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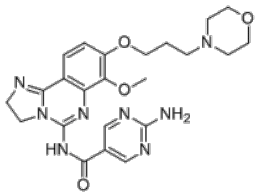
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Copanlisib
Cat. No.	:	PC-20534
CAS No.	:	1032568-63-0
Molecular Formula	:	$C_{23}H_{28}N_8O_4$
Molecular Weight	:	480.53
Target	:	PI3K
Solubility	:	10 mM in DMSO



CAS: 1032568-63-0

Biological Activity

Copanlisib (BAY 80-6946) is a potent, highly selective pan-class I PI3K inhibitor with IC50 of 0.5, 3.7, 6.4, and 0.7 nM for PI3Kα, β, γ, and δ isoforms, respectively.
BAY 80-6946 shows significantly weaker activity against mTOR with an IC50 of 45 nM, does not inhibit PI4K-II, PIP4-5K, PIP5-4K, or an additional 220 kinases in the Millipore kinase panel (inhibition <30%) at 1 uM.
BAY 80-6946 reduces basal levels of AKT phosphorylation at both Thr308 and Ser473 with IC50 values of 0.4 and 0.6 nmol/L, respectively, in KPL4 cells.
BAY 80-6946 is a potent inhibitor of tumor cell proliferation and shows activity in a subset of human cancer cell lines with PIK3CA mutations and/or overexpression of HER2.
BAY 80-6946 induces apoptosis in a subset of tumor cell lines that are resistant to lapatinib and trastuzumab.
BAY 80-6946 is highly efficacious in rat and mouse tumor xenograft models following intravenous administration.

References

Liu N, et al. Mol Cancer Ther. 2013 Nov;12(11):2319-30.

Will M, et al. Cancer Discov. 2014 Mar;4(3):334-47.

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