Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

VER-246608

Catalog No: tcsc0011787

Available Sizes

Size: 1mg

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1684386-71-7

Formula:
$C_{28}H_{23}ClF_2N_4O_4$

Pathway:
Metabolic Enzyme/Protease

Target:
PDHK

Purity / Grade:
>98%

Solubility:
DMSO : 100 mg/mL (180.84 mM; Need ultrasonic)

Observed Molecular Weight:
552.96

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Product Description

VER-246608 is a potent and ATP-competitive inhibitor of **pyruvate dehydrogenase kinase** (**PDK**) with **IC_{50}**s of 35 nM, 40 nM, 84 nM, and 91 nM for **PDK-1**, **PDK-3**, **PDK-2**, and **PDK-4**, respectively.

IC50 & Target: IC50: 35 nM (PDK-1), 40 nM (PDK-3), 84 nM (PDK-2), 91 nM (PDK-4)[1]

In Vitro: VER-246608 is a novel pan-isoform ATP competitive inhibitor of PDK. VER-246608 demonstrates similar potency across all four PDK isoforms in a DELFIA-based enzyme functional assay in the sub 100 nM range. In terms of cellular biomarker modulation, VER-246608 suppresses the phosphorylation of the Ser^{293} residue of E1α (phosphorylated by all four PDK isozymes) with IC_{50} values of 266 nM. Treatment of PC-3 cells with 9 μM and 27 μM VER-246608 results in a 21% and 42% reduction, respectively, in media L-lactate levels following a 1 h incubation. VER-246608 also decreases D-glucose consumption at the same concentrations that result in reduced L-lactate production. An approximately 50% reduction in spheroid volume is achieved at concentrations of 10 μM and above, suggesting an increase in VER-246608 potency compared to monolayer growth[1].