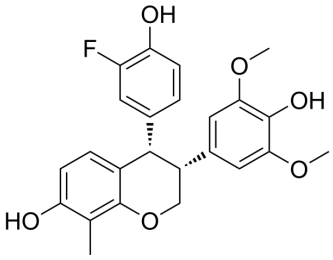
Trilexium

Cat. No.:	HY-157800
CAS No.:	1983180-82-0
Molecular Formula:	$C_{24}H_{23}FO_6$
Molecular Weight:	426.43
Target:	Apoptosis; PARP; Caspase; Microtubule/Tubulin
Pathway:	Apoptosis; Cell Cycle/DNA Damage; Epigenetics; Cytoskeleton
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Trilexium (TRX-E-009-1) is a third-generation of benzopyran structurally related to TRX-E-002-1 (HY-114250). Trilexium increases p21 protein expression and induces apoptosis. Trilexium depolymerizes microtubules. Trilexium shows broad anti-cancer activity[1][2].

In Vitro

Trilexium shows activity against a broad range of cancer types. Of the 240 cell lines assessed in the Eurofin's Oncopanel, 10 cell lines shows IC_{50} > 30 μM, of the remaining 230 cell lines, the average IC_{50} is 0.428 μM[1].
Trilexium (300 nM, 4 h) disrupts microtubule networks in Hela cells[1].
Trilexium (1-5 μM) leads to increased protein expression of p21, c-PARP, and c-Caspase 3 in HSJD-DIPG007 cells[2].
Trilexium (0-2 μM) restores H3K27 trimethylation and increases H3K27 acetylation in HSJD-DIPG007 cells[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Trilexium (5-60 mg/kg, IV daily for 15 days) significantly reduces tumour volume in vivo[1].
Trilexium (80 mg/kg, IV daily for 5 days) disrupts microtubules in vivo[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	C57/BL6 mice (n = 8 mice per group, subcutaneous mouse xenograft model of BRAF mutant (BRAFV600E) melanoma (A375))[1]
Dosage:	5 and 60 mg/kg
Administration:	IV (tail vein injection), daily for 15 days
Result:	Resulted in a tumour growth inhibition at 60 mg/kg. Significantly improved survival at 60 mg/kg.

Animal Model:	A375 xenografts mice[1].
Dosage:	80 mg/kg
Administration:	IV, daily for 5 days
Result:	Resulted in a loss of the long microtubule filaments in favour of shorter filaments and dispersed tubulin staining.

REFERENCES

[1]. Stevenson AJ, et al. Mechanism of action of the third generation benzopyrans and evaluation of their broad anti-cancer activity in vitro and in vivo. Sci Rep. 2018 Mar 23;8(1):5144.

[2]. Ehteda A, et al. Microtubule-Targeting Combined with HDAC Inhibition Is a Novel Therapeutic Strategy for Diffuse Intrinsic Pontine Gliomas. Mol Cancer Ther. 2023 Dec 1;22(12):1413-1421.

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