

WWW.PROBECHEM.COM

**Data Sheet** 

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	AMG 986
Cat. No.	:	PC-38136
CAS No.	:	2049980-18-7
Molecular Formula	:	C <sub>25</sub> H <sub>29</sub> N <sub>7</sub> O <sub>4</sub> S
Molecular Weight	:	523.612
Target	:	Apelin Receptor (APLNR)
Solubility	:	10 mM in DMSO

## **Biological Activity**

AMG 986 (Azelaprag, AMG986) is a small-molecule agonist of **Apelin receptor** (APJ, APLNR), inhibits forskolin-stimulated cAMP production (pEC50=9.64) and promoted G $\alpha$  protein activation (pEC50=9.54).

AMG 986 is a full APJ agonist that exhibits slight differences in potency relative to one another and may be more potent when compared with the endogenous ligand pyr-apelin-13.

AMG 986 exhibited a potentcy to recruit  $\beta$ -arrestin using the PathHunter arrestin assay with pC50 of 9.61. AMG 986 altered cardiac hemodynamics and increased cardiac reserve during dobutamine challenge in a rat model of impaired metabolic function and exhibited improved pharmacokinetic profile relative to pyr-apelin-13.

## References

Brandon Ason, et al. JCI Insight. 2020 Apr 23;5(8):e132898.

Caution: Product has not been fully validated for medical applications. Lab Use Only! E-mail: tech@probechem.com