

# PRODUCT INFORMATION



## Rilmenidine (hemifumarate)

Item No. 16988

CAS Registry No.: 207572-68-7

Formal Name: N-(dicyclopropylmethyl)-4,5-dihydro-2-oxazolamine, 2E-butenedioate (2:1)

Synonyms: Oxaminozoline, S 3341

MF:  $C_{10}H_{16}N_2O \cdot 1/2C_4H_4O_4$

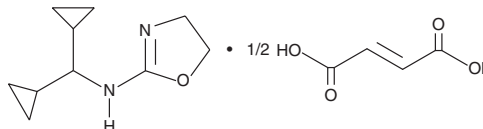
FW: 238.3

Purity:  $\geq 98\%$

Supplied as: A crystalline solid

Storage:  $-20^{\circ}\text{C}$

Stability: 2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

### Laboratory Procedures

Rilmenidine (hemifumarate) is supplied as a crystalline solid. A stock solution may be made by dissolving the rilmenidine (hemifumarate) in the solvent of choice, which should be purged with an inert gas. Rilmenidine (hemifumarate) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). 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 an antihypertensive agent.<sup>1</sup> It binds to imidazole receptors in bovine rostral ventrolateral medulla homogenates ( $K_i = 6.1 \text{ nM}$ ), as well as  $\alpha_2$ -adrenergic receptors in bovine prefrontal cortex homogenates ( $K_i = 87 \text{ nM}$ ). Rilmenidine induces hypotension and bradycardia in anesthetized rats ( $ED_{50}$ s = 0.25 and 0.35 mg/kg, respectively). It also reduces mean arterial pressure and renal sympathetic nerve activity in a rabbit model of renal hypertension induced by a renal artery clip when administered at a dose of 2.5 mg/kg.<sup>2</sup> Rilmenidine (1  $\mu\text{M}$ ) increases levels of LC3-II, a marker of autophagy, in PC12 cells.<sup>3</sup> Formulations containing rilmenidine have been used in the treatment of hypertension.

### References

1. Gomez, R.E., Ernsbarger, P., Feinland, G., *et al.* Rilmenidine lowers arterial pressure via imidazole receptors in brainstem C1 area. *Eur. J. Pharmacol.* **195**(2), 181-191 (1991).
2. Burke, S.L., Evans, R.G., and Head, G.A. Effects of chronic sympatho-inhibition on renal excretory function in renovascular hypertension. *J. Hypertens.* **29**(5), 945-952 (2011).
3. Williams, A., Sarkar, S., Cuddon, P., *et al.* Novel targets for Huntington's disease in an mTOR-independent autophagy pathway. *Nat. Chem. Biol.* **4**(5), 295-305 (2008).

#### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

#### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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#### CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD  
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897  
[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM  
WWW.CAYMANCHEM.COM