Product Data Sheet

LY191704

Cat. No.: HY-118091 CAS No.: 146117-78-4 Molecular Formula: $C_{14}H_{16}CINO$ Molecular Weight: 249.74

Target: 5 alpha Reductase

Pathway: Metabolic Enzyme/Protease

Storage: Please store the product under the recommended conditions in the Certificate of

Analysis.

Relative stereochemistry

BIOLOGICAL ACTIVITY

Description	LY191704, as a benzoquinolinone, is a potent, nonsteroidal, noncompetitive and selective human type I 5α -reductase inhibitor. LY191704 is a racemic mixture of the compounds LY300502 and LY300503. LY191704 may be useful in the research of human endocrine disorders associated with overproduction of dihydrotestosterone (DHT) by 5α -reductase type $1^{[1][2]}$.	
IC ₅₀ & Target	Human Type I 5α -Reductase $^{[1][2]}$	
In Vitro	LY191704 (0.001-100 uM) inhibits the conversion of testosterone to DHT with an IC $_{50}$ of 10 nM in Hs68 cells. LY191704 inhibits the enzyme expressed by the human cells with an IC $_{50}$ of 12 nM but is virtually inactive against the 5 α -reductase expressed by rat prostate cells. LY191704 is a potent and specific inhibitor of human 5 α -reductase type 1 but had little or no activity against human 5 α -reductase type 2 or rat 5 α -reductase type 1. A K $_i$ value of 17.1 μ M is determined for the human type 2 enzyme, indicating that LY191704 demonstrates an 5000-fold selectivity for the human type 1 isozyme ^[2] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
In Vivo		0 mg/kg; p.o.; 1 month) increases plasma concentrations ^[1] . ently confirmed the accuracy of these methods. They are for reference only. Rats ^[1] 10, 30, or 100 mg/kg P.o.; 1 month Increased plasma concentrations.

REFERENCES

[1]. Farid NA, et al. Stereoselective disposition of the enantiomers of the benzoquinolinone LY191704, a human type I 5 alpha-reductase inhibitor. Differences between rats and dogs. Drug Metab Dispos. 1996;24(10):1162-1165.

[2]. Hirsch KS, et al. LY191704: a selective, nonsteroidal inhibitor of human steroid 5 alpha-reductase type 1. Proc Natl Acad Sci U S A. 1993;90(11):5277-5281.

 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$

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