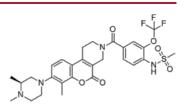


WWW.PROBECHEM.COM

Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	DS18561882
Cat. No.	:	PC-73018
CAS No.	:	2227149-22-4
Molecular Formula	:	$C_{28}H_{31}F_{3}N_{4}O_{6}S$
Molecular Weight	:	608.63
Target	:	Antifolate
Solubility	:	10 mM in DMSO



Biological Activity

DS18561882 (DS 18561882, DS18) is a potent, isozyme-selective, orally available **MTHFD2** inhibitor with IC50 of 6.3 nM, >800-fold selectivity over MTHFD1.

DS18561882 showed the strongest cell growth inhibition (GI50=140 nM) against MDA-MB-231 human breast cancer cell line.

DS18561882 demonstrated tumor growth inhibition in a mouse xenograft model upon oral administration.

DS18 specifically inhibits MTHFD2 activity and induces cell cycle arrest in HCC38 breast cancer cells.

DS18 induces apoptosis synergistically when combined with Chk1 inhibitors Y2606368 and GDC0575.

DS18561882 +Chk1 inhibitors induces DNA DSBs in vitro, dramatically inhibits tumorigenesis in triple negative-PDX model.

References

Junya Kawai, et al. *J Med Chem.* 2019 Nov 27;62(22):10204-10220.

Lee J, et al. Biochem Biophys Res Commun. 2021 Dec 20;584:7-14.