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

GSK 2830371

Catalog No: tcsc2126

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Specifications

CAS No:
1404456-53-6

Formula:
$C_{23}H_{29}ClN_4O_2S$

Pathway:
Metabolic Enzyme/Protease

Target:
Phosphatase

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 51 mg/mL (110.62 mM)

Observed Molecular Weight:
461.02

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Product Description

GSK 2830371 is a highly selective **Wip1 phosphatase** inhibitor with **IC_{50}** of 6 nM.

IC50 & Target: IC50: 6 nM (Wip1 phosphatase)[1]

In Vitro: GSK 2830371 potently inhibits Wip1 (2-420) dephosphorylation of FDP and the endogenous substrates phospho-p38 MAPK (T180) with IC_{50} values of 6 nM and 13 nM, respectively. In the *PPM1D*-amplified MCF7 breast carcinoma cells, treatment with GSK 2830371 (0.04, 0.11, 0.33, 1, 3, and 9 μM) increased phosphorylation of substrates in a concentration-dependent manner. Treatment of MX-1 and MCF7 cells (Wip1amplified, p53 wild type) with GSK 2830371 (0.001, 0.01, 0.1, 1, and 10 μM) causes concentration-dependent effects in cell growth assays[1]. GSK2830371 has a 50% growth inhibitory concentration (GI_{50}) of 2.65 μM±0.54 (SEM) in MCF-7 cells. Treatment of MCF-7 cells with 2.5μM GSK2830371 results in marked time-dependent degradation of both isoforms of WIP1 over 8 hours which correlated with p53 stabilisation and phospho-$p53^{Ser15}$ ($pp53^{Ser15}$)[2].

In Vivo: In a pharmacodynamic assay, orally administered GSK 2830371 increases phosphorylation of Chk2 (T68) and p53 (S15) and decreased Wip1protein concentrations in DOHH2 tumors. Following 14 d of oral dosing at 150 mg per kg body weight, BID (twice daily) and TID (thrice daily), GSK 2830371 inhibits the growth of DOHH2 tumor xenografts by 41% and 68%, respectively. Comparable tumor growth inhibition is observed in mice treated BID with either 75 or 150 mg per kg body weight. Greater tumor growth inhibition with the TID schedule is consistent with a short half-life of GSK 2830371 in mice and suggests that sustained inhibition of Wip1 may be required for maximal antitumor effect[1].