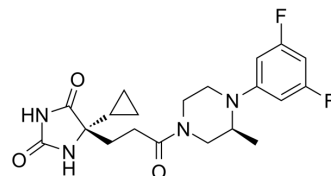


Aldumastat

Cat. No.:	HY-137430		
CAS No.:	1957278-93-1		
Molecular Formula:	C ₂₀ H ₂₄ F ₂ N ₄ O ₃		
Molecular Weight:	406.43		
Target:	Others		
Pathway:	Others		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro	DMSO : 100 mg/mL (246.04 mM; Need ultrasonic)			
		Solvent Concentration	Mass	
			1 mg	5 mg
			10 mg	
Preparing Stock Solutions	1 mM	2.4604 mL	12.3022 mL	24.6045 mL
	5 mM	0.4921 mL	2.4604 mL	4.9209 mL
	10 mM	0.2460 mL	1.2302 mL	2.4604 mL
Please refer to the solubility information to select the appropriate solvent.				
In Vivo	<ol style="list-style-type: none"> Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 5 mg/mL (12.30 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 5 mg/mL (12.30 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 5 mg/mL (12.30 mM); Clear solution 			

BIOLOGICAL ACTIVITY

Description	Aldumastat (GLPG1972; S201086) is a potent, selective and orally active ADAMTS-5 (IC ₅₀ =19 nM) inhibitor, and has 8-fold selectivity over ADAMTS-4 (IC ₅₀ =156 nM). Aldumastat has anticatabolic activity and is used for osteoarthritis research ^[1] .			
IC₅₀ & Target	ADAMTS-5 19 nM (IC ₅₀)	ADAMTS-4 156 nM (IC ₅₀)	MMP-2 1158 nM (IC ₅₀)	MMP-14 >3198 nM (IC ₅₀)
In Vivo	GLPG1972 (oral gavage; 5 mg/kg; single dose) displays a favorable PK profile in mice, rats, and dogs. The oral availability			

(F%) for mice, rats, and dogs are 25%, 58% and 97%, respectively^[1].

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

REFERENCES

[1]. Franck Brebion, et al. Discovery of GLPG1972/S201086, a Potent, Selective, and Orally Bioavailable ADAMTS-5 Inhibitor for the Treatment of Osteoarthritis. J Med Chem. 2021 Mar 25;64(6):2937-2952.

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

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