UNBS5162

Cat. No.: HY-16509

CAS No.: 956590-23-1

Molecular Formula: $C_{17}H_{18}N_4O_3$

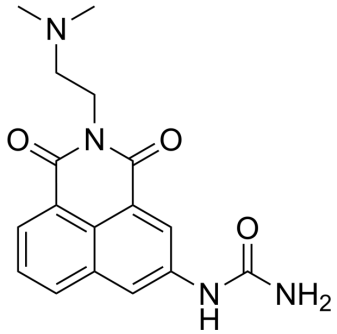
Molecular Weight: 326.35

Target: CXCR; Autophagy

Pathway: GPCR/G Protein; Immunology/Inflammation; Autophagy

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 21.5 mg/mL (65.88 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.0642 mL	15.3210 mL	30.6419 mL
	5 mM	0.6128 mL	3.0642 mL	6.1284 mL
	10 mM	0.3064 mL	1.5321 mL	3.0642 mL

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

BIOLOGICAL ACTIVITY

Description

UNBS5162 is a pan-antagonist of CXCL chemokine expression, with anti-tumor activity.

IC_{50} & Target

CXCL

In Vitro

UNBS5162 is a pan-antagonist of CXCL chemokine expression and exhibits weak antiproliferative activity against human cancer cell lines with mean IC_{50} of 17.9 μM. UNBS5162 markedly impairs PC-3 tumor cell growth kinetics, without inducing senescence, whereas the reverse feature is observed with respect to DU-145 cells[1]. UNBS5162 is cytotoxic to a range of human cancer cell lines including glioblastoma (Hs683 and U373MG), colorectal (HCT-15 and LoVo), non-small-cell lung (A549) and breast (MCF-7), with IC_{50}s of 0.5-5 μM. UNBS5162 also markedly increases the levels of expression of LC3-I and LC3-II in human cancer cells. UNBS5162 displays no anti-topoisomerase II activity. Moreover, UNBS5162 induces cancer cell death through lysosomal membrane permeabilization (LMP) in PC3 prostate cancer cells but not in U373 glioblastoma cells, with this LMP process occurring as an UNBS5162-induced decrease in Hsp70 expression[2]. UNBS5162 inhibits the proliferation of esophageal cancer squamous cells via the PI3K/AKT signaling pathway. UNBS5162 downregulates the protein expression of proteins associated with the PI3K/AKT signaling pathway, including the levels of phosphorylated (p)-AKT, p-mechanistic target of rapamycin kinase, ribosomal protein S6 kinase β1 and cyclin D1[3].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	UNBS5162 (20 mg/kg, i.v.) increases the therapeutic benefits of taxol in vivo in the orthotopic human PC-3 prostate cancer model[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	Mice[1] Orthotopic xenografts are obtained by injecting 2.5×10^6 human PC-3 or DU-145 cells into the prostate of 6-week-old male nu/nu mice (n = 9 animals per treatment group). All grafts are performed under anesthesia [saline/Rompun/Imalgene; 5:1:1 by volume]. The end point in these orthotopic experiments is the survival period of the tumor-bearing mice after the administration of UNBS3157, UNBS5162, or reference anticancer agents (taxol, mitoxantrone, and amonafide). However, for ethical reasons, animals are killed when 20% of body weight have been lost compared to that determined at the time of tumor grafting. All animals are weighed three times a week. Autopsies and histologic diagnoses are performed on each mouse to confirm the presence of tumor development; 100% is achieved. In the case of UNBS5162 experiments in the PC-3 model, after the sacrifice of animals, tumors are removed from both drug-treated [10 mg/kg, intravenous (i.v.)] and vehicle-treated mice, fixed in buffered formalin, embedded in paraffin, and 5-µm-thick sections taken. These histologic slides are then stained with hematoxylin and eosin for blood vessel counts[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Onco Targets Ther. 2017 Nov 6;10:5303-5309.
- Drug Dev Ind Pharm. 2019 Aug;45(8):1306-1312.
- Mol Med Rep. 2018 Jan;17(1):549-555.
- Cancer Manag Res. 2019 Mar 22;11:2339-2348.
- Thorac Cancer. 2018 Jan;9(1):105-111.

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REFERENCES

[1]. Mijatovic T, et al. UNBS5162, a novel naphthalimide that decreases CXCL chemokine expression in experimental prostate cancers. Neoplasia. 2008 Jun;10(6):573-86.

[2]. Tina Mahieu, et al. UNBS5162: A novel naphthalimide derivative with potent pro-autophagic effects in human cancer cells. Cancer Research, 2007 May; 67(9) Supplement.

[3]. He D, et al. UNBS5162 inhibits the proliferation of esophageal cancer squamous cells via the PI3K/AKT signaling pathway. Mol Med Rep. 2018 Jan;17(1):549-555.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA