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PF-670462

Catalog No: tcsc1015

Available Sizes

Size: 10mg

Size: 50mg

Specifications

CAS No:
950912-80-8

Formula:
$C_{19}H_{22}Cl_2FN_5$

Pathway:
Stem Cell/Wnt;Cell Cycle/DNA Damage

Target:
Casein Kinase;Casein Kinase

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 32 mg/mL (77.99 mM)

Observed Molecular Weight:
410.32

Product Description

PF-670462 is a potent and selective inhibitor of **casein kinase (CK1ε and CK1δ)**, with **IC_{50}**s of 7.7 nM and 14 nM, respectively.

IC50 & Target: IC50: 7.7 nM (CKIϵ), 14 nM (CKIδ), 150 nM (EGFR), 190 nM (SAPK2A/p38)[1], 17 nM (Wnt/β-catenin)[2]

In Vitro: PF-670462 is a potent and selective inhibitor of CKIϵ and CKIδ, with IC_{50}s of 7.7 nM and 14 nM, respectively. PF-670462 shows less than 30-fold selevtivity for EGFR and SAPK2A/p38, with IC_{50}s of 150 nM and 190 nM, respectively. PF-670462 also causes

a redistribution of the GFP signal to the cytoplasm in a concentration-dependent manner, with an EC_{50} of 290 ± 39 nM in CKIϵ-transfected COS7 cells[1]. PF-670462 is a potent inhibitor of Wnt/β-catenin signaling, with an IC_{50} of ~17 nM. PF-670462 (1 μM) is a weak inhibitor of proliferation, and only modestly suppresses the growth of HEK293 and HT1080 cells. PF-670462 (100 nM) strongly inhibits CK1ε and CK1δ, consistent with its effect on Wnt/β-catenin signaling[2].

In Vivo: PF-670462 (50 mg/kg, s.c.) produces robust phase delays, and the activity remains persistent, with no discernible correction in the absence of exogenous zeitgebers in rats. PF-670462 (25, 50, and 100 mg/kg, s.c.) induces dose-dependent phase shift[1]. PF-670462 (50 mg/kg; s.c.) significantly phase delays the rhythmic transcription of Bmal1, Per1, Per2 and Nr1d1 in both liver and pancreas by 4.5 ± 1.3 h and 4.5 ± 1.2 h, respectively, 1 day after administration. In the suprachiasmatic nucleus (SCN), the rhythm of Nr1d1 and Dbp mRNA expression is also delayed by 4.2 and 4 h, respectively[3].