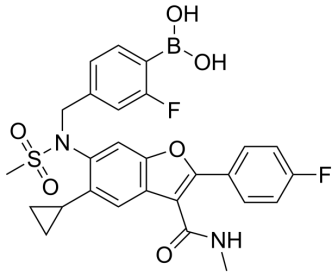
GSK5852

Cat. No.:	HY-150760
CAS No.:	1331942-30-3
Molecular Formula:	$C_{27}H_{25}BF_2N_2O_6S$
Molecular Weight:	554.37
Target:	HCV
Pathway:	Anti-infection
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	GSK5852 (GSK2485852) is an HCV NS5B polymerase inhibitor, with an IC_{50} value of 50 nM. GSK5852 displays antiviral activity and inhibits HCV with EC_{50}s of 3.0 nM (genotype 1a, GT1a) and 1.7 nM (GT1b), respectively[1][2][3].
IC_{50} & Target	Target: 50 nM (NS5B, HCV)[1]
In Vitro	Nonstructural protein 5B (NS5B) RNA-dependent RNA polymerase (RdRp) is a component of HCV, for researching HCV infection-related diseases[1]. GSK5852 (compound 87) inhibits aggregation by two mechanisms: 1) stabilizing β-flap in a closed inactive state to inhibit the initiation step of the RdRp RNA replication cycle; 2) disruption of RNA processing channels through direct spatial contact[1]. GSK5852 is a non-nucleoside NS5B inhibitor and exhibits inhibitory effect on HCV mutant variants with EC_{50}s of 3.2 nM (GT1a C316Y), 1.9 nM (GT1b C316N), respectively[1]. GSK5852 displays an excellent resistance profile and shows a <5-fold potency loss across the clinically important NS5B resistance mutations[2]. GSK5852 shows no cross-resistance against known resistance mutations in NS5B[2]. GSK5852 (compound 3) (0-10 μM) blocks the initiation step of NS5B polymerase cycle[3]. GSK5852 (0.6, 10 μM; 0-75 h) shows slow binding kinetics with isolated GT1b 316N protein, and with a dissociation half-life of >40 hours[3]. GSK5852 (0.6, 10 μM; 15 min) inhibits NS5BΔ21 1b 316N with an IC_{50} value of 130 nM[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[3]

Cell Line:	HCV NS5B
Concentration:	0, 0.016, 0.08, 0.4, 2, 10 μM
Incubation Time:	
Result:	Resulted migration of CTP substrate (at 10 μM), decreased pCpG reaction product with increasing concentrations and significantly decreased at a dosage >2 μM. Indicated blocking NS5B initiation.

REFERENCES

[1]. Zhou Z, et al. Small molecule NS5B RdRp non-nucleoside inhibitors for the treatment of HCV infection: A medicinal chemistry perspective. Eur J Med Chem. 2022 Jul 8. 240:114595.

[2]. Voitenleitner C, et al. In vitro characterization of GSK2485852, a novel hepatitis C virus polymerase inhibitor. Antimicrob Agents Chemother. 2013 Nov;57(11):5216-24.

[3]. Maynard A, et al. Discovery of a potent boronic acid derived inhibitor of the HCV RNA-dependent RNA polymerase. J Med Chem. 2014 Mar 13;57(5):1902-13.

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