Ebastine
Item No. 15372

CAS Registry No.: 90729-43-4
Formal Name: 1-[4-(1,1-dimethylethyl)phenyl]-4-[4-](diphenylmethoxy)-1-piperidinyl]-1-butanone
Synonyms: LAS-W 090, RP 64305
MF: C_{32}H_{39}NO_{2}
FW: 469.7
Purity: ≥98%
UV/Vis.: λ_{max}: 252 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

Ebastine is supplied as a crystalline solid. A stock solution may be made by dissolving the ebastine in the solvent of choice, which should be purged with an inert gas. Ebastine is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of ebastine in ethanol and DMSO is approximately 2 mg/ml and approximately 25 mg/ml in DMF.

Description

Ebastine is a histamine H_{1} receptor antagonist and prodrug form of carebastine (Item No. 23076).\(^1\) Ebastine binds to histamine H_{1} receptors with an IC_{50} value of 45 nM. It is selective for histamine H_{1} receptors over α_{1}-adrenergic and muscarinic M_{1} and M_{2} receptors (IC_{50}s = 183, 1,100, and >10,000 nM, respectively), as well as dopamine D_{2} receptors and the serotonin (5-HT) receptor subtype 5-HT_{1A} at 1 and 10 μM, respectively.\(^2\) Ebastine (1, 5, and 10 μM) inhibits T cell proliferation and the production of IL-4 and IL-5 in isolated human T cells.\(^3\) It also reduces phytohemagglutinin-induced T cell migration and decreases LPS-induced IL-6 and TNF-α production by macrophages isolated from peripheral blood mononuclear cells (PBMCs). Ebastine inhibits histamine-induced and allergen-induced bronchospasm in guinea pigs (ED_{50}s = 115 and 334 μg/kg, respectively).\(^4\) Formulations containing ebastine have been used in the treatment of allergic rhinitis and chronic idiopathic urticaria.

References