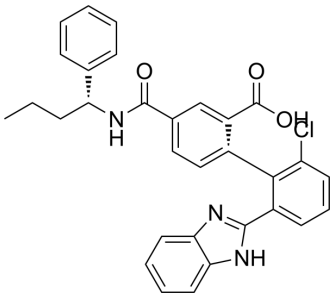
APJ receptor agonist 1

Cat. No.:	HY-133036
CAS No.:	2287153-38-0
Molecular Formula:	$C_{31}H_{26}ClN_3O_3$
Molecular Weight:	524.01
Target:	Apelin Receptor (APJ)
Pathway:	GPCR/G Protein
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	APJ receptor agonist 1, a biphenyl acid derivative, is a potent APJ receptor (APJ-R) agonist (EC_{50}s 0.093 and 0.12 nM for human and rat APJ-R, respectively). APJ receptor agonist 1 displays in vitro potency to apelin-13, the endogenous peptidic ligand for the APJ receptor. APJ receptor agonist 1 has the potential for the research of heart failure[1].
In Vitro	The S-atropisomer APJ receptor agonist 1 (compound 15a) is 200-fold more potent than the corresponding R-atropisomer.[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	APJ receptor agonist 1 (10-100 μg/min/kg; intravenous infusion) induces a 10% increase in CO with minimal impact on blood pressure (<5% transient blood pressure drop)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Isoflurane-anesthetized male Sprague Dawley rats[1]
Dosage:	10, 100, 1000 μg/kg/min
Administration:	IV; infused into the jugular vein for 15 min
Result:	Showed a dose-dependent improvement in the cardiac output in male Sprague Dawley rats with no significant changes in either mean arterial blood pressure or heart rate.

REFERENCES

[1]. Su S, Clarke A, et al. Biphenyl Acid Derivatives as APJ Receptor Agonists. J Med Chem. 2019;62(22):10456-10465.

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