

Product Data Sheet

Product Name: ML-297
Cat. No.: GC15117

Chemical Properties

Cas. No. 1443246-62-5

Chemical Name N-(3,4-difluorophenyl)-N'-(3-methyl-1-phenyl-1H-pyrazol-5-yl)-urea

SMILES O=C(NC1=CC(F)=C(F)C=C1)NC2=CC(C)=NN2C3=CC=CC=C3

Formula $C_{17}H_{14}F_2N_4O$ M.Wt 328.3

Solubility $\leq 20\text{mg/ml}$ in ethanol; 30mg/ml in DMSO; 30mg/ml in dimethyl formamide
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.

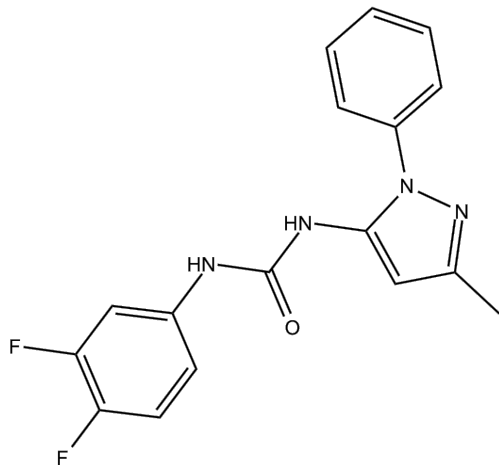
Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

Structure



Background

EC₅₀: 0.16 and 1.8 μ M for GIRK1/2 and GIRK1/4, respectively.

ML-297 is a selective Kir3.1/3.2 (GIRK1/2) channel activator.

G protein-regulated inwardly rectifying potassium (GIRK1-4) channels are a family of Kir3.1-Kir3.4 ion channels modulating cell excitability. The four different GIRK subunits are composed in different combinations expressed with regional specificity throughout the central nervous system and in the periphery.

In vitro: In thallium flux assays, ML297 showed concentration-dependent efficacy when tested on cells expressing GIRK1/2. ML297 could also activate GIRK channels comprised of GIRK1/3 and GIRK1/4 subunit combinations. However, ML297 displayed a complete inability to modulate the activity of HEK-293 cells expressing GIRK2 alone. A similar complete lack of efficacy was seen when ML297 was tested on HEK-293 cells expressing GIRK2/3. Therefore, it appeared that ML297 is only capable of activating GIRK channels containing a GIRK1 subunit [1].

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

In vivo: Previous study performed an ascending dose study in mice and it was found that the animals appeared normal and not under obvious distress at all doses tested. ML297's effects on locomotor activity as well as effects on motor function and coordination were further evaluated. Results showed that ML297 at 60 mg/kg could immediate decrease in locomotor activity compared to the control group [1].

Clinical trial: So far, no clinical study has been conducted.

Reference:

[1] Kaufmann, K. ,Romaine, I.M.,Days, E., et al. ML297 (VU0456810), the first potent and selective activator of the GIRK potassium channel, displays antiepileptic properties in mice. ACS Chem.Neurosci. 4(9), 1278-1286 (2013).

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA