BAY 11-7082

Cat. No.: HY-13453

CAS No.: 19542-67-7

Molecular Formula: $C_{10}H_9NO_2S$

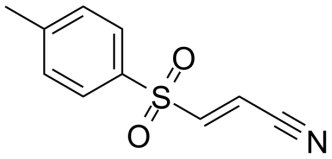
Molecular Weight: 207.25

Target: IKK; Deubiquitinase; Autophagy; Apoptosis

Pathway: NF-κB; Cell Cycle/DNA Damage; Autophagy; Apoptosis

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (482.51 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	4.8251 mL	24.1255 mL	48.2509 mL
5 mM	0.9650 mL	4.8251 mL	9.6502 mL
10 mM	0.4825 mL	2.4125 mL	4.8251 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (12.06 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (12.06 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

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].

IC_{50} & Target				
	NF-κB	USP7 0.19 μM (IC_{50})	USP21 0.96 μM (IC_{50})	Autophagy

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

Animal Model:	Four-week-old male BALB/c nude mice with human gastric carcinoma cell lines HGC-27[6]
Dosage:	Low-dose (2.5 mg/kg body weight), high-dose (5 mg/kg body weight)
Administration:	Intratumoral injection; twice-weekly for 21 days
Result:	Suppressed tumor growth in a dose-dependent manner.

CUSTOMER VALIDATION

- Gut. 2018 Nov;67(11):2035-2044.
- Circ Res. 2020 Mar 13;126(6):e15-e29.
- Signal Transduct Target Ther. 2021 Apr 24;6(1):167.
- Mol Psychiatry. 2021 Oct 12.
- Nat Commun. 2021 Jul 14;12(1):4300.

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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.

Caution: Product has not been fully validated for medical applications. For research use only.

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