Aloperine

Catalog No.C08548 Batch:C0854803

Product Datasheet

Technical Data

Formula	$C_{15}H_{24}N_2$					
Molecular Weight	232.36	**CAS No.**	56293-29-9			
Solubility (25°C)*	In vitro	DMSO	46 mg/mL (197.96 mM)			
		Ethanol	46 mg/mL (197.96 mM)			
		Water	4 mg/mL (17.21 mM)			
	In vivo (Add solvents to the product individually and in order)	Oral Administration	Homogeneous suspension	CMC-NA	≥5mg/ml	Taking the 1 mL working solution as an example, add 5 mg of this product to 1 ml of CMC-Na solution, mix evenly to obtain a homogeneous suspension with a final concentration of 5 mg/ml.
		Injection	Clear solution	5%DMSO [1] → 40%PEG300 [2] → 5%Tween80 [3] → 50%ddH2O Validated by Capsid Bio labs. Should you need adjustments to this formulation, contact our sales team for custom testing.	2.300mg/ml (9.90mM)	Taking the 1 mL working solution as an example, add 50 μL of 46 mg/ml clarified DMSO stock solution to 400 μL of PEG300, mix evenly to clarify it; add 50 μL of Tween80 to the above system, mix evenly to clarify; then continue to add 500 μL of ddH2O to adjust the volume to 1 mL. The mixed solution should be used immediately for optimal results.
			Clear solution	5% DMSO [1] → 95% Corn oil Validated by Capsid Bio labs. Should you need adjustments to this formulation, contact our sales team for custom testing.	2.300mg/ml (9.90mM)	Taking the 1 mL working solution as an example, add 50 μL of 46 mg/ml clear DMSO stock solution to 950 μL of corn oil and mix evenly. The mixed solution should be used immediately for optimal results.

* <1 mg/ml means slightly soluble or insoluble.
* Please note that Capsid Bio tests the solubility of all compounds in-house, and the actual solubility may differ slightly from published values. This is normal and is due to slight batch-to-batch variations.
* Room temperature shipping (Stability testing shows this product can be shipped at 2-8°C for storage purposes.)

Preparing Stock Solutions

Concentration \ Volume \ Mass	1 mg	5 mg	10 mg
1 mM	4.3037 mL	21.5183 mL	43.0367 mL
5 mM	0.8607 mL	4.3037 mL	8.6073 mL
10 mM	0.4304 mL	2.1518 mL	4.3037 mL
50 mM	0.0861 mL	0.4304 mL	0.8607 mL

Biological Activity

Description	Aloperine is an isolated alkaloid in sophora plants such as Sophora alopecuroides L, and exhibits anti-inflammatory, antibacterial, antiviral, and anti-tumor properties.
In vitro	Compared with other alkaloids including sophoridine, sophocarpine, matrine, oxymatrine and cytisine, Aloperine treatment exerts the most potent cytotoxic activity against human cancer cell lines of differing tissue origins, including leukaemia cell lines HL-60, U937 and K562, oesophageal cancer EC109 cells, lung cancer A549 cells and the hepatocellular carcinoma HepG2 cell line with IC50 of 0.04 mM, 0.27 mM, 0.36 mM, 1.11 mM, 1.18 mM, and 1.36 mM, respectively. The strongest cytotoxic effect of this compound on HL-60 cells is observed at 72 hours with the inhibition rate of 94.1%. In contrast to the effect on leukaemia cells, up to 1 mM of this chemical does not significantly reduce the viability of normal PBMNCs at 72 hours. Treatment with this compound at 20 μM for 48 hours significantly induces apoptosis and autophagy in HL-60 cells in a dose-dependent manner. [2]
In vivo	Topical application of 1% Aloperine suppresses 2, 4-dinitrofluorobenzene (DNFB)-induced increase in ear thickness and ear erythema in BALB/c mice, and significantly decreases the up-regulated mRNA and protein levels of tumor necrosis factor-alpha (TNF-α), interleukin-1beta (IL-1β) and interleukin-6 (IL-6) induced by DNFB in ear biopsy homogenates. [1] Topical application of this compound reduces the DNFB-induced dermatitis (dermatitis index and ear thickness) in NC/Nga mice at day 13 and day 14 in a dose-dependent manner. This compound treatment reduces DNFB-induced lymphocytes infiltration and eosinophils infiltration in a dose-dependent manner. This compound treatment also reduces the DNFB-induced infiltration of mast cells in a dose-dependent manner. This compound reduces the plasma level of IgE in a dose-dependent manner. This compound markedly reduces DNFB-induced increase in IL-4, IL-13 and IFN-γ productions, while it increases the IL-10 level in a dose-dependent manner. This compound treatment significantly reduces the cytokine levels of TNF-α, IL-1β and IL-6 in ear biopsies homegenates of NC/Nga mice in a dose-dependent manner. [3]

Protocol (from reference)

Cell Assay: [2]

- **Cell lines**
  K562, U937, HL-60, EC109, A549 and HepG2
- **Concentrations**
  Dissolved in DMSO, final concentrations ~2 mM
- **Incubation Time**
  24, 48, and 72 hours
- **Method**
  Cells are seeded in 96-well plates in 100-μL culture medium. After incubation of 4 hours for leukaemia cells and of 24 hours for solid cancer cells, experimental media containing either excipient control or Aloperine are added to appropriate wells. Five concentrations of this compound for 48-hour treatment are used to determine the in vitro IC50 growth inhibitory values of this chemical in cancer cells. After incubation, 10 μL of MTT solution (5 mg/mL) is added to each well. The plates are then incubated for 4 hours at 37 °C. Intracellular formazan crystals are dissolved by addition of 100 μL of isopropanol-HCl-SDS solution to each well. After an overnight incubation at 37 °C, the optical density of the samples is determined at 570 nm. DNA fragmentation is analysed after the extraction of DNA from cells exposed to the indicated doses of this compound for 48 hours using apoptotic DNA ladder kit. For autophagy detection, cells are collected and incubated with PBS containing 5 μM acridine orange for 15 minutes. The acridine orange is removed and the cells are resuspended in 100 μL of PBS. Fluorescent micrographs are obtained with an inverted fluorescent microscope. Autophagy is quantified based on the mean number of cells displaying intense red staining for three fields (containing at least 50 cells per field) for each experimental condition.

Animal Study:[1]

- **Animal Models**
  Female BALB/c mice with DNFB-induced allergic contact dermatitis
- **Dosages**
  1% (w/w)
- **Administration**
  Topical application

References

https://pubmed.ncbi.nlm.nih.gov/20006963/ https://pubmed.ncbi.nlm.nih.gov/21159130/ https://pubmed.ncbi.nlm.nih.gov/21371468/

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