# **Product** Data Sheet

### **CMF019**

Cat. No.: HY-103080

CAS No.: 1586787-08-7

Molecular Formula: C<sub>25</sub>H<sub>33</sub>N<sub>3</sub>O<sub>3</sub>S

Molecular Weight: 455.61

Target: Apelin Receptor (APJ)
Pathway: GPCR/G Protein

Storage: Powder -20°C 3 years

 $\begin{array}{ccc} & 4^{\circ}\text{C} & 2 \text{ years} \\ \text{In solvent} & -80^{\circ}\text{C} & 6 \text{ months} \\ & -20^{\circ}\text{C} & 1 \text{ month} \end{array}$ 

#### **SOLVENT & SOLUBILITY**

In Vitro

DMSO: 50 mg/mL (109.74 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.1949 mL	10.9743 mL	21.9486 mL
	5 mM	0.4390 mL	2.1949 mL	4.3897 mL
	10 mM	0.2195 mL	1.0974 mL	2.1949 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- 1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (5.49 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE- $\beta$ -CD in saline) Solubility: 2.5 mg/mL (5.49 mM); Suspended solution; Need ultrasonic
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (5.49 mM); Clear solution

## **BIOLOGICAL ACTIVITY**

Description

CMF019 is a potent and small molecule agonist at Apelin receptor (APJ) with G protein bias. CMF019 binds to APJ with pKi values of 8.58, 8.49 and 8.71 for human, rat, and mouse, respectively. CMF019 mimics the beneficial cardiovascular actions of apelin in rodents<sup>[1]</sup>. Apelin receptor (APJ) is a G protein-coupled receptor (GPCR) activated by the endogenous peptide

apelin<sup>[2]</sup>.

IC<sub>50</sub> & Target pKi: 8.58 (human Apelin receptor); 8.49 (rat Apelin receptor); 8.71 (mouse Apelin receptor)<sup>[1]</sup>.

# [1]. Trifonov L, et al. An Expedient Synthesis of CMF-019: (S)-5-Methyl-3-{1-(pentan-3-yl)-2- (thiophen-2-ylmethyl)-1H-benzo[d]imidazole-5-carboxamido}hexanoic Acid, a Potent Apelin Receptor (APJ) Agonist. Med Chem. 2018;14(7):688-694. [2]. Read C, et al. Cardiac action of the first G protein biased small molecule apelin agonist. Biochem Pharmacol. 2016 Sep 15;116:63-72.

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

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**REFERENCES** 

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