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Inhibitors, Screening Libraries, Proteins

RGS Protein

Regulators of G-protein Signaling; Regulator of G-protein Signaling

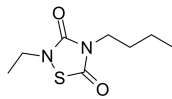
RGS (Regulators of G protein signaling) are protein structural domains that activate GTPases for heterotrimeric G-protein alpha-subunits. RGS proteins are multi-functional, GTPase-accelerating proteins that promote GTP hydrolysis by the alpha subunit of heterotrimeric G proteins, thereby inactivating the G protein and rapidly switching off G protein-coupled receptor signaling pathways. Upon activation by GPCRs, heterotrimeric G proteins exchange GDP for GTP, are released from the receptor, and dissociate into free, active GTP-bound alpha subunit and beta-gamma dimer, both of which activate downstream effectors. The response is terminated upon GTP hydrolysis by the alpha subunit, which can then bind the beta-gamma dimer and the receptor. RGS proteins markedly reduce the lifespan of GTP-bound alpha subunits by stabilising the G protein transition state. All RGS proteins contain an RGS-box (or RGS domain), which is required for activity. Some small RGS proteins such as RGS1 and RGS4 are little more than an RGS domain, while others also contain additional domains that confer further functionality.

RGS Protein Inhibitors

CCG 203769

Cat. No.: HY-U00431

CCG 203769 is a selective G protein signaling (RGS4) inhibitor, which blocks the RGS4-G α_o protein-protein interaction in vitro with an IC₅₀ of 17 nM.

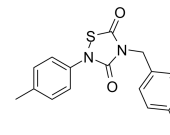


Purity: 99.62%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg

CCG-50014

Cat. No.: HY-13509

CCG-50014 is the most potent against the **regulator of G-protein signaling protein type 4 (RGS4)** (IC₅₀ = 30 nM) and is >20-fold selective for RGS4 over other RGS proteins.

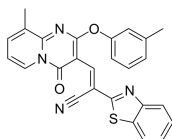


Purity: 99.33%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

CCG-63802

Cat. No.: HY-70074

CCG-63802 is a selective, reversible and allosteric RGS4 inhibitor. CCG-63802 specifically binds to RGS4 and blocks the RGS4-G α_o interaction, with an IC₅₀ value of 1.9 μ M.

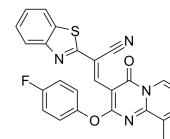


Purity: 99.26%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg, 100 mg

CCG-63808

Cat. No.: HY-70075

CCG-63808 is a reversible inhibitor of regulator of G-protein signaling (RGS) proteins.



Purity: \geq 97.0%
Clinical Data: No Development Reported
Size: 10 mg, 50 mg