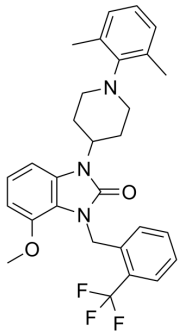
C5aR1 antagonist 2

Cat. No.:	HY-163379
CAS No.:	2365161-92-6
Molecular Formula:	$C_{29}H_{30}F_3N_3O_2$
Molecular Weight:	509.56
Target:	Complement System
Pathway:	Immunology/Inflammation
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

C5aR1 antagonist 2 (Compound 6a) is an orally active C5a receptor 1 (C5aR1) antagonist that shows efficacy in inhibiting the C5a-induced neutrophil count increase. C5aR1 antagonist 2 is potent in the DISCO and migration assays, with IC_{50} values of 21 and 3 nM, respectively. C5aR1 antagonist 2 can be used for the research of acute and chronic inflammatory diseases[1].

In Vivo

C5aR1 antagonist 2 (1-10 mg/kg, p.o., once time) fully inhibits CD11b upregulation in a rat model of C5a-induced neutrophilia in a dose-dependent manner[1].

C5aR1 antagonist 2 (1-10 mg/kg, p.o., once time) inhibits C5a-induced neutrophilia in Sprague−Dawley female hC5aR1 knock rats[1].

Pharmacokinetic Analysis in Wistar Rat Model[1]

Route	Dose (mg/kg)	$AUC_{0\text{-last}}$ (ng·h/mL)	C_{max} (ng/mL)	T_{max} (h)	CL(mL/(min*kg))	V_{ss_obs} (L/kg)	$t_{1/2}$ (h)
p.o.	10	35700	3610	2.5	39	7.1	3.7

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

REFERENCES

[1]. Hubler F, et al. Discovery and Characterization of a New Class of C5aR1 Antagonists Showing In Vivo Activity. Journal of Medicinal Chemistry. 2024.

Caution: Product has not been fully validated for medical applications. For research use only.

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