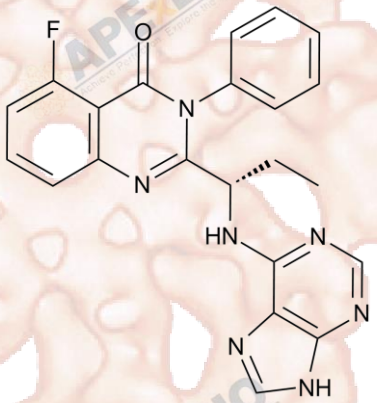
Product Data Sheet

CAL-101 (Idelalisib, GS-1101)



Cat. No.: A3005
CAS No.: 870281-82-6
Formula: C22H18FN7O
M.Wt: 415.43
Synonyms: CAL-101,CAL101,Idelalisib,GS-1101,GS1101
Target: PI3K/Akt/mTOR Signaling
Pathway: PI3K
Storage: Store at -20°C

Solvent & Solubility

In Vitro

insoluble in H2O; ⩾2.1 mg/mL in EtOH with gentle warming and ultrasonic; ⩾80.2 mg/mL in DMSO

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	2.4071 mL	12.0357 mL	24.0714 mL
5 mM	0.4814 mL	2.4071 mL	4.8143 mL
10 mM	0.2407 mL	1.2036 mL	2.4071 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	PI3K inhibitor
IC_{50} & Target	2.5 nM (p110δ)

In Vitro

Cell Viability Assay

Cell Line:	CD19/CD5-positive CLL cells (> 90%)
Preparation method：	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.
Reacting conditions:	< 5 μM: dose-dependently inhibits the pro-survival effect of anti-IgM= 5 μM,

		24h: inhibits 2.6% activity of anti-IgM> 5 μM, 72h: maximally reduces CLL cell viability
	Applications:	CAL-101 abrogated the pro-survival effect of anti-IgM in a dose-dependent fashion at lower dose levels (< 5 μM). CAL-101 treatment at concentrations of > 5 μM was maximally effective over the 72-hour time course in reducing CLL cell viability. At the 5 μM concentration, CAL-101 significantly decreased the mean (SEM) pro-survival effect of anti-IgM to 92.7% (2.6%) after 24 hours.
In Vivo	**Animal experiment**	
	Animal models:	NOD-SCID-γ-null (NSG) mice well-engrafted with de novo (n = 3) or relapsed (n = 1) childhood Ph-like ALL specimens with JAK2 mutations and/or CRLF2 alterations.
	Dosage form:	30 mg/kg/day, 3 days, oral gavage
	Applications:	CAL101 treatments demonstrated potent in vivo inhibition of relevant phosphoproteins, including phosphorylated (p) PI3K, mTOR, S6, and AktS473. Increased phosphorylation of other measured proteins was not observed, suggesting that proximal inhibition effectively abrogated aberrant PI3K pathway signal transduction with minimal compensatory signaling upregulation.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Yaya Chu, Sanghoon Lee, et al. " Ibrutinib significantly inhibited Bruton's tyrosine kinase (BTK) phosphorylation,in-vitro proliferation and enhanced overall survival in a preclinical Burkitt lymphoma (BL) model." OncoImmunology.11 Oct 2018.
2. Wensveen FM, Slinger E, et al. "Antigen-affinity controls pre-germinal centser B cell selection by promoting Mcl-1 induction through BAFF receptor signaling." Sci Rep. 2016 Oct 20;6:35673.PMID:27762293

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References

[1] 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, 2011, 118(13): 3603-3612.
[2] Li Y, Ryan T, Vincent T, et al. In vivo efficacy of PI3K pathway signaling inhibition for Philadelphia chromosome-like acute lymphoblastic leukemia. Blood, 2013, 122(21): 2672-2672.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

APExBIO Technology

www.apexbt.com

7505 Fannin street, Suite 410, Houston, TX 77054.

Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com