# Intoplicine

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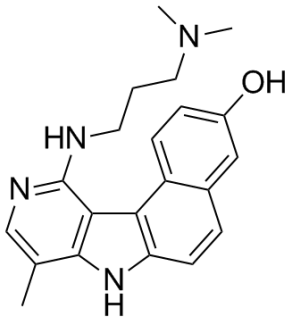
| **Cat. No.:** | HY-101647 |
| **CAS No.:** | 125974-72-3 |
| **Molecular Formula:** | $C_{21}H_{24}N_4O$ |
| **Molecular Weight:** | 348.44 |
| **Target:** | Topoisomerase |
| **Pathway:** | Cell Cycle/DNA Damage |
| **Storage:** | Please store the product under the recommended conditions in the Certificate of Analysis. |




## BIOLOGICAL ACTIVITY

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| **Description** | Intoplicine is a DNA topoisomerase I and II inhibitor. | |
| **$IC_{50}$ & Target** | Topoisomerase I | Topoisomerase II |
| **In Vitro** | Activity of Intoplicine (RP60475), a new DNA topoisomerase I and II inhibitor, against human tumor colony-forming units in vitro. Intoplicine (RP60475) is the most active analogue evaluated in the 7H-benzo[e]-pyrido-[4,3-b]-indole series of antineoplastic compounds. Intoplicine exerts its activity through inhibition of DNA topoisomerase I and II[1]. Intoplicine is found to unwind DNA and to inhibit purified calf thymus topoisomerase II via a stabilization of the ternary cleavable complex [2].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. | |

## PROTOCOL

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| **Kinase Assay** [2] | The assay is performed with various concentrations of calf thymus Topo II (20 to 0.1 decatenation units) in a 20 µL final reaction volume containing 0.25 µg of supercoiled pBR322 DNA, 20 mM Tris HC1 (pH 7.5), 60 mM KCl, 10 mM $MgCl_2$, 30 µg /mL bovine serum albumin, 0.5 mM EDTA, 0.5 mM Dithiothreitol, and 1 µM Intoplicine or water. The final nucleotide concentration is 20.8 µM.The reaction is assembled in ice and the reaction mixture is then incubated at 37°C for 5 min. Then sample is mixed at room temperature with 20 µL of preaggregated silver hydrosol and immediately analyzed by SERS. Control experiments consisting of measurement of the SERS spectra of buffer alone, Topo II alone, Intoplicine alone (1µM), DNA alone, Topo II+Intoplicine, and DNA+Intoplicine are performed under the same conditions, except that distilled water is used to adjust the reaction volume to 20µL[2].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **Cell Assay** [2] | The K562 human erythroleukemia cell line is established from a patient with chronic myelogenous leukemia. Cells are in the exponential growth phase at 5-8×$10^5$ in RPMI 1640 (GIBCO) supplemented with 10% fetal calf serum (Seromed) and 2 mM L-glutamine. Cell growth and viability are determined by phase contrast microscopy and by using the trypan blue test. Cells (2×$10^6$) are incubated with 1 µM Intoplicine for 1 h at 37°C, washed twice with PBS by centrifugation (200× g at 4°C) and resuspended in 200 µL PBS[2].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## REFERENCES

[1]. Eckardt JR, et al. Activity of Intoplicine (RP60475), a new DNA topoisomerase I and II inhibitor, against human tumor colony-forming units in vitro. J Natl Cancer Inst. 1994 Jan 5;86(1):30-3.

[2]. Morjani H, et al. Molecular and cellular interactions between Intoplicine, DNA, and topoisomerase II studied by surface-enhanced Raman scattering spectroscopy. Cancer Res. 1993 Oct 15;53(20):4784-90.



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