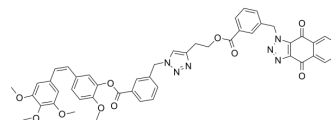


IDO/Tubulin-IN-2

Cat. No.:	HY-146715
CAS No.:	2409479-24-7
Molecular Formula:	C ₄₈ H ₄₀ N ₆ O ₁₀
Molecular Weight:	860.87
Target:	Microtubule/Tubulin; Apoptosis
Pathway:	Cell Cycle/DNA Damage; Cytoskeleton; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	IDO/Tubulin-IN-2 (HT2) is a potent TDO and tubulin inhibitor. IDO/Tubulin-IN-2 also shows potent activity against U87, HepG2, A549, HCT-116, and LO2 cancer cell lines, with IC ₅₀ values of 0.43, 0.036, 0.041, 0.095 and 1.04 μM, respectively. IDO/Tubulin-IN-2 remarkably promotes the antitumor activity ^[1] .														
IC₅₀ & Target	TDO, Tubulin ^[1]														
In Vitro	<p>IDO/Tubulin-IN-2 (HT2) (0-50 μM, 4 h) shows potent cytotoxicity with IC₅₀ values between 0.036 and 0.43 μM against cancer cell lines^[1].</p> <p>IDO/Tubulin-IN-2 (0.1 μM, 24 h) arrests the HepG2 cells cycle mainly at the G2 phase^[1].</p> <p>IDO/Tubulin-IN-2 (0.1 μM, 24 h) can effectively cause cell apoptosis^[1].</p> <p>IDO/Tubulin-IN-2 (0.1 μM, 24 h) has strongly effects on inducing the proteolytic cleavage of PARP and up-regulating the expression level of caspase-3^[1].</p> <p>IDO/Tubulin-IN-2 (0.05 μM, 24, 48 and 72 h) markedly decreases mRNA expression level of TDO at a time-dependent manner^[1].</p> <p>IDO/Tubulin-IN-2 (2 days) can improve T-cell activation and proliferation and enhance immune response^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Cell Proliferation Assay</p> <table border="1"> <tr> <td>Cell Line:</td> <td>Human cancer cell lines and non-tumoral cell line^[1]</td> </tr> <tr> <td>Concentration:</td> <td>0-50 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>4 h</td> </tr> <tr> <td>Result:</td> <td>Displayed potent cytotoxicity with IC₅₀ values of 0.43 μM (U87), 0.036 μM (HepG2), 0.041 μM (A549), 0.095 μM (HCT-116), and 1.04 μM (LO2).</td> </tr> </table> <p>Cell Cycle Analysis</p> <table border="1"> <tr> <td>Cell Line:</td> <td>HepG2 cells^[1]</td> </tr> <tr> <td>Concentration:</td> <td>0.1 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>24 h</td> </tr> </table>	Cell Line:	Human cancer cell lines and non-tumoral cell line ^[1]	Concentration:	0-50 μM	Incubation Time:	4 h	Result:	Displayed potent cytotoxicity with IC ₅₀ values of 0.43 μM (U87), 0.036 μM (HepG2), 0.041 μM (A549), 0.095 μM (HCT-116), and 1.04 μM (LO2).	Cell Line:	HepG2 cells ^[1]	Concentration:	0.1 μM	Incubation Time:	24 h
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Concentration:	0.1 μM														
Incubation Time:	24 h														

Result:	Arrested the HepG2 cells cycle mainly at the G2 phase.
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Apoptosis Analysis

Cell Line:	HepG2 cells ^[1]
Concentration:	0.1 μ M
Incubation Time:	24 h
Result:	Effectively caused cell apoptosis, the percentage of apoptosis cells increased to 54%

Western Blot Analysis

Cell Line:	HepG2 cells ^[1]
Concentration:	0.1 μ M
Incubation Time:	24 h
Result:	Showed strongly effects on inducing the proteolytic cleavage of PARP and up-regulating the expression level of caspase-3, which could lead to cell death at last.

RT-PCR

Cell Line:	HepG2 cells ^[1]
Concentration:	0.05 μ M
Incubation Time:	24, 48 and 72 h
Result:	Markedly decreased mRNA expression level of TDO at a time-dependent manner.

In Vivo

IDO/Tubulin-IN-2 (HT2) (30 mg/kg; IV; daily, for 21 days) significantly inhibits tumor growth^[1].
 IDO/Tubulin-IN-2 (30 mg/kg; IV; 29 days) has effective antitumor immunity ability to promote the tumor therapeutic efficacy^[1].
 MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	ICR mice (mouse liver cancer xenograft models, established by subcutaneous inoculation of H22 cells) ^[1]
Dosage:	30 mg/kg
Administration:	Intravenously injected via a tail vein; daily, for 21 days
Result:	Significantly inhibited tumor growth.

Animal Model:	Male A549 tumor xenograft BALB/c nude mice (5 weeks, 18-22 g) ^[1]
Dosage:	30 mg/kg
Administration:	IV, daily, for 29 days
Result:	Had effective antitumor immunity ability to promote the tumor therapeutic efficacy.

REFERENCES

[1]. Hua S, Chen F, Gou S. Microtubule inhibitors containing immunostimulatory agents promote cancer immunochemotherapy by inhibiting tubulin polymerization and tryptophan-2,3-dioxygenase. Eur J Med Chem. 2020;187:111949.

Caution: Product has not been fully validated for medical applications. For research use only.

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