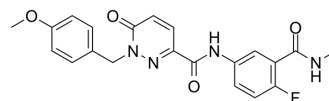


Antitrypanosomal agent 15

Cat. No.:	HY-155103
CAS No.:	2961381-94-0
Molecular Formula:	C ₂₁ H ₁₉ FN ₄ O ₄
Molecular Weight:	410.4
Target:	Proteasome; Parasite
Pathway:	Metabolic Enzyme/Protease; Anti-infection
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Antitrypanosomal agent 15 (compound 26) is an orally active, brain-penetrant, selective inhibitor against <i>Trypanosoma cruzi</i> proteasome, with an pIC_{50} of 7.4 and <4 for T. cruzi and human proteasome, respectively. Antitrypanosomal agent 15 has favorable ADME properties and can be used for Chagas disease study ^[1] .
In Vitro	Antitrypanosomal agent 15 (compound 26) has pEC_{50} of 6.1 and 4.4 against intracellular T. cruzi amastigotes and host VERO cells, and has efflux ratio of 1.8 and FaSSIF (the fasted state simulated intestinal fluid solubility) of 339 μ M ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Antitrypanosomal agent 15 (compound 26) (50 mg/kg b.i.d for 20 days, p.o.) shows good solubility and permeability, but has no curative towards in chronic mouse model of Chagas disease ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Model:	The chronic mouse model of Chagas disease ^[1]
Dosage:	50 mg/kg b.i.d for 20days
Administration:	Oral gavage (p.o.)
Result:	Was not curative . Was above EC ₉₉ for approximately 5 h after the first dose on day 1, but dropped to less than 2 h after the first dose by day 20.

REFERENCES

[1]. Thomas MG, et al. Structure-Guided Design and Synthesis of a Pyridazinone Series of Trypanosoma cruzi Proteasome Inhibitors. J Med Chem. 2023;66(15):10413-10431.

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

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