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Zuschläge

- Mindermengenzuschlag
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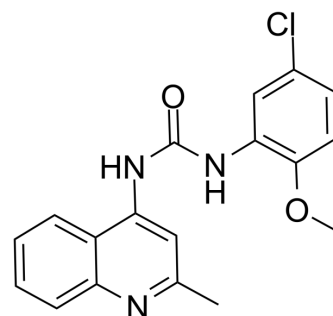
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PQ401

Cat. No.:	HY-13686
CAS No.:	196868-63-0
Molecular Formula:	C ₁₈ H ₁₆ ClN ₃ O ₂
Molecular Weight:	341.79
Target:	IGF-1R; Apoptosis
Pathway:	Protein Tyrosine Kinase/RTK; Apoptosis
Storage:	<div> <div>Powder</div> <div>-20°C 3 years</div> <div>4°C 2 years</div> </div> <div> <div>In solvent</div> <div>-80°C 2 years</div> <div>-20°C 1 year</div> </div>



SOLVENT & SOLUBILITY

In Vitro

DMSO : 14.29 mg/mL (41.81 mM; Need ultrasonic)
H₂O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	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

- Add each solvent one by one: 50% PEG300 >> 50% saline
Solubility: 8.33 mg/mL (24.37 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 0.5% CMC-Na/saline water
Solubility: 5 mg/mL (14.63 mM); Suspended solution; Need ultrasonic
- 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
- 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
- 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-1R signaling. PQ401 inhibits IGF-I-stimulated IGF-1R autophosphorylation with an IC₅₀ 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 (IC₅₀, 6 μM).

	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	<p>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 IC₅₀ <1 μM. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Cell Proliferation Assay^[1]</p> <table> <tr> <td>Cell Line:</td><td>Breast cancer cells, MCF-7 cells</td></tr> <tr> <td>Concentration:</td><td>1, 5, 10, 25, and 50 μM</td></tr> <tr> <td>Incubation Time:</td><td>3 days</td></tr> <tr> <td>Result:</td><td>Significantly reduced proliferation (IC₅₀, 8 μM) at concentrations in the range of 1 μM. Produced a dramatic reduction in cell number from pretreatment levels at concentrations >10 μM.</td></tr> </table>	Cell Line:	Breast cancer cells, MCF-7 cells	Concentration:	1, 5, 10, 25, and 50 μ M	Incubation Time:	3 days	Result:	Significantly reduced proliferation (IC ₅₀ , 8 μ M) at concentrations in the range of 1 μ M. Produced a dramatic reduction in cell number from pretreatment levels at concentrations >10 μ M.
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Concentration:	1, 5, 10, 25, and 50 μ M								
Incubation Time:	3 days								
Result:	Significantly reduced proliferation (IC ₅₀ , 8 μ M) at concentrations in the range of 1 μ M. Produced a dramatic reduction in cell number from pretreatment levels at concentrations >10 μ M.								
In Vivo	<p>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.</p> <table> <tr> <td>Animal Model:</td><td>Female mice were MCNeuA tumor cells^[1]</td></tr> <tr> <td>Dosage:</td><td>50 or 100 mg/kg</td></tr> <tr> <td>Administration:</td><td>Administered i.p. thrice a week; 24 days</td></tr> <tr> <td>Result:</td><td>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.</td></tr> </table>	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.
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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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