Imexon

Cat. No.: HY-15385

CAS No.: 59643-91-3

Molecular Formula: $C_4H_5N_3O$

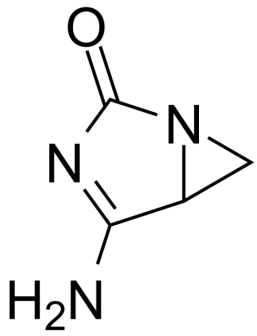
Molecular Weight: 111.1

Target: Others

Pathway: Others

Storage: 4°C, stored under nitrogen

* In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 62.5 mg/mL (562.56 mM; Need ultrasonic)

H_2O : 12.5 mg/mL (112.51 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	9.0009 mL	45.0045 mL	90.0090 mL
	5 mM	1.8002 mL	9.0009 mL	18.0018 mL
	10 mM	0.9001 mL	4.5005 mL	9.0009 mL

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

BIOLOGICAL ACTIVITY

Description

Imexon (BM 06002) is an iminopyrrolidone aziridine with anti-cancer activity.

In Vitro

Imexon (BM 06002) induces oxidative stress in the ER, activates an ER stress response. Imexon (BM 06002) does not significantly alter the levels of eIF2B5, however there is a dose-dependent increase in the phosphorylation of eIF2alpha, as well as an increase in the levels of GTP exchange protein eIF2B2 in MiaPaCa-2, Panc-1, and BxPC3 cells[1]. Imexon (BM 06002) induces single-stranded breaks in the human A375 melanoma cells but only significantly at the highest concentrations for each agent compared to controls. Imexon plus DTIC cytotoxicity is additive[2]. Imexon (BM 06002) show inhibitory activities against MiaPaCa-2, Panc-1 and BxPC3, with IC_{50}s of 275.5 ± 54.2, 147.4 ± 4.7 and 355.7 ± 114.7 μM[3].

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

In Vivo

Imexon (BM 06002) in combination with DTIC results in an increase in the peak plasma imexon level in non-tumor-bearing mice. The combination of both drugs increases plasma imexon AUC by 22% (p=0.026). Imexon (BM 06002) (100 mg/kg/day, i.v.) treatment decreases the body weight of SCID mice bearing human A375 melanoma tumors, but there is no significant difference in tumor growth[2]. Imexon (BM 06002) (100 mg/kg) in combination with GEM shows synergistic inhibition of Panc-1 tumor growth in SCID mice.

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

PROTOCOL

Cell Assay [1]	Cell survival for the siRNA screening experiments are calculated by the conversion of resazurin to resorufin by metabolically active cells resulting in a fluorescent product. Confirmatory growth inhibition assays with eIF2b silencing are done using the methyl-thiazolyl-diphenyl-tetrazolium bromide (MTT) assay. Cell growth inhibition data are expressed as percent survival, compared to untreated cells. The IC_{50} is defined as the drug concentration required to produce 50% growth inhibition. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	The effect of the combination on tumor growth in vivo is evaluated in 25-30 g male SCID mice (n=8/group). Mice receive 5×10^6 A375 cells subcutaneously and are pair matched on day 30, when the average tumor burden is approximately 100 mm^3. Treatment begins the following day, as follows: (i) saline vehicle control; (ii) 80 mg/kg/day DTIC; (iii) 100 mg/kg/day imexon; (iv) a combination of both drugs at the same doses. Drugs are administered (i.p.) for nine consecutive days and imexon is administered 15 min before DTIC when combined. Measurement of tumor burden and body weights are made every 3-4 days. Tumor burden (mm^3) is calculated as (length × $width^2$)/2. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Research Square Preprint. 2022 Feb.

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REFERENCES

[1]. Sheveleva EV, et al. Imexon induces an oxidative endoplasmic reticulum stress response in pancreatic cancer cells. Mol Cancer Res. 2012 Mar;10(3):392-400.

[2]. Samulitis BK, et al. Interaction of dacarbazine and imexon, in vitro and in vivo, in human A375 melanoma cells. Anticancer Res. 2011 Sep;31(9):2781-5.

[3]. Roman NO, et al. Imexon enhances gemcitabine cytotoxicity by inhibition of ribonucleotide reductase. Cancer Chemother Pharmacol. 2011 Jan;67(1):183-92.

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