3-Fluoro-evodiamine glucose

Cat. No.: HY-161501

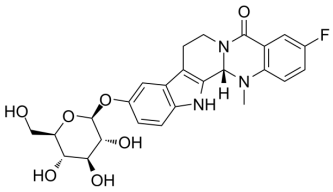
Molecular Formula: $C_{25}H_{26}FN_3O_7$

Molecular Weight: 499.49

Target: GLUT; Topoisomerase; Apoptosis

Pathway: Membrane Transporter/Ion Channel; Cell Cycle/DNA Damage; Apoptosis

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



BIOLOGICAL ACTIVITY

Description

3-Fluoro-evodiamine glucose (Compound 8) is an evodiamine-glucose conjugate. 3-Fluoro-evodiamine glucose activates the expression of glucose transporter 1 (GLUT1), and inhibits topoisomerase I/II. 3-Fluoro-evodiamine glucose induces apoptosis and arrests the cell cycle at G2/M phase. 3-Fluoro-evodiamine glucose exhibits antitumor efficacy in vivo and in vitro, without significant toxicity[1].

IC_{50} & Target

Topoisomerase I	Topoisomerase II	GLUT1

In Vitro

3-Fluoro-evodiamine glucose (0-0.5 μM, 12 h) exhibits antiproliferative and cytotoxic property in cancer cells U87MG, A549 and HCT116 with IC_{50} range of 0.064–0.113 μM, through induction of reactive oxygen species (ROS) accumulation and DNA damage[1].

3-Fluoro-evodiamine glucose (0-0.05 μM, 24 h) inhibits the migration and invasion of cell HCT116 in a dose-dependent manner[1].

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

Western Blot Analysis[1]

Cell Line:	HCT116
Concentration:	0-0.5 μM
Incubation Time:	12 h
Result:	Upregulated levels of γ-H2AX and induced DNA damage.

Cell Migration Assay [1]

Cell Line:	HCT116
Concentration:	0-0.05 μM
Incubation Time:	24 h
Result:	Repressed the wound heal with the rate of 37%-1.1%.

In Vivo

3-Fluoro-evodiamine glucose (10 mg/kg, ip, single dose) a good pharmacokinetic property in mice, with a half life of 2.41 h, a plasma concentration C_{max} of 4627 h·ng/mL, an AUC_{0-t} of 4008 h·ng/mL and a mean residence time of 0.802 h[1].

3-Fluoro-evodiamine glucose (10-20 mg/kg, ip, twice daily for 21 days) exhibits antitumor efficacy with a tumor growth inhibition TGI of 72%-82% in HCT116 xenograft nude mice, without significant toxicity[1].

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

Animal Model:	HCT116 xenograft BALB/c nude mice[1]
Dosage:	10-20 mg/kg
Administration:	ip, two injections every days for 21 days
Result:	Inhibited tumor growth with TGI of 72-82%, without body weight loss.

REFERENCES

[1]. Wu Z, et al., Design of Evodiamine-Glucose Conjugates with Improved In Vivo Antitumor Activity. J Med Chem. 2024 May 9;67(9):7373-7384.

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