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Zuschläge

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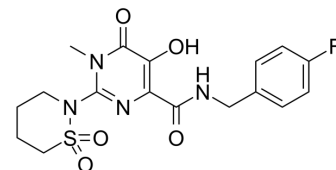
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BMS-707035

Cat. No.:	HY-13269		
CAS No.:	729607-74-3		
Molecular Formula:	C ₁₇ H ₁₉ FN ₄ O ₅ S		
Molecular Weight:	410.42		
Target:	HIV; HIV Integrase		
Pathway:	Anti-infection; Metabolic Enzyme/Protease		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro	DMSO : 50 mg/mL (121.83 mM; Need ultrasonic)				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	Preparing Stock Solutions	1 mM	2.4365 mL	12.1826 mL	24.3653 mL
		5 mM	0.4873 mL	2.4365 mL	4.8731 mL
10 mM		0.2437 mL	1.2183 mL	2.4365 mL	
Please refer to the solubility information to select the appropriate solvent.					
In Vivo	1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (6.09 mM); Clear solution 2. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (6.09 mM); Clear solution				

BIOLOGICAL ACTIVITY

Description	BMS-707035 is a potent orally active HIV-1 integrase strand transfer inhibitor (INSTI). BMS-707035 has enzyme inhibitory with an IC ₅₀ value of 3 nM. BMS-707035 also has weak CYP inhibitor and antiviral activity. BMS-707035 can be used for the research of human immunodeficiency virus-1 (HIV-1) ^[1] .
In Vitro	BMS-707035 has antiviral activity with EC ₅₀ values of 2 nM and 17 nM in the presence of 10% FBS and 15 mg/mL human serum albumin, respectively ^[1] . BMS-707035 has high plasma protein binding and not overtly cytotoxicity to several cell lines, with CC ₅₀ value of ≥45 μM ^[1] . BMS-707035 has a relatively weak CYP inhibitor with IC ₅₀ value of ≥40 μM ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

BMS-707035 has a low clearance effect in the rat, dog and monkey with moderate to long elimination half-lives in all species [1].

Pharmacokinetic Parameters of BMS-707035 in rat, dog and monkey (IV)^[1].

	Rat	Monkey	Dog
IV dose (mg/kg)	0.87	1	1
CL (ml/min/kg)	9.7	6.8	2.0
T _{1/2} (h)	4.0	6.5	6.0
V _{ss} (L/kg)	0.86	0.87	0.45
PO dose (mg/kg)	4.4	5	5.2
C _{max} (μM)	4.51	6.12	72.8
t _{max} (h)	0.25	0.25	0.25
AUC (μM*h)	19.1	19.2	162
F (%)	86	56	129

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Int J Antimicrob Agents. 2019 Dec;54(6):814-819.

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REFERENCES

[1]. B Narasimhulu Naidu, et al. The discovery and preclinical evaluation of BMS-707035, a potent HIV-1 integrase strand transfer inhibitor. Bioorg Med Chem Lett. 2018 Jul 1;28(12):2124-2130.

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

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