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Data Sheet

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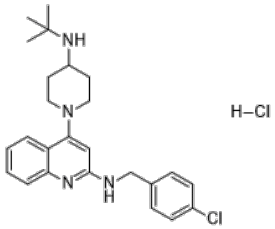
Product Name	:	Ezurpimtrostat hydrochloride
Cat. No.	:	PC-25540
CAS No.	:	1914148-73-4
Molecular Formula	:	$C_{25}H_{32}Cl_2N_4$
Molecular Weight	:	459.46
Target	:	Other Targets
Solubility	:	10 mM in DMSO



Biological Activity

Ezurpimtrostat hydrochloride (GNS561) is a novel lysosomotropic agent and autophagy inhibitor that induces lysosomal cell death, targets palmitoyl-protein thioesterase 1 (PPT1).
GNS561 induced a dramatic increase of CSC death in both HCC and liver mCRC cell lines, displays antitumor properties in HCC in vivo models.
GNS561 activates the caspase-dependent apoptosis pathway, induces lysosomal membrane permeabilization and cathepsin-dependent cell death in HepG2 cells.
GNS561 showed potent antitumor activity ranging from 0.22 uM for the most sensitive cell line (LN-18, a glioblastoma cell line) to 7.27 uM for the least sensitive cell line (OVCAR3, ovarian cancer cell line).
GNS561 was at least 10-fold more effective than HCQ in tested cancer cell lines, also displayed activity in primary HCC patient-derived cells and was on average 3-fold more potent than sorafenib.
GNS561 exhibits potent antiviral activity against SARS-CoV-2 through autophagy inhibition.

References

Sonia Brun, et al. Autophagy. 2021 Nov 5;1-17.

Bestion E, et al. Viruses. 2022 Jan 12;14(1):132. doi: 10.3390/v14010132.

Brun S, et al. J Cancer. 2021 Jul 13;12(18):5432-5438.

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