Firibastat

Cat. No.: HY-109058

CAS No.: 648927-86-0

Molecular Formula: $C_8H_{20}N_2O_6S_4$

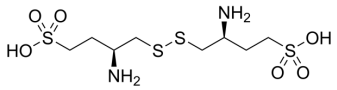
Molecular Weight: 369

Target: Aminopeptidase

Pathway: Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

H_2O : 33.33 mg/mL (90.33 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.7100 mL	13.5501 mL	27.1003 mL
5 mM	0.5420 mL	2.7100 mL	5.4201 mL
10 mM	0.2710 mL	1.3550 mL	2.7100 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (271.00 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Firibastat (QGC001), an orally active brain penetrating proagent of EC33, is a first-in-class brain aminopeptidase A (APA) inhibitor (K_i=200 nM). Firibastat selectively and specifically inhibits conversion of brain angiotensin-II into angiotensin-III and decreases blood pressure in hypertensive rats[1][2].

In Vivo

When given orally, Firibastat (0.1-30 mg/kg; p.o.) crosses the gastrointestinal and blood-brain barriers, enters the brain, and generates two active molecules of EC33 which inhibit brain APA activity, blocking brain angiotensin III formation, and decrease blood pressure for several hours in hypertensive rats[2].

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

Animal Model:	Normotensive and hypertensive DOCA-salt rats[1]

Dosage:	0.1-30 mg/kg
Administration:	P.o.
Result:	Resulting in a dose-dependent decrease in mean arterial blood pressure (MABP).

REFERENCES

[1]. Ferdinand KC, et al. Efficacy and Safety of Firibastat, A First-in-Class Brain Aminopeptidase A Inhibitor, in Hypertensive Overweight Patients of Multiple Ethnic Origins. Circulation. 2019;140(2):138-146.

[2]. Keck M, et al. Orally Active Aminopeptidase A Inhibitor Prodrugs: Current State and Future Directions. Curr Hypertens Rep. 2019;21(7):50. Published 2019 May 21.

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