

Data Sheet

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 Product Name
 :
 CMF-019

 Cat. No.
 :
 PC-38139

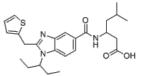
 CAS No.
 :
 1586787-08-7

 Molecular Formula
 :
 C₂₅H₃₃N₃O₃S

 Molecular Weight
 :
 455.617

Target : Apelin Receptor (APLNR)

Solubility : 10 mM in DMSO



Biological Activity

CMF-019 (CMF019) is the first G protein biased small molecule **Apelin receptor** (APJ, APLNR) agonist, potent benzimidazole-derived apelin peptidomimetic, binds to APLNR with pKi values of 8.58, 8.49 and 8.71 for human, rat, and mouse APLNR, respectively.

CMF-019 caused dose-dependent vasodilatation in vivo, rescues human pulmonary artery endothelial cells from apoptosis induced by tumor necrosis factor α and cycloheximide.

CMF-019 displays remarkable bias at the apelin receptor, recapitulates all aspects of the cardiovascular responses to the endogenous ligand, [Pyr1]apelin-13, in vivo.

CMF-019 is suitable as a tool compound and provides the basis for design of biased agonists with improved pharmacokinetics for treatment of cardiovascular conditions such as pulmonary arterial hypertension.

References

Lena Trifonov, et al. *Med Chem*. 2018;14(7):688-694.

U.S. Patent 2014,0094450 Al, April 3,2014

Read C, et al. *Biochem Pharmacol.* 2016 Sep 15;116:63-72.

Read C, et al. Front Pharmacol. 2021 Jan 21;11:588669.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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