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Inhibitors, Screening Libraries, Proteins

CGRP Receptor

Calcitonin gene-related peptide receptor

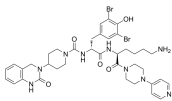
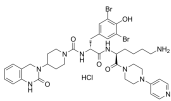

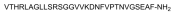
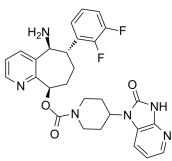
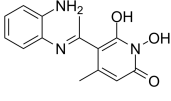
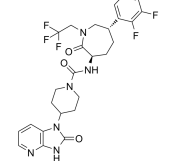
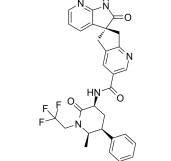
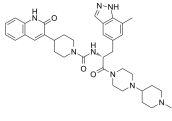
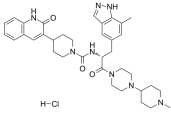
CGRP receptor is a heterodimer formed by calcitonin-receptor-like receptor (CRLR), a type II (family B) G-protein-coupled receptor, and receptor-activity-modifying protein 1 (RAMP1), a single-membrane-pass protein. RAMP1 is needed for CGRP binding and also cell-surface expression of CLR. CLR is an example of a family B GPCR.

CGRP is a neuropeptide abundant in the trigeminal system and widely expressed in both the peripheral and central nervous systems. CGRP has several functions including vasodilation, the perception of painful stimuli, and inflammation. CGRP exerts its biological action by interacting with its receptors. There are two types of CGRP receptors, CGRP-A and CGRP-B.

