# PQR530

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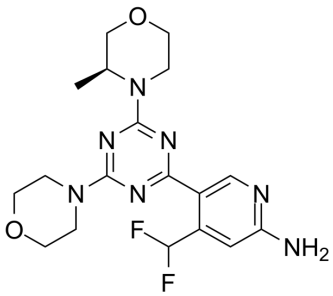
| **Cat. No.:** | HY-107365 |
| **CAS No.:** | 1927857-61-1 |
| **Molecular Formula:** | $C_{18}H_{23}F_2N_7O_2$ |
| **Molecular Weight:** | 407.42 |
| **Target:** | PI3K; mTOR |
| **Pathway:** | PI3K/Akt/mTOR |
| **Storage:** | Powder -20°C 3 years<br>4°C 2 years<br>In solvent -80°C 2 years<br>-20°C 1 year |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 33.33 mg/mL (81.81 mM; Need ultrasonic)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 2.4545 mL | 12.2723 mL | 24.5447 mL |
| | 5 mM | 0.4909 mL | 2.4545 mL | 4.9089 mL |
| | 10 mM | 0.2454 mL | 1.2272 mL | 2.4545 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 (6.14 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.14 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.14 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

PQR530 is a potent, ATP-competitive, orally bioavailable and brain-penetrant dual pan-PI3K/mTORC1/2 inhibitor, with a subnanomolar $K_d$ toward PI3Kα and mTOR (0.84 and 0.33 nM, respectively). Antitumor activity[1][2].

**$IC_{50}$ & Target**

| | | | |
|---|---|---|---|
| mTOR<br>0.33 nM (Kd) | PI3Kα<br>0.84 nM (Kd) | PI3Kβ<br>6.1 nM (Kd) | PI3Kγ<br>10 nM (Kd) |
| PI3Kδ<br>11 nM (Kd) | PI3KC2β<br>100 nM (Kd) | | |

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|---|---|
| **In Vitro** | PQR-530 is a potent, oral and brain-penetrant dual pan-PI3K/mTORC1/2 inhibitor, exhibiting antitumor activity. PQR-530 inhibits all PI3K isoforms and mTOR complexes C1/2 potently and selectively. PQR-530 inhibits protein kinase B (PKB, pSer473) and ribosomal protein S6 (pS6, pSer235/236) phosphorylation with $IC_{50}$ values of 0.07 μM in A2058 melanoma cells. PQR-530 shows inhibitory activity against the growth of 44 cancer cell lines with mean $GI_{50}$ of 426 nM[1].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## REFERENCES


[1]. Denise Rageot, et al. Abstract 140: Discovery and biological evaluation of PQR530, a highly potent dual pan-PI3K/mTORC1/2 inhibitor. Cancer Res 2017;77(13 Suppl).

[2]. Rageot D, et al. (S)-4-(Difluoromethyl)-5-(4-(3-methylmorpholino)-6-morpholino-1,3,5-triazin-2-yl)pyridin-2-amine (PQR530), a Potent, Orally Bioavailable, and Brain-Penetrable Dual Inhibitor of Class I PI3K and mTOR Kinase. J Med Chem. 2019;62(13):6241-62





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