Data Sheet (Cat.No.T79343)

TargetMol

hCYP3A4-IN-1

Chemical Properties

CAS No. :

Formula:

Molecular Weight:

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	hCYP3A4-IN-1 (compound C6) is a potent, orally active inhibitor of hCYP3A4, exhibiting IC50 values of 43.93 nM in human liver microsomes (HLMs) and 153.00 nM in the CHO-3A4 stably transfected cell line. It competitively inhibits the CYP3A4-mediated hydroxylation of N-ethyl-1,8-naphthalimide (NEN) with a Ki of 30.00 nM [1].
Targets(IC50)	Others,Cytochromes P450
In vivo	hCYP3A4-IN-1 (compound C6) demonstrated moderate metabolic stability in Human Liver Microsomes (HLM) and showed good safety in mice [1]. A single oral dose of hCYP3A4-IN-1 (100 mg/kg) significantly increased the AUC (0-inf) of midazolam (administered at 10 mg/kg via gavage) by 3.63-fold and substantially prolonged its half-life in mice to 1.66 times that of the vehicle control group [1]. Pharmacokinetic parameters for hCYP3A4-IN-1 in mice are as follows [1]: with CMC-Na + Midazolam versus C6 (25 mg/kg) + Midazolam and C6 (100 mg/kg) + Midazolam, the T max (min) were 8.00 ± 2.74, 5.83 ± 2.04, and 10.00 ± 0.00 respectively; the C max (ng/mL) were 194.20 ± 138.88, 312.00 ± 141.40, and 494.67 ± 210.22 respectively; and AUC 0-24 (ng/mLmin) were 7520.83 ± 2413.78, 14784.92 ± 3501.33, and 27330.95 ± 6664.85 respectively; while halftimes (t 1/2) (min) were 36.33 ± 14.46, 54.96 ± 20.87, and 60.37 ± 27.67 correspondingly.

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