

Product Data Sheet

Product Name: Rilmenidine Phosphate

Cat. No.: GC13017

Chemical Properties

Cas. No. 85409-38-7

Chemical Name N-(dicyclopropylmethyl)-4,5-dihydrooxazol-2-amine phosphate

SMILES OP(O)(O)=O.C1(NC(C2CC2)C3CC3)=NCCO1

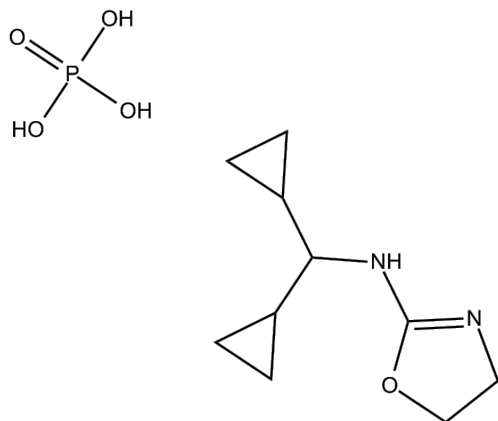
Formula $C_{10}H_{19}N_2O_5P$ M.Wt 278.24

Solubility $\geq 5.68\text{mg/mL}$ in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Background

Rilmenidine phosphate is the water soluble form of Rilmenidine. It is an antihypertensive drug that targets the imidazoline receptor. [1]

Imidazoline receptor is a family of non-adrenergic high affinity binding site for clonidine, idazoxan,

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

and other imidazoline drugs. [2] There are three types of imidazoline receptor. I-1 mediates sympatho-inhibitory actions to the lower blood pressure; I-2 is allosteric binding site of monamine oxidase and I-3 controls insulin secretion of pancreatic cells. [3]

In Hep G2 cells treated with 0.5 mM oleic acid for 6 hours and 1 μ M Rilmenidine for 30 minutes, the oleic acid-induced lipid accumulation decreases. [4] Stimulation of imidazoline I-1 receptor by Rilmenidine activated P38 to induce the expression of FXR. [4]

Mice fed with HFD (high fat diet) had improved hepatic steatosis following the administration of Rilmenidine through the activation of imidazoline I-1 receptor. [4] Imidazoline receptors are involved in the bulbospinal regulation of blood pressure and affect peripheral stimulation. [1] In hypertensive patients, Rilmenidine decreased blood pressure in a dose-dependent manner. In contrast with placebo, Rilmenidine had significantly lowered blood pressures. In addition, Rilmenidine had significantly less incidences of adverse effects than using other drugs for hypertension. [1]

References:

[1] Laurent S, Safar M. Rilmenidine: a novel approach to first-line treatment of hypertension. *Am J Hypertens.* 1992 Apr;5(4 Pt 2):99S-105S.

[2] Regunathan S, Reis DJ. Imidazoline receptors and their endogenous ligands. *Annu Rev Pharmacol Toxicol.* 1996;36:511-44.

[3] Head GA, Mayorov DN. Imidazoline receptors, novel agents and therapeutic potential. *Cardiovasc Hematol Agents Med Chem.* 2006 Jan;4(1):17-32.

[4] Yang PS, Wu HT, Chung HH, Chen CT, Chi CW, Yeh CH, Cheng JT. Rilmenidine improves hepatic steatosis through p38-dependent pathway to higher the expression of farnesoid X receptor. *Naunyn Schmiedeberg Arch Pharmacol.* 2012 Jan;385(1):51-6.

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA