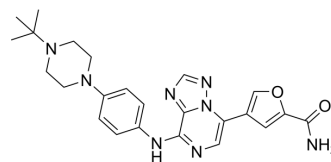


## GLPG0259

Cat. No.:	HY-136990		
CAS No.:	959754-85-9		
Molecular Formula:	C <sub>24</sub> H <sub>28</sub> N <sub>8</sub> O <sub>2</sub>		
Molecular Weight:	460.53		
Target:	Others		
Pathway:	Others		
Storage:	Powder	-20°C	3 years
	In solvent	-80°C	6 months
		-20°C	1 month



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : 3.33 mg/mL (7.23 mM; ultrasonic and warming and heat to 60°C)

Concentration	Mass		
	1 mg	5 mg	10 mg
1 mM	2.1714 mL	10.8571 mL	21.7141 mL
5 mM	0.4343 mL	2.1714 mL	4.3428 mL
10 mM	---	---	---

Please refer to the solubility information to select the appropriate solvent.

### BIOLOGICAL ACTIVITY

#### Description

GLPG0259 is a ATP-competitive inhibitor of MAPK-activated protein kinase 5 (MK5) with oral activity. GLPG0259 reduces inflammation and bone destruction in a mouse model of collagen-induced arthritis. GLPG0259 also inhibited the metastasis of prostate cancer (PCa) cells<sup>[1][2]</sup>.

#### IC<sub>50</sub> & Target

MAPK-activated protein kinase 5 (MK5)<sup>[1]</sup>

#### In Vitro

GLPG0259 reduces inflammation and release of bone degrading mediators, but did not affect phosphorylation of c-jun NH(2)-terminal protein kinase (JNK), ERK, and p38 MAP kinase<sup>[1]</sup>.

GLPG0259 (1-5 μM; 48 h) leads to the reduction and remodeling of actin filamentation in prostate cancer (PCa) cell lines, LNCaP and PC3<sup>[2]</sup>.

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

#### In Vivo

GLPG0259 (2 mg/kg, 10 mg/kg; i.p.; twice weekly for 7 weeks) impairs tumor cells lung metastasis in SCID beige mice bearing prostate cancer (PCa) cell lines P3 cells (i.v.)<sup>[1]</sup>.

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

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## REFERENCES

- [1]. Westhovens R, et al. Oral administration of GLPG0259, an inhibitor of MAPKAPK5, a new target for the treatment of rheumatoid arthritis: a phase II, randomised, double-blind, placebo-controlled, multicentre trial. *Ann Rheum Dis*. 2013 May;72(5):741-4.
- [2]. Khalil MI, et al. The TLK1-MK5 Axis Regulates Motility, Invasion, and Metastasis of Prostate Cancer Cells. *Cancers (Basel)*. 2022 Nov 22;14(23):5728.
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**Caution: Product has not been fully validated for medical applications. For research use only.**

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