Bombesin Receptor

Bombesin, a peptide of 14 amino acids, is an amphibian homolog to the mammalian gastrin-releasing peptide (GRP), that has been extensively studied as a targeting ligand for diagnosis and therapy of GRP positive tumors, such as breast, pancreas, lungs and prostate cancers. Bombesin binds to and activates G-protein coupled receptors, known as gastrin releasing peptide receptor (GRPR).

Bombesin, a tetradecapeptide isolated from the skin of the frog Bombina bombina, have shown broad spectrum of biological activities. The BBS activates three G protein-coupled receptors: bombesin receptor 1 (BB₁), bombesin receptor 2 (BB₂), and bombesin receptor 3 (BB₃). BBS-like peptides-Neuromedin B (NB) and gastrin releasing peptide (GRP) are natural ligand of the BB1 and BB2 receptors, respectively.

In mammals, BBS receptors and BBS-like peptides are distributed in the Central Nervous System (CNS) including regions involved in the cardiorespiratory control.

The mammalian bombesin G-protein-coupled receptor subfamily comprises three structurally related members, the receptors for neuromedin B (NMBR or BB1), gastrin-releasing peptide (GRPR or BB2), and bombesin receptor subtype-3 (BRS-3 or BB3).

Bombesin receptor subtype-3 (BRS-3) is an orphan G protein-coupled receptor implicated in the regulation of energy homeostasis.
## Bombesin Receptor Agonists, Antagonists & Modulators

### Bombesin

**Cat. No.:** HY-P0195

Bombesin is a tetradecapeptide originally isolated from frog skin; plays an important role in the release of gastrin and the activation of G-protein receptors.

**Purity:** 99.69%

**Clinical Data:** Phase 2

**Size:** 1 mg, 5 mg, 10 mg, 25 mg

### Kuwanon G

**Cat. No.:** HY-N4247

Kuwanon G is a flavonoid isolated from Morus alba, acts as a bombesin receptor antagonist, with potential antimicrobial activity.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 5 mg, 10 mg, 20 mg

### Kuwanon H

**Cat. No.:** HY-N2600

Kuwanon H is a flavonoid isolated from Morus bombycis, which acts as a potent non-peptide bombesin receptor antagonist. Kuwanon H selectively inhibits binding of gastrin releasing peptide CRP to GRP-prefering receptor, with a $K_i$ value of 290 nM in cells.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 1 mg, 5 mg

### MK-5046

**Cat. No.:** HY-14342

MK-5046 is a novel BRS-3 agonist, binds to BRS-3 with high affinity (mouse $K_i = 1.6$ nM, human $K_i = 25$ nM).

**Purity:** 99.67%

**Clinical Data:** No Development Reported

**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### ML-18

**Cat. No.:** HY-101844

ML-18 is a non-peptide bombesin receptor subtype-3 (BRS-3) antagonist with an $IC_{50}$ of 4.8 μM.

**Purity:** 98.04%

**Clinical Data:** No Development Reported

**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### PD176252

**Cat. No.:** HY-103286

PD176252 is a potent antagonist of neuromedin-B preferring (BB$_2$) and gastrin-releasing peptide-prefering (BB$_1$) receptor with $K_i$s of 0.17 nM and 1 nM for human BB$_2$ and BB$_1$ receptors, and 0.66 nM, 16 nM for Rat BB$_2$ and BB$_1$ receptors, respectively; PD176252 is also...

**Purity:** >99.0%

**Clinical Data:** No Development Reported

**Size:** 2 mg, 5 mg

### RC-3095

**Cat. No.:** HY-P0107

RC-3095 is a bombesin/gastrin releasing peptide receptor antagonist.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 1 mg, 5 mg

### RC-3095 (TFA)

**Cat. No.:** HY-P0107A

RC-3095 TFA is a bombesin/gastrin releasing peptide receptor antagonist.

**Purity:** >98%

**Clinical Data:**

**Size:** 1 mg, 5 mg