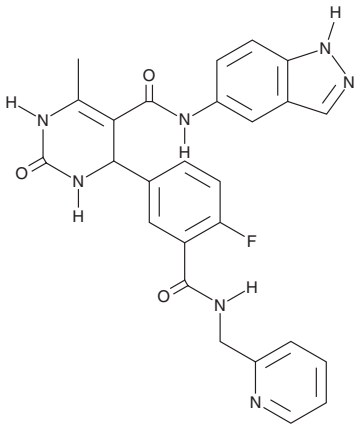
# PRODUCT INFORMATION

## CCG-215022

*Item No. 31120*



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| **CAS Registry No.:** | 1813527-81-9 |
| **Formal Name:** | 4-[4-fluoro-3-[[(2-pyridinylmethyl) amino]carbonyl]phenyl]-1,2,3,4-tetrahydro-N-1H-indazol-5-yl-6-methyl-2-oxo-5-pyrimidinecarboxamide |
| **MF:** | $C_{26}H_{22}FN_7O_3$ |
| **FW:** | 499.5 |
| **Purity:** | ≥98% |
| **Supplied as:** | A crystalline solid |
| **Storage:** | -20°C |
| **Stability:** | ≥4 years |

*Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.*

### Laboratory Procedures

CCG-215022 is supplied as a crystalline solid. A stock solution may be made by dissolving the CCG-215022 in the solvent of choice, which should be purged with an inert gas. CCG-215022 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of CCG-215022 in these solvents is approximately 1 mg/ml.

CCG-215022 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CCG-215022 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. CCG-215022 has a solubility of approximately 0.16 mg/ml in a 1:5 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

### Description

CCG-215022 is a G protein-coupled receptor kinase (GRK) inhibitor ($IC_{50}$s = 3.9, 0.15, and 0.38 µM for GRK1, GRK2, and GRK5, respectively).[1] It is selective for GRKs over protein kinase A (PKA; $IC_{50}$ = 120 µM). CCG-215022 (500 nM) increases contractility in isoproterenol-stimulated isolated mouse cardiomyocytes. It prevents the desensitization of histamine $H_1$ receptor- and purinergic $P2Y_2$ receptor-driven phospholipase C signaling in human ULTR myometrial cells and isolated rat mesenteric smooth muscle cells (MSMCs), respectively ($IC_{50}$s = 3.09 and 2.95 µM, respectively).[2]

### References


1. RHoman, K.T., Waldschmidt, H.V., Glukhova, A., *et al*. Crystal structure of G protein-coupled receptor kinase 5 in complex with a rationally designed inhibitor. *J. Biol. Chem.* **290(34)**, 20649-20659 (2015).
2. Rainbow, R.D., Brennan, S., Jackson, R., *et al*. Small-molecule G protein-coupled receptor kinase inhibitors attenuate G protein-coupled receptor kinase 2-mediated desensitization of vasoconstrictor-induced arterial contractions. *Mol. Pharmacol.* **94(3)**, 1079-1091 (2018).



**WARNING**
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

**SAFETY DATA**
This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent *via* email to your institution.

**WARRANTY AND LIMITATION OF REMEDY**
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