ITI-214 free base

Cat. No.: HY-12501

CAS No.: 1160521-50-5

Molecular Formula: $C_{29}H_{26}FN_7O$

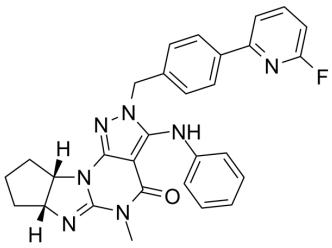
Molecular Weight: 507.56

Target: Phosphodiesterase (PDE)

Pathway: Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



BIOLOGICAL ACTