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IN VITRO PHARMACOLOGY

PEPTIDE HORMONE CLASS B (Secretin) GPCR SELECTIVITY CONFIDENTLY DELIVERED

CLASS B GPCR TARGET SIGNIFICANCE:

- Key regulators of glucose, appetite, and energy balance
- Driving next-generation therapeutics (dual/tri-agonists)
- Growing focus in multi-target and biased signaling strategies

THERAPEUTIC CHALLENGE:

Class B (secretin) GPCRs are characterized by:

- Large extracellular domains (ECDs) for peptide binding
- Highly conserved structures and mechanisms
- Shared signaling pathways (e.g., cAMP)

Resulting in:

- Cross-reactivity across related receptors (GLP-1R, GIPR, GCGR)
- Challenges in achieving true selectivity
- Higher off-target risk and clinical translation challenges

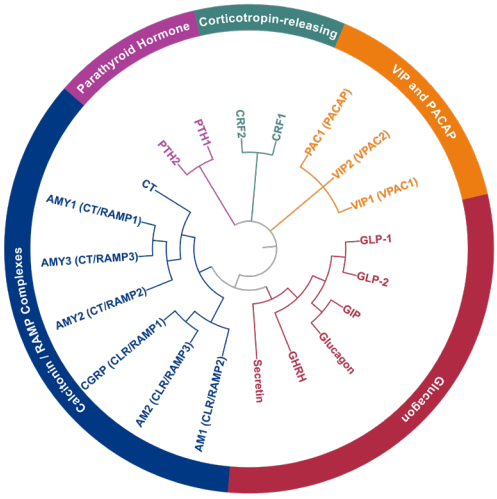


Figure 1. Coverage of key class B (secretin family) GPCR subfamilies in the Peptide Hormone Class B GPCR Panel.

Peptide Hormone Class B GPCR Panel
cAMP Accumulation Agonist Mode (EC_{50})

SubFamily	Protein	Gene
Calcitonin	CT	CALCR
	CGRP (CLR/RAMP1)	CALCRL/RAMP1
	AM1 (CLR/RAMP2)	CALCRL/RAMP2
	AM2 (CLR/RAMP3)	CALCRL/RAMP3
	AMY1 (CT/RAMP1)	CALCR/RAMP1
	AMY2 (CT/RAMP2)	CALCR/RAMP2
	AMY3 (CT/RAMP3)	CALCR/RAMP3
Corticotropin-Releasing Factor	CRF1	CRHR1
	CRF2	CRHR2
Glucagon	GLP-1	GLP1R
	GLP-2	GLP2R
	GIP	GIPR
	Glucagon	GCGR
	GHRH	GHRHR
	Secretin	SCTR
Parathyroid Hormone	PTH1	PTHR1
	PTH2	PTHR2
VIP and PACAP	PAC1 (PACAP)	ADCYAP1R1
	VIP1 (VPAC1)	VIPR1
	VIP2 (VPAC2)	VIPR2

Table 1. Targets in Peptide Hormone Class B GPCR Panel

Explore the Panel → eurofinsdiscovery.com/PeptideHormoneClassBGPCR

SOLUTION:

Clear, quantitative selectivity profiling of related peptide hormone GPCRs in a single dataset.

Key features include:

- 20 Class B GPCR targets
- 20 cAMP functional assays
- 10-point (3-fold) dose response curves
- EC_{50} values for potency comparison
- Coverage across key Class B GPCR subfamilies

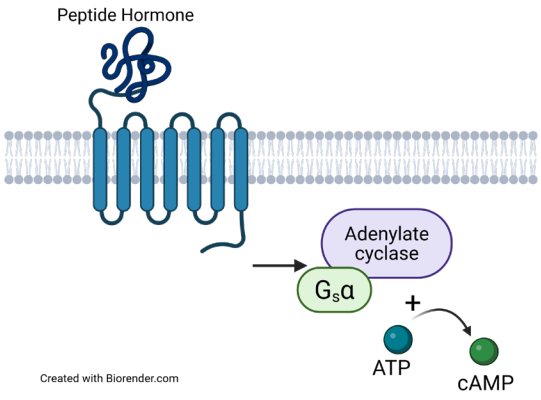


Figure 2. Peptide hormone signaling via Class B GPCRs. Peptide binding to the extracellular domain activates the receptor, triggers Gs coupling and Gαs activation. stimulates adenylate cyclase, leading to conversion of ATP to cAMP and driving downstream cellular responses.

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