# AMG8788

**Cat. No.:** HY-104061

**CAS No.:** 1159996-43-6

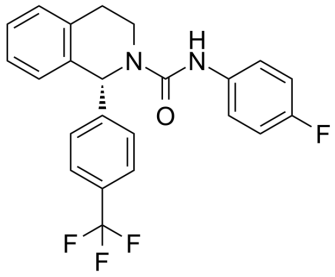
**Molecular Formula:** $C_{23}H_{18}F_4N_2O$

**Molecular Weight:** 414.4

**Target:** TRP Channel

**Pathway:** Membrane Transporter/Ion Channel; Neuronal Signaling

**Storage:** Please store the product under the recommended conditions in the Certificate of Analysis.



## BIOLOGICAL ACTIVITY

**Description**

AMG8788 is a potent, selective, orally active antagonist of TRPM8 with an $IC_{50}$ of 63.2 nM[1].

**$IC_{50}$ & Target**

| TRPM8 | TRPA1 |
|---|---|
| 63.2 nM ($IC_{50}$) | 1 μM ($IC_{50}$) |

**In Vitro**

AMG8788 potently inhibits the menthol and cold-induced increase in intracellular calcium in cells expressing rat TRPM8, the plasma half-life ($T_{1/2}$) in rats is 6.7 h[1].

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

**In Vivo**

AMG8788 (30 mg/kg; p.o.; once) elicits a transient decrease in body temperature ($T_b$) in rats[1].

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| | |
|---|---|
| Animal Model: | Male Sprague Dawley rats weighing 200–350 g (6–12 weeks of age) [1] |
| Dosage: | 30 mg/kg |
| Administration: | Oral administration, once |
| Result: | Produced a significant decrease of $T_b$ from 40 min to 70 min post dosing.<br>Effect of AMG8788 on $T_b$ in rats. P value is for comparing compound administered rat $T_b$ with vehicle administered rat $T_b$. End of the study plasma concentration is reported in μM.<br>Asterisk indicates one-way ANOVA followed by Dunnett's MCT |

| Compound | Dose mg/kg (route) | Max $T_b$ decrease (°C) | *P* value * | Time post dosing (min) | Plasma concentration |
|---|---|---|---|---|---|
| AMG8788 | 30 (p.o.) | 0.53 | p < 0.05 | 40 | 1.5 ± 0.6 |

## REFERENCES

[1]. Gavva NR, et al. Transient receptor potential melastatin 8 (TRPM8) channels are involved in body temperature regulation. Mol Pain. 2012 May 9;8:36.



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