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Inhibitors, Agonists, Screening Libraries

# Bradykinin Receptor

Bradykinin receptors are cell surface, G protein-coupled receptor (GPCR) family members. There are two subtypes of bradykinin receptors, B1 and B2. Bradykinin receptor-mediated signal transductions play a significant role in maintaining cardiovascular homeostasis, regulating pain and inflammation. Both receptors transduce extracellular signals through the activation of G-proteins.

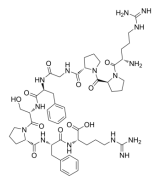
Bradykinin B1 receptor is expressed at a very low level in healthy tissues, but is induced under stressful conditions such as shock or inflammation, whereas the bradykinin B2 receptor is ubiquitous and is constitutively expressed. Bradykinin B2 receptor is involved in vasodilation, osmoregulation, smooth muscle contraction, and nociceptor activation. Bradykinin B1 receptor and Bradykinin B2 receptor have emerged as therapeutic targets as they are implicated in inflammatory disease, vasculopathy, neuropathy, obesity, diabetes, and cancer. B1R and B2R can hold dichotomous roles in diseases. Agonists and antagonists have been evaluated as therapeutics.

## Bradykinin Receptor Inhibitors, Agonists, Antagonists & Modulators

### Bradykinin

Cat. No.: HY-P0206

Bradykinin is an active peptide that is generated by the kallikrein-kinin system. It is a inflammatory mediator and also recognized as a neuromediator and regulator of several vascular and renal functions.

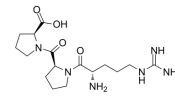


**Purity:** 99.92%  
**Clinical Data:** Phase 4  
**Size:** 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### Bradykinin (1-3)

Cat. No.: HY-P1497

Bradykinin (1-3) is a 3-amino acid residue peptide. Bradykinin (1-3) is an amino-truncated Bradykinin peptide, cleaved by Prolyl endopeptidase.

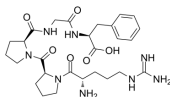


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 5 mg, 10 mg, 25 mg

### Bradykinin (1-5)

Cat. No.: HY-P1488

Bradykinin (1-5) is a major stable metabolite of Bradykinin, formed by the proteolytic action of angiotensin-converting enzyme (ACE).

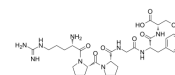


**Purity:** ≥99.0%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### Bradykinin (1-6)

Cat. No.: HY-P1469

Bradykinin (1-6) is an amino-truncated Bradykinin peptide. Bradykinin (1-6) is a stable metabolite of Bradykinin, cleaved by carboxypeptidase Y (CPY).



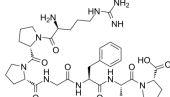
**Purity:** 98.95%  
**Clinical Data:** No Development Reported  
**Size:** 5 mg, 10 mg

### Bradykinin (1-7)

(Bradykinin Fragment 1-7)

Cat. No.: HY-P1484

Bradykinin (1-7) is an amino-truncated Bradykinin peptide. Bradykinin (1-7) is a metabolite of Bradykinin, cleaved by endopeptidase.



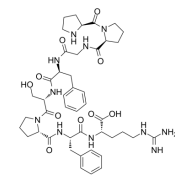
**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 5 mg, 10 mg

### Bradykinin (2-9)

(Des-Arg1-bradykinin)

Cat. No.: HY-P1490

Bradykinin (2-9) is an amino-truncated Bradykinin peptide. Bradykinin (2-9) is a metabolite of Bradykinin, cleaved by Aminopeptidase P.

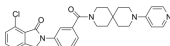


**Purity:** 99.94%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg

### ELN-441958

Cat. No.: HY-15043

ELN-441958 is a potent, neutral antagonist of B1 receptor, inhibits the binding of the B1 agonist ligand [3H]DAKD to IMR-90 cells with Ki of 0.26 nM. ELN-441958 is highly selective for B1 over B2 receptors, and >500/ 2000-fold selective for the B1 over μ/δ-opioid receptor.



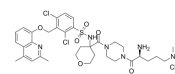
**Purity:** 99.84%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

### Fasitibant chloride

(MEN16132 free base)

Cat. No.: HY-14886

Fasitibant chloride (MEN16132 free base) is a potent and selective nonpeptide bradykinin B2 receptor (B2R) antagonist. Fasitibant chloride reduces joint pain and diminishes joint oedema in Carrageenan-induced arthritis rat model.

