotherapy;* Cancer Res. **77** 1892
4) Lim *et al.* (2018) *Phosphoinositide 3-kinase δ inhibition promotes antitumor responses but antagonizes checkpoint inhibitors;* JCI Insight **3** e120626
5) Palazzo *et al.* (2018) *The PI3Kd-Selective Inhibitor Idelalisib Minimally Interferes with Immune Effector Function Mediated by Rituximab or Obinutuzumab and Significantly Augment B Cell Depletion In Vivo;* J.Immunol. **200** 2304

PHYSICAL DATA

Molecular Weight:	415.43
Molecular Formula:	$C_{21}H_{18}FN_7O$
Purity:	>98% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (>25 mg/ml)
Physical Description:	White solid
Storage and Stability:	Store as supplied at -20ºC for up to 1 year from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 1 month.

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