Product Datasheet

Technical Data

Formula	$C_{18}H_{16}ClN_3O_2$					
Molecular Weight	341.79	**CAS No.**	196868-63-0			
Solubility (25°C)*	In vitro	DMSO	32 mg/mL (93.62 mM)			
		Water	Insoluble			
		Ethanol	Insoluble			
	In vivo (Add solvents to the product individually and in order)	Oral Administration	Homogeneous suspension	CMC-NA	≥5mg/ml	Taking the 1 mL working solution as an example, add 5 mg of this product to 1 ml of CMC-Na solution, mix evenly to obtain a homogeneous suspension with a final concentration of 5 mg/ml.
		Injection	Clear solution	30%PEG400 [1]→ 0.5%Tween80 [2]→ 5%propylene glycol Validated by Capsid Bio labs. Should you need adjustments to this formulation, contact our sales team for custom testing.	5.000mg/ml (14.63mM)	Taking the 1 mL working solution as an example, add 300 μL of 16.67 mg/ml clarified PEG400 stock solution to 5 μL of Tween80, mix evenly to clarify it; add 50 μL Propylene glycol to the above system, mix evenly to clarify it; then continue to add 645 μL ddH2O Dilute to 1 mL. The mixed solution should be used immediately for optimal results.

* <1 mg/ml means slightly soluble or insoluble.
* Please note that Capsid Bio tests the solubility of all compounds in-house, and the actual solubility may differ slightly from published values. This is normal and is due to slight batch-to-batch variations.
* Room temperature shipping (Stability testing shows this product can be shipped at 2-8°C for storage purposes.)

Preparing Stock Solutions

Biological Activity

Description	PQ401 inhibits autophosphorylation of **IGF-1R** domain with **IC50** of <1 μM.
Targets	IGF-1R [1] <1 μM
In vitro	PQ 401 is an IGF-1R inhibitor and inhibits autophosphorylation of the IGF-IR kinase domain at concentrations <100 nM, with an IC50 <1μM. This compound significantly reduced proliferation of MCF-7 cells with IC50 of 8 μM. It also inhibits growth of MCNeuA cells with IC50 of 15 μM. This inhibitor blocks the IGF-I-mediated antiapoptotic pathway in MCF-7 cells. It increases caspase-mediated apoptotic activity in MCF-7 cells. [1]
In vivo	PQ 401 (50 mg/Kg, 100 mg/Kg) significantly inhibits MCNeuA tumor growth in a dose-dependent manner. [1]

Protocol (from reference)

Kinase Assay:[1]

- **IGF-IR Peptide Autophosphorylation**
  One microgram of constitutively active IGF-IR kinase domain peptide is incubated +/− varying concentrations of PQ 401 in 2% DMSO in 40 mM Tris (pH 7.4), 80 μM EGTA, 0.25% 2-mercaptoethanol, 80 μM Na_3VO_4, 10 mM $MgCl_2$, and 2 mM $MnCl_2$ for 20 minutes. ATP is then added at a final concentration of 20 μM. Autophosphorylation of the kinase domain peptide is allowed to occur for 20 minutes at 22℃. The reaction is stopped by the addition of SDS-reducing buffer and the samples are run on SDS-PAGE. Following transfer to nitrocellulose membrane, peptide autophosphorylation is determined by Western blotting employing an antibody against phosphotyrosine (PY20).

Cell Assay:[1]

- **Cell lines**
  MCF-7, MCNeuA
- **Concentrations**
  ~50 μM
- **Incubation Time**
  3 days
- **Method**
  The inhibitory effects of diaryl urea on breast cancer cell growth are determined using a CyQuant cell proliferation assay kit. MCF-7 or MCNeuA cells are plated in 96-well plates (5×10^3 per well) in phenol red-free DMEM supplemented with 10% FCS. One plate is prepared for each harvest day. Cells are allowed to adhere overnight and are then treated with various concentrations of this compound or DMSO as a vehicle control. Microplate cultures are harvested on days 0, 1, 2, and 3 by inverting the microplate onto paper towels with gentle blotting to remove growth medium without disrupting adherent cells. Each plate is kept at −80 ℃ until the end of the experiment (day 3) when all of the plates are thawed and assayed together. After thawing, 200 μL of CyQuant GR solution are added to each well and the plates are incubated in the dark for 2 to 5 minutes. Fluorescence is measured with a SpectraMax Gemini XS fluorescence microplate reader with 480-nm excitation and 520-nm emission. Proliferation index is calculated as the percent of nucleotide content versus control cells at day 0.

Animal Study:[1]

- **Animal Models**
  FVB/N-TgN(MMTVneu)202 mouse injected with MCNeuA cells.
- **Dosages**

50 or 100 mg/kg

- **Administration**

  i.p.

References

http://www.ncbi.nlm.nih.gov/pubmed/?term=16648580

RETURN POLICY

Capsid Bio's Unconditional Return Policy ensures a smooth online shopping experience for our customers. If you are in any way unsatisfied with your purchase, you may return any item(s) within 7 days of receiving it. In the event of product quality issues, either protocol related or product related problems, you may return any item(s) within 365 days from the original purchase date. Please follow the instructions below when returning products.

SHIPPING & STORAGE

Capsid Bio products are transported at room temperature. If you receive the product at room temperature, please rest assured, the Capsid Bio Quality Inspection Department has conducted experiments to verify that the normal temperature placement of one month will not affect the biological activity of powder products. After collecting, please store the product according to the requirements described in the datasheet. Most Capsid Bio products are stable under the recommended conditions.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

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