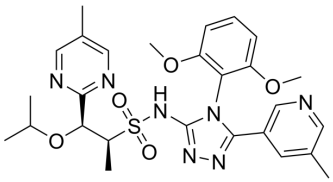
AM-8123

Cat. No.:	HY-139486
CAS No.:	2049973-02-4
Molecular Formula:	$C_{27}H_{33}N_7O_5S$
Molecular Weight:	567.66
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	AM-8123 is an orally active and potent APJ agonist. AM-8123 inhibits Forskolin-stimulated cAMP production and promotes G α protein activation. AM-8123 can be used for the research of cardiovascular disease[1].
IC_{50} & Target	APJ[1]
In Vitro	AM-8123 (100 nM) causes a rapid β-arrestin translocation from cytoplasm to plasma membrane in APJ-expressing cells. AM-8123 bound the native hAPJ receptor with low nanomolar affinity[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	AM-8123 (100 mg/kg; p.o.) results in sustained improvement in systolic function and decreases both EDV and ESV as measured by echocardiography but not by the invasive pressure-volume conductance catheter at study termination[1]. AM-8123 (0.035, 0.09, 0.9, and 9 mg/kg; i.v.) improves cardiovascular function[1]. AM-8123 exhibits appreciably greater oral bioavailability in rats and dogs relative to pyr-apelin-13. AM-8123 infusion results in an increase in EF, SV, and dP/dt max at submicromolar unbound plasma concentrations with minimal change in HR, indicating that acute infusion of AM-8123 is associated with an improvement in several markers of cardiac function. AM-8123 is a more potent mediator of both ERK and AKT phosphorylation relative to pyr-apelin-13[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Lewis rats (2~3 months old)[1]
Dosage:	100 mg/kg
Administration:	P.o.
Result:	Resulted in sustained improvement in systolic function. Decreased both EDV and ESV as measured by echocardiography but not by the invasive pressure-volume conductance catheter at study termination.

Animal Model:	Rats[1]
Dosage:	0.035, 0.09, 0.9, and 9 mg/kg

Administration:	I.v.
Result:	Improved cardiovascular function.

REFERENCES

[1]. Ason B, et al. Cardiovascular response to small-molecule APJ activation. JCI Insight. 2020;5(8):e132898. Published 2020 Apr 23. doi:10.1172/jci.insight.132898

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