

# 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

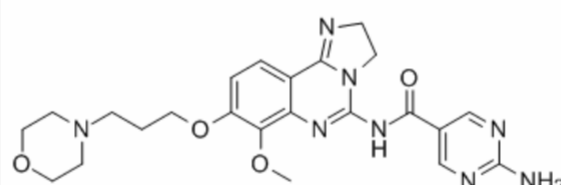
## Product Description

Copanlisib (BAY 80-6946) is a selective and ATP-competitive class-I **PI3** kinases inhibitor, with **IC<sub>50</sub>**s of 0.5, 0.7, 3.7 and 6.4 nM for **PI3K $\alpha$** , **PI3K $\delta$** , **PI3K $\beta$**  and **PI3K $\gamma$** , respectively.

IC50 & Target: IC50: 0.5 nM (PI3K $\alpha$ ), 0.7 nM (PI3K $\delta$ ), 3.7 nM (PI3K $\beta$ ), 6.4 nM (PI3K $\gamma$ ), 45 nM (mTOR)<sup>[1]</sup>

**In Vitro:** Copanlisib (BAY 80-6946) potently inhibits the catalytic activity of the class I PI3K $\alpha$ ,  $\beta$ ,  $\gamma$ , and  $\delta$  isoforms with IC<sub>50</sub>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<sub>50</sub> of 45 nM. In KPL4 cells, Copanlisib (BAY 80-6946) reduces basal levels of AKT phosphorylation at both Thr308 and Ser473 with IC<sub>50</sub> values of 0.4 and 0.6 nM, respectively. Copanlisib has mean IC<sub>50</sub> 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<sub>50</sub>=774 nM; n=11)<sup>[1]</sup>.

**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<sup>[1]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!