Product Name: CAL-101 (Idelalisib, GS-1101)

Revision Date: 6/30/2018

Product Data Sheet

Chemical Properties

Product Name: CAL-101 (Idelalisib, GS-1101)
Cas No.: 870281-82-6
M.Wt: 415.43
Formula: C22H18FN7O
Synonyms: CAL-101, CAL101, Idelalisib, GS-1101, GS1101

Chemical Name: 5-fluoro-3-phenyl-2-[(1S)-1-(7H-purin-6-ylamino)propyl]quinazolin-4-one
Canonical SMILES: CCC(C1=NC2=C(C=C=C2)F)(=O)N1C3=CC=CC=C3)NC4=NC=NC5=C4NC=N5

Solubility: \[ \geq 80.2 \text{ mg/mL in DMSO, } <2.09 \text{ mg/mL in H}_2\text{O, } \geq 2.1 \text{ mg/mL in EtOH with ultrasonic and warming} \]

Storage: Store at \(-20^\circ\text{C}\)

General tips: For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below \(-20^\circ\text{C}\) for several months.

Shopping Condition: Evaluation sample solution: ship with blue ice
All other available size: ship with RT, or blue ice upon request

Biological Activity

Targets: PI3K/Akt/mTOR Signaling
Pathways: PI3K

Description:

CAL-101 (Idelalisib, GS-1101), is a p110δ selective phosphatidylinositol-3-kinase inhibitor in a kinome-wide screen using purified enzymes and in cell-based PI3K isoform-specific assays. Phosphatidylinositol-3-kinase p110δ serves as a central integration point for signaling from cell...
surface receptors known to promote malignant B-cell proliferation and survival. CAL-101 can block constitutive phosphatidylinositol-3-kinase signaling, resulting in reduced phosphorylation of Akt and other downstream effect factors, an increase in poly(ADP-ribose) polymerase and caspase cleavage and an induction of apoptosis. These effects have been observed across a broad range of immature and mature B-cell malignancies. In addition, CAL-101 abrogated protection from spontaneous apoptosis induced by B cell–activating factors CD40L, TNF-α, and fibronectin.

Reference:

Protocol

Cell experiment:

Cell lines
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, 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 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.

Animal experiment [3]:

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.

Reference:


Product Citations


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.