Neuraminidase-IN-11

Cat. No.: HY-151104

CAS No.: 2685786-28-9

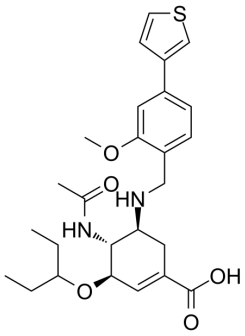
Molecular Formula: $C_{26}H_{34}N_2O_5S$

Molecular Weight: 486.62

Target: Influenza Virus

Pathway: Anti-infection

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



BIOLOGICAL ACTIVITY

Description

Neuraminidase-IN-11 (15e) is a potent and selective neuraminidase (NA) inhibitor with the IC_{50} values of 4.7 nM, 8.46 nM and 1.5 nM against H1N1, H5N1 and H5N8 NAs respectively[1].

In Vitro

Neuraminidase-IN-11 (15e) (0-6 μM, 40 min)has anti-NA (Neuraminidase) activity against H1N1-H274Y mutant and H5N1-H274Y mutant with the IC_{50} values of 1.07 μM and 0.61 μM, respectively[1].

Neuraminidase-IN-11 (15e) (0-20 μM, 48 h) inhibits chicken embryo fibroblasts (CEFs) infected H5N1 or H5N8 with the IC_{50} values of 4.4 μM and 0.57 μM respectively, and inhibits Madin−Darby canine kidney (MDCK) cells infected H1N1 or H3N2 with the IC_{50} values of 0.05 μM and 12.65 μM respectively[1].

Neuraminidase-IN-11 (15e) has no significant inhibitory effect on the major CYP enzymes which acts on CYP1A2, CYP2C9 and CYP2C19 with the IC_{50} values of 28.9 μM, 47.3 μM and 47.8 μM, respectively[1].

The metabolic stability parameters of Neuraminidase-IN-11 (15e) in human liver microsomes (HLM)[1].

Parameters	Neuraminidase-IN-11 (15e)
$t_{1/2}$ (min)	>145
$CL_{int(mic)}$ (μL/min/kg)	<9.6
$CL_{int(liver)}$ (mL/min/kg)	<8.6
remaining (T = 60 min) (%)	79.3

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

In Vivo

Neuraminidase-IN-11 (15e) (oral administration, 50 mg/kg, every second day, 14 days) shows no significant change in body weight and no other toxic side effects in kunming mice[1].

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

Animal Model:	Male Sprague−Dawley rat[1]
Dosage:	

Administration:	2 mg/kg, i.v.; 20 mg/kg, p.o.
Result:	The pharmacokinetic parameters of Neuraminidase-IN-11 (15e)

Parameters	15e (i.v.)	15e (p.o.)
$t_{1/2}$ (h)	0.213	0.863
T_{max} (h)	0.083	0.5
C_{max} (ng/mL)	7195	491
Vd_{ss} (mL/kg)	179	-
CL	17.2	-
F (%)	-	1.58

REFERENCES

[1]. Han Ju, et al. Iterative Optimization and Structure-Activity Relationship Studies of Oseltamivir Amino Derivatives as Potent and Selective Neuraminidase Inhibitors via Targeting 150-Cavity. J Med Chem. 2022 Aug 8.

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