

Product Data Sheet

Product Name: CCG 50014

Cat. No.: GC16846

Chemical Properties

Cas. No. 883050-24-6

Chemical Name 4-[(4-fluorophenyl)methyl]-2-(4-methylphenyl)-1,2,4-thiadiazolidine-3,5-dione

SMILES CC1=CC=C(C=C1)N2C(=O)N(C(=O)S2)CC3=CC=C(C=C3)F

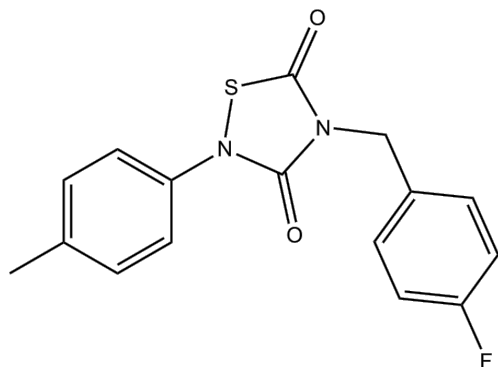
Formula $C_{16}H_{13}FN_2O_2S$ M.Wt 316.35

Solubility DMF: 10 mg/mL, DMF:PBS (pH 7.2) (1:4): 0.2 mg/mL, DMSO: 10 mg/mL, Ethanol: 1 mg/mL Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the 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-50014 is a potent and small molecule RGS inhibitor (IC_{50} = 30 nM for RGS4, more than 20 fold selectivity for RGS4 over other RGS proteins). It is a GTPase activating protein that binds to the $G\alpha$ subunits of activated heterotrimeric G-proteins and boost GTP hydrolysis rate. [1][2]

RGS (regulator of G protein signaling) inactivates G proteins by promoting GTPase hydrolysis ability

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

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of the alphas subunit, thus inhibits the G protein signaling transduction.

In living HEK293T cells, CCG-50014 inhibited Gαo-dependent membrane translocation of GFP-RGS4. HEK293T cell transfected with GFP-RGS4 and Gαo with vehicle (0.1% DMSO) did not changed the membrane localization of RGS4, CCG-50014 (100 μM) reversed the membrane localization of RGS. [1]

References:

- [1] Blazer LL, Zhang H, Casey EM et al. A nanomolar-potency small molecule inhibitor of regulator of G-protein signaling proteins. *Biochemistry*. 2011 Apr 19;50(15):3181-92.
- [2] Vashisth H, Storaska AJ, Neubig RR et al. Conformational dynamics of a regulator of G-protein signaling protein reveals a mechanism of allosteric inhibition by a small molecule. *ACS Chem Biol*. 2013 Dec 20;8(12):2778-84.

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