

GSK-1070916

Catalog No: tcsc0008



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg



Specifications

CAS No:

942918-07-2

Formula:

$C_{30}H_{33}N_7O$

Pathway:

Cell Cycle/DNA Damage;Epigenetics

Target:

Aurora Kinase;Aurora Kinase

Purity / Grade:

>98%

Solubility:

DMSO : ≥ 26.5 mg/mL (52.20 mM)

Alternative Names:

GSK-1070916A

Observed Molecular Weight:

507.63

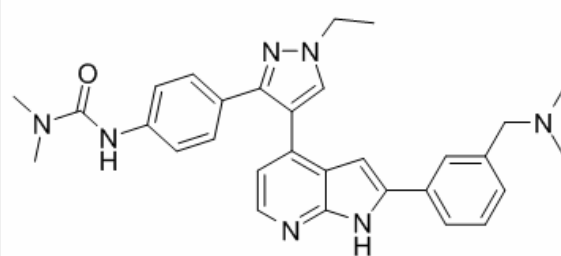
Product Description

GSK-1070916 is a potent and selective ATP-competitive inhibitor of **aurora B** and **aurora C** with K_i s of 0.38 and 1.5 nM, respectively, and is >250- fold selective over Aurora A.

IC₅₀ & Target: K_i : 0.38 nM (Aurora B), 1.5 nM (Aurora C)^[1]

In Vitro: GSK-1070916 potently inhibits Aurora B/INCENP and Aurora C/INCENP kinases with K_i s of 0.38 ± 0.29 and 1.45 ± 0.35 nM, respectively, but is less potent against Aurora A/ TPX2 with a K_i of 492 ± 61 nM. GSK-1070916 also inhibits FLT1, TIE2, SIK, FLT4, and FGFR1 with IC₅₀ values of 42, 59, 70, 74, and 78 nM, respectively. Treatment of A549 human lung cancer cells with GSK-1070916 results in a potent antiproliferative effect (EC₅₀=7 nM)^[1]. GSK-1070916 inhibits a panel of tumor cell lines and is shown to inhibit the phosphorylation of HH3- S10 in all cell lines with average EC₅₀ values ranging from 8 to 118 nM^[2].

In Vivo: In nude mice implanted with human colon tumor (HCT116) xenografts, a single dose of GSK-1070916 administered i.p. inhibits HH3-S10 phosphorylation in a dose-dependent manner. Repeated i.p. administration of GSK-1070916 produces complete or partial antitumor activity in 4 of 8 tumor types [lung, A549; colon, HCT116; acute myelogenous leukemia (AML), HL60; and chronic myelogenous leukemia, K562], stable disease in 3 of 8 (colon, Colo205; lung, H460; and breast, MCF-7), and tumor growth delay in 1 of 8 tumor types (colon, SW620). Daily administration of GSK-1070916 is generally well-tolerated^[2].



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