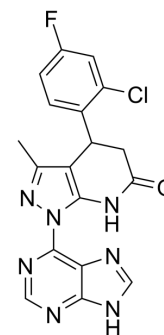


TM-N1324

Cat. No.:	HY-108699		
CAS No.:	1144477-35-9		
Molecular Formula:	C ₁₈ H ₁₃ ClFN ₇ O		
Molecular Weight:	397.79		
Target:	GHSR		
Pathway:	GPCR/G Protein		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro	DMSO : 5 mg/mL (12.57 mM; ultrasonic and warming and heat to 60°C)				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	Preparing Stock Solutions	1 mM	2.5139 mL	12.5694 mL	25.1389 mL
		5 mM	0.5028 mL	2.5139 mL	5.0278 mL
10 mM		0.2514 mL	1.2569 mL	2.5139 mL	
Please refer to the solubility information to select the appropriate solvent.					
In Vivo	<ol style="list-style-type: none"> Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: 1.22 mg/mL (3.07 mM); Suspended solution; Need ultrasonic Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 1.22 mg/mL (3.07 mM); Clear solution 				

BIOLOGICAL ACTIVITY

Description	TM-N1324 is an agonist of G-Protein-Coupled Receptor 39 (GPR39) with EC ₅₀ s of 9 nM/5 nM in the presence of Zn ²⁺ , and 280 nM/180 nM in the absence of Zn ²⁺ for human/murine GPR39.
IC₅₀ & Target	EC ₅₀ : 280 nM (human GPR39 without Zn ²⁺), 9 nM (human GPR39 with Zn ²⁺), 180 nM (murine GPR39 without Zn ²⁺), 5 nM (murine GPR39 with Zn ²⁺) ^[1]
In Vitro	TM-N1324 activates human GPR39 with high efficacy and potencies of 280 nM and 9 nM in the absence and presence of Zn ²⁺ , 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 μM at pH 7.0). Measurements of somatostatin confirms that the GPR39 agonist TM-N1324 increases somatostatin release by 53% ^[1] .

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay

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 μM) 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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.

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

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