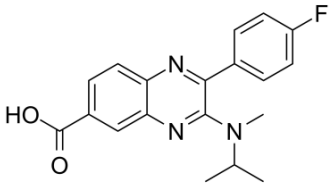
BioE-1115

Cat. No.:	HY-129571
CAS No.:	1268863-35-9
Molecular Formula:	$C_{19}H_{18}FN_3O_2$
Molecular Weight:	339.36
Target:	Ser/Thr Protease; Casein Kinase
Pathway:	Metabolic Enzyme/Protease; Cell Cycle/DNA Damage; Stem Cell/Wnt
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 62.5 mg/mL (184.17 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.9467 mL	14.7336 mL	29.4672 mL
5 mM	0.5893 mL	2.9467 mL	5.8934 mL
10 mM	0.2947 mL	1.4734 mL	2.9467 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 2.08 mg/mL (6.13 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (6.13 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BioE-1115 is a highly selective and potent PAS kinase (PASK) inhibitor with an IC_{50} of ~4 nM. BioE-1115 is also a potent casein kinase 2α inhibitor with an IC_{50} of ~10 μM[1].

IC_{50} & Target

CK2α
10 μM (IC_{50})

In Vitro

In the presence of BioE-1115, shows a dose-dependent loss of PASK phosphorylation, with an IC_{50} of ~1μM in HEK293 cells[1].

At the concentrations above 10μM, BioE-1115 treatment shows a significant reduction in SREBP activity, without any observable effects on cell morphology or growth rate in HepG2 cells[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

BioE-1115 (1-100 mg/kg; oral gavage; daily; for 7 days; male Sprague-Dawley rats) treatment shows a dose-dependent suppression of the expression of Gpat1, Fasn and all other SREBP-1c target genes analyzed. SREBP-1 maturation in liver is also suppressed in BioE-1115 treated rats at 10, 30 and 100 mg/kg doses. A calculated measure of insulin resistance, HOMA-IR, is decreased in a dose-dependent manner by BioE-1115 administration. Hepatic and serum TAG are decreased in a dose-dependent manner by BioE-1115 administration. BioE-1115 treatment causes a significant decrease in serum glucose. Both SREBP-1c and SREBP-1a mRNA are modestly decreased at the highest doses. Neither dose of BioE-1115 causes a significant change in either liver weight or body weight[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Sprague-Dawley rats (12 weeks of age; 129.4 ± 0.63 g) fed with high fructose diet[1]
Dosage:	1 mg/kg, 3 mg/kg, 10 mg/kg, 30 mg/kg and 100 mg/kg
Administration:	Oral gavage; daily; for 7 days
Result:	Treated with 10, 30 and 100 mg/kg, showed a dose-dependent suppression of the expression of Gpat1, Fasn and all other SREBP-1c target genes analyzed. Decreased hepatic expression of lipogenic SREBP-1c target genes, decreased serum triglycerides and partially reversed insulin resistance.

REFERENCES

[1]. Wu X, et al. PAS kinase drives lipogenesis through SREBP-1 maturation. Cell Rep. 2014 Jul 10;8(1):242-55.

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