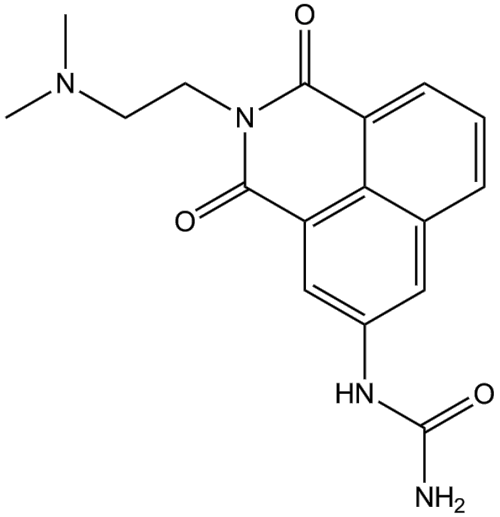
Product Data Sheet

Product Name: UNBS 5162
Cat. No.: GC17538

Chemical Properties

Cas. No.	956590-23-1		
Chemical Name	1-(2-(2-(dimethylamino)ethyl)-1,3-dioxo-2,3-dihydro-1H-benzo[de]isoquinolin-5-yl)urea		
SMILES	CN(C)CCN1C(C2=C3C(C=CC=C3C1=O)=CC(NC(N)=O)=C2)=O		
Formula	$C_{17}H_{18}N_4O_3$	M.Wt	326.35
Solubility	DMF: 3 mg/ml,DMF:PBS(pH 7.2) (1:1): 0.5 mg/ml,DMSO: 2 mg/ml	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

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Animal experiment: Mice[1]Orthotopic xenografts are obtained by injecting 2.5 × 106 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].

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.

Background

UNBS5162 is a pan-antagonist of CXCL chemokines. By using the MTT colorimetric assay, IC50 values of the mean antiproliferative activity against nine human cancer cell lines were 19.8 and 17.9 µ M for UNBS3157 and UNBS5162, respectively.
CXCL chemokines is composed of a CXC chemokine domain, a mucin-like stalk, a transmembrane domain and a cytoplasmic tail containing a potential tyrosine phosphorylation site that may bind SH2.

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UNBS5162 display weak antiproliferative activity in vitro.
UNBS5162 increases the therapeutic benefits of taxol in the orthotopic human PC-3 prostate cancer model. The proliferation of PC-3 cell and DU-145 prostate cancer cells were prevented by 10 μM UNBS5162 in vitro after the 6-day treatment. Flow cytometry analysis revealed that treatment of PC-3 and DU-145 cells with 10 μM UNBS5162 for 72 hours markedly blocked PC-3 cells in their G2 cell cycle phase and to a lesser extent in DU-145 cells. The percentage of PC-3 cells in the G2/M phase markedly increased, and accordingly the percentage of cells in the G1 phase diminished. The Rb protein expression in PC-3 cells was completely abolished after 48 and 72 hours treatment of 10 μM UNBS5162.
The cell cycle kinetics of PC-3 or DU-145 was not significantly modified by 1 μM UNBS5162.
UNBS5162 at 1 μM induced no marked modifications in Rb, pRb, and E2F1 protein expression.
UNBS5162 at concentrations higher than 1 μM was toxic, as indicated by inhibited proliferation of murine and human hematopoietic stem and progenitor cells

References:

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