

C5aR1 antagonist 1

Chemical Properties

CAS No. :

Formula:

Molecular Weight:

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.

Biological Description

Description	C5aR1 antagonist 1 (compound 7e) is an orally active C5a receptor antagonist. It demonstrates efficacy in both DISCO and migration assays, with IC50 values of 38 nM and 17 nM, respectively. This compound is useful for research in both acute and chronic inflammatory diseases.
Targets(IC50)	Complement System
In vitro	C5aR1 antagonist 1 (compound 7e) acts as a competitive antagonist of C5aR, exhibiting a K D value of 15 nM. It is utilized at a concentration of 10 µM for 2 hours.
In vivo	C5aR1 antagonist 1 (compound 7e) exhibits pharmacokinetic properties in rats, dogs, and cynomolgus monkeys that are constrained by its low solubility, limiting exposure. When administered orally at doses of 1, 3, or 10 mg/kg, 2, 8, and 16 hours before sampling, it dose-dependently suppresses neutrophil increase in a rat model of neutrophilia. Additionally, oral administration of C5aR1 antagonist 1 at doses ranging from 0 to 30 mg/kg, 2 hours prior to sampling, reduces CD11b upregulation by approximately 90% in female hC5aR1 knock-in rats.

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