

PRODUCT INFORMATION



Icatibant (acetate)

Item No. 24083

CAS Registry No.: 138614-30-9

Formal Name: D-arginyll-L-arginyll-L-prolyl-(4R)-4-hydroxy-L-prolyl-L-glycyl-3-(2-thienyl)-L-alanyl-L-seryl-(3R)-1,2,3,4-tetrahydro-3-isoquinolinecarboxyl-(2S,3aS,7aS)-octahydro-1H-indole-2-carboxyl-L-arginine, acetate

Synonym: HOE 140

MF: $C_{59}H_{89}N_{19}O_{13}S \cdot XC_2H_4O_2$

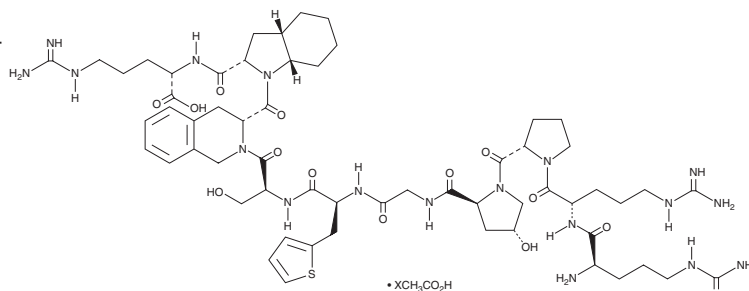
FW: 1,304.5

Purity: $\geq 98\%$

Supplied as: A crystalline solid

Storage: $-20^{\circ}C$

Stability: ≥ 4 years



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

Laboratory Procedures

Icatibant (acetate) is supplied as a crystalline solid. A stock solution may be made by dissolving the icatibant (acetate) in the solvent of choice, which should be purged with an inert gas. Icatibant (acetate) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of icatibant (acetate) in ethanol is approximately 0.25 mg/ml and approximately 30 mg/ml in DMSO and DMF.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of icatibant (acetate) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of icatibant (acetate) in PBS (pH 7.2) is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Icatibant is a synthetic peptide antagonist of the bradykinin B_2 receptor with IC_{50} and K_i values of 1.07 and 0.798 nM, respectively, in guinea pig ileal cell membranes.¹ It inhibits bradykinin-induced contractions in isolated guinea pig ileum and pulmonary arteries as well as in rat uterus (IC_{50} s = 11, 5.4, and 4.9 nM, respectively). *In vivo*, icatibant inhibits bronchoconstriction induced by bradykinin (Item No. 15539) in a guinea pig model (ID_{50} s = 13.4 and 31.8 pmol/kg, i.v., for pulmonary resistance and dynamic lung compliance, respectively).¹ Icatibant (30 μ g, i.v.) also reduces vascular permeability in the footpad and intestine in a mouse model of hereditary angioedema.² Formulations containing icatibant have been used for the treatment of hereditary angioedema.

References

1. Hock, F.J., Wirth, K., Albus, U., et al. Hoe 140 a new potent and long acting bradykinin-antagonist: *In vitro* studies. *Br. J. Pharmacol.* **102**(3), 769-773 (1991).
2. Han, E.D., MacFarlane, R.C., Mulligan, A.N., et al. Increased vascular permeability in C1 inhibitor-deficient mice mediated by the bradykinin type 2 receptor. *J. Clin. Invest.* **109**(8), 1057-1063 (2002).

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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