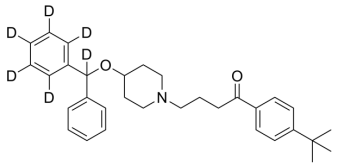
Ebastine-d_6

Cat. No.:	HY-B0674S1
Molecular Formula:	$C_{32}H_{33}D_6NO_2$
Molecular Weight:	475.69
Target:	Histamine Receptor; Isotope-Labeled Compounds
Pathway:	GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling; Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Ebastine-d_6 is deuterated labeled Ebastine (HY-B0674). Ebastine (LAS-W 090) is an orally active, second-generation histamine H1 receptor antagonist. Ebastine can be used for the symptoms of allergic rhinitis and chronic idiopathic urticaria research[1].
In Vitro	Stable heavy isotopes of hydrogen, carbon, and other elements have been incorporated into drug molecules, largely as tracers for quantitation during the drug development process. Deuteration has gained attention because of its potential to affect the pharmacokinetic and metabolic profiles of drugs[1]. Ebastine (10-500 ng/mL; 24-48 hours) treatment significantly increases the proliferation of HFDPC[3]. Ebastine (10-500 ng/mL; 24-48 hours) treatment shows dose-dependent increases in Cyclin D1, Cyclin E1, and Cyclin A expression levels. And the expression levels of Cdk4, Cdk2, and Cdc2 are alos increased. Ebastine treatment elevates expression levels of phospho-AKT and phospho-p44/42 extracellular signal-regulated kinase[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	In rats, after intravenous administration of [^{14}C]Ebastine at 2 mg/kg, the plasma level of radioactivity decreased biphasically with α-phase half-life ($t_{1/2}$ α) of 1.6 h and β-phase half-life ($t_{1/2}$ β) of 3.1 h[4]. Following oral administration of [^{14}C]Ebastine at a dose of 2 mg/kg, the plasma level reached the maximum (C_{max}) of 102 ng eq./ml at 2 h and decreased monophasically with $t_{1/2}$ of 3.9 h. At 20 mg/kg, a monophasic decrease is also observed with C_{max} of 1110 ng eq./ml at 4 h and with $t_{1/2}$ of 4.0 h[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Fu-Ming Tsai, et al. Extracellular Signal-Regulated Kinase Mediates Ebastine-Induced Human Follicle Dermal Papilla Cell Proliferation. Biomed Res Int. 2019 Feb 11;2019:6360503.

[2]. J Sastre. Ebastine in allergic rhinitis and chronic idiopathic urticarial. Allergy. 2008 Dec;63 Suppl 89:1-20.

[3]. Fujii, et al. Absorption, distribution, metabolism and excretion of [14C]ebastine after a single administration in rats. Arzneimittelforschung. 1994 Apr;44(4):527-38.

[4]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. Ann Pharmacother. 2019 Feb;53(2):211-216.

Caution: Product has not been fully validated for medical applications. For research use only.

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