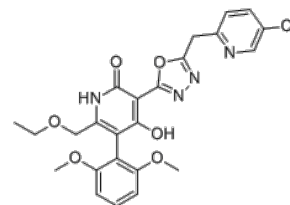


Product Name : BMS-986224
Cat. No. : PC-38138
CAS No. : 2055200-88-7
Molecular Formula : C₂₄H₂₃ClN₄O₆
Molecular Weight : 498.92
Target : Apelin Receptor (APLNR)
Solubility : 10 mM in DMSO



Biological Activity

BMS-986224 (BMS986224) is a novel potent, selective, orally bioavailable agonist of **Apelin receptor** (APJ, APLNR) with K_i of 0.074 nM (human APJ).

BMS-986224 is highly selective for the APJ receptor and did not bind other GPCRs at 30 μM 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!

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