Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Copanlisib

Catalog No: tcsc0741

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1032568-63-0

Formula:
$C_{23}H_{28}N_8O_4$

Pathway:
PI3K/Akt/mTOR

Target:
PI3K

Purity / Grade:
>98%

Solubility:
H2O :

Alternative Names:
BAY 80-6946

Observed Molecular Weight:
480.52

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Product Description

Copanlisib (BAY 80-6946) is a selective and ATP-competitive class-I **PI3** kinases inhibitor, with **IC_{50}**s of 0.5, 0.7, 3.7 and 6.4 nM for **PI3Kα**, **PI3Kδ**, **PI3Kβ** and **PI3Kγ**, respectively.

IC50 & Target: IC50: 0.5 nM (PI3Kα), 0.7 nM (PI3Kδ), 3.7 nM (PI3Kβ), 6.4 nM (PI3Kγ), 45 nM (mTOR)[1]

In Vitro: Copanlisib (BAY 80-6946) potently inhibits the catalytic activity of the class I PI3Kα, β, γ, and δ isoforms with IC_{50}s of 0.5, 3.7, 6.4, and 0.7 nM, respectively. Copanlisib (BAY 80-6946) shows significantly weaker activity against mTOR with an IC_{50} of 45 nM. In KPL4 cells, Copanlisib (BAY 80-6946) reduces basal levels of AKT phosphorylation at both Thr308 and Ser473 with IC_{50} values of 0.4 and 0.6 nM, respectively. Copanlisib has mean IC_{50} values of 19 nM against cell lines with *PIK3CA*-activating mutations (n = 9) and 17 nM against HER2-positive cell lines (n=7), whereas the activity in *PIK3CA* wild-type and HER2-negative cells is about 40-fold less potent (average IC_{50}=774 nM; n=11)[1].

In Vivo: Copanlisib (BAY 80-6946) is highly efficacious in a variety of human tumor xenograft models derived from different tumor indications that exhibit an activated PI3K pathway. Copanlisib (BAY 80-6946) is administered at 0.5 to 6 mg/kg i.v. every second day for a total of five doses starting on day 14, following tumor cell implantation. On day 25, 3 days after the last dose, TGI rates of 77%, 84%, 99%, and 100% are observed with Copanlisib (BAY 80-6946) at doses of 0.5, 1, 3, and 6 mg/kg, respectively. Complete tumor regression is shown in 10 of 10 rats in the 3 and 6 mg/kg groups, and all rats remained tumor free at the termination of the study on day 73. Tumor growth delays more than 25 days are observed in the 0.5 and 1 mg/kg dose groups[1].