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

Capivasertib

Catalog No: tcsc1284

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1143532-39-1

Formula:
$C_{21}H_{25}ClN_6O_2$

Pathway:
PI3K/Akt/mTOR;Autophagy

Target:
Akt;Autophagy

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 21.5 mg/mL (50.13 mM)

Alternative Names:
AZD5363

Observed Molecular Weight:
428.92

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Product Description

Capivasertib (AZD5363) is a potent **pan-AKT** kinase inhibitor with **IC_{50}** of 3, 7 and 7 nM for **Akt1**,**Akt2** and **Akt3**, respectively.

IC50 & Target: IC50: 3 nM (Akt1), 7 nM (Akt2), 7 nM (Akt3), 6 nM (p70S6K), 7 nM (PKA), 60 nM (ROCK2)[1]

In Vitro: Capivasertib, a novel pyrrolopyrimidine-derived compound, inhibits all AKT isoforms with a potency of 10 nM or less and inhibits phosphorylation of AKT substrates in cells with a potency of approximately 0.3 to 0.8 μM. Capivasertib inhibits phosphorylation of these substrates with an IC_{50} value of 0.06 to 0.76 μM in the 3 cell lines. Capivasertib effectively inhibits phosphorylation of S6 and 4E-BP1 in these cell lines, whereas it increases phosphorylation of AKT at both ser^{473} and thr^{308}. In BT474c cells, Capivasertib induces FOXO3a nuclear translocation with EC_{50} value of 0.69 μM; a concentration of 3 μM is sufficient to almost completely localize FOXO3a to the nucleus. AZD5363Capivasertibhibitor MK-2206 is much less active (IC_{50}>30 μM)[1].

In Vivo: Oral dosing of Capivasertib (AZD5363) to nude mice causes dose- and time-dependent reduction of PRAS40, GSK3β, and S6 phosphorylation in BT474c xenografts (PRAS40 phosphorylation EC_{50} ~0.1 μM total plasma exposure), reversible increases in blood glucose concentrations, and dose-dependent decreases in 2[^{18}F]fluoro-2-deoxy-D-glucose (^{18}F-FDG) uptake in U87-MG xenografts. Chronic oral dosing of Capivasertib caused dose-dependent growth inhibition of xenografts derived from various tumor types, including $HER2^+$ breast cancer models that are resistant to trastuzumab. Capivasertib also significantly enhances the antitumor activity of docetaxel, lapatinib, and trastuzumab in breast cancer xenografts[1].