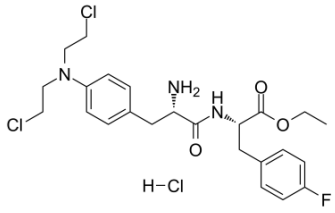
Melflufen hydrochloride

Cat. No.:	HY-105019A
CAS No.:	380449-54-7
Molecular Formula:	$C_{24}H_{31}Cl_3FN_3O_3$
Molecular Weight:	534.88
Target:	DNA Alkylator/Crosslinker; Apoptosis
Pathway:	Cell Cycle/DNA Damage; Apoptosis
Storage:	Please store the product under the recommended conditions in the COA.



BIOLOGICAL ACTIVITY

Description

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

In Vitro

Melflufen (Melphalan flufenamide) hydrochloride (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 hydrochloride induces apoptosis in MM.1S cells[1].
Melflufen hydrochloride also is a potent activator of exosome secretion[3].

Cell Viability Assay[1]

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) hydrochloride (3 mg/kg; i.v.; twice-weekly for two weeks) shows anti-MM activity in xenograft mouse model[1].

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

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.

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