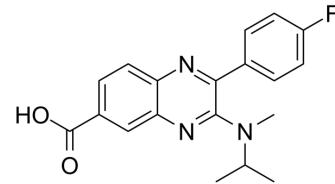


BioE-1115

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



SOLVENT & SOLUBILITY

In Vitro

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

Preparing Stock Solutions	Concentration	Solvent Mass		
		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

- 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
- 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	<p>In the presence of BioE-1115, shows a dose-dependent loss of PASK phosphorylation, with an IC₅₀ of ~1μM in HEK293 cells^[1].</p> <p>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].</p>

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.

Caution: Product has not been fully validated for medical applications. For research use only.

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