Copanlisib dihydrochloride

Cat. No.: HY-15346A

CAS No.: 1402152-13-9

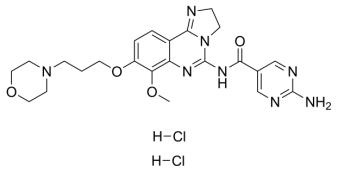
Molecular Formula: $C_{23}H_{30}Cl_2N_8O_4$

Molecular Weight: 553

Target: PI3K; Apoptosis

Pathway: PI3K/Akt/mTOR; Apoptosis

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 50 mg/mL (90.42 mM; Need ultrasonic)
DMSO : 5 mg/mL (9.04 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.8083 mL	9.0416 mL	18.0832 mL
5 mM	0.3617 mL	1.8083 mL	3.6166 mL
10 mM	0.1808 mL	0.9042 mL	1.8083 mL

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

In Vivo

1. Add each solvent one by one: PBS
Solubility: 100 mg/mL (180.83 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Copanlisib dihydrochloride (BAY 80-6946 dihydrochloride) is a potent, selective and ATP-competitive pan-class I PI3K inhibitor, with IC_{50}s of 0.5 nM, 0.7 nM, 3.7 nM and 6.4 nM for PI3Kα, PI3Kδ, PI3Kβ and PI3Kγ, respectively. Copanlisib dihydrochloride has more than 2,000-fold selectivity against other lipid and protein kinases, except for mTOR. Copanlisib dihydrochloride has superior antitumor activity[1].

IC_{50} & Target

PI3Kα	PI3Kδ	PI3Kβ	PI3Kγ
0.5 nM (IC_{50})	0.7 nM (IC_{50})	3.7 nM (IC_{50})	6.4 nM (IC_{50})

mTOP
45 nM (IC_{50})

In Vitro

Copanlisib (BAY 80-6946; 20-200 nM; 24 hours; BT20 breast cancer cells) treatmemnt induces apoptosis in a subset of tumor cell lines that are resistant to Lapatinib and Trastuzumab[1].

?Copanlisib (BAY 80-6946; 0.5-500 nM; 2 hours; ELT3 cells) treatmemnt shows complete inhibition of PI3K-mediated AKT phosphorylation in ELT3 cells[1].

?Copanlisib potently inhibits cell proliferation in a panel of human tumor cell lines. Copanlisib has mean IC_{50} values of 19 nM against cell lines with PIK3CA-activating mutations and 17 nM against HER2-positive cell lines, whereas the activity in PIK3CA wild-type and HER2-negative cells is about 40-fold less potent[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Apoptosis Analysis[1]

Cell Line:	BT20 breast cancer cells
Concentration:	20 nM and 62 nM, 200 nM
Incubation Time:	24 hours
Result:	Significantly increased caspase9 activities. Also increased levels of phosphorylated p53 at Ser15and cleaved PARP. Induced caspase-9 activation with an EC_{50} of 340 nM.

Western Blot Analysis[1]

Cell Line:	ELT3 cells
Concentration:	0.5 nM, 5 nM, 50 nM, 500 nM
Incubation Time:	2 hours
Result:	Complete inhibition of PI3K-mediated AKT phosphorylation was clearly shown at a concentration of 5 nM.

In Vivo

Copanlisib (BAY 80-6946; 0.5-6 mg/kg; intravenous injection; every second day, every third day; for 60 days; athymic nude rats) treatment displays robust antitumor activity in the rat KPL4 tumor xenograft model[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Athymic nude rats injected with KPL4 tumor cells[1]
Dosage:	0.5 mg/kg, 1 mg/kg, 3 mg/kg or 6 mg/kg
Administration:	Intravenous injection; every second day, every third day; for 60 days
Result:	On day 25, tumor growth inhibition (TGI) rates of 77%, 84%, 99%, and 100% were observed at doses of 0.5, 1, 3, and 6 mg/kg, respectively. All rats remained tumor free at the termination of the study on day 73.

CUSTOMER VALIDATION

- Science. 2017 Dec 1;358(6367):eaan4368.
- Mol Cancer. 2023 Mar 30;22(1):64.
- Blood. 2019 Jan 3;133(1):70-80.
- J Clin Invest. 2021 Dec 15;131(24):e140436.
- Theranostics. 2020 Jan 1;10(4):1531-1543.

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REFERENCES

[1]. Liu N, et al. BAY 80-6946 is a highly selective intravenous PI3K inhibitor with potent p110α and p110δ activities in tumor cell lines and xenograft models. Mol Cancer Ther. 2013 Nov;12(11):2319-30.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA