Rilmenidine hemifumarate

Cat. No.: HY-100490A

CAS No.: 207572-68-7

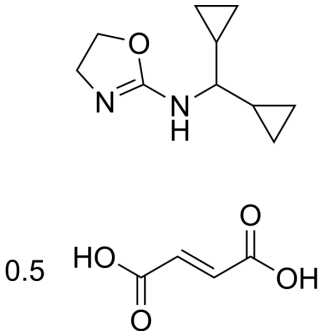
Molecular Formula: $C_{10}H_{16}N_2O \cdot {}_1/_2C_4H_4O_4$

Molecular Weight: 238.28

Target: Imidazoline Receptor; Adrenergic Receptor; Apoptosis; Autophagy

Pathway: Neuronal Signaling; GPCR/G Protein; Apoptosis; Autophagy

Storage: -20°C, stored under nitrogen, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 50 mg/mL (209.84 mM; Need ultrasonic)
DMSO : 10 mg/mL (41.97 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	4.1967 mL	20.9837 mL	41.9674 mL
	5 mM	0.8393 mL	4.1967 mL	8.3935 mL
	10 mM	0.4197 mL	2.0984 mL	4.1967 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1 mg/mL (4.20 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 1 mg/mL (4.20 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1 mg/mL (4.20 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Rilmenidine hemifumarate, an innovative antihypertensive agent, is an orally active, selective I1 imidazoline receptor agonist. Rilmenidine hemifumarate is an alpha 2-adrenoceptor agonist. Rilmenidine hemifumarate induces autophagy. Rilmenidine hemifumarate acts both centrally by reducing sympathetic overactivity and in the kidney by inhibiting the Na^+ /H^+ antiport. Rilmenidine hemifumarate modulates proliferation and stimulates the proapoptotic protein Bax thus inducing the perturbation of the mitochondrial pathway and apoptosis in human leukemic K562 cells [1][2][3].

In Vitro

Rilmenidine provides antihypertensive efficacy comparable with that of diuretics, beta-blockers, calcium channel blockers,

	and angiotensin-converting enzyme (ACE) inhibitors[1]. Rilmenidine (25-100 μM; 24 hours) inhibits K562 cell proliferation[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only. Cell Viability Assay[2]

Cell Line:	K562 cells
Concentration:	25, 50, 100 μM
Incubation Time:	24 hours
Result:	Dose-dependently inhibited K562 colony formation.

In Vivo	Rilmenidine-treated N171-82Q mice (i.p.; 4-times a week) displays significant improved forelimb grip strength and all limbs grip strength from 12 to 22 weeks of age[3]. Rilmenidine decreases levels of mutant huntingtin[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Reid JL. Rilmenidine: a clinical overview. Am J Hypertens. 2000;13(6 Pt 2):106S-111S.

[2]. Srdic-Rajic T, et al. Rilmenidine suppresses proliferation and promotes apoptosis via the mitochondrial pathway in human leukemic K562 cells. Eur J Pharm Sci. 2016;81:172-180.

[3]. Rose C, et al. Rilmenidine attenuates toxicity of polyglutamine expansions in a mouse model of Huntington's disease. Hum Mol Genet. 2010;19(11):2144-2153.

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