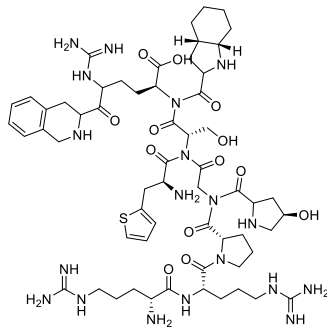


# Product data sheet



MedKoo Cat#: 314226 Name: Icatibant CAS: 130308-48-4 Chemical Formula: C <sub>59</sub> H <sub>89</sub> N <sub>19</sub> O <sub>13</sub> S Exact Mass: 1303.6608 Molecular Weight: 1304.541		
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:

Icatibant is a peptidomimetic drug consisting of ten amino acids, which is a selective and specific antagonist of bradykinin B2 receptors. It has been approved by the European Commission for the symptomatic treatment of acute attacks of hereditary angioedema (HAE) in adults with C1-esterase-inhibitor deficiency.

## 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
Water	100.0	76.66

## 4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	0.77 mL	3.83 mL	7.67 mL
5 mM	0.15 mL	0.77 mL	1.53 mL
10 mM	0.08 mL	0.38 mL	0.77 mL
50 mM	0.02 mL	0.08 mL	0.15 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

- Schroeder C, Beug H, Müller-Esterl W. Cloning and functional characterization of the ornithokinin receptor. Recognition of the major kinin receptor antagonist, HOE140, as a full agonist. J Biol Chem. 1997 May 9;272(19):12475-81. doi: 10.1074/jbc.272.19.12475. PMID: 9139696.
- Hock FJ, Wirth K, Albus U, Linz W, Gerhards HJ, Wiemer G, Henke S, Breipohl G, König W, Knolle J, et al. Hoe 140 a new potent and long acting bradykinin-antagonist: in vitro studies. Br J Pharmacol. 1991 Mar;102(3):769-73. doi: 10.1111/j.1476-5381.1991.tb12248.x. PMID: 1364851; PMCID: PMC1917958.

### In vivo study

- Arai Y, Takanashi H, Kitagawa H, Wirth KJ, Okayasu I. Effect of icatibant, a bradykinin B2 receptor antagonist, on the development of experimental ulcerative colitis in mice. Dig Dis Sci. 1999 Apr;44(4):845-51. doi: 10.1023/a:1026694732602. PMID: 10219847.
- Sgarra L, Coco C, Montagnani M, Potenza MA. Pulsatile antagonism on bradykinin 2-receptor (BK2R) by icatibant triggers the most effective kinin-dependent post-conditioning on rat hearts. Eur Rev Med Pharmacol Sci. 2019 May;23(10):4439-4447. doi: 10.26355/eurrev\_201905\_17953. PMID: 31173320.

## 7. Bioactivity

# Product data sheet



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## Biological target:

Icatibant (HOE-140) is a potent and specific peptide antagonist of bradykinin B2 receptor with IC<sub>50</sub> and K<sub>i</sub> of 1.07 nM and 0.798 nM respectively.

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## In vitro activity

Ornithokinine and HOE140 at nanomolar concentrations stimulated intracellular inositol phosphate accumulation and induced a significant transient rise in intracellular free Ca<sup>2+</sup>, whereas bradykinin was ineffective even at 100 nM. Hence the principal B2 receptor antagonist HOE140 is a potent agonist of the chicken kinin receptor.

Reference: J Biol Chem. 1997 May 9;272(19):12475-81. <https://pubmed.ncbi.nlm.nih.gov/9139696/>

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## In vivo activity

Subcutaneous administration of Icatibant (0.3 or 1.5 mg/kg) significantly suppressed shortening of the mouse large intestine and worsening of the general health. Oral administration of Icatibant (50 mg/kg) significantly suppressed shortening of the large intestine, the onset of diarrhea, and worsening of the general health. In addition, the oral treatment significantly inhibited the development of colitis that was observed histopathologically.

Reference: Dig Dis Sci. 1999 Apr;44(4):845-51. <https://pubmed.ncbi.nlm.nih.gov/10219847/>

*Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.*