## Product overview

<table>
<thead>
<tr>
<th>Name</th>
<th>Cmpd101</th>
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</thead>
<tbody>
<tr>
<td>Cat No</td>
<td>HB2840</td>
</tr>
<tr>
<td>Short description</td>
<td>Novel, potent and selective GRK2/GRK3 inhibitor</td>
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<tr>
<td>Biological description</td>
<td>Cmpd101 (Compound 101) is a novel, potent and selective G-protein coupled receptor kinase 2 and 3 (GRK2/GRK3) inhibitor (IC\textsubscript{50} values are 35 and 32 nM at GRK2 and GRK3 respectively). Shows no activity at GRK5 at concentrations up to 125 µM and shows little activity at a broad range of other kinases. Membrane permeable. Cmpd101 can be used to study roles of GRK2/3 in GPCR desensitization and other functions. Shown to potentiate phosphatidylinositol 4,5-bisphosphate (PIP2) depletion and slow agonist-induced desensitization of protease-activated receptor 2 (PAR2).</td>
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<tr>
<td>Alternative names</td>
<td>Compound 101; Takeda compound 101</td>
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<td>Biological action</td>
<td>Inhibitor</td>
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<tr>
<td>Purity</td>
<td>&gt;98%</td>
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<tr>
<td>Customer comments</td>
<td>We would recommend Cmpd 101 from Hello Bio – it performs exactly as expected in assays looking at MOPr desensitisation, phosphorylation and internalisation. Dr Chris Bailey, University of Bath, UK and author on Mol Pharmacol paper, PubMed ID 26013542. Your Cmpd101 – worked great! Dr Steven Gee, Pfizer Neuroscience, USA. Your Cmpd101 behaved as expected. Verified customer, Monash University.</td>
</tr>
</tbody>
</table>

## Images
**Properties**

**Chemical name**
3-[(4-methyl-5-pyridin-4-yl-1,2,4-triazol-3-yl)methylamino]-N-[[2-(trifluoromethyl)phenyl]methyl]benzamide hydrochloride

**Molecular Weight**
502.92

**Chemical structure**

![Chemical structure image]

**Molecular Formula**
C_{24}H_{21}F_{3}N_{6}O_{3}

**CAS Number**
865608-11-3

**PubChem identifier**
11677079

**SMILES**
CN1C(=NN=C1C2=CC=NC=C2)CNC3=CC=CC(=C3)C(=O)NCC4=CC=CC=C4C(F)(F)F

**Source**
Synthetic

**InChI**
InChI=1S/C24H21F3N6O/c1-33-31-32-22(33)16-9-11-8-12-10-16)15-29-19-7-4-6-17(13-19)23(34)30-14-18-5-2-3-8-20/h2-13,29H,14-15H2,1H3,(H,30,34)/p-1

**InChIKey**
WFOVEDJTASPCIR-UHFFFAOYSA-N

**Appearance**
Yellow solid

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**Inhibition of MOPr desensitization by Cmpd101 in rat LC neurons**

Fig A shows outward potassium currents recorded from rat LC neurons in response to a receptor-saturating concentrations of methionine enkephalin (Met Enk, 30 µM).

Fig B shows currents induced by Met Enk in slices exposed to Cmpd101 (30 µM) for at least 15 min before and during the application of the opioid agonist.

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**Inhibition of DAMGO-induced MOPr phosphorylation by Cmpd101**

HEK 293 cells stably expressing HA-tagged rat MOPr were pre-treated with Cmpd101 for 30 min prior to stimulation with DAMGO (10 µM for 5 min). Agonist-induced phosphorylation was assessed by Western blot analysis using an antibody targeting phospho-Ser375 (pS375). Anti-HA and anti-tubulin antibodies confirmed equal loading of the gels.

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**Inhibition of DAMGO-induced MOPr internalization by Cmpd101**

Confocal images of HA-MOPrs following incu- bation with anti-HA antibody and fluorescently tagged secondary antibody (green), counterstained with Hoechst 33342 nuclear acid stain (blue) following incubation with DAMGO (10 µM) and/or Cmpd101 (30 µM). Images are from one experiment repeated 3 times. Scale bar = 10 µM.

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**Your Cmpd101 worked great! 5 stars!**

Dr Steven Gee, Pfizer Neuroscience, USA
Storing and Using Your Product

Storage instructions
-20°C

Solubility overview
Soluble in DMSO (100mM)

Handling
Hydroscopic solid, contact with air may cause material to become sticky. Product performance should not be affected but we recommend storing the material in a sealed jar.

Important
This product is for RESEARCH USE ONLY and is not intended for therapeutic or diagnostic use. Not for human or veterinary use.

References for Cmpd101

Molecular mechanism of selectivity among G protein-coupled receptor kinase 2 inhibitors.
PubMedID: 21596927

Role of G Protein-Coupled Receptor Kinases 2 and 3 in μ-Opioid Receptor Desensitization and Internalization.
PubMedID: 26013542

Contributions of protein kinases and β-arrestin to termination of protease-activated receptor 2 signaling.
Jung et al (2016) J Gen Physiol 147(3) : 255-71
PubMedID: 26927499

Distinct cortical and striatal actions of a β-arrestin-biased dopamine D2 receptor ligand reveal unique antipsychotic-like properties.
Urs et al (2016) Proc Natl Acad Sci U S A 113(50) : E8178-E8186
PubMedID: 27911814