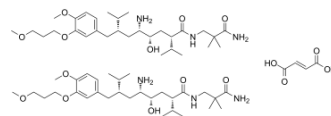


Aliskiren hemifumarate

Cat. No.:	HY-12177		
CAS No.:	173334-58-2		
Molecular Formula:	C ₆₄ H ₁₁₀ N ₆ O ₁₆		
Molecular Weight:	1219.59		
Target:	Renin; Autophagy		
Pathway:	Metabolic Enzyme/Protease; Autophagy		
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 : ≥ 50 mg/mL (41.00 mM)
 * "≥" means soluble, but saturation unknown.

	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	0.8199 mL	4.0997 mL	8.1995 mL
	5 mM	0.1640 mL	0.8199 mL	1.6399 mL
	10 mM	0.0820 mL	0.4100 mL	0.8199 mL

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

BIOLOGICAL ACTIVITY

Description

Aliskiren hemifumarate (CGP 60536 hemifumarate) is a direct renin inhibitor with IC₅₀ of 1.5 nM. IC₅₀ value: 1.5 nM [1] Target: renin in vitro: Aliskiren hemifumarate appears to bind to both the hydrophobic S1/S3-binding pocket and to a large, distinct subpocket that extends from the S3-binding site towards the hydrophobic core of renin. Oral bioavailability of Aliskiren hemifumarate is 2.4% in rats, 16% in marmosets and about 2.5% in humans [2]. in vivo: Aliskiren hemifumarate (< 10 mg/kg, oral) inhibits plasma renin activity and lowers blood pressure in sodium-depleted marmosets [3]. Once-daily oral treatment with Aliskiren hemifumarate lowers blood pressure effectively, with a safety and tolerability profile, in patients with mild-to-moderate hypertension [4].

CUSTOMER VALIDATION

- Neurobiol Dis. 2014 Nov;71:292-304.

- Lipids Health Dis. 2018 Jul 31;17(1):183.
- Toxicology Research and Application. 2018, 2:239784731880115.
- Toxicology Research and Application. September 25, 2018.
- Department of Biological Science. National Sun Yat-Sen University. 2015 Sep.

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- [1]. Yuji Nakamura, et al. Discovery of DS-8108b, a Novel Orally Bioavailable Renin Inhibitor. ACS Med. Chem. Lett., 2012, 3 (9), pp 754-758
- [2]. Buczko W, et al. Pharmacokinetics and pharmacodynamics of aliskiren, an oral direct renin inhibitor. Pharmacol Rep. 2008 Sep-Oct;60(5):623-31.
- [3]. Wood JM, et al. Structure-based design of aliskiren, a novel orally effective renin inhibitor. Biochem Biophys Res Commun, 2003, 308(4), 698-705.
- [4]. Gradman AH, et al. Aliskiren, a novel orally effective renin inhibitor, provides dose-dependent antihypertensive efficacy and placebo-like tolerability in hypertensive patients. Circulation, 2005, 111(8), 1012-1018.
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Caution: Product has not been fully validated for medical applications. For research use only.

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