Web: www.taiclone.com
Tel: +886-2-2735-9682
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GSK2110183

Catalog No: tcsc3326

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1047634-63-8

Formula:
$C_{18}H_{16}Cl_2F_2N_4OS$

Pathway:
PI3K/Akt/mTOR

Target:
Akt

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
445.31

Product Description

GSK2110183 is an orally bioavailable, selective, ATP-competitive and potent **pan-Akt** kinase inhibitor with **K_i**s of 0.08/2/2.6 nM for **Akt1**

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/**Akt2**/**Akt3** respectively.

IC50 & Target: Ki: 0.08/2/2.6 nM(Akt1/Akt2/Akt3)[1]

IC50: 0.2 nM (Akt1 E17K mutant), 251 nM (P70S6K), 1.3 nM (PKA), 430 nM (PKCβ1), 510 nM (PKCθ), 210 nM (PKCη), 0.9 nM (PKG1α), 4.0 nM (PKG1β), 100 nM (ROCK), 316 nM (RSK1)[1]

In Vitro: GSK2110183 is an ATP competitive, time dependant and fully reversible inhibitors of the Akt kinase family. GSK2110183 has a K_i of 0.08, 2 and 2.6 nM against Akt1, Akt2 and Akt3, respectively. GSK2110183 inhibits the kinase activity of the E17K Akt 1 mutant protein in a standard kinase assay with EC_{50} of 0.2 nM[1].

In Vivo: Mice bearing BT474 breast tumor xenografts are dosed orally with either vehicle or GSK2110183 at 10, 30 or 100 mg/kg daily for 21 days which result in 8, 37 and 61% TGI, respectively. Mice tolerated GSK2110183 well, with 1-3% body weight loss reported after 5 days of dosing which recover over the course of the study. Other tumor xenograft models which possess an activation of the Akt pathway are explored to further demonstrate compound efficacy. Mice treated with GSK2110183 at 10, 30 and 100 mg/kg result in 23, 37 and 97% TGI, respectively, of SKOV3 xenografts[1].