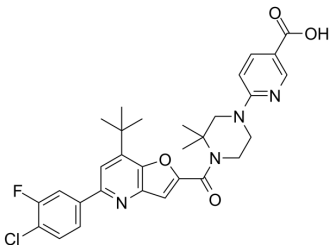
I-287

Cat. No.:	HY-148016
CAS No.:	2014368-93-3
Molecular Formula:	$C_{30}H_{30}ClFN_4O_4$
Molecular Weight:	565.04
Target:	Protease Activated Receptor (PAR); ERK
Pathway:	GPCR/G Protein; MAPK/ERK Pathway; Stem Cell/Wnt
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

I-287 is a orally active selective PAR2 inhibitor that acting as a negative allosteric regulator on $G\alpha_q$ and $G\alpha_{12/13}$ activity and their downstream effectors. I-287 reduces Complete Freund's adjuvant (HY-153808)-induced inflammation in mice and can be used for inflammation/immunology research[1].

IC_{50} & Target	ERK1	ERK2

In Vitro

I-287 (0-60 μM; 15-30 min) inhibits PAR2-mediated activation of $G\alpha_q$ and $G\alpha_{12/13}$ but not $G_{i/o}$ proteins while has no effect on PAR2-mediated recruitment of βarrestin2 and receptor internalization[1].
I-287 (0-60 μM; 15-30 min) inhibits PAR2-mediated ERK1/2 activation in HEK293 cells[1].
I-287 (10 μM; 30 min) inhibits PAR2-induced secretion of IL-8 cytokine in HCT-116 and A549 cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	HEK-293 cells
Concentration:	0-60 μM
Incubation Time:	15 min
Result:	Inhibited PAR2-mediated ERK1/2 activation in HEK293 cells.

In Vivo

I-287 (50 mg/kg for p.o.) reduces Complete Freund's adjuvant (HY-153808)-induced inflammation in C57BL/6J mice[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	CFA-induced paw inflammation in adult male C57BL/6J mice [1]
Dosage:	50 mg/kg
Administration:	Oral gavage (p.o.) following the CFA
Result:	Reduces CFA-induced inflammation in C57BL/6J mice

REFERENCES

[1]. Avet C, et.al. The PAR2 inhibitor I-287 selectively targets Gαq and Gα12/13 signaling and has anti-inflammatory effects. Commun Biol. 2020 Nov 27;3(1):719.

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