

# 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 :  $\geq 26.5$  mg/mL (52.20 mM)

**Alternative Names:**

GSK-1070916A

### Observed Molecular Weight:

507.63

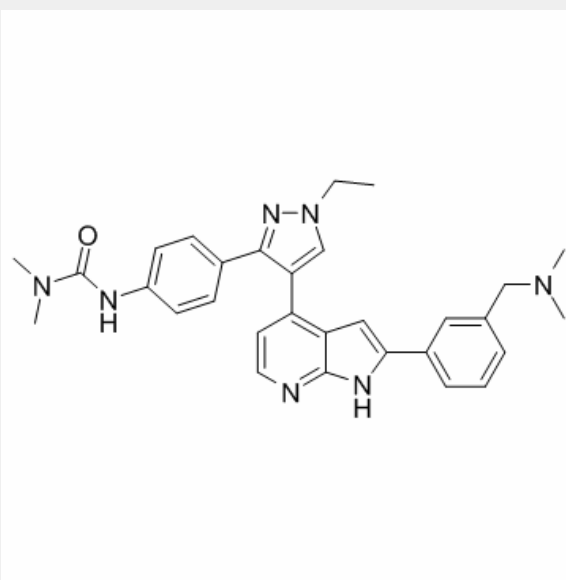
### Product Description

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.

IC<sub>50</sub> & Target: K<sub>i</sub>: 0.38 nM (Aurora B), 1.5 nM (Aurora C)<sup>[1]</sup>

**In Vitro:** GSK-1070916 potently inhibits Aurora B/INCENP and Aurora C/INCENP kinases with  $K_i$ s of  $0.38 \pm 0.29$  and  $1.45 \pm 0.35$  nM, respectively, but is less potent against Aurora A/ TPX2 with a  $K_i$  of  $492 \pm 61$  nM. GSK-1070916 also inhibits FLT1, TIE2, SIK, FLT4, and FGFR1 with IC<sub>50</sub> 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 (EC<sub>50</sub>=7 nM)<sup>[1]</sup>. GSK-1070916 inhibits a panel of tumor cell lines and is shown o inhibits the phosphorylation of HH3- S10 in all cell lines with average EC<sub>50</sub> values ranging from 8 to 118 nM<sup>[2]</sup>.

**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<sup>[2]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!