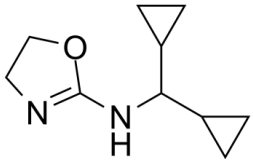
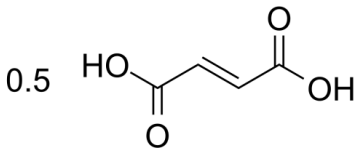
Data Sheet

Product Name:	Rilmenidine (hemifumarate)
Cat. No.:	CS-0019424
CAS No.:	207572-68-7
Molecular Formula:	$C_{10}H_{16}N_2O.1/2C_4H_4O_4$
Molecular Weight:	238.28
Target:	Adrenergic Receptor; Apoptosis; Autophagy; Imidazoline Receptor
Pathway:	Apoptosis; Autophagy; GPCR/G Protein; Neuronal Signaling
Solubility:	H2O : 50 mg/mL (209.84 mM; Need ultrasonic); DMSO : 10 mg/mL (41.97 mM; Need ultrasonic)





BIOLOGICAL ACTIVITY:

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]. **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].

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.

CAIndexNames:

2-Oxazolamine, N-(dicyclopropylmethyl)-4,5-dihydro-, (2E)-2-butenedioate (2:1)

SMILES:

O=C(O)/C=C/C(O)=O.C1(NC(C2CC2)C3CC3)=NCCO1.[0.5]

Caution: Product has not been fully validated for medical applications. For research use only.

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