

PP121

Catalog No: tcsc0087

Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:

1092788-83-4

Formula:

 $C_{17}H_{17}N_7$

Pathway:

Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK;PI3K/Akt/mTOR

Target:

Src;VEGFR;PDGFR;mTOR

Purity / Grade:

Solubility: DMSO : 20 mg/mL (62.63 mM; Need ultrasonic)

Observed Molecular Weight:

319.36

Product Description

PP121 is a multi-targeted kinase inhibitor with IC₅₀s of 10, 60, 12, 14, 2 nM for **mTOR**, **DNK-PK**, **VEGFR2**, **Src**, **PDGFR**, respectively.

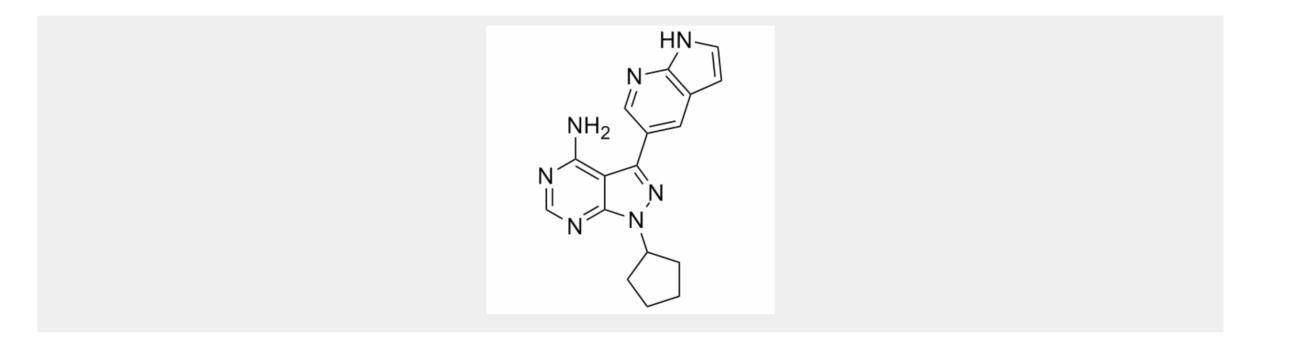
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IC50 & Target: IC50: 10 nM (mTOR), 60 nM (DNK-PK), 12 nM (VEGFR2), 14 nM (Src), 2 nM (PDGFR)^[1]

In Vitro: PP121 blocks the PI3K pathway by direct inhibition of PI3K/mTOR in two glioblastoma cell lines, U87 and LN229. PP121 potently inhibits the proliferation of a diverse panel of tumor cell lines containing mutations in the PI3-K pathway components PIK3CA, PTEN, or RAS. PP121 induces a G_0G_1 arrest in most tumor cells. PP121 directly inhibits Src in cells and reverses its biochemical and morphological effects. PP121 potently inhibits the Ret kinase domain *in vitro* (IC₅₀[1].

In Vivo: Oral administration of PP121 remarkably inhibits Eca-109 xenograft growth. Mice body weights are not significantly affected by PP121 or the vehicle treatment. PP121 oral administration dramatically inhibits activations of Akt-mTOR and NFkB in xenograft tumors. p-Akt Ser 473 and p-IKKa/b are both inhibited by PP121 administration^[2].



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