CGRP Receptor Inhibitors, Agonists, Antagonists, Activators & Modulators

<p>Adrenomedullin (1-50), rat</p> <p style="text-align: right;">Cat. No.: HY-P1534</p> <p>Adrenomedullin (1-50), rat is a 50 amino acid peptide, which induces a selective arterial vasodilation via activation of CGRP1 receptor.</p> <p style="text-align: center;"><small>YGGKLVKQKLAHQIY-NH₂ (Rat)</small></p> <p>Purity: >98% Clinical Data: No Development Reported Size: 500 µg, 1 mg, 5 mg</p>	<p>Adrenomedullin (11-50), rat</p> <p style="text-align: right;">Cat. No.: HY-P1766</p> <p>Adrenomedullin (11-50), rat is the C-terminal fragment (11-50) of rat adrenomedullin. Rat adrenomedullin induces a selective arterial vasodilation via CGRP1 receptors.</p> <p style="text-align: center;"><small>YGGKLVKQKLAHQIY-NH₂ (Rat)</small></p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>Adrenomedullin (16-31), human</p> <p style="text-align: right;">Cat. No.: HY-P1770</p> <p>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.</p> <p style="text-align: center;"><small>CRFGTCTVQKLAHQIY-NH₂</small></p> <p>Purity: 99.72% Clinical Data: No Development Reported Size: 5 mg, 10 mg</p>	<p>Adrenomedullin (16-31), human TFA</p> <p style="text-align: right;">Cat. No.: HY-P1770A</p> <p>Adrenomedullin (16-31), human TFA is amino acid residues 16-31 fragment of human adrenomedullin (hADM). Adrenomedullin has appreciable affinity for the CGRP1 receptor. Adrenomedullin (16-31), human TFA possesses pressor activity in the systemic vascular bed of the rat, but not the cat.</p> <p style="text-align: center;"><small>CRFGTCTVQKLAHQIY-NH₂ (TFA salt)</small></p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>Adrenomedullin (AM) (22-52), human (22-52-Adrenomedullin (human))</p> <p style="text-align: right;">Cat. No.: HY-P1471</p> <p>Adrenomedullin (AM) (22-52), human, an NH₂ terminal truncated adrenomedullin analogue, is an adrenomedullin receptor antagonist, and also antagonizes the calcitonin gene-related peptide (CGRP) receptor in the hindlimb vascular bed of the cat.</p> <p style="text-align: center;"><small>TVQKLAHQIYQFTDKDKDVAAPRSKISPGQY-NH₂</small></p> <p>Purity: 98.78% Clinical Data: No Development Reported Size: 500 µg, 1 mg, 5 mg</p>	<p>Adrenomedullin (AM) (22-52), human TFA (22-52-Adrenomedullin (human) (TFA))</p> <p style="text-align: right;">Cat. No.: HY-P1471A</p> <p>Adrenomedullin (AM) (22-52), human (22-52-Adrenomedullin human) TFA, an NH₂ terminal truncated adrenomedullin analogue, is an adrenomedullin receptor antagonist.</p> <p style="text-align: center;"><small>TVQKLAHQIYQFTDKDKDVAAPRSKISPGQY-NH₂ (TFA salt)</small></p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>Calcitonin (human)</p> <p style="text-align: right;">Cat. No.: HY-P2273</p> <p>Calcitonin (human) is a hypocalcemic hormone. Calcitonin (CT) inhibits the action of osteoclast mediated bone resorption.</p> <p style="text-align: center;"><small>CGKLTGKDLKQKLSGKGLGFTFVGGSDP-NH₂ (Human)</small></p> <p>Purity: 96.06% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>Calcitonin (salmon) (Salmon calcitonin)</p> <p style="text-align: right;">Cat. No.: HY-P0090</p> <p>Calcitonin salmon, a calcium regulating hormone, is a dual-action amylin and calcitonin receptor agonist, could stimulate bone formation and inhibit bone resorption.</p> <p style="text-align: center;"><small>CGKLTGKDLKQKLSGKGLGFTFVGGSDP-NH₂ (Salmon)</small></p> <p>Purity: 98.52% Clinical Data: Launched Size: 1 mg, 5 mg, 10 mg, 25 mg</p>
<p>Calcitonin Gene Related Peptide (CGRP) (83-119), rat</p> <p style="text-align: right;">Cat. No.: HY-P1462</p> <p>Calcitonin Gene Related Peptide (CGRP) (83-119), rat is a 37 amino acid calcitonin family of neuropeptide, acts through calcitonin receptor-like receptor (CRLR).</p> <p style="text-align: center;"><small>SDKFTYTHKALLRSGDQKDFVTVGGSDP-NH₂ (Rat)</small></p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>Calcitonin Gene Related Peptide (CGRP) (83-119), rat TFA</p> <p style="text-align: right;">Cat. No.: HY-P1462A</p> <p>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).</p> <p style="text-align: center;"><small>SDKFTYTHKALLRSGDQKDFVTVGGSDP-NH₂ (Rat)</small></p> <p>Purity: 98.10% Clinical Data: No Development Reported Size: 500 µg, 1 mg, 5 mg</p>

