TCS2002

Cat. No.: HY-10096

CAS No.: 1005201-24-0

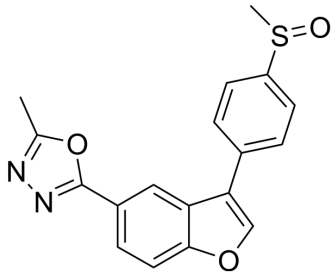
Molecular Formula: $C_{18}H_{14}N_2O_3S$

Molecular Weight: 338.38

Target: GSK-3

Pathway: PI3K/Akt/mTOR; Stem Cell/Wnt

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



BIOLOGICAL ACTIVITY

Description

TCS2002 (Compound 9b) is a highly selective, orally bioavailable and potent GSK-3β inhibitor with the IC_{50} of 35 nM. TCS2002 shows good pharmacokinetic profiles including favorable BBB penetration. TCS2002 can be used for the research of Alzheimer's disease[1].

IC_{50} & Target

GSK-3
35 nM (IC_{50})

In Vivo

TCS2002 (Compound 9b) (1-3 mg/kg;1-24 hours; GS rats andC57BL/6N mice) exhibits good pharmacokinetic profiles and favor able BBB permeability with $AUC_{0\text{-}24h}$ value is 734 ng•h/g and K_p value (ratio of brain and plasma) is 1.6[1].

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

Animal Model:	IGS rats (male, 8 weeks old)[1]
Dosage:	1 and 3mg/kg
Administration:	Intravenous injection and oral administration;for 1, 2, 4, 8, 24 hours.

Result:

comp	(S)-9b	(S)-9c
$V_{DSS,iv}$ (mL/kg)	1134	1650
$CL_{total,iv}$ (mL/min/kg)	27.4	28.4
$C_{max,po}$ (ng/mL)	396.9	289.6
$AUC_{0\text{-}24\ h,po}$ (ng·h/mL)	1380.6	1229.1
MRT_{po} (h)	2.19	3.03

F^d (%)	72.8	65.5

REFERENCES

[1]. Morihisa Saitoh, et al. 2-{3-[4-(Alkylsulfinyl)phenyl]-1-benzofuran-5-yl}-5-methyl-1,3,4-oxadiazole derivatives as novel inhibitors of glycogen synthase kinase-3beta with good brain permeability. J Med Chem. 2009 Oct 22;52(20):6270-86.

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