

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

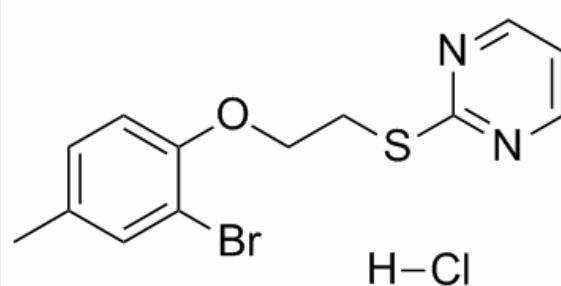
ZLN024 hydrochloride is an **AMPK** allosteric activator. ZLN024 directly activates recombinant AMPK $\alpha 1\beta 1\gamma 1$, AMPK $\alpha 2\beta 1\gamma 1$, AMPK $\alpha 1\beta 2\gamma 1$ and AMPK $\alpha 2\beta 2\gamma 1$ heterotrimer with **EC₅₀**s of 0.42 μ M, 0.95 μ M, 1.1 μ M and 0.13 μ M, respectively.

IC50 & Target: EC50: 0.42 μ M, 0.95 μ M, 1.1 μ M and 0.13 μ M (AMPK $\alpha 1\beta 1\gamma 1$, $\alpha 2\beta 1\gamma 1$, $\alpha 1\beta 2\gamma 1$ and $\alpha 2\beta 2\gamma 1$ heterotrimer)^[1]

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

and protects AMPK Thr-172 against dephosphorylation by PP2C α . 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 $\alpha 1\beta 1\gamma 1$ heterotrimer is performed and a new AMPK activator, ZLN024 is found. ZLN024 directly activates recombinant AMPK $\alpha 1\beta 1\gamma 1$ and its homologue $\alpha 2\beta 1\gamma 1$ in a concentration-dependent manner. ZLN024 increases the activity of $\alpha 1\beta 1\gamma 1$ by 1.5-fold and has an EC₅₀ of 0.42 μ M, and it increases the activity of $\alpha 2\beta 1\gamma 1$ by 1.7-fold with an EC₅₀ of 0.95 μ M. ZLN024 also directly activates recombinant AMPK $\alpha 1\beta 2\gamma 1$, by 1.7-fold with an EC₅₀ of 1.1 μ M; and AMPK $\alpha 2\beta 2\gamma 1$, by 1.6-fold with an EC₅₀ of 0.13 μ M^[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].



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!