PI-3065

Cat. No.: HY-12235

CAS No.: 955977-50-1

Molecular Formula: $C_{27}H_{31}FN_6OS$

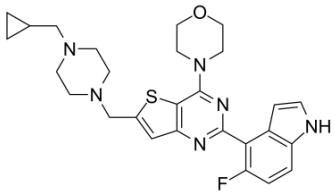
Molecular Weight: 506.64

Target: PI3K

Pathway: PI3K/Akt/mTOR

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (49.34 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.9738 mL	9.8689 mL	19.7379 mL
5 mM	0.3948 mL	1.9738 mL	3.9476 mL
10 mM	0.1974 mL	0.9869 mL	1.9738 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.93 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.93 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PI-3065 is a potent inhibitor of PI3K p110δ, with IC_{50} and K_i values of 5 nM and 1.5 nM, and exhibits less potent activity against p110α, p110β, p110γ with IC_{50}s of 910, 600, >10000 nM.

IC_{50} & Target

p110δ	p110β	p110α
5 nM (IC_{50})	600 nM (IC_{50})	910 nM (IC_{50})

In Vitro

PI-3065 exhibits no inhibition of the growth of 4T1 cells, which do not express detectable levels of p110δ[1].

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

In Vivo	PI-3065 (75 mg/kg, p.o.) inhibits the growth of 4T1 tumours in the BALB/c mice without obvious body weight loss[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	Female WT BALB/c mice are orthotopically inoculated in the mammary fat pad on day 0 with 1×10^5 4T1 cells. Drug (75 mg/kg PI-3065, once daily) or vehicle (0.5% methylcellulose with 0.2% Tween 80) is administered by oral gavage from day -1 (administered 12 h prior to tumour cell inoculation). Tumour growth is monitored weekly by caliper measurement or by measuring luminescence using a Xenogen imaging platform. On day 35, mice are euthanized, tumours and peripheral organs extracted for in vitro luminescence measurement, followed by fixation in 4% PFA and H&E staining. KPC mice are allowed to develop advanced lesions of 5-10 mm (determined by ultrasound imaging) before treatment with vehicle or PI-3065 for a total of 14 days. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell Signal. 2016 Mar;28(3):148-56.

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REFERENCES

[1]. Ali K, et al. Inactivation of PI(3)K p110δ breaks regulatory T-cell-mediated immune tolerance to cancer. Nature. 2014 Jun 19;509(7505):407-11.

Caution: Product has not been fully validated for medical applications. For research use only.

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