

# **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<sub>50</sub>** values of 31 nM/24 nM/18 nM/15 nM for

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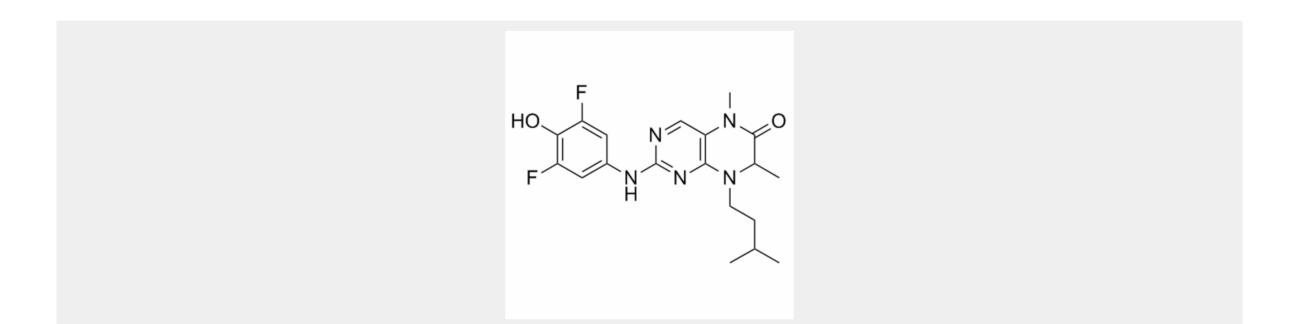


RSK1/SK2/SK3/SK4, respectively.

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

*In Vitro:* BI-D1870 inhibits a mutant of RSK2 lacking the C-terminal kinase catalytic domain (RSK2<sup>1-389:S381E</sup>) with an IC<sub>50</sub> of approx. 30 nM. BI-D1870 inhibits RSK1 and RSK2 with IC<sub>50</sub> values of 10 nM and 20 nM respectively, when the kinase assays are performed with 100  $\mu$ M ATP. When the assays are performed at a 10-fold lower ATP concentration, the IC<sub>50</sub> of BI-D1870 is reduced to 5 nM for RSK1 and 10 nM for RSK2. BI-D1870 inhibits PLK1 with an IC<sub>50</sub> of 100 nM, whilst the IC<sub>50</sub> 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  $\mu$ M) 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<sub>50</sub> of approx. 1  $\mu$ M. Furthermore, BI-D1870 does not affect the activation of ERK1/ERK2 and MSK1, nor does it inhibit the phosphorylation of CREB<sup>[1]</sup>. BI-D1870 is a potent RSK family kinase inhibitor (K<sub>d</sub>s: 10-100 nM), and also interact with BRD4(1) and PLK family, with K<sub>d</sub>s of 3.5  $\mu$ M and appr 10 nM<sup>[2]</sup>. BI-D1870 (10  $\mu$ M) strongly induces p7056K activation in serum-starved LN-229 cells, and alao stimulates the phosphorylation of rpS6 and p70S6K in LN-18 cells. BI-D1870 (1  $\mu$ M) potently inhibits rpS6 phosphorylation, and inhibits PMA-induced rpS6 phosphorylation at concentrations higher than 1  $\mu$ M<sup>[4]</sup>. BI-D1870 (1-5  $\mu$ M) induces a dose- and time-dependent inhibition of cell proliferation in all cell types. BI-D1870 (1-3  $\mu$ M) 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<sup>[5]</sup>.

*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<sup>[3]</sup>.



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