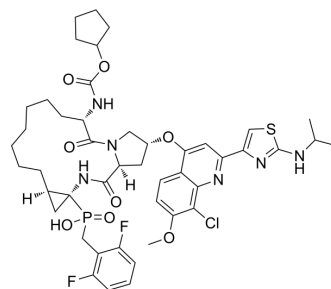


GS-9256

Cat. No.:	HY-16593
CAS No.:	1001094-46-7
Molecular Formula:	C ₄₆ H ₅₆ ClF ₂ N ₆ O ₈ PS
Molecular Weight:	957.46
Target:	HCV Protease
Pathway:	Anti-infection; Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	GS-9256 is a selective HCV NS3 protease inhibitor. GS-9256 has good pharmacokinetic properties and antiviral activity ^[1] .					
In Vitro	GS-9256 (0.002-0.183 μM) has a mean EC ₅₀ value of 20 nM in GT1b huh-luc cells with a replicon encoding luciferase ^[1] . GS-9256 (3 μM) retains wild-type activity against all NS5B and NS5A inhibitor-resistant mutations tested and is metabolically stable in microsomes and hepatocytes including rodents, dogs and humans ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.					
In Vivo	GS-9256 (1 mg/kg, i.v., 30 min) is highly bioavailable in mice (near 100%) and moderately bioavailable in rats (14%), dogs (21%) and monkeys (14%). The elimination half-life is approximately 2 hours in mice, 0.6 hours in rats, 5 hours in dogs, and 4 hours in monkeys ^[1] . The pharmacokinetic parameters of GS-9256(IV, 2 mg/kg mouse and 1 mg/kg rat, dog, monkey; Oral, 50 mg/kg mouse, 5 mg/kg rat and monkey, 4 mg/kg dog)					
	Parameters	CD-1 mouse	Sprague Dawley rat	Beagle dog	Cynomolgus monkey	
Intravenous	CL(L/h/kg)	2.0	1.26	0.04	0.33	
	Vss (L/kg)	2.3	0.16	0.09	0.27	
	t _{1/2} (h)	2.35	0.61	4.88	3.95	
	MRT(h)	1.15	0.13	2.11	0.82	
Oral	T _{max} (h)	3.00	0.67	2.00	2.67	
	C _{max} (nM)	11116	265	4369	604	
	t _{1/2} (h)	1.31	0.53	4.22	4.42	

AUC _{0-∞} (nM*h)	58959	445	21043	2304
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F(%)	Complete	13.9	21	14
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MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Huiling Yang, et al. Preclinical characterization of the novel HCV NS3 protease inhibitor GS-9256. *Antivir Ther.* 2017;22(5):413-420.

Caution: Product has not been fully validated for medical applications. For research use only.

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