CGRP Receptor

Calcitonin gene-related peptide receptor

CGRP receptor is a heterotrimer: a large peptide with 7 transmembrane domains, named calcitonin receptor-like receptor (CLR or CRLR), is supplemented by a small single transmembrane peptide, named receptor activity-modifying protein (RAMP1) that forms the CGRP-specific ligand binding site. CGRP receptors are expressed by multiple different cell types within the nervous, cardiovascular and immune systems that are thought to play important roles in migraine pathology: on cerebral vascular smooth muscle, where they cause vasodilation, on dural mast cells triggering their degranulation, at the central terminals of the trigeminal nerve, where CGRP is a neuromodulator at second-order nociceptive neurons in the spinal trigeminal nucleus caudalis and in the dorsal horn of the spinal cord, where CGRP has a similar role in inducing central sensitisation to tactile stimuli. CGRP is produced in both peripheral and central neurons. It is a potent peptide vasodilator and can function in the transmission of pain.
### CGRP Receptor Inhibitors & Modulators

#### Adrenomedullin (1-50), rat

**Cat. No.: HY-P1534**

**Bioactivity:** Adrenomedullin (1-50), rat is a 50 amino acid peptide, which induces a selective arterial vasodilation via activation of CGRP1 receptor.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 500μg, 1 mg, 5 mg

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#### Adrenomedullin (11-50), rat

**Cat. No.: HY-P1766**

**Bioactivity:** Adrenomedullin (11-50), rat is the C-terminal fragment (11-50) of rat adrenomedullin. Rat adrenomedullin induces a selective arterial vasodilation via CGRP1 receptors.[1]

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:**

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#### Adrenomedullin (16-31), human

**Cat. No.: HY-P1770**

**Bioactivity:** Adrenomedullin (16-31), human is amino acid residues 16-31 fragment of human adrenomedullin (hADM). Adrenomedullin has appreciable affinity for the CGRP1 receptor. Adrenomedullin (16-31), human possesses pressor activity in the systemic vascular bed of the rat, but not the cat.[1]

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 500μg, 1 mg, 5 mg

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#### Adrenomedullin (AM) (22-52), human

**Cat. No.: HY-P1471**

**Bioactivity:** Adrenomedullin (AM) (22-52), human is an adrenomedullin receptor antagonist, and also antagonizes the calcitonin generelated peptide (CGRP) receptor in the hindlimb vascular bed of the cat.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 500μg, 1 mg, 5 mg

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#### Calcitonin Gene Related Peptide (CGRP) (83-119), rat

**Cat. No.: HY-P1462**

**Bioactivity:** Calcitonin Gene Related Peptide (CGRP) (83-119), rat is a 37 amino acid calcitonin family of neuropeptide, acts through calcitonin receptor-like receptor (CRLR).

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 500μg, 1 mg, 5 mg

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#### Calcitonin Gene Related Peptide (CGRP) (83-119), rat TFA

**Cat. No.: HY-P1462A**

**Bioactivity:** Calcitonin Gene Related Peptide (CGRP) (83-119), rat (TFA) is a 37 amino acid calcitonin family of neuropeptide, acts through calcitonin receptor-like receptor (CRLR).

**Purity:** 96.21%

**Clinical Data:** No Development Reported

**Size:** 500μg, 1 mg, 5 mg

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#### CGRP antagonist 1

**Cat. No.: HY-112262**

**Bioactivity:** CGRP antagonist 1 is a highly potent CGRP receptor antagonist with a Ki value of 35 and 57 nM, respectively.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 250 mg, 500 mg

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#### HCGRP-(8-37)

**Cat. No.: HY-P1014**

**Bioactivity:** HCGRP-(8-37) is a human calcitonin gene-related peptide (hCGRP) fragment and also an antagonist of CGRP receptor.

