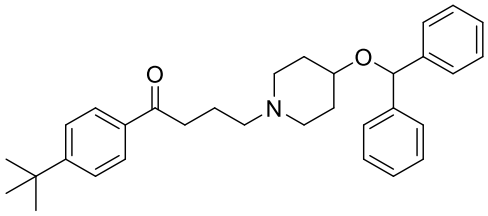
Product data sheet

MedKoo Cat#: 317143 Name: Ebastine CAS#: 90729-43-4 Chemical Formula: $C_{32}H_{39}NO_2$ Exact Mass: 469.29808 Molecular Weight: 469.67	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

Ebastine, is a H1 antihistamine with low potential for causing drowsiness. It does not penetrate the blood–brain barrier to a significant amount and thus combines an effective block of the H1 receptor in peripheral tissue with a low incidence of central side effects, i.e. seldom causing sedation or drowsiness. After oral administration, ebastine undergoes extensive first-pass metabolism by hepatic cytochrome P450 3A4 into its active carboxylic acid metabolite, carebastine. This conversion is practically complete. Ebastine is a second-generation H1 receptor antagonist that is indicated mainly for allergic rhinitis and chronic idiopathic urticaria.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	34.44	73.33
DMF	25.0	53.23
Ethanol	51.25	109.12

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.13 mL	10.65 mL	21.29 mL
5 mM	0.43 mL	2.13 mL	4.26 mL
10 mM	0.21 mL	1.06 mL	2.13 mL
50 mM	0.04 mL	0.21 mL	0.43 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Li Q, Liu KY, Liu Q, Wang G, Jiang W, Meng Q, Yi Y, Yang Y, Wang R, Zhu S, Li C, Wu L, Zhao D, Yan L, Zhang L, Kim JS, Zu X, Kozielski AJ, Qian W, Chang JC, Patnaik A, Chen K, Cao Q. Antihistamine Drug Ebastine Inhibits Cancer Growth by Targeting Polycomb Group Protein EZH2. Mol Cancer Ther. 2020 Oct;19(10):2023-2033. doi: 10.1158/1535-7163.MCT-20-0250. Epub 2020 Aug 27. PMID: 32855270; PMCID: PMC7541747.

In vivo study

1. Li Q, Liu KY, Liu Q, Wang G, Jiang W, Meng Q, Yi Y, Yang Y, Wang R, Zhu S, Li C, Wu L, Zhao D, Yan L, Zhang L, Kim JS, Zu X, Kozielski AJ, Qian W, Chang JC, Patnaik A, Chen K, Cao Q. Antihistamine Drug Ebastine Inhibits Cancer Growth by Targeting Polycomb Group Protein EZH2. Mol Cancer Ther. 2020 Oct;19(10):2023-2033. doi: 10.1158/1535-7163.MCT-20-0250. Epub 2020 Aug 27. PMID: 32855270; PMCID: PMC7541747.

7. Bioactivity

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Biological target:

Ebastine (LAS-W 090) is an orally active, second-generation histamine H1 receptor antagonist.

In vitro activity

To further investigate whether ebastine can reduce EZH2 in other cancer cells, this study treated prostate cancer cells (DU145, VCaP), small cell lung cancer (H146, H82, H526), and lymphoma (L1236, Jeko-1, HDLM2) with ebastine at various concentrations. As shown in Fig. 1B and Fig. S1B, ebastine dose-dependently reduces the protein level of EZH2 and H3K27me3 in these cancer cell lines.

Reference: Mol Cancer Ther. 2020 Oct; 19(10): 2023–2033. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7541747/

In vivo activity

As shown in Fig. 4A, ebastine treatment significantly and dose-dependently reduced tumor growth and progression as indicated by tumor weight. Kaplan-Meier survival plot indicated that a higher dose of ebastine enhanced the survival of TNBC-PDX mice (Fig. 4B). Similarly, ebastine treatment reduced tumor growth and progression in SUM159 xenograft mice, as indicated by tumor volume (Fig. 4C) and tumor weight (Fig. 4D). More importantly, immunoblot analysis using tumor lysate from the ebastine-treated and control mice showed that EZH2 protein levels were decreased in vivo upon ebastine treatment (Fig. 4E). No significant change in body weight of these mice was observed among different treatments (Fig. S7A-B).

Reference: Mol Cancer Ther. 2020 Oct; 19(10): 2023–2033. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7541747/