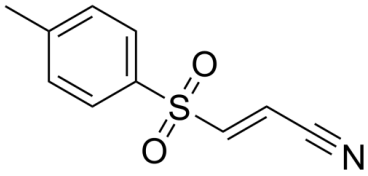
Data Sheet

Product Name:	BAY 11-7082
Cat. No.:	CS-5112
CAS No.:	19542-67-7
Molecular Formula:	$C_{10}H_9NO_2S$
Molecular Weight:	207.25
Target:	Apoptosis; Autophagy; Deubiquitinase; IKK; NF-κB
Pathway:	Apoptosis; Autophagy; Cell Cycle/DNA Damage; NF-κB
Solubility:	DMSO : ≥ 100 mg/mL



BIOLOGICAL ACTIVITY:

BAY 11-7082 is an **IκBα phosphorylation** and **NF-κB** inhibitor. BAY 11-7082 selectively and irreversibly inhibits the TNF-α-induced phosphorylation of IκB-α, and decreases NF-κB and expression of adhesion molecules. BAY 11-7082 inhibits ubiquitin-specific protease **USP7** and **USP21** (**IC_{50}**=0.19, 0.96 μM, respectively). BAY 11-7082 inhibits **gasdermin D (GSDMD)** pore formation in liposomes and inflammasome-mediated pyroptosis and IL-1β secretion in human and mouse cells[1][2][3][4][5]. IC50 & Target: NF-κB[1][2]

IC50: 0.19 μM (USP7), 0.96 μM (USP21)[2] ***In Vitro:*** BAY 11-7082 (BAY 11-7821), an inhibitor of NF-κB, induces apoptosis of HTLV-I-infected T-cell lines but only negligible apoptosis of HTLV-I-negative T cells. Bay 11-7082 rapidly and efficiently reduces the DNA binding of NF-κB in HTLV-I-infected T-cell lines and down-regulated the expression of the antiapoptotic gene, Bcl-xL, regulated by NF-κB. Bay 11-7082 selectively inhibits Tax-induced NF-κB activity in a human T-cell line[1]. BAY 11-7082 inhibits NFκB signalling and is recently shown to inhibit the majority of E2 and E3 ligases tested by reacting covalently with the catalytic cysteine residues. Moreover, BAY 11-7082 also inhibits several tyrosine phosphatases by reacting with catalytic Cys residue of these enzymes. NSC 697923 is originally shown to inhibit the E2 ligase Ubc13-Uev1A[2]. BAY 11-7082 inhibits the phosphorylation of IκBα and activation of NF-κB, induces the death of HBL-1 cells. BAY 11-7082 completely suppresses the LPS-stimulated and IL-1-stimulated phosphorylation of the activation loop of IKKβ[3]. BAY 11-7082 acts by inhibiting TNF-α-induced phosphorylation of IκB-α, resulting in decreased NF-κB and decreases expression of adhesion molecules[4]. ***In Vivo:*** BAY 11-7082 (2.5 mg/kg and 5 mg/kg; intratumoral injection; twice-weekly for 21 days) significantly suppresses tumor growth in a dose-dependent manner[6].

PROTOCOL (Extracted from published papers and Only for reference)

Kinase Assay: [3]UBE1 (0.17 μM) in 22.5 μL of 20 mM Hepes, pH 7.5, containing 10 μM ubiquitin is incubated for 45 min at 21°C with 1 μL of DMSO or 1 μL of BAY 11-7082 in DMSO. A 2.5 μL solution of 10 mM magnesium acetate and 0.2 mM ATP is added, incubated for 10 min at 30°C, and the reactions are terminated by the addition of 2.5 μL of 10% (w/v) SDS and heating for 6 min at 75°C. The samples are subjected to SDS/PAGE in the absence of any thiol. The gels are stained for 1 h with Coomassie Instant Blue and destained by washing with water. The loading of ubiquitin to E2 conjugating enzymes is carried out in an identical manner, except that UBE1 (0.17 μM) is mixed with Ubc13 (2.4 μM) or UbcH7 (2.9 μM) prior to incubation with BAY 11-7082[3].

Cell Assay: BAY 11-7082 is dissolved in DMSO and stored, and then diluted with appropriate medium before use[1].[1]The effect of Bay 11-7082 on cell growth is assayed by the WST-1 method. 2×10^4 (cell lines) or 2×10^5 (**PBMCs**) **cells** are incubated in a 96-well microculture plate under the above conditions in the absence or presence of various concentrations of **Bay 11-7082 (1, 2, 3, 4, and 5 μM)**. After 48 hours of culture, 10 μL WST-1 solution is added and the cells are further incubated for another 2 hours. The number of surviving cells is measured with a microplate reader at a reference wavelength of 655 nm and test wavelength of 450 nm. Cell

viability is determined as percentage of the control (ie, absence of Bay 11-7082)[1].

References:

[1]. Mori N, et al. Bay 11-7082 inhibits transcription factor NF-kappaB and induces apoptosis of HTLV-I-infected T-cell lines andprimary adult T-cell leukemia cells. Blood. 2002 Sep 1;100(5):1828-1834.

[2]. Ritorto MS, et al. Screening of DUB activity and specificity by MALDI-TOF mass spectrometry. Nat Commun. 2014 Aug 27;5:4763.

[3]. Strickson S, et al. The anti-inflammatory drug BAY 11-7082 suppresses the MyD88-dependent signalling network by targeting the ubiquitin system. Biochem J. 2013 May 1;451(3):427-437.

[4]. Pierce JW, et al. Novel inhibitors of cytokine-induced IkappaBalpha phosphorylation and endothelial cell adhesion molecule expression show anti-inflammatory effects in vivo. J Biol Chem. 1997 Aug 22;272(34):21096-103.

[5]. Jun Jacob Hu, et al. Identification of pyroptosis inhibitors that target a reactive cysteine in gasdermin D. The Preprint Server For Biology, 2018,Jul. 10.

[6]. Chen L , et al. BAY 11-7082, a nuclear factor-κB inhibitor, induces apoptosis and S phase arrest in gastriccancer cells. J Gastroenterol. 2014 May;49(5):864-74.

CAIndexNames:

2-Propenenitrile, 3-[(4-methylphenyl)sulfonyl]-, (2E)-

SMILES:

N#C/C=C/S(=O)(C1=CC=C(C)C=C1)=O

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