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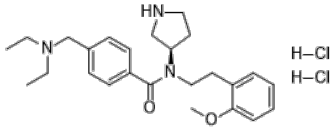
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	PF-429242 dihydrochloride
Cat. No.	:	PC-25857
CAS No.	:	2248666-66-0
Molecular Formula	:	$C_{25}H_{37}Cl_2N_3O_2$
Molecular Weight	:	482.49
Target	:	Other Targets
Solubility	:	10 mM in DMSO



Biological Activity

PF-429242 dihydrochloride (PF429242) is a potent, selective, reversible and competitive inhibitor of proprotein convertase SREBP site 1 protease (S1P, Subtilisin kexin isozyme-1/SKI-1, MBTPS1) with IC50 of 170 nM.
PF-429242 shows no significant inhibition of trypsin, elastase, proteinase K, plasmin, kallikren, factor XIa, thrombin, or furin at concentrations up to 100 μM and only modest inhibition of urokinase (IC50 = 50 μM) and factor Xa (IC50 = 100 μM).
PF-429242 prevented proteolytic processing and nuclear translocation of SREBP (complete inhibition at a dose of 10 μM) in Hep-G2 cells.
PF-429242 reduced the expression of key genes involved in cholesterol synthesis (e.g., HMG-CoA synthase; EC50 = 0.3 μM) and fatty acid synthesis (e.g., fatty acid synthase; EC50 = 2 μM) in Hep-G2 cells.
PF-429242 (10 and 30 mg/kg/dose i.p.) reduced HMG-CoA synthase gene expression in male CD1 mice.
PF-429242 efficiently prevented the processing of glycoprotein (GP) precursor from the prototypic arenavirus lymphocytic choriomeningitis virus (LCMV) and LASV.

References

Hawkins JL, et al. J Pharmacol Exp Ther. 2008 Sep;326(3):801-8.

Hay BA, et al. Bioorg Med Chem Lett. 2007 Aug 15;17(16):4411-4.

Urata S, et al. J Virol. 2011 Jan;85(2):795-803.

E-mail: tech@probechem.com