Nafamostat

Cat. No.: HY-B0190

CAS No.: 81525-10-2

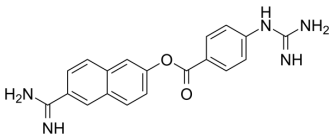
Molecular Formula: $C_{19}H_{17}N_5O_2$

Molecular Weight: 347.37

Target: Flavivirus; TNF Receptor; NF-κB; Apoptosis; Ser/Thr Protease

Pathway: Anti-infection; Apoptosis; NF-κB; Metabolic Enzyme/Protease

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



BIOLOGICAL ACTIVITY

Description

Nafamostat, an anticoagulant, is a synthetic serine protease inhibitor. Nafamostat has anticancer and antivirus effect. Nafamostat induce apoptosis by up-regulating the expression of tumor necrosis factor receptor-1 (TNFR1). Nafamostat can be used in the development of the pathological thickening of the arterial wall[1][2][3][4].

IC_{50} & Target

I-kappaBalpha

In Vitro

Nafamostat (10-80 μg/mL, 3-48 h) inhibits NF-κB activity by blocking IκBα phosphorylation in MDAPanc-28 cells[1].
Nafamostat (80 μg/mL, 24-48 h) induces apoptosis by up-regulating the expression of tumor necrosis factor receptor-1 (TNFR1) in MDAPanc-28 cells[1].
Nafamostat (0.1-10 μM, 24 h) has suppressive effect on invasiveness in Panc-1 cells[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	MDAPanc-28 cells
Concentration:	80 μg/mL
Incubation Time:	24 h, 48 h
Result:	Substantially reduced the cell viability of MDAPanc-28 cells at both 24 hours and 48 hours.

Cell Invasion Assay[2]

Cell Line:	Panc-1 cells
Concentration:	0.1 μM, 1 μM, 10 μM
Incubation Time:	24 h
Result:	Observed significant inhibition in Panc-1-Try clones at concentrations as low as 0.1 mM.

Western Blot Analysis[1]

Cell Line:	MDAPanc-28 cells

Concentration:	10 μg/mL, 20 μg/mL ,40 μg/mL, 80 μg/mL
Incubation Time:	3 h, 8 h, 24 h, 48 h
Result:	Inhibited NF-κB DNA-binding activity and the degradation of IκBα in a dose-dependent manner as well as in a time-dependent manner. Inhibited phosphorylation of IκBα in a time-dependent manner.

In Vivo

Nafamostat (10 mg/kg, Intraperitoneal injection, once a day for 18 days) exhibits favourable antiviral effects against Zika virus (ZIKV) infection in A129 mice[3].

Nafamostat (0.5-2.0 mg/mL (dissolved in saline), Intraperitoneal injection, once a day for 7 consecutive days) has inhibitory effect on neointimal formation after balloon injury of the rat carotid wall[4].

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

Animal Model:	A129 mice[3]
Dosage:	10 mg/kg
Administration:	Intraperitoneal injection (i.p.)
Result:	Exhibit delayed lethality and improved survival (40%).

Animal Model:	Balloon injury of the rat carotid wall[4]
Dosage:	0.5 mg/mL, 1 mg/mL, 2 mg/mL (dissolved in saline)
Administration:	Intraperitoneal injection (i.p.)
Result:	Showed smaller ratios of the neointima/medial area. Showed positive but reduced immunoreactivity of the cells in the neointimal.

CUSTOMER VALIDATION

- Cell Res. 2020 Mar;30(3):269-271.
- Nucleic Acids Res. 2021 Jan 8;49(D1):D1113-D1121.
- Nat Chem Biol. 2022 Jun 8.
- Antiviral Res. 2023 Apr 17;105606.
- Cells. 2022, 11(3), 319.

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REFERENCES

[1]. Uwagawa T, et al. Mechanisms of synthetic serine protease inhibitor (FUT-175)-mediated cell death [J]. Cancer: Interdisciplinary International Journal of the American Cancer Society, 2007, 109(10): 2142-2153.

[2]. Tajima H, et al. Enhanced invasiveness of pancreatic adenocarcinoma cells stably transfected with cationic trypsinogen cDNA [J]. International journal of cancer, 2001, 94(5): 699-704.

[3]. Yan Y, et al. Nafamostat mesylate as a broad-spectrum candidate for the treatment of flavivirus infections by targeting envelope proteins [J]. Antiviral research, 2022,

202: 105325.

[4]. Sawada M, et al. Prevention of neointimal formation by a serine protease inhibitor, FUT-175, after carotid balloon injury in rats [J]. Stroke, 1999, 30(3): 644-650.

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