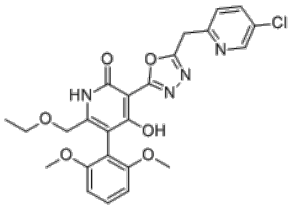
ProbeChem®
Expedite Your Scientific Research

Data Sheet

WWW.PROBECHEM.COM

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	BMS-986224
Cat. No.	:	PC-38138
CAS No.	:	2055200-88-7
Molecular Formula	:	$C_{24}H_{23}ClN_4O_6$
Molecular Weight	:	498.92
Target	:	Apelin Receptor (APLNR)
Solubility	:	10 mM in DMSO



Biological Activity

BMS-986224 (BMS986224) is a novel potent, selective, orally bioavailable agonist of **Apelin receptor** (APJ, APLNR) with Ki of 0.074 nM (human APJ).
BMS-986224 is highly selective for the APJ receptor and did not bind other GPCRs at 30 uM concentration.
BMS-986224 showed to be a fully competitive and high-affinity orthosteric ligand, displacing (Pyr1) apelin-13 from the human APJ receptor.
BMS-986224 inhibited forskolin-mediated cAMP production (EC50=0.02 nM), stimulate β-arrestin recruitment, increase ERK phosphorylation, and induce receptor internalization, and EC50 values for monkey, dog, rat, and mouse APJ were similar.
BMS-986224 induces a sustained increase in cardiac output in the cardiac disease setting and exhibits a differentiated profile from the renin-angiotensin system inhibitor enalapril.

References

Gargalovic P, et al. ***Circ Heart Fail.*** 2021 Mar;14(3):e007351.

Pi Z, et al. ***ACS Med Chem Lett.*** 2021 Oct 22;12(11):1766-1772.

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

E-mail: tech@probechem.com