

CCT128930

Catalog No: tcsc0473



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

885499-61-6

Formula:

$C_{18}H_{20}ClN_5$

Pathway:

PI3K/Akt/mTOR;Autophagy

Target:

Akt;Autophagy

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Observed Molecular Weight:

341.84

Product Description

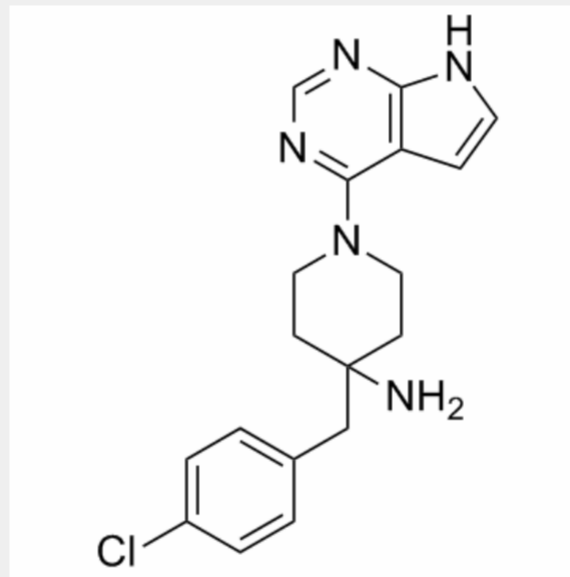
CCT128930 is a potent and selective inhibitor of **Akt2** (**IC₅₀** 6 nM) with 28-fold selectivity over the closely related PKA kinase (**IC₅₀**

168 nM), as well as 20-fold selectivity over p70S6K (IC₅₀ 120 nM).

IC₅₀ & Target: IC₅₀: 6 nM (Akt2), 120 nM (p70S6K), 168 nM (PKA kinase)^[1]

In Vitro: CCT128930 exhibits marked antiproliferative activity and inhibits the phosphorylation of a range of Akt substrates in multiple tumor cell lines in vitro, consistent with Akt inhibition. CCT128930 causes a G1 arrest in *PTEN*-null U87MG human glioblastoma cells, consistent with Akt pathway blockade. CCT128930 is a potent ATP-competitive Akt inhibitor, which is initially screened at 10 μM against a panel of kinases representative of the human protein kinome. In view of the potential of ATP-competitive inhibitors to cross-react with the closely related AGC class of kinases, the IC₅₀ of CCT128930 against selected AGC kinases is determined. The GI₅₀ values of CCT128930 for growth inhibition are 6.3 μM±2.2 (n=3) for U87MG human glioblastoma cells, 0.35 μM±0.11 (n=4) for LNCaP human prostate cancer cells, and 1.9 μM±0.80 (n=5) for PC3 human prostate cancer cells, all of which are *PTEN*-deficient human tumor cell lines^[1].

In Vivo: The pharmacokinetics of CCT128930 after a single dose of 25 mg/kg are shown. Following i.v. administration, CCT128930 reaches a peak concentration of 6.4 μM in plasma and is eliminated with a relatively short half-life, high volume of distribution and rapid clearance, giving an AUC_{0-∞} of 4.6 μMh. Following i.p. administration, the peak plasma drug concentration is 4-fold lower and the plasma clearance is similar to that observed i.v..The corresponding AUC_{0-∞} is 1.3 μMh, giving an i.p. bioavailability of 29%^[1].



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