Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

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** (**IC_{50}** 6 nM) with 28-fold selectivity over the closely related PKA kinase (IC_{50}

168 nM), as well as 20-fold selectivity over p70S6K (IC_{50} 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 IC_{50} of CCT128930 against selected AGC kinases is determined. The GI_{50} 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 $AUC_{0-\infty}$ 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 $AUC_{0-\infty}$ is 1.3 μMh, giving an i.p. bioavailability of 29%[1].