

Product Data Sheet

Product Name: TM-N1324

Cat. No.: GC31074

Chemical Properties

Cas. No. 1144477-35-9

SMILES O=C1CC(C2=CC=C(F)C=C2Cl)C3=C(N(C4=C5N=CNC5=NC=N4)N=C3C)N1

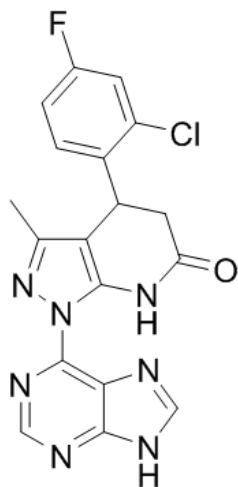
Formula $C_{18}H_{13}ClFN_7O$ M.Wt 397.79

Solubility DMSO : 6 mg/mL (15.08 mM) 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



Protocol

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

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Cell experiment: Caco-2 cells are used as an in vitro model of the human intestinal epithelium and permit assessment of the intestinal permeability of TM-N1324. TM-N1324 is added to either the apical or basolateral side of a confluent monolayer of Caco-2 cells and permeability is measured by monitoring the appearance of the TM-N1324 on the opposite side of the membrane using LC-MS/MS. TM-N1324 (3 μ M) is incubated with pooled liver microsomes and incubated at 5 time points over the course of a 45 min experiment finally TM-N1324 is analyzed by LC-MS/MS. The intrinsic clearance (CL_{int}) and t_{1/2} values for TM-N1324 in human and mouse microsomes are reported[1].

References:

[1]. Frimurer TM, et al. Model-Based Discovery of Synthetic Agonists for the Zn²⁺-Sensing G-Protein-Coupled Receptor 39 (GPR39) Reveals Novel Biological Functions. J Med Chem. 2017 Feb 9;60(3):886-898.

Background

TM-N1324 is an agonist of G-Protein-Coupled Receptor 39 (GPR39) with EC₅₀s of 9 nM/5 nM in the presence of Zn²⁺, and 280 nM/180 nM in the absence of Zn²⁺ for human/murine GPR39.

TM-N1324 activates human GPR39 with high efficacy and potencies of 280 nM and 9 nM in the absence and presence of Zn²⁺, respectively. TM-N1324 has similar potencies on murine GPR39, 180 nM and 5 nM. TM-N1324 is also found to have promising in vitro ADME properties. TM-N1324 has reasonably good aqueous solubility (65 μ M at pH 7.0). Measurements of somatostatin confirms that the GPR39 agonist TM-N1324 increases somatostatin release by 53%[1].

[1]. Frimurer TM, et al. Model-Based Discovery of Synthetic Agonists for the Zn²⁺-Sensing G-Protein-Coupled Receptor 39 (GPR39) Reveals Novel Biological Functions. J Med Chem. 2017 Feb 9;60(3):886-898.

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