Azelaprag

Cat. No.: HY-109111

CAS No.: 2049980-18-7

Molecular Formula: $C_{25}H_{29}N_7O_4S$

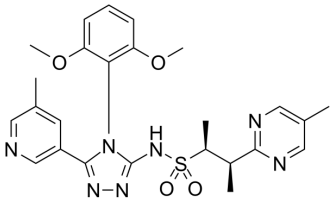
Molecular Weight: 523.61

Target: Apelin Receptor (APJ)

Pathway: GPCR/G Protein

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 5 mg/mL (9.55 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9098 mL	9.5491 mL	19.0982 mL
	5 mM	0.3820 mL	1.9098 mL	3.8196 mL
	10 mM	---	---	---

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

Azelaprag (Example 263.0) is an apelin receptor agonist agent candidate[1].

IC_{50} & Target

Apelin receptor[1]

In Vivo

Azelaprag (AMG 986) (1 mg/kg/min, acute i.v. infusion) increases cardiac reserve during Dobutamine (HY-15746A) challenge in a rat model of impaired metabolic function[2].

Azelaprag (AMG 986) (0.5 mg/kg for i.v., 2 mg/kg for p.o.) shows a $T_{1/2}$ of 2.4 h in rat and 4.2 h in dog by i.v., and oral bioavailability (F%) of 73% in rat and 97% in dog[2].

Pharmacokinetic parameters for Azelaprag(AMG 986) in rat and dog[2]

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Species	CL (L/h/kg)	VSS (L/kg)	$T_{1/2}$ (h)	Oral F(%)

Rat	0.78	0.6	2.4	73
Dog	0.08	0.19	4.2	97

Animal Model:	ZSF1 obese rats (19 weeks old), rat model of impaired metabolic function[2]
Dosage:	1 mg/kg/min
Administration:	Acute IV infusion
Result:	Increased ejection fraction but not mean arterial pressure in a rat model of impaired metabolic function.

REFERENCES

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

[2]. WO2016187308A1.

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