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**Product Data Sheet**

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Product Name: CCG-63808

Cat. No.: GC14412

**Chemical Properties**

Cas. No. 620113-73-7

Chemical Name (E)-2-(1,3-benzothiazol-2-yl)-3-[2-(4-fluorophenoxy)-9-methyl-4-oxopyrido[1,2-a]pyrimidin-3-yl]prop-2-enenitrile

SMILES CC1=CC=CN2C1=NC(=C(C2=O)C=C(C#N)C3=NC4=CC=CC=C4S3)OC5=CC=C(C=C5)FFormula  $C_{25}H_{15}FN_4O_2S$  M.Wt 454.49

Solubility Soluble in DMSO Storage Store at -20°C

General For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the tips ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure **Background**

CCG-63808 is a selective inhibitor of RGS4 with IC<sub>50</sub> value of 1.4 μM [1].

RGS (regulators of G protein signaling) are multi-functional, GTPase-accelerating proteins and plays an important role in promoting GTP hydrolysis via heterotrimeric G proteins α subunit. RGS 4 is also known as RGP4 and plays a pivotal role in negatively regulating signaling upstream or at the level of the heterotrimeric G protein [2].

CCG-63808 is a potent RGS4 inhibitor and is different from the reported RGS4 irreversibly inhibitor CCG-4986. Using the [32P] GTP single-turnover GAP assay, it was shown that CCG-63808 treatment inhibited GAP activity of RGS4 and the inhibition could be reversed by washing [1].

**References:**

[1]. Blazer, L.L., et al., *Reversible, allosteric small-molecule inhibitors of regulator of G protein signaling proteins*. *Mol Pharmacol*, 2010. 78(3): p. 524-33.

[2]. Dobrivojevic, M., et al., *Interaction between bradykinin and natriuretic peptides via RGS protein activation in HEK-293 cells*. *Am J Physiol Cell Physiol*, 2012. 303(12): p. C1260-8.

**Caution: Product has not been fully validated for medical applications. For research use only.**

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