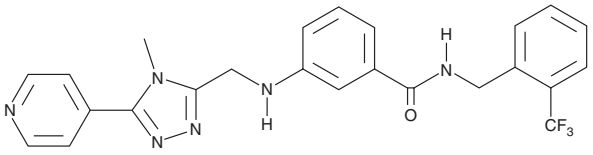
PRODUCT INFORMATION

CMPD101

Item No. 26808

CAS Registry No.:	865608-11-3
Formal Name:	3-[[[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]methyl]amino]-N-[[2-(trifluoromethyl)phenyl]methyl]-benzamide
MF:	$C_{24}H_{21}F_3N_6O$
FW:	466.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 224, 247, 320 nm
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

CMPD101 is supplied as a crystalline solid. A stock solution may be made by dissolving the CMPD101 in the solvent of choice, which should be purged with an inert gas. CMPD101 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of CMPD101 in ethanol is approximately 10 mg/ml and approximately 20 mg/ml in DMSO and DMF.

Description

CMPD101 is an inhibitor of G protein-coupled receptor kinase 2 (GRK2) and GRK3 (IC_{50}s = 18 and 5.4 nM, respectively).[1] It is selective for GRK2 and GRK3 over GRK1, GRK5, GRK6, and GRK7 (IC_{50}s = 3,100, 2,300, >30,000, and 25,000 nM, respectively), as well as Rho-associated kinase 2 (ROCK2) and PKCα (IC_{50}s = 1,400 and 8,100 nM, respectively). CMPD101 induces cAMP accumulation in HEK293 cells expressing human β_2-adrenergic receptors (EC_{50} = 10 µM). In isolated human prostate strips, CMPD101 (50 µM) inhibits contractions induced by electrical field stimulation, norepinephrine, phenylephrine, endothelin-1 (Item No. 24127), and U-46619 (Item No. 16450).[2]

References

1. Okawa, T., Aramaki, Y., Yamamoto, M., *et al.* Design, synthesis, and evaluation of the highly selective and potent G-protein-coupled receptor kinase 2 (GRK2) inhibitor for the potential treatment of heart failure. *J. Med. Chem.* **60(16)**, 6942-6990 (2017).
2. Yu, Q., Gratzke, C., Wang, Y., *et al.* Inhibition of prostatic smooth muscle contraction by the inhibitor of G protein-coupled receptor kinase 2/3, CMPD101. *Eur. J. Pharmacol.* **831**, 9-19 (2018).

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