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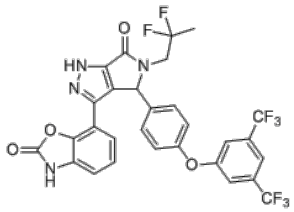
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	DS55980254
Cat. No.	:	PC-49548
CAS No.	:	2488609-41-0
Molecular Formula	:	$C_{29}H_{18}F_8N_4O_4$
Molecular Weight	:	638.46
Target	:	Other Targets
Solubility	:	10 mM in DMSO



Biological Activity

DS55980254 (DS 55980254) is potent, selective and orally active **PTDSS1 (phosphatidylserine synthetase 1)** inhibitor, suppresses phosphatidylserine (PS) production activity of PTDSS1 (IC50=100 nM) in cell-free assays, but not that of PTDSS2.
DS55980254 strongly suppressed the de novo PS synthesis in PTDSS2-KO HCT116 clone, whereas they weakly suppressed it in parental HCT116.
DS55980254 selectively suppressed the growth of PTDSS2-KO HCT116 in vitro.(
DS55980254 (10-30 mg/kg, daily, p.o.) induced tumor regression in PTDSS2-KO HCT116 and PTDSS2-KO A375 subcutaneous xenograft models, without body weight loss and severe toxicity.
DS55980254 induced selective cell death in PTDSS2-deleted cancer cells, induced ER stress downstream of PS depletion in PTDSS2-deleted tumor cells.
DS55980254 suppressed the growth of tumor containing both PTDSS2 wild-type and knockout cells in immunocompetent mice, showing potency for overcoming tumor heterogeneity by modulating the tumor immune microenvironment.

References

Yohei Yoshihama, et al. ***Cancer Res.*** 2022 Nov 2;82(21):4031-4043.

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