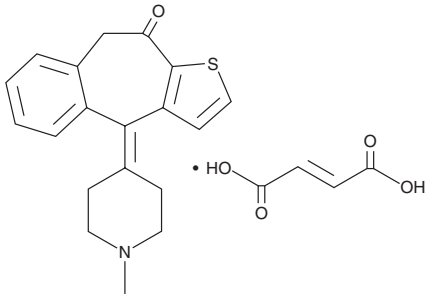
PRODUCT INFORMATION

Ketotifen (fumarate)

Item No. 20303

CAS Registry No.:	34580-14-8
Formal Name:	4,9-dihydro-4-(1-methyl-4-piperidinylidene)-10H-benzo[4,5]cyclohepta[1,2-b]thiophen-10-one-(2E)-2-butenedioate
Synonym:	HC 20511
MF:	$C_{19}H_{19}NOS \bullet C_4H_4O_4$
FW:	425.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 250, 309 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



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

Laboratory Procedures

Ketotifen (fumarate) is supplied as a crystalline solid. A stock solution may be made by dissolving the ketotifen (fumarate) in the solvent of choice, which should be purged with an inert gas. Ketotifen (fumarate) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of ketotifen (fumarate) in DMSO and DMF is approximately 25 mg/ml and approximately 0.5 mg/ml in ethanol.

Ketotifen (fumarate) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ketotifen (fumarate) should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Ketotifen (fumarate) has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Ketotifen is a histamine H_1 receptor antagonist (K_i = 1.3 nM) and mast cell stabilizer.[1,2] It is selective for H_1 receptors over H_2 and H_3 receptors (K_is = 987 and 2,500 nM, respectively).[1] Ketotifen (50 and 100 µM) inhibits degranulation of rat peritoneal mast cells induced by compound 48/80 (Item No. 22173).[2] It inhibits the passive cutaneous anaphylaxis (PCA) reaction in rats by 54.6% when administered orally at a dose of 20 mg/kg.[3] Ketotifen (30 mg/kg) inhibits the quick phase airway response in a rat ovalbumin-induced immediate airway response model.[4] Formulations containing ketotifen have been used in the treatment of itching associated with allergic conjunctivitis.

References

1. Sharif, N.A., Xu, S.X., and Yanni, J.M. *J. Ocul. Pharmacol. Ther.* **12(4)**, 401-407 (1996).
2. Baba, A., Tachi, M., Ejima, Y., *et al. Cell Physiol. Biochem.* **38(1)**, 15-27 (2016).
3. Nishikawa, Y., Shindo, T., Ishi, K., *et al. Chem. Pharm. Bull. (Tokyo)* **37(3)**, 684-687 (1989).
4. Miyagawa, N., Iwasaki, H., Kato, T., *et al. Biol. Pharm. Bull.* **32(12)**, 2260-2264 (2008).

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