PF-04822163

Cat. No.: HY-120741

CAS No.: 1798334-07-2

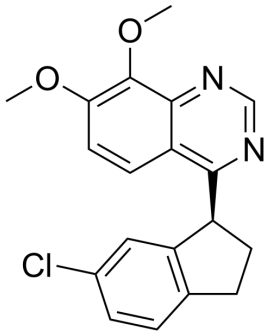
Molecular Formula: $C_{19}H_{17}ClN_2O_2$

Molecular Weight: 340.8

Target: Phosphodiesterase (PDE)

Pathway: Metabolic Enzyme/Protease

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



BIOLOGICAL ACTIVITY

Description

PF-04822163 is an orally active, selective, and blood-brain barrier permeable PDE1 inhibitor with IC_{50} values of 2 nM, 2.4 nM, and 7 nM for PDE1A, PDE1B, and PDE1C respectively. PF-04822163 can be used in the research of attention deficit hyperactivity disorder or Parkinson's disease[1].

IC_{50} & Target

PDE1A 2 nM (IC_{50})	PDE1B 2.4 nM (IC_{50})	PDE1C 7 nM (IC_{50})	PDE2A 5895 nM (IC_{50})
PDE3A >30000 nM (IC_{50})	PDE4D3 7620 nM (IC_{50})	PDE5A1 >30000 nM (IC_{50})	PDE7B >29800 nM (IC_{50})
PDE9A1 >30000 nM (IC_{50})	PDE10A1 252 nM (IC_{50})	PDE11A4 8257 nM (IC_{50})	

In Vivo

PF-04822163 (10 mg/kg; p.o.; single dose) achieved a T_{max} of 274 ng/mL in rat plasma at 0.5 h, with a terminal half-life of 5.5 h, and showed blood-brain barrier permeability[1].

Pharmacokinetic parameters in rat[1]

species	route	dose	T_{max}(h)	$t_{1/2}$(h)	f_u	B/P	CSF/P_u
rat	p.o.	10 mg/kg	0.5	-	0.028	3.4	1.7

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

REFERENCES

[1]. Humphrey J M, et al. Small-molecule phosphodiesterase probes: discovery of potent and selective CNS-penetrable quinazoline inhibitors of PDE1[J]. MedChemComm, 2014, 5(9): 1290-1296.

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

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