**Purity:** 98.28%

**Clinical Data:** No Development Reported

**Size:** 500μg, 1 mg, 5 mg

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#### MK-3207

**Cat. No.: HY-10301**

**Bioactivity:** MK-3207 is a potent and orally bioavailable CGRP receptor antagonist (IC50 = 0.12 nM; Ki value = 0.024 nM); highly selective versus human AM1, AM2, CTR, and AMY3. IC50 Value: 0.024 nM (Ki, Human CGRP) [1] In common with other CGRP receptor antagonists, MK-3207 displays lower affinity for...

**Purity:** 98.76%

**Clinical Data:** Phase 2

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg

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#### MK-3207 Hydrochloride

**Cat. No.: HY-10302**

**Bioactivity:** MK-3207 (Hydrochloride) is a potent and orally bioavailable CGRP receptor antagonist with IC50 of 0.12 nM and Ki of 0.024 nM, and is highly selective versus human AM1, AM2, CTR, and AMY3.

**Purity:** 98.07%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

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*Tel: 609-228-6898  Fax: 609-228-5909  Email: sales@MedChemExpress.com*
Olcegepant (BIBN-4096; BIBN 4096BS)  
**Cat. No.: HY-10095**

**Bioactivity:** Olcegepant is a potent and selective non-peptide antagonist of the calcitonin gene-related peptide 1 (CGRP1) receptor with \( \text{IC}_{50} \) of 0.03 nM and \( K_i \) of 14.4 pM for human CGRP.

**Purity:** 99.32%

**Clinical Data:** No Development Reported

**Size:** 500μg, 1 mg, 5 mg

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Olcegepant hydrochloride (BIBN-4096 hydrochloride; BIBN4096BS hydrochloride)  
**Cat. No.: HY-10095A**

**Bioactivity:** Olcegepant hydrochloride is the first potent and selective non-peptide antagonist of the calcitonin gene-related peptide 1 (CGRP1) receptor with \( \text{IC}_{50} \) of 0.03 nM and with a \( K_i \) of 14.4 pM for human CGRP.

**Purity:** 99.31%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in Water, 5 mg, 10 mg, 50 mg

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Rat CGRP-(8-37)  
**Cat. No.: HY-P0209**

**Bioactivity:** Rat CGRP-(8-37) (VTHRLAGLLSRSGGVKDNFVPTNVGSEA) is a highly selective CGRP receptor antagonist.

**Purity:** 98.29%

**Clinical Data:** No Development Reported

**Size:** 500μg, 1 mg, 5 mg

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Telcagepant (MK-0974)  
**Cat. No.: HY-32709**

**Bioactivity:** Telcagepant (MK-0974) is a calcitonin gene-related peptide (CGRP) receptor antagonist with \( K_i \) of 0.77 nM and 1.2 nM for human and rhesus CGRP receptors, respectively.

**Purity:** 99.07%

**Clinical Data:** Phase 3

**Size:** 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

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Ubrogepant (MK-1602)  
**Cat. No.: HY-12366**

**Bioactivity:** Ubrogepant (MK-1602) is a novel oral calcitonin gene-related peptide receptor (CGRP) antagonist in development for acute treatment of migraine \[1\].

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 250 mg, 500 mg

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β-CGRP, human  
(Human β-CGRP; CGRP-II (Human))  
**Cat. No.: HY-P1548**

**Bioactivity:** β-CGRP, human is one of calcitonin peptides, acts via the complex of calcitonin-receptor-like receptor (CRLR) and receptor-activity-modifying protein (RAMP), with \( \text{IC}_{50} \) of 1 nM and 300 nM for CRLR/RAMP1 and CRLR/RAMP2 in cells.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 500μg, 1 mg, 5 mg

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β-CGRP, human TFA  
(Human β-CGRP (TFA); CGRP-II (Human) (TFA))  
**Cat. No.: HY-P1548A**

**Bioactivity:** β-CGRP, human TFA is one of calcitonin peptides, acts via the complex of calcitonin-receptor-like receptor (CRLR) and receptor-activity-modifying protein (RAMP), with \( \text{IC}_{50} \) of 1 nM and 300 nM for CRLR/RAMP1 and CRLR/RAMP2 in cells \[1\].

**Purity:** 98.82%

**Clinical Data:** No Development Reported

**Size:** 500μg, 1 mg, 5 mg