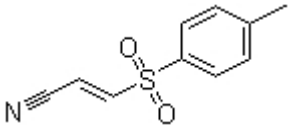
Product Introduction

BAY 11-7082

BAY 11-7082 is a **NF-κB** inhibitor, inhibits TNFα-induced **IκBα** phosphorylation with **IC50** of 10 μM.

Technical Data:

Molecular Weight (MW):	207.25	
Formula:	$C_{10}H_9NO_2S$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 41 mg/mL	
	Water <1 mg/mL	
	Ethanol 10 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	19542-67-7	

Biological Activity

BAY 11-7082 completely and specifically abrogates NF-κB DNA binding, downregulating the NF-κB-inducible cytokine IL-6 and inducing apoptosis. [1] BAY 11-7082 (< 8 μM) is able to effectively inhibit both basal and TNFα stimulated NFκB luciferase activity in a dose dependent manner. BAY 11-7082 (8 μM) strongly inhibits the rate of proliferation in NCI-H1703 cells. [2] Bay 11-7082 (5 μM) rapidly and efficiently reduces the DNA binding of NF-kappaB in HTLV-I-infected T-cell lines and down-regulates the expression of the antiapoptotic gene, Bcl-x(L), whereas it has little effect on the DNA binding of another transcription factor, AP-1. Bay 11-7082-induced apoptosis of primary ATL cells is more prominent than

that of normal peripheral blood mononuclear cells, and apoptosis of these cells is also associated with down-regulation of NF-kappaB activity. Bay 11-7082 (5 μM) selectively induces apoptosis of HTLV-I–infected T-cell lines associated with down-regulation of the expression of cyclin D1, cyclin D2, and Bcl-xL. [3] BAY 11-7082 (100 μM) prevents the nuclear translocation of p65 elicited by NMDA and the NMDA-induced increase of NF-κB binding in mouse hippocampal slices. BAY 11-7082 prevents NMDA toxicity occurring in CA1 region of hippocampal slices with 40% neuroprotection at 20 μM and 70% neuroprotection at 100 μM. [4] BAY 11-7082 at all concentrations tested significantly inhibits NF-κB p65 DNA-binding activity in adipose tissue, whereas in skeletal muscle, BAY 11-7082 at 50 μM and 100 μM significantly inhibits NF-κB p65 DNA-binding activity. BAY 11-7082 (100 μM) reduces IKK-β protein in human adipose tissue and skeletal muscle. BAY 11-7082 (100 μM) significantly decreases the release of TNF-α from adipose tissue, whereas the release of IL-6 and IL-8 is significantly inhibited at all concentrations of BAY 11-7082 tested. BAY 11-7082 (50 μM) significantly decreases the release of TNF-α, IL-6, and IL-8 in skeletal muscle. [5] BAY 11-7082 (3.5 mM) inhibits constitutive NF-kappaB activity in the LBR-, LBR-D160 and LBR-V160 cells. BAY 11-7082 induces a higher percentage of apoptosis in LBR-V160 and LBR-D160 cells than in LBR- cells. BAY 11-7082 (3.5 mM) is able to induce a slight decrease in IL-10 mRNA in the LBR-, LBR-D160 and LBR-V160 cells whereas a slight increase in IL-15, TGF-β1 and TNF-α expression is observed. [6]

References

[1] Melisi D, et al. Expert Opin Ther Targets, 2007, 11(2), 133-144.
[2] Gastonguay A, et al. Cancer Biol Ther, 2012, 13(8), 647-656.
[3] Miwatashi S, et al. J Med Chem, 2005, 48(19), 5966-5979.
[4] Mori N, et al. Blood, 2002, 100(5), 1828-1834.
[5] Goffi F, et al. Neurosci Lett, 2005, 377(3), 147-151.

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