Proteins

Screening Libraries

Melflufen

Cat. No.: HY-105019 CAS No.: 380449-51-4 Molecular Formula: $C_{24}H_{30}Cl_2FN_3O_3$

Molecular Weight: 498.42

Target: DNA Alkylator/Crosslinker; Apoptosis Pathway: Cell Cycle/DNA Damage; Apoptosis

Storage: Please store the product under the recommended conditions in the Certificate of

Analysis.

Product Data Sheet

BIOLOGICAL ACTIVITY

Description

Melflufen (Melphalan flufenamide), a dipeptide proagent of Melphalan, is an alkylating agent. Melflufen shows antitumor activity against multiple myeloma (MM) cells and inhibits angiogenesis. Melflufen induces irreversible DNA damage and cytotoxicity in MM cells^{[1][2][3]}.

In Vitro

Melflufen (Melphalan flufenamide) (0.5-10 µM; 24 hours) decreases viability of MM.1S, INA-6, RPMI-8226, MM.1R, Dox-40, ARP-1, and ANBL-6 cells in a concentration-dependent manner^[1].

Melflufen induces apoptosis in MM.1S cells^[1].

Melphalan also is a potent activator of exosome secretion^[3].

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

Cell Viability Assay

Cell Line:	Multiple myeloma cells: MM.1S, INA-6, RPMI-8226, MM.1R, Dox-40, ARP-1, ANBL-6 cells
Concentration:	0.5, 1, 3, 5, 10 μM
Incubation Time:	24 hours
Result:	A significant concentration-dependent decrease in viability of all cell lines was observed.

In Vivo

Melflufen (Melphalan flufenamide) (3 mg/kg; i.v.; twice-weekly for two weeks) shows anti-MM activity in xenograft mouse $model^{[1]}$.

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Animal Model:	CB-17 SCID mice (human plasmacytoma MM.1S xenograft mouse model) $^{[1]}$
Dosage:	3 mg/kg
Administration:	I.v.; twice-weekly for two weeks
Result:	Significantly inhibited MM tumor growth and prolonged survival of mice.

CUSTOMER VALIDATION

• Cell Death Dis. 2022 Feb 10;13(2):136.

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REFERENCES

- [1]. Chauhan D, et al. In vitro and in vivo antitumor activity of a novel alkylating agent, melphalan-flufenamide, against multiple myeloma cells. Clin Cancer Res. 2013;19(11):3019-3031.
- [2]. Ray A, et al. A novel alkylating agent Melflufen induces irreversible DNA damage and cytotoxicity in multiple myeloma cells. Br J Haematol. 2016;174(3):397-409.
- [3]. McAndrews KM, et, al. Mechanisms associated with biogenesis of exosomes in cancer. Mol Cancer. 2019 Mar 30;18(1):52.

Caution: Product has not been fully validated for medical applications. For research use only.

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