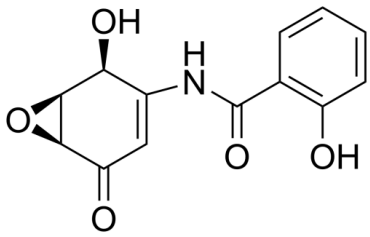
Data Sheet

Product Name:	(-)-DHMEQ
Cat. No.:	CS-5488
CAS No.:	287194-40-5
Molecular Formula:	$C_{13}H_{11}NO_5$
Molecular Weight:	261.23
Target:	NF-κB
Pathway:	NF-κB
Solubility:	DMSO : 50 mg/mL (191.40 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

(-)-DHMEQ (Dehydroxymethylepoxyquinomicin) is a potent, selective and irreversible **NF-κB** inhibitor that covalently binds to a cysteine residue. (-)-DHMEQ inhibits nuclear translocation of **NF-κB** and shows anti-inflammatory and anticancer activity[1][2][3]. IC50 & Target:NF-κB[1] ***In Vitro:*** (-)-DHMEQ (Dehydroxymethylepoxyquinomicin; 2-10 μg/mL; 12-48 hours) treatment significantly reduces the viability of all cell lines in a dose- and time-dependent manner, whereas the effect is not significant in a control cell line K562 without constitutive NF-κB activity[2].

(-)-DHMEQ (10 μg/mL; 0-48 hours; TL-Om1, MT-1 and K562 cells) treatment significantly increases the Annexin V-positive cells in MT-1 and TL-Om1 cell lines[2].

(-)-DHMEQ (10 μg/mL; 4-16 hours; MT-1 cells) treatment down-regulates Bcl-xL, Bcl-2, c-myc, cyclin D1, Rb, and p53, and up-regulates proapoptotic genes such as caspase-3, -8, and-9[2].

(-)-DHMEQ treatment increases cells in G0 /G1 phase in a time-dependent manner, demonstrating antiproliferative effects of (-)-DHMEQ[2].

(-)-DHMEQ binds to p65, cRel, RelB, and p50, but not to p52 at specific cysteine residues. (-)-DHMEQ inhibits not only DNA-binding of RelB, but also its interaction to importin. (-)-DHMEQ also induces instability of RelB[1]. ***In Vivo:*** (-)-DHMEQ (Dehydroxymethylepoxyquinomicin; 4 mg/kg or 12 mg/kg; intraperitoneal injection; on day 0 and 3 times a week; for one month; SCID mice) treatment shows a significant increase in the survival rate in mice[2].

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: [1]**A549 cells** transfectants stably expressing RelB WT and RelB (C144S) are preincubated with various concentrations of **(-)-DHMEQ (1, 5, 10, 25, and 50 μM)** for 1h and then incubated with or without LTβ (25 ng/mL) for 2 h in the presence or absence of (-)-DHMEQ.Cytoplasmic and nuclear extracts are analyzed by Western blotting[1].

References:

[1]. Quach HT, et al. Eudesmane-Type Sesquiterpene Lactones Inhibit Nuclear Translocation of the Nuclear Factor κB Subunit RelB in Response to a Lymphotoxin β Stimulation. Biol Pharm Bull. 2017;40(10):1669-1677.

[2]. Yinzhi Lin, et al. Inhibition of Late and Early Phases of Cancer Metastasis by the NF-κB Inhibitor DHMEQ Derived from Microbial Bioactive Metabolite Epoxyquinomicin: A Review.

[3]. Mariko Watanabe, et al. Dual targeting of transformed and untransformed HTLV-1-infected T cells by DHMEQ, a potent and selective inhibitor of NF-kappaB, as a strategy for chemoprevention and therapy of adult T-cell leukemia. Blood. 2005 Oct 1;106(7):2462-71.

CAIndexNames:

Benzamide, 2-hydroxy-N-[(1S,2S,6S)-2-hydroxy-5-oxo-7-oxabicyclo[4.1.0]hept-3-en-3-yl]-

SMILES:

O=C1[C@@H](O2)[C@@H]2[C@@H](O)C(NC(C3=C(O)C=CC=C3)=O)=C1

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