<p>CGRP antagonist 1</p> <p>Cat. No.: HY-112262</p>	<p>Eptinezumab</p> <p>Cat. No.: HY-P99017</p>
<p>CGRP antagonist 1 is a highly potent CGRP receptor antagonist with a K_i and IC_{50} of 35 and 57 nM, respectively.</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg</p>	<p>Eptinezumab is a human monoclonal antibody. Eptinezumab binds to calcitonin gene-related peptide (CGRP) and blocks its binding to the receptor. Eptinezumab can be used for the prevention of migraine in adults.</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg</p>
<p>Erenumab</p> <p>Cat. No.: HY-P9938</p> <p>Erenumab is a fully human monoclonal antibody. Erenumab inhibits the calcitonin gene-related peptide (CGRP) receptor. Erenumab can be used for the prevention of episodic migraine.</p> <p>Purity: >98%</p> <p>Clinical Data: Launched</p> <p>Size: 1 mg, 5 mg</p>	<p>Fremanezumab</p> <p>(TEV-48125)</p> <p>Cat. No.: HY-P99019</p> <p>Fremanezumab (TEV-48125) is a humanized IgG2a monoclonal antibody that selectively and potently binds to calcitonin gene-related peptide (CGRP). CGRP is a 37-amino acid neuropeptide involved in central and peripheral pathophysiological events of migraine.</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg</p>
<p>Galcanezumab</p> <p>(LY 2951742)</p> <p>Cat. No.: HY-P99021</p> <p>Galcanezumab (LY 2951742) is a humanized IgG4 monoclonal antibody against the CGRP ligand. Galcanezumab can be used for migraine or cluster headaches research.</p> <p>Purity: >98%</p> <p>Clinical Data: Launched</p> <p>Size: 1 mg, 5 mg</p>	<p>HCGRP-(8-37)</p> <p>(Human α-CGRP (8-37))</p> <p>Cat. No.: HY-P1014</p> <p>HCGRP-(8-37) is a human calcitonin gene-related peptide (hCGRP) fragment and also an antagonist of CGRP receptor.</p> <p>Purity: 98.0%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 500 μg, 1 mg, 5 mg</p>
<p>HTL22562</p> <p>Cat. No.: HY-145353</p> <p>HTL22562 is a calcitonin gene-related peptide (CGRP) receptor antagonist for acute treatment of migraine.</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg</p>	<p>Kendomycin</p> <p>(-)-TAN2162</p> <p>Cat. No.: HY-121300</p> <p>Kendomycin ((-)-TAN 2162) is a polyketide antibiotic with remarkable antibacterial and cancer cells cytotoxic activities. Kendomycin tends to be bacteriostatic rather than bactericidal and inhibits the growth of the.</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg</p>
<p>MK-3207</p> <p>Cat. No.: HY-10301</p> <p>MK-3207 is a potent and orally bioavailable CGRP receptor antagonist (IC_{50} = 0.12 nM; K_i = 0.024 nM); highly selective versus human AM1, AM2, CTR, and AMY3.</p> <p>Purity: 99.76%</p> <p>Clinical Data: Phase 1</p> <p>Size: 10 mM \times 1 mL, 5 mg, 10 mg</p>	<p>MK-3207 Hydrochloride</p> <p>Cat. No.: HY-10302</p> <p>MK-3207 (Hydrochloride) is a potent and orally bioavailable CGRP receptor antagonist with IC_{50} of 0.12 nM and K_i of 0.024 nM, and is highly selective versus human AM1, AM2, CTR, and AMY3.</p> <p>Purity: 99.06%</p> <p>Clinical Data: Phase 1</p> <p>Size: 10 mM \times 1 mL, 5 mg, 10 mg</p>

