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Zuschläge

- Mindermengenzuschlag
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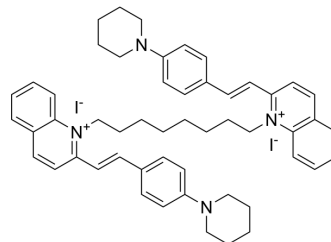
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Anticancer agent 205

Cat. No.:	HY-162456
Molecular Formula:	C ₅₂ H ₆₀ I ₂ N ₄
Molecular Weight:	994.87
Target:	Apoptosis; Reactive Oxygen Species
Pathway:	Apoptosis; Immunology/Inflammation; Metabolic Enzyme/Protease; NF-κB
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Anticancer agent 205 (compound 9) is a potent anticancer agent. Anticancer agent 205 binds to G4-mtDNA target and inhibits the replication, transcription, and translation of mtDNA (mitochondrial genome). Anticancer agent 205 causes mitochondrial dysfunction, increases ROS production, induces DNA damage and cellular senescence. Anticancer agent 205 induces apoptosis and cell cycle arrests at G0/G1 phase. Anticancer agent 205 has the potential for the research of colorectal cancer^[1].

In Vitro

Anticancer agent 205 (compound 9) (4 μM; 1 h) interacts with G4-mtDNA in HCT116 cells^[1].
 Anticancer agent 205 (0, 1, 2, 4 μM; 48 h) reduces the mRNA level of ND2 and ND5 in HCT116, HFF1 cells^[1].
 Anticancer agent 205 (0, 1, 2, 4 μM; 48 h) reduces the protein levels of ND3, ND4, ND6, COX1, COX2, COX3, CYTB, ATP6, and ATP8 in HCT116 cells^[1].
 Anticancer agent 205 (0-4 μM) increases the ROS level in a concentration-dependent manner^[1].
 Anticancer agent 205 (0-4 μM; 72 h) induces DNA damage and cellular senescence^[1].
 MCE has not independently confirmed the accuracy of these methods. They are for reference only.
 Cell Cytotoxicity Assay^[1]

Cell Line:	HCT116, LoVo, HeLa, HepG2, PANC-1, MDA-MB-231, HFF1, BJ cells
Concentration:	0-40 μM
Incubation Time:	48 h
Result:	Showed cytotoxicity for HCT116, LoVo, HeLa, HepG2, PANC-1, MDA-MB-231, HFF1, BJ cells with IC ₅₀ s of 3.4, 13.4, 23.5, >40, 24.8, 34.6, 32.7, >40 μM, respectively.

RT-PCR^[1]

Cell Line:	HCT116, HFF1 cells
Concentration:	0, 1, 2, 4 μM
Incubation Time:	48 h
Result:	Caused a significant reduction of mRNA levels for ND1, ND2, ND3, ND4, ND4L, ND5, COX2, ATP6, and ATP8 in HCT116, only ND2 and ND5 showed a significant reduction in HFF1 cells.

	<p>Western Blot Analysis^[1]</p> <table border="1"> <tbody> <tr> <td>Cell Line:</td> <td>HCT116 cells</td> </tr> <tr> <td>Concentration:</td> <td>0, 1, 2, 4 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>48 h</td> </tr> <tr> <td>Result:</td> <td>Showed that the protein levels of ND3, ND4, ND6, COX1, COX2, COX3, CYTB, ATP6, and ATP8 were decreased markedly.</td> </tr> </tbody> </table> <p>Cell Cycle Analysis^[1]</p> <table border="1"> <tbody> <tr> <td>Cell Line:</td> <td>HCT116 cells</td> </tr> <tr> <td>Concentration:</td> <td>0, 1, 2, 4 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>48 h</td> </tr> <tr> <td>Result:</td> <td>Induced cell cycle arrested in the G0/G1 phase with The percentage of the G0/G1 phase is increased from 50.66 to 66.01%, in a concentration-dependent manner, induces apoptosis by increases the expression of cleaved-caspase3 and the apoptosis cell increased from 2.64% to 27.4%.</td> </tr> </tbody> </table>	Cell Line:	HCT116 cells	Concentration:	0, 1, 2, 4 μ M	Incubation Time:	48 h	Result:	Showed that the protein levels of ND3, ND4, ND6, COX1, COX2, COX3, CYTB, ATP6, and ATP8 were decreased markedly.	Cell Line:	HCT116 cells	Concentration:	0, 1, 2, 4 μ M	Incubation Time:	48 h	Result:	Induced cell cycle arrested in the G0/G1 phase with The percentage of the G0/G1 phase is increased from 50.66 to 66.01%, in a concentration-dependent manner, induces apoptosis by increases the expression of cleaved-caspase3 and the apoptosis cell increased from 2.64% to 27.4%.
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In Vivo	<p>Anticancer agent 205 (5 mg/kg; i.v.; every 2 days for 16 days) inhibits tumor growth in xenograft mouse model of HCT116^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1"> <tbody> <tr> <td>Animal Model:</td> <td>male Balb/c nude mice (HCT116 cells)^[1].</td> </tr> <tr> <td>Dosage:</td> <td>5 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>i.v.; every 2 days for 16 days</td> </tr> <tr> <td>Result:</td> <td>Significantly inhibited the growth of tumors with the tumor growth reduced by 70% approximately.</td> </tr> </tbody> </table>	Animal Model:	male Balb/c nude mice (HCT116 cells) ^[1] .	Dosage:	5 mg/kg	Administration:	i.v.; every 2 days for 16 days	Result:	Significantly inhibited the growth of tumors with the tumor growth reduced by 70% approximately.								
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REFERENCES

[1]. Zheng BX, et al. Mitochondria-Selective Dicationic Small-Molecule Ligand Targeting G-Quadruplex Structures for Human Colorectal Cancer Therapy. J Med Chem. 2024 Apr 25;67(8):6292-6312.

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

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