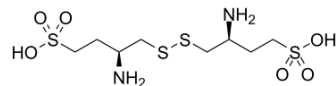


Firibastat

Cat. No.:	HY-109058		
CAS No.:	648927-86-0		
Molecular Formula:	C ₈ H ₂₀ N ₂ O ₆ S ₄		
Molecular Weight:	368.51		
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

H₂O : 41.67 mg/mL (113.08 mM; ultrasonic and warming and heat to 60°C)

Concentration	Mass		
	1 mg	5 mg	10 mg
1 mM	2.7136 mL	13.5682 mL	27.1363 mL
5 mM	0.5427 mL	2.7136 mL	5.4273 mL
10 mM	0.2714 mL	1.3568 mL	2.7136 mL

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

BIOLOGICAL ACTIVITY

Description

Firibastat (QGC001), an orally active brain penetrating prodrug 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).
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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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Caution: Product has not been fully validated for medical applications. For research use only.

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