

Aliskiren hemifumarate

Chemical Properties

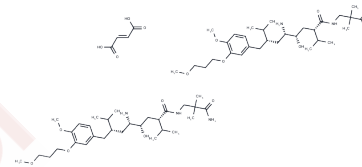
CAS No. : 173334-58-2

Formula: C₃₀H₅₃N₃O₆·1/2C₄H₄O₄

Molecular Weight: 1219.6

Storage: Pure form: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	Aliskiren hemifumarate (CGP60536B) is an orally active nonpeptide renin inhibitor with antihypertensive activity.
Targets(IC50)	RAAS, Autophagy
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]
Kinase Assay	Radioligand Binding Assay: Human CB1 and CB2 stably transfect HEK 293 cells and cell membrane is purified. 0.2-8 µg of the purified membrane is incubated with 0.75 nM [3H] CP55,940 and Rimonabant in the incubation buffer (50 mM Tris-HCl, 5 mM MgCl ₂ , 1 mM EDTA, 0.3% BSA, pH 7.4). The non-specific binding is defined in the presence of 1 µM of CP55,940. The reactions are incubated for one and a half hours at 30 °C in Multiscreen. The reactions are terminated by manifold filtration and washed four times with ice-cold wash buffer (50 mM Tris, pH 7.4, 0.25% BSA). The radioactivity bound to the filters is measured by Topcount. The IC ₅₀ is determined as the concentration of Rimonabant required to inhibit 50% of the binding of [3H] CP55,940 and calculated by non-linear regression.

Solubility Information

Solubility	DMSO: 93 mg/mL (76.25 mM), Sonication is recommended. H ₂ O: 92 mg/mL (75.43 mM), Sonication is recommended. Ethanol: 93 mg/mL (76.25 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	0.8199 mL	4.0997 mL	8.1994 mL
5 mM	0.164 mL	0.8199 mL	1.6399 mL
10 mM	0.082 mL	0.410 mL	0.8199 mL
50 mM	0.0164 mL	0.082 mL	0.164 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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Wood JM, et al. Biochem Biophys Res Commun, 2003, 308(4), 698-705.

Gradman AH, et al. Circulation, 2005, 111(8), 12012-12018.

Oparil S, et al. Lancet, 2007, 370(9583), 221-229.

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