# **PRODUCT** INFORMATION



**Bepotastine** (besylate)

Item No. 23721

| CAS Registry No.:<br>Formal Name: | 190786-44-8<br>4-[(S)-(4-chlorophenyl)-2-pyridinylmethoxy]-<br>1-piperidinebutanoic acid,<br>monobenzenesulfonate |         |
|-----------------------------------|---|---------|
| Synonyms:                         | Bepotastine besilate, TAU-284   |         |
| MF:                               | $C_{21}H_{25}CIN_2O_3 \bullet C_6H_6O_3S$   | × `0´ \ |
| FW:                               | 547.1   | 0,0     |
| Purity:                           | ≥95%  | CI S    |
| Supplied as:                      | A solid   | ОН      |
| Storage:                          | 4°C   | •       |
| Stability:                        | ≥4 years  |         |

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

## Laboratory Procedures

Bepotastine (besylate) is supplied as a solid. A stock solution may be made by dissolving the bepotastine (besylate) in the solvent of choice, which should be purged with an inert gas. Bepotastine (besylate) is soluble in organic solvents such as methanol and DMSO.

## Description

Bepotastine is an antagonist of the histamine  $H_1$  receptor that is selective over  $H_3$ ,  $\alpha_1$ -,  $\alpha_2$ -, and  $\beta$ -adrenergic, dopamine  $D_{2long}$ , serotonin 5-HT<sub>2</sub>, muscarinic acetylcholine, and benzodiazepine receptors.<sup>1</sup> It reduces dye leakage from the nasal passages of rats acutely sensitized to an antigen (ED<sub>50</sub> = 0.03 mg/kg) and inhibits histamine-induced bronchoconstriction in the anesthetized dog (ED<sub>50</sub> = 3.2  $\mu$ g/kg).<sup>2,3</sup> Bepotastine prevents conjunctival vascular hyperpermeability in a guinea pig model of conjunctivitis in a dose-dependent manner.<sup>4</sup> Formulations containing bepotastine have been used in the treatment of itching associated with allergic conjunctivitis.

## References

- 1. Kato, M., Nishida, A., Aga, Y., et al. Pharmacokinetic and pharmacodynamic evaluation of central effect of the novel antiallergic agent betotastine besilate. Arzneimittelforschung. 47(10), 1116-1124 (1997).
- 2. Murata, T., Matsumoto, Y., Suzuki, T., et al. Effect of betotastine besilate (TAU-284), a novel anti-allergic agent, on experimental allergic rhinitis. Arerugi 46(7), 576-584 (1997).
- 3. Matsubara, S., Ono, C., Yamazaki, N., et al. Inhibitory effects of bepotastine, a novel anti-allergic drug on histamine-induced bronchoconstriction in anesthetized dogs. Yakuri to Chiryo 25(4), 895-900 (1997).
- Kida, T., Fuji, A., Sakai, O., et al. Bepotastine besilate, a highly selective histamine H<sub>1</sub> receptor antagonist, 4. suppresses vascular hyperpermeability and eosinophil recruitment in in vitro and in vivo experimental allergic conjunctivitis models. Exp. Eye Res. 91(1), 85-91 (2010).

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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