Rilmenidine (hemifumarate)

**Item No. 16988**

**CAS Registry No.:** 207572-68-7

**Formal Name:** N-(dicyclopropylmethyl)-4,5-dihydro-2-oxazolamine, 2E-butenedioate

**Synonyms:** Oxaminozoline, S 3341

**MF:** C_{10}H_{16}N_{2}O • 1/2C_{4}H_{8}O_{4}

**FW:** 238.3

**Purity:** ≥98%

**Stability:** ≥2 years at -20°C

**Supplied as:** A crystalline solid

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### Laboratory Procedures

For long term storage, we suggest that rilmenidine (hemifumarate) be stored as supplied at -20°C. It should be stable for at least two years.

Rilmenidine (hemifumarate) is supplied as a crystalline solid. A stock solution may be made by dissolving the rilmenidine (hemifumarate) in the solvent of choice. Rilmenidine (hemifumarate) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of rilmenidine (hemifumarate) in ethanol is approximately 10 mg/ml and approximately 3 mg/ml in DMSO and DMF.

Rilmenidine (hemifumarate) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, rilmenidine (hemifumarate) should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Rilmenidine (hemifumarate) has a solubility of approximately 0.5 mg/ml in a 1:1 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

### Description

Rilmenidine is a centrally acting antihypertensive agent that has been shown to lower arterial pressure in various animal models by inhibiting the tonic activity of sympathoexcitatory neurons in the rostral ventrolateral medulla.\(^1\) Rilmenidine targets the nonadrenergic imidazoline-binding site I\(_1\) receptor with a K\(_i\) value of 7.1 nM and demonstrates weaker affinity for the I\(_2\) receptor with a K\(_i\) value of 5.2 µM.\(^2,3\)

It can also act as an agonist of α\(_2\) adrenergic receptors, but demonstrates ~30-fold greater selectivity for I\(_1\) receptors compared to α\(_2\)-adrenergic receptors.\(^4\) Rilmenidine is reported to induce autophagy as evidenced by a ~350% increase in LC3-II levels in PC12 cells when treated with 1 µM of the I\(_1\) agonist.\(^5\)

### References