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ZLN024 (hydrochloride)

Catalog No: tcsc3463

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

Formula:
$C_{13}H_{14}BrClN_2OS$

Pathway:
Epigenetics;PI3K/Akt/mTOR

Target:
AMPK;AMPK

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 46 mg/mL (127.18 mM)

Observed Molecular Weight:
361.69

Product Description

ZLN024 hydrochloride is an **AMPK** allosteric activator. ZLN024 directly activates recombinant AMPK α1β1γ1, AMPK α2β1γ1, AMPK α1β2γ1 and AMPK α2β2γ1 heterotrimer with **EC_{50}**s of 0.42 μM, 0.95 μM, 1.1 μM and 0.13 μM, respectively.

IC50 & Target: EC50: 0.42 μM, 0.95 μM, 1.1 μM and 0.13 μM (AMPK α1β1γ1, α2β1γ1, α1β2γ1 and α2β2γ1 heterotrimer)[1]

In Vitro: ZLN024 allosterically stimulates active AMPK heterotrimers and the inactive α1 subunit truncations α1 (1-394) and α1 (1-335) but not α1 (1-312). AMPK activation by ZLN024 requires the pre-phosphorylation of Thr-172 by at least one upstream kinase

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and protects AMPK Thr-172 against dephosphorylation by PP2Cα. ZLN024 activates AMPK in L6 myotubes and stimulates glucose uptake and fatty acid oxidation without increasing the ADP/ATP ratio. Using the established scintillation proximity assay (SPA) assay, random screening against the AMPK α1β1γ1 heterotrimer is performed and a new AMPK activator, ZLN024 is found. ZLN024 directly activates recombinant AMPK α1β1γ1 and its homologue α2β1γ1 in a concentration-dependent manner. ZLN024 increases the activity of α1β1γ1 by 1.5-fold and has an EC_{50} of 0.42 μM, and it increases the activity of α2β1γ1 by 1.7-fold with an EC_{50} of 0.95 μM. ZLN024 also directly activates recombinant AMPK α1β2γ1, by 1.7-fold with an EC_{50} of 1.1 μM; and AMPK α2β2γ1, by 1.6-fold with an EC_{50} of 0.13 μM[1].

In Vivo: C57BKS *db/db* mice are administered a 15 mg/kg/day dose of ZLN024 by daily gavage for 5 weeks; 250 mg/kg/day Metformin (Met) is used as a positive control. During the treatment period, there is no significant alteration in food intake and body weight compared with the vehicle group. After 4 weeks of treatment, ZLN024 improves glucose tolerance. ZLN024 reduces the fasting blood glucose by 15%. Liver tissue weight, triacylglycerol and the total cholesterol content are decreased[1].