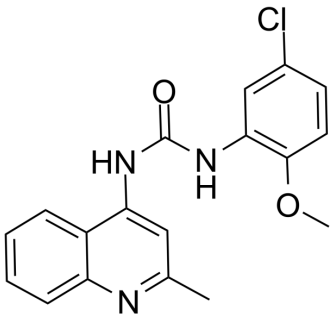
PQ401

Cat. No.:	HY-13686
CAS No.:	196868-63-0
Molecular Formula:	$C_{18}H_{16}ClN_3O_2$
Molecular Weight:	341.79
Target:	IGF-1R; Apoptosis
Pathway:	Protein Tyrosine Kinase/RTK; Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 14.29 mg/mL (41.81 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.9258 mL	14.6289 mL	29.2577 mL
5 mM	0.5852 mL	2.9258 mL	5.8515 mL
10 mM	0.2926 mL	1.4629 mL	2.9258 mL

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

In Vivo

1. Add each solvent one by one: 50% PEG300 >> 50% saline
   Solubility: 8.33 mg/mL (24.37 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 0.5% CMC-Na/saline water
   Solubility: 5 mg/mL (14.63 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1.43 mg/mL (4.18 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 1.43 mg/mL (4.18 mM); Suspended solution; Need ultrasonic
5. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.43 mg/mL (4.18 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PQ401 is a potent inhibitor of IGF-IR signaling. PQ401 inhibits IGF-I-stimulated IGF-IR autophosphorylation with an IC_{50} of 12.0 μM in a series of studies in MCF-7 cells. PQ401 is effective at inhibiting IGF-I-stimulated growth of MCF-7 cells (IC_{50}, 6 μ

	M). PQ401 is a potential agent for breast and other IGF-I-sensitive cancers. PQ401 induces caspase-mediated apoptosis[1].
IC_{50} & Target	IGF-IR; apoptosis[1]
In Vitro	PQ401 (1, 5, 10, 25, and 50 μM; 3 days) inhibits proliferation of cultured MCF-7 cells grown in serum or IGF-I in MCF-7 cells[1]. Twenty-four hours of treatment with 15 μM PQ401 induces caspase-mediated apoptosis[1]. PQ401 inhibits autophosphorylation of the IGF-IR kinase domain at concentrations <100 nM, with an IC_{50} <1 μM. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	Breast cancer cells, MCF-7 cells
Concentration:	1, 5, 10, 25, and 50 μM
Incubation Time:	3 days
Result:	Significantly reduced proliferation (IC_{50}, 8 μM) at concentrations in the range of 1 μM. Produced a dramatic reduction in cell number from pretreatment levels at concentrations >10 μM.

In Vivo

PQ401 (50 or 100 mg/kg; i.p.; thrice a week) results in a significant dose-dependent reduction in tumor growth over the course of the study. PQ401 reduces the growth rate of MCNeuA cells implanted into mice[1].

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

Animal Model:	Female mice were MCNeuA tumor cells[1]
Dosage:	50 or 100 mg/kg
Administration:	Administered i.p. thrice a week; 24 days
Result:	Resulted in a significant dose-dependent reduction in tumor growth. Tumor growth in the animals treated with 100 mg/kg was 20% of that in the vehicle-treated controls. This dosing protocol was well tolerated by the animals.

CUSTOMER VALIDATION

- Nature Cancer. 75-85 (2020).
- EMBO Mol Med. 2018 Jul;10(7). pii: e8403.

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REFERENCES

[1]. Gable KL, Maddux BA, Penaranda C, Diarylureas are small-molecule inhibitors of insulin-like growth factor I receptor signaling and breast cancer cell growth. Mol Cancer Ther. 2006 Apr;5(4):1079-86.

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

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