<p>Olcegepant (BIBN-4096; BIBN 4096BS)</p> <p>Olcegepant (BIBN-4096) is a potent and selective non-peptide antagonist of the calcitonin gene-related peptide 1 (CGRP1) receptor with IC_{50} of 0.03 nM and K_i of 14.4 pM for human CGRP.</p> <p>Purity: 99.50% Clinical Data: Phase 2 Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg</p> 	<p>Olcegepant hydrochloride (BIBN-4096 hydrochloride; BIBN4096BS hydrochloride)</p> <p>Olcegepant hydrochloride (BIBN-4096 hydrochloride) is a potent and selective non-peptide antagonist of the calcitonin gene-related peptide 1 (CGRP1) receptor with IC_{50} of 0.03 nM and with a K_i of 14.4 pM for human CGRP.</p> <p>Purity: 99.31% Clinical Data: Phase 2 Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</p> 
<p>PHM-27 (human)</p> <p>PHM-27 (human) is a human prepro-vasoactive intestinal polypeptide (27 amino acid). PHM-27 (human) is a potent the human calcitonin receptor agonist with an EC_{50} of 11 nM.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p> <p>HADGVFVTSDFSKLLGQLSARKYLESUM-NH₂</p> 	<p>Rat CGRP-(8-37)</p> <p>Rat CGRP-(8-37) (VTURLAGLLSRSGGVVVDNFVPTNVGSEAF) is a highly selective CGRP receptor antagonist.</p> <p>Purity: 98.54% Clinical Data: No Development Reported Size: 500 µg, 1 mg, 5 mg</p> <p>VTURLAGLLSRSGGVVVDNFVPTNVGSEAF-NH₂</p> 
<p>Rimegepant (BMS-927711)</p> <p>Rimegepant (BMS-927711) is a highly potent, oral calcitonin gene-related peptide (CGRP) receptor antagonist with a K_i of 0.027 nM and an IC_{50} of 0.14 nM for hCGRP receptor.</p> <p>Purity: 99.08% Clinical Data: Launched Size: 5 mg, 10 mg, 50 mg, 100 mg</p> 	<p>SUN B8155</p> <p>SUN B8155, a non-peptide agonist of calcitonin (CT) receptor, selectively mimics the biological actions of calcitonin. Calcitonin, a 32-amino acid peptide hormone secreted mainly from the thyroid gland, plays an important role in maintaining bone homeostasis.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p> 
<p>Telcagepant (MK-0974)</p> <p>Telcagepant (MK-0974) is an orally active calcitonin gene-related peptide (CGRP) receptor antagonist with K_is of 0.77 nM and 1.2 nM for human and rhesus CGRP receptors, respectively.</p> <p>Purity: 99.55% Clinical Data: Phase 3 Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</p> 	<p>Ubrogepant (MK-1602)</p> <p>Ubrogepant (MK-1602) is a novel oral calcitonin gene-related peptide receptor (CGRP) antagonist in development for acute treatment of migraine.</p> <p>Purity: 99.69% Clinical Data: Launched Size: 1 mg, 5 mg, 10 mg</p> 
<p>Vazegepant (Zavegepant; BHV-3500)</p> <p>Vazegepant is the first intranasal CGRP receptor antagonist for the study the acute research of migraine.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p> 	<p>Vazegepant hydrochloride (Zavegepant hydrochloride; BHV-3500 hydrochloride)</p> <p>Vazegepant (BHV-3500) hydrochloride is a highly soluble CGRP receptor antagonist ($hCGRP K_i = 0.023$ nM). Vazegepant hydrochloride is the first intranasal gepant for migraine.</p> <p>Purity: 98.01% Clinical Data: No Development Reported Size: 1 mg, 5 mg, 10 mg, 25 mg, 50 mg</p> 

β -CGRP, human

(Human β -CGRP; CGRP-II (Human))

Cat. No.: HY-P1548

β -CGRP, human (Human β -CGRP) is one of calcitonin peptides, acts via the complex of calcitonin-receptor-like receptor (CRLR) and receptor-activity-modifying protein (RAMP), with IC_{50} s of 1 nM and 300 nM for CRLR/RAMP1 and CRLR/RAMP2 in cells.

AGDNDVDTFRLLKLLGGGGGGGDFPTFSGGDFRMLDQDMLRIDGE-COO-CHEM

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

β -CGRP, human acetate

(Human β -CGRP acetate; CGRP-II (Human) (acetate))

Cat. No.: HY-P1548B

β -CGRP, human acetate (Human β -CGRP acetate) is one of calcitonin peptides, acts via the complex of calcitonin-receptor-like receptor (CRLR) and receptor-activity-modifying protein (RAMP), with IC_{50} s of 1 nM and 300 nM for CRLR/RAMP1 and CRLR/RAMP2 in cells.

AGDNDVDTFRLLKLLGGGGGGGDFPTFSGGDFRMLDQDMLRIDGE-COO-CHEM

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

β -CGRP, human TFA

(Human β -CGRP TFA; CGRP-II (Human) (TFA))

Cat. No.: HY-P1548A

β -CGRP, human TFA (Human β -CGRP TFA) is one of calcitonin peptides, acts via the complex of calcitonin-receptor-like receptor (CRLR) and receptor-activity-modifying protein (RAMP), with IC_{50} s of 1 nM and 300 nM for CRLR/RAMP1 and CRLR/RAMP2 in cells.

AGDNDVDTFRLLKLLGGGGGGGDFPTFSGGDFRMLDQDMLRIDGE-COO-TFA-CHEM

Purity: 99.01%

Clinical Data: No Development Reported

Size: 500 μ g, 1 mg, 5 mg