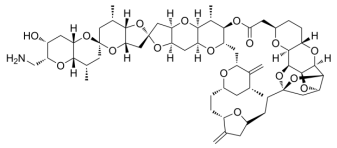
E7130

Cat. No.:	HY-161248
Molecular Formula:	$C_{58}H_{83}NO_{17}$
Molecular Weight:	1066.28
Target:	Microtubule/Tubulin
Pathway:	Cell Cycle/DNA Damage; Cytoskeleton
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

E7130 is a microtubule inhibitor, which ameliorates the tumor microenvironment through suppression of cancer-associated fibroblasts (CAF) and promotion of tumor vasculature remodeling[1].

In Vitro

E7130 inhibits microtubule dynamics and exhibits anti-proliferative efficacy in cancer cells KPL-4, OSC-19, FaDu and HSC-2, with IC_{50}s of 0.01-0.1 nM[1].

E7130 (0.15 nM) inihibits TGF-β-induced myofibroblast transdifferentiation through disruption of microtubule network formation, and thereby deactivates the PI3K/AKT/mTOR pathway[1].

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

Immunofluorescence[1]

Cell Line:	BJ cells
Concentration:	0.15 nM
Incubation Time:	48 h
Result:	Inhibited TGF-β-induced α-SMA expression in BJ cells without growth inhibitory activity.

Western Blot Analysis[1]

Cell Line:	BJ cells
Concentration:	0.15 nM
Incubation Time:	48 h
Result:	Decreased levels of pAKT and pS6.

In Vivo

E7130 (45-180 μg/kg, i.v.) increases the intratumoural microvessel density (MVD) and thereby increases the delivery of cetuximab (CTX) into tumors, causing a tumour regression in HSC-2 SCCHN xenograft BALB/c mice[1].

E7130 (45-180 μg/kg, i.v.) reduces the α-SMA-positive CAFs, the E7130-CTX combination modulates the phenotypes of the fibroblasts in FaDu SCCHN xenograft BALB/c mice[1].

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

Animal Model:	FaDu SCCHN xenograft BALB/c mice[1]
Dosage:	45-180 μg/kg
Administration:	i.v.
Result:	Reduced the α-SMA-positive CAFs, modulated the phenotypes of the fibroblasts with combination of CTX.

Animal Model:	HSC-2 SCCHN xenograft BALB/c mice[1]
Dosage:	90 μg/kg
Administration:	i.v.
Result:	Increased MVD, inhibited tumor growth. Increased survival rate with combination of CTX.

REFERENCES

[1]. Kawano S, et al., A landmark in drug discovery based on complex natural product synthesis. Sci Rep. 2019 Jun 17;9(1):8656.

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