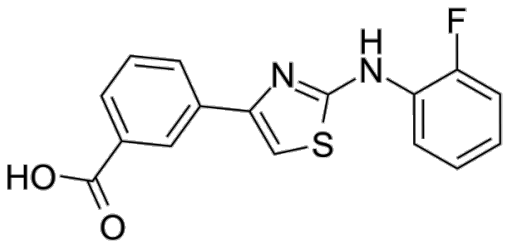
Product Data Sheet

Product Name: NF-κβ activator 1
Cat. No.: GC62344

Chemical Properties

Cas. No.	2387524-59-4		
Formula	$C_{16}H_{11}FN_2O_2S$	M.Wt	314.33
Solubility	DMSO : 125 mg/mL (397.67 mM; Need ultrasonic)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Protocol

Cell experiment [1]:

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Cell lines	PC3 cells (human prostate cancer cell line) and PC12 cells (rat neuronal cell line).
Preparation Method	PC3 cells were maintained in RPMI-1640 medium supplemented with 10% fetal bovine serum (FBS). PC12 cells were cultured in RPMI-1640 medium containing 10% FBS. For treatment, PC3 cells stably overexpressing MGLL (Over-MGLL) and control (Vector) cells were pretreated with NF-κβ activator 1 (1μM) for 6 hours, followed by Docetaxel (10nM) treatment for 48 hours. PC12 cells were treated with the CX3CR1 inhibitor AZD8797 (1μM) for 12 hours, with or without NF-κβ activator 1 (1μM) pretreatment for 6 hours.
Reaction Conditions	1μM; 6h (pretreatment).
Applications	In PC3 cells, NF-κβ activator 1 significantly reversed the MGLL overexpression-induced suppression of NF-κβ p65 phosphorylation (Ser536) and IκBα phosphorylation (Ser32/36), and restored the nuclear translocation of NF-κβ p65. Consequently,NF-κβ activator 1 rescued the expression of NF-κβ-driven senescence-associated secretory phenotype (N-SASP) factors, including IL6 and CXCL8, and attenuated the growth inhibition caused by the combination of MGLL overexpression and Docetaxel.

References:

[1] Yu J, Zhang M, Li T, et al. Monoacylglycerol lipase blockades the senescence-associated secretory phenotype by interfering with NF-κβ activation and promotes docetaxel efficacy in prostate cancer. Oncogene. 2024 Sep;43(38):2835-2849.

Background

NF-κβ activator 1 is a potent activator of the NF-κβ signaling pathway, with an EC_{50} value of 0.9μM,

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NF-κβ activator 1 can induce the expression of superoxide dismutase (SOD2) mRNA[1-2]. By activating the NF-κβ pathway, NF-κβ activator 1 is involved in regulating inflammatory responses and immune cell functions. NF-κβ activator 1 can be used in research related to inflammatory diseases and immune-related disorders [3-4].

In vitro, PC3 prostate cancer cells overexpressing MGLL were pretreated with NF-κβ activator 1 (1μM) for 6h, followed by incubation with Docetaxel (10nM) for 48h. NF-κβ activator 1 significantly reversed the inhibitory effects of MGLL overexpression on NF-κβ p65 phosphorylation and nuclear translocation, restored the expression of senescence-associated secretory phenotype (N-SASP) factors such as IL6 and CXCL8, and attenuated the suppression of cell proliferation induced by the combination of MGLL overexpression and Docetaxel[5]. In PC12 neuronal-like cells, pretreatment with NF-κβ activator 1 (1μM) for 6h, followed by treatment with the CX3CR1 inhibitor AZD8797 (1μM) for 12h, reversed the AZD8797-induced inhibition of NF-κβ pathway proteins p-IκB and p-p65 expression and restored the expression level of the 5-hydroxytryptamine receptor 2A (5-HTR2a)[6].

References:
[1] Mathew B, Ruiz P, Dutta S, et al. Structure-activity relationship (SAR) studies of N-(3-methylpyridin-2-yl)-4-(pyridin-2-yl)thiazol-2-amine (SRI-22819) as NF-κB activators for the treatment of ALS. Eur J Med Chem. 2021 Jan 15;210:112952.
[2] He Y, Song W, Deng Y, et al. Liraglutide promotes osteogenic differentiation of mesenchymal stem cells by inhibiting M1 macrophage polarization and CXCL9 release in vitro. Mol Cell Endocrinol. 2025 Feb 1;597:112441.
[3] Wang S, Li F, Zhang L, et al. HMGB1 inhibits the IFN-γ-induced PD-L1 expression in NSCLC. J Immunol. 2025 Sep 1;214(9):2480-2488.
[4] Wu W, Yue S, Wang X, et al. Docosahexaenoic acid mitigates experimental autoimmune prostatitis by inhibiting Th17 cell differentiation via the PPARγ/NF-κB/IL-17A pathway. Clin Exp Immunol. 2025 Jan 21;219(1):uxaf055.
[5] Yu J, Zhang M, Li T, et al. Monoacylglycerol lipase blockades the senescence-associated secretory phenotype by interfering with NF-κB activation and promotes docetaxel efficacy in prostate cancer. Oncogene. 2024 Sep;43(38):2835-2849.
[6] Pei J, Zou Y, Wan C, et al. CX3CR1 mediates motor dysfunction in mice through 5-HTR2a. Behav Brain Res. 2024 Mar 12;461:114837.

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