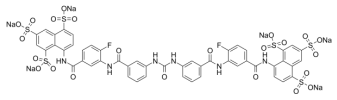
NF157

Cat. No.:	HY-108672
CAS No.:	104869-26-3
Molecular Formula:	$C_{49}H_{28}F_2N_6Na_6O_{23}S_6$
Molecular Weight:	1437.1
Target:	P2Y Receptor
Pathway:	GPCR/G Protein
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro	H_2O : 1 mg/mL (0.70 mM; ultrasonic and warming and heat to 60°C)

BIOLOGICAL ACTIVITY

Description	NF157 is a highly selective nanomolar P2Y11 antagonist with a pK_i of 7.35. The IC_{50}s are 463 nM, 1811 μM, 170 μM for P2Y11 (K_i=44.3 nM), P2Y1 (K_i=187 μM), P2Y2 (K_i=28.9 μM), respectively[1]. NF157, significantly reduces expression of metalloproteinase (MMP)-3, MMP-13, can be used in the treatment of osteoarthritis (OA)[2].
IC_{50} & Target	pKi: 7.35 (P2Y11)[1] IC50: 463 nM (P2Y11), 1811 μM (P2Y1), 170 μM (P2Y2)[1] Ki: 44.3 nM (P2Y11), 187 μM (P2Y1), 28.9 μM (P2Y2)[1]
In Vitro	NF157 displays selectivity for P2Y11 over P2Y1 (>650-fold), P2Y2 (>650-fold), P2X2 (3-fold), P2X3 (8-fold), P2X4 (>22-fold), and P2X7 (>67-fold) but no selectivity over P2X1[1]. NF157 (30 and 60 μM; 24 hours) causes a significant reduction in degradation of type II collagen in a dose-dependent manner. 60 μM NF157 nearly completely rescues type II collagen from degradation induced by TNF-α (10 ng/mL)[2]. NF157 (30 and 60 μM; 24 hours) almost fully restores nuclear translocation of p65 triggered by TNF-α (10 ng/mL) and significantly reduces the luciferase activity of NF-κB[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[2]

Cell Line:	SW1353 cells
Concentration:	30 and 60 μM
Incubation Time:	24 hours
Result:	Ameliorated TNF-α-induced degradation of type II collagen.

Western Blot Analysis[2]

Cell Line:	SW1353 cells

Concentration:	30 and 60 μM
Incubation Time:	24 hours
Result:	Reduced TNF-α-induced activation of NF-κB.

REFERENCES

[1]. Ullmann H, et al. Synthesis and structure-activity relationships of suramin-derived P2Y11 receptor antagonists with nanomolar potency. J Med Chem. 2005 Nov 3;48(22):7040-8.

[2]. Wang D, et al. Inhibition of P2Y11R ameliorated TNF-α-induced degradation of extracellular matrix in human chondrocytic SW1353 cells. Am J Transl Res. 2019 Apr 15;11(4):2108-2116.

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