# Atiratecan

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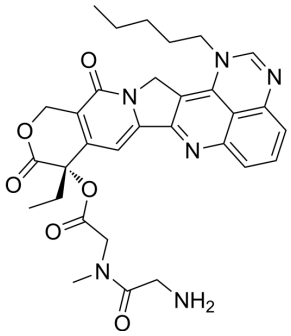
| **Cat. No.:** | HY-14833 |
| **CAS No.:** | 867063-97-6 |
| **Molecular Formula:** | $C_{31}H_{34}N_6O_6$ |
| **Molecular Weight:** | 586.64 |
| **Target:** | Topoisomerase |
| **Pathway:** | Cell Cycle/DNA Damage |
| **Storage:** | Please store the product under the recommended conditions in the Certificate of Analysis. |



## BIOLOGICAL ACTIVITY

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| **Description** | Atiratecan (TP300) is a proagent of camptothecin analog CH0793076 (HY-107096). Atiratecan does not inhibit acetylcholinesterase (AChE) activities. Atiratecan shows antitumor activity against both breast cancer resistance protein (BCRP)-positive and -negative xenografts in mouse xenograft models[1]. |
| **In Vitro** | Atiratecan (TP300) is stable in an acidic solution but is rapidly converted to CH0793076 under physiological pH conditions such as in sera[1].<br>Atiratecan has antiproliferative activity against camptothecin-resistant cell lines. Atiratecan has $IC_{50}$s of 9.4 nM and 1.1 nM for A2780 and A2780/SN75 cells, respectively[1].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **In Vivo** | Atiratecan (TP300; 47 mg/kg; IV; once per week for 3 weeks) shows more than 50% of tumor growth inhibition in all nine models, regardless of the expression of BCRP[1].<br>Atiratecan (24 mg/kg; IV; once per week for 6 weeks) in combination with capecitabine results in synergistic eVects in the HCT116 human colon cancer and NCI-N87 human gastric cancer xenograft models and an additive eVect in the WiDr human colon cancer xenograft model which is BCRP-positive and CPT-11-insensitive[1].<br>The eVective dose range of Atiratecan is between 0.30 and 47 mg/kg (MTD/$ED_{50}$=157). The toxic dose is 63 mg/kg for Atiratecan[1].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

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| Animal Model: | Five-week-old male athymic nude mice (CAnN.CgFoxn1$^{nu}$/CrlCrlj)[1] |
| Dosage: | 47 mg/kg (the maximum tolerated dose; MTD) |
| Administration: | IV; once per week for 3 weeks |
| Result: | Showed more than 50% of tumor growth inhibition in all models, regardless of the expression of BCRP. |

## REFERENCES

[1]. Endo M, et al. A water soluble prodrug of a novel camptothecin analog is efficacious against breast cancerresistance protein-expressing tumor xenografts. Cancer

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