Web: www.taiclone.com
Tel: +886-2-2735-9682
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BI-D1870

Catalog No: tcsc1243

Available Sizes

Size: 2mg

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
501437-28-1

Formula:
$C_{19}H_{23}F_2N_5O_2$

Pathway:
Autophagy;MAPK/ERK Pathway

Target:
Autophagy;Ribosomal S6 Kinase (RSK)

Purity / Grade:
>98%

Solubility:
DMSO : 100 mg/mL (255.48 mM; Need ultrasonic)

Observed Molecular Weight:
391.42

Product Description

BI-D1870 is a remarkably specific, ATP-competitive inhibitor of **RSK** isoforms, with **IC_{50}** values of 31 nM/24 nM/18 nM/15 nM for

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RSK1/SK2/SK3/SK4, respectively.

IC50 & Target: IC50: 31 nM (RSK1), 24 nM (RSK2), 18 nM (RSK3), 15 nM (RSK4)[1]

In Vitro: BI-D1870 inhibits a mutant of RSK2 lacking the C-terminal kinase catalytic domain ($RSK2^{1-389:S381E}$) with an IC_{50} of approx. 30 nM. BI-D1870 inhibits RSK1 and RSK2 with IC_{50} values of 10 nM and 20 nM respectively, when the kinase assays are performed with 100 μM ATP. When the assays are performed at a 10-fold lower ATP concentration, the IC_{50} of BI-D1870 is reduced to 5 nM for RSK1 and 10 nM for RSK2. BI-D1870 inhibits PLK1 with an IC_{50} of 100 nM, whilst the IC_{50} values for Aurora B, DYRK1a, CDK2-A, Lck, CK1 and GSK3β are 10- to 100-fold higher than that of the RSK isoforms. BI-D1870 (10 μM) inhibits the PMA-induced phosphorylation of GSK3α and GSK3β in HEK-293 cells. In HEK-293 cells, BI-D1870 inhibits the EGF-induced phosphorylation of LKB1 at Ser431 with an IC_{50} of approx. 1 μM. Furthermore, BI-D1870 does not affect the activation of ERK1/ERK2 and MSK1, nor does it inhibit the phosphorylation of CREB[1]. BI-D1870 is a potent RSK family kinase inhibitor (K_ds: 10-100 nM), and also interact with BRD4(1) and PLK family, with K_ds of 3.5 μM and appr 10 nM[2]. BI-D1870 (10 μM) strongly induces p70S6K activation in serum-starved LN-229 cells, and alao stimulates the phosphorylation of rpS6 and p70S6K in LN-18 cells. BI-D1870 (1 μM) potently inhibits rpS6 phosphorylation, and inhibits PMA-induced rpS6 phosphorylation at concentrations higher than 1 μM[4]. BI-D1870 (1-5 μM) induces a dose- and time-dependent inhibition of cell proliferation in all cell types. BI-D1870 (1-3 μM) induces apoptosis in SCC4 cells and HSC-3 cells. BI-D1870 (0-5) modulates cell survival signaling pathways including Akt and p38 MAPK dose-dependently[5].

In Vivo: BI-D1870 (0.5 mg/kg)-injected experimental autoimmune encephalomyelitis (EAE) mice exhibits a delayed neural deficit without obvious weight loss. Histopathological analyses shows inflammatory cell infiltration and demyelination in the spinal cord in control mice, but not in BI-D1870-treated mice. BI-D1870 protects against the infiltration of TH1 or TH17 cells into the CNS[3].