

GCN2iB

Catalog No: tcsc0058993



Available Sizes

Size: 5mg

Size: 10mg

Size: 25mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

2183470-12-2

Formula:

$C_{18}H_{12}ClF_2N_5O_3S$

Pathway:

Cell Cycle/DNA Damage

Target:

Eukaryotic Initiation Factor (eIF)

Purity / Grade:

>98%

Solubility:

H₂O :

Observed Molecular Weight:

451.83

Product Description

GCN2iB is an ATP-competitive inhibitor of a serine/threonine-protein kinase **general control nonderepressible 2 (GCN2)**, with an **IC₅₀** of 2.4 nM.

IC50 & Target: IC50: 2.4 nM (GCN2)^[1].

In Vitro: GCN2iB shows an IC₅₀ value of 2.4 nM for GCN2 and potent cellular activity. In a panel of 468 kinases, only GCN2 shows >99.5% inhibition, and three kinases (MAP2K5, STK10, and ZAK) show >95% inhibition at 1 μM GCN2iB, demonstrating high kinase selectivity^[1].

In Vivo: In the antitumor activity study of the CCRF-CEM xenografts, ASNase or GCN2iB alone does not significantly affect tumor growth. Notably, a combination of ASNase and GCN2iB elicit potent antitumor activity (P=0.0002) with synergistic effects. In MV-4-11 and SU.86.86 xenografts, robust antitumor activity of the combination of GCN2iB and ASNase is observed with synergistic effect, respectively. ASNase/GCN2iB-treated tumors do not show significant growth even after drug cessation. The combination of ASNase and GCN2iB yield survival advantage compared with the vehicle treated control with synergistic effect^[1].



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