P163-0892

Cat. No.: HY-150972

CAS No.: 1574576-45-6

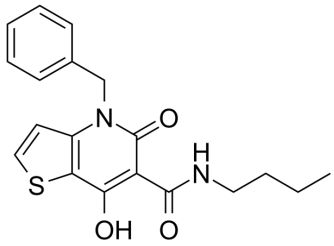
Molecular Formula: $C_{19}H_{20}N_2O_3S$

Molecular Weight: 356.44

Target: Fungal

Pathway: Anti-infection

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



BIOLOGICAL ACTIVITY

Description

P163-0892 is a potent and selective antifungal agent against Cryptococcus species. P163-0892 is predicted to show medium BBB penetration[1].

In Vitro

P163-0892 (0-32 μg/mL; 48 h) shows antifungal activity with a MIC of 0.25 μg/mL and 0.5 μg/mL against Cryptococcus neoformans and Cryptococcus gattii, respectively[1].
P163-0892 has good aqueous solubility[1].
P163-0892 has no cytotoxicity and no obvious cardiotoxicity[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

P163-0892 (10 mg/kg; 5 days) significantly extends the survival of larvae infected with either C. neoformans or C. gattii[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Wax moth larva infected with C. neoformans H99 or C. gattii WM178[1]
Dosage:	10 mg/kg
Administration:	5 days
Result:	Increased the survival rate of larvae.

Animal Model:	Male Sprague–Dawley rats weighing 200–250 g[1]
Dosage:	2 mg/kg or 5 mg/kg
Administration:	Intravenous or oral administration (Pharmacokinetic Analysis)
Result:	Pharmacokinetic Parameters of P163-0892[a][1]

route	dose (mg/kg)	$T_{1/2}$ (h)	T_{max} (h)	AUC_{0-inf} (h•ng/mL)	Cl (mL/h/kg)	MRT_{0-t} (h)	Vd_{ss} (L/kg)	BA (%)

iv	2 mg/kg	7.61		749	172	2.63	7.12	
po	5 mg/kg	15.3	3.17	NR		3.83	NR	0.8

[a]Abbreviations: iv, intravenous; po, per os; $T_{1/2}$, half-life elimination in hours; T_{max}, time of maximal concentration in hours; AUC, area under the curve; Cl, clearance; MRT, mean residence time; V_{dss}, volume of distribution at the steady state; BA, bioavailability; NR, not reportable; n = 3.

REFERENCES

[1]. Li L, et al. Discovery of Novel 7-Hydroxy-5-oxo-4,5-dihydrothieno[3,2-b]pyridine-6-carboxamide Derivatives with Potent and Selective Antifungal Activity against Cryptococcus Species. J Med Chem. 2022 Aug 3.

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