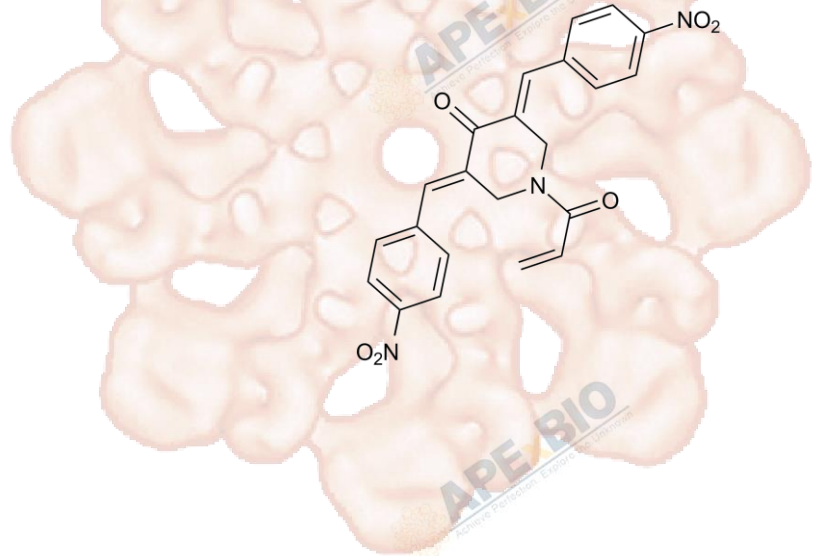


Product Data Sheet

NSC 687852 (b-AP15)

Cat. No.:	A4453
CAS No.:	1009817-63-3
Formula:	C22H17N3O6
M.Wt:	419.39
Synonyms:	b-AP15
Target:	Apoptosis
Pathway:	Apoptosis Inducers
Storage:	Store at 4°C



Solvent & Solubility

insoluble in EtOH; insoluble in H₂O; ≥20.95 mg/mL in DMSO

In Vitro

Preparing Stock Solutions	Solvent	Mass		
		1mg	5mg	10mg
	Concentration			
	1 mM	2.3844 mL	11.9221 mL	23.8442 mL
	5 mM	0.4769 mL	2.3844 mL	4.7688 mL
	10 mM	0.2384 mL	1.1922 mL	2.3844 mL

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

Biological Activity

Shortsummary

19S regulatory particle Inhibitor

IC₅₀ & Target

In Vitro

Cell Viability Assay

Cell Line: Bacterial lipopolysaccharide (LPS)-primed macrophages prepared from adult male C57BL/6 mice(Harlan)LPS-primed THP-1 cells(to induce pro-IL-1β expression before nigericin treatment)

Preparation method: The solubility of this compound in DMSO is >21mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37°C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored

		below -20°C for several months.
	Reacting conditions:	1µM
	Applications:	Pretreatment with NSC 687852 inhibited ATP-induced IL-1β release from LPS-primed peritoneal macrophages and nigericin-induced release from LPS-primed THP-1 cells and reduced the levels of cell death induced by nigericin treatment in THP-1 cells. In macrophages, NSC 687852 also caused an increase in polyubiquitinated proteasomal substrates. In LPS-primed THP-1 cells, NSC 687852 significantly reduced the numbers of ASC specks formed after nigericin treatment. Similarly, ATP-induced speck formation in murine peritoneal macrophages was also inhibited by NSC 687852.
In Vivo	Animal experiment	
	Animal models:	combined immunodeficiency (SCID) mice with FaDu squamous carcinoma xenografts;mice with HCT-116 colon carcinoma xenografts overexpressing BCL2
	Dosage form:	daily subcutaneous injection ;5 mg per kg of body weight
	Applications:	When administered NSC 687852 daily to severe combined immunodeficiency (SCID) mice with FaDu squamous carcinoma xenografts, there was a significant antitumor activity. When analyzed tumor death by measuring xenograft-derived CK18 in circulation, there was a significant increase in the plasma concentrations of total CK18 as well as increased concentrations of caspase-cleaved CK18 (CK18-Asp396) , showing that NSC 687852 had activity against tumor cells in vivo. When also examined disease-free survival in mice with HCT-116 colon carcinoma xenografts overexpressing BCL2, NSC 687852 treatment significantly delayed tumor onset compared to vehicle-treated controls, with two out of six of the mice treated with NSC 687852 being completely disease free at the end of the study.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

See more customer validations on www.apexbt.com.

References

[1]. Lopez-Castejon G,Luheshi NM,Compan V., et al. Deubiquitinases regulate the activity of caspase-1 and interleukin-1β secretion

via assembly of the inflammasome. J Biol Chem.2013 Jan 25;288(4):2721-33. doi: 10.1074/jbc.M112.422238. Epub 2012 Dec 3.
[2]. D'Arcy P,Brnjic S,Olofsson MH., et al. Inhibition of proteasome deubiquitinating activity as a new cancer therapy. Nat Med.2011
Nov 6;17(12):1636-40. doi: 10.1038/nm.2536.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APEX BIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

APEX BIO Technology

www.apexbt.com

7505 Fannin street, Suite 410, Houston, TX 77054.

Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com

