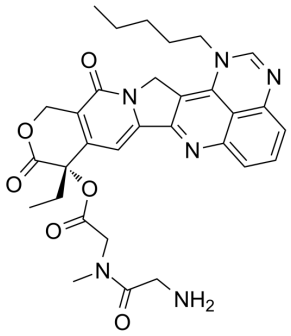
Atiratecan

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



BIOLOGICAL ACTIVITY

Description	Atiratecan (TP300) is a prodrug 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]. 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]. 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]. 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]. 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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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