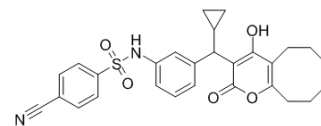


PNU-103017

Cat. No.:	HY-19236
CAS No.:	166335-18-8
Molecular Formula:	C ₂₈ H ₂₈ N ₂ O ₅ S
Molecular Weight:	504.6
Target:	HIV Protease; HIV
Pathway:	Anti-infection; Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	PNU-103017 is an HIV protease inhibitor.
IC₅₀ & Target	HIV protease ^[1]
In Vivo	<p>PNU-103017 is a selective HIV aspartyl protease inhibitor under evaluation as a potential oral treatment of Acquired Immunodeficiency Diseases. PNU-103017 is a racemic mixture of two enantiomers, designated PNU-103264 (R-) and PNU-103265 (S-). The C_{max} (P≤0.0349), C_{min} (P≤0.0168), and C_{av} (P≤0.0118) are significantly higher for the (R)- than the (S)- enantiomer, showing enantioselective pharmacokinetics of PNU-103017 in the dog^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

PROTOCOL

Animal Administration ^[1]	<p>Rats and dogs are used in this study. In preclinical toxicology studies, dogs (three per gender per dose) receive 50, 100, 200, or 250 mg/kg/day, and rats (three per gender per dose) receive 80, 240, or 720 mg/kg/day PNU-103017 in a 0.5 N sodium hydroxide aqueous solution orally, twice daily, 8 and 16 h apart, respectively, for 14 days. Sequential blood specimens are collected at 0 (prior to the first daily dosing), 1, 2, 4, 8 (prior to the second daily dosing), 9, 10, 12, 16 (for dog only), and 24 h after the first daily dosing on treatment days 1, 8, and 14 for the dog and rat studies. The samples are placed into heparinized tubes, and the plasma is separated by centrifugation and stored at or below -10°C until analysis^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
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REFERENCES

[1]. Williams MG, et al. Stereospecific determination of an HIV aspartyl protease inhibitor, PNU-103017, in rat, dog and human plasma using a Pirkle-concept high-performance liquid chromatographic column.

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

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