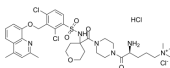


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### Fasitibant chloride hydrochloride (MEN16132)

Cat. No.: HY-106277A

Fasitibant chloride hydrochloride (MEN16132) is a potent, selective, high affinity, and longlasting nonpeptide bradykinin B<sub>2</sub> (BK<sub>2</sub>) receptor antagonist.

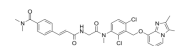


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### FR167344 free base

Cat. No.: HY-100301

FR167344 free base is an orally active, nonpeptide bradykinin receptor B2 antagonist. FR167344 free base shows a high affinity binding to the B2 receptor with an IC<sub>50</sub> value of 65 nM and no binding affinity for the B1 receptor.

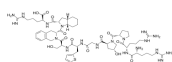


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### Icatibant (HOE 140)

Cat. No.: HY-17446

Icatibant (HOE-140) is a potent and specific peptide antagonist of **bradykinin B2 receptor** with  $IC_{50}$  and  $K_i$  of 1.07 nM and 0.798 nM respectively.

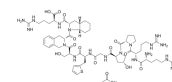


**Purity:** 99.51%  
**Clinical Data:** Launched  
**Size:** 10 mM × 1 mL, 1 mg, 5 mg, 10 mg

### Icatibant acetate (HOE 140 acetate)

Cat. No.: HY-108896

Icatibant acetate (HOE-140 acetate) is a potent and specific peptide antagonist of **bradykinin B2 receptor** with an  $IC_{50}$  and  $K_i$  of 1.07 nM and 0.798 nM respectively.

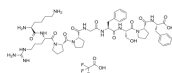


**Purity:** 99.64%  
**Clinical Data:** Launched  
**Size:** 10 mM × 1 mL, 1 mg, 5 mg

### Lys-[Des-Arg9]Bradykinin TFA

Cat. No.: HY-103295A

Lys-[Des-Arg9]Bradykinin TFA, a naturally occurring kinin, is a potent and highly selective **bradykinin B1 receptor** agonist with a  $K_i$  of 0.12 nM, 1.7 nM and 0.23 nM for **human, mouse** and **rabbit B1 receptors**, respectively.



**Purity:** 99.48%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

### Retrobradykinin

Cat. No.: HY-P2039

Retrobradykinin has the reverse sequence of Bradykinin (HY-P0206). Retrobradykinin exhibits no kinin activity and can be used as a negative control for Bradykinin.

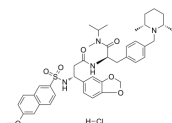
RFPSFGPPR

**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### SSR240612

Cat. No.: HY-15039

SSR240612 is a potent, and orally active specific non-peptide **bradykinin B1 receptor** antagonist, with  $K_S$  of 0.48 nM and 0.73 nM for B1 kinin receptors of human fibroblast MRC5 and HEK cells expressing human B1 receptors, 481 nM and 358 nM for B2 receptors of guinea pig ileum membranes...

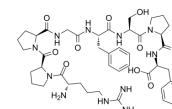


**Purity:** 99.51%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg

### [Des-Arg9]-Bradykinin

Cat. No.: HY-P0298

[Des-Arg9]-Bradykinin is a **Bradykinin (B<sub>1</sub>)** receptor agonist that displays selectivity for B<sub>1</sub> over B<sub>2</sub> receptors.

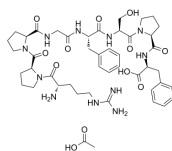


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### [Des-Arg9]-Bradykinin acetate

Cat. No.: HY-P0298A

[Des-Arg9]-Bradykinin acetate is a **Bradykinin B<sub>1</sub> receptor** agonist that displays selectivity for B<sub>1</sub> over B<sub>2</sub> receptors.

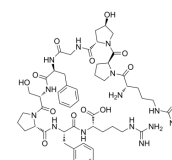


**Purity:** 96.90%  
**Clinical Data:** No Development Reported  
**Size:** 5 mg, 10 mg, 25 mg

### [Hyp3]-Bradykinin

Cat. No.: HY-P3061

[Hyp3]-Bradykinin, naturally occurring peptide hormone, is a bradykinin receptor agonist. [Hyp3]-Bradykinin interacts with B2-bradykinin receptors and stimulates inositol phosphate production in cultured human fibroblasts.



**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg