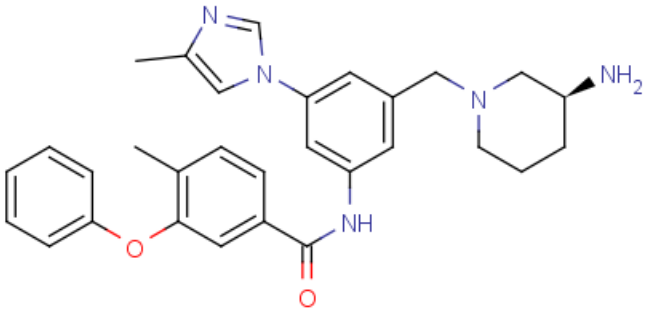
Name: PCSK9-IN-13

Cat#: EX-A7797

Chemical Structure of PCSK9-IN-13:



Chemical Name	(S)-N-(3-((3-aminopiperidin-1-yl)methyl)-5-(4-methyl-1H-imidazol-1-yl)phenyl)-4-methyl-3-phenoxybenzamide

Molecular Weight	495.6153	Storage	2 years -20°C powder 6 months -80°C in solvent Away from light
Formula	$C_{30}H_{33}N_5O_2$		
CAS No.	2244129-23-3	Synonyms	

Target: Ser/Thr Protease
Pathway: Metabolic Enzyme/Protease
Research Areas: Metabolic Disease

Biological Activities:

Description	PCSK9-IN-13(compound 3f) is a potent PCSK9 inhibitor, which can antagonize low-density lipoprotein (LDL) receptor binding by binding to PCSK9, with an IC50 of 537 nM[1].
In Vitro	PCSK9-IN-13(compound 3f) (0.1 or 1 μM) restores LDL uptake in HepG2 hepatocytes in a dose-dependent manner[1].
In Vivo	PCSK9-IN-13(compound 3f) (3.28 or 16.4 mg/kg/day, s.c., 14 days) in male C57BL/6 mice dose not show a reduction in total cholesterol at a dose of 3.28 mg/kg, however, a dose of 16.4 mg/kg shows a significant reduction of total cholesterol plasma levels by approximately 10%, and exhibits excellent bioavailability[1]. The pharmacokinetic parameters of PCSK9-IN-13(compound 3f) in C57BL/6 mice

References	[1]. Benny J. Evison, et al. A small molecule inhibitor of PCSK9 that antagonizes LDL receptor binding via interaction with a cryptic PCSK9 binding groove. Bioorganic & Medicinal Chemistry