Complement C1s-IN-1

Cat. No.: HY-149278

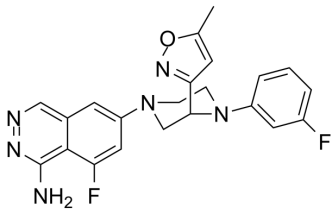
Molecular Formula: $C_{22}H_{20}F_2N_6O$

Molecular Weight: 422.43

Target: Complement System

Pathway: Immunology/Inflammation

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



BIOLOGICAL ACTIVITY

Description

Complement C1s-IN-1 is a potent, selective, orally active and cross the blood-brain barrier C1s inhibitor with an IC_{50} value of 36 nM[1].

IC_{50} & Target

IC_{50}: 36 nM (C1s)[1]

In Vivo

Complement C1s-IN-1 (compound (R)-8) (10, 30, 100 mg/kg; p.o.) inhibits MAC formation induced by human serum and shows plasma exposure after oral administration in a dose-dependent manner[1].

Pharmacokinetic Parameters of Complement C1s-IN-1 in Male C57BL/6J mice[1].

Dosage (mg/kg)	C_{max} (ng/mL)	T_{max} (h)	$AUC_{(0-24h)}$ (h* ng/mL)	MRT (h)
10	1032.5±173.0	1.67±0.58	6017.8±390.4	4.31±0.23
30	2583.3±267.1	2.67±1.15	21928.6±1428.2	5.02±0.18
100	8895.9±1516.8	4.00±0.00	13934.1±36760.1	7.66±0.99

Male C57BL/6J mice, 10, 30, 100 mg/kg; p.o.[1].

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

Animal Model:	Male C57BL/6J mice[1]
Dosage:	10, 30, 100 mg/kg
Administration:	P.o.
Result:	Significantly inhibited MAC formation induced by human serum in a dose-dependent manner.

REFERENCES

[1]. Ikeda Z, et al. Discovery of a Novel Series of Potent, Selective, Orally Available, and Brain-Penetrable C1s Inhibitors for Modulation of the Complement Pathway. J Med Chem. 2023 Apr 25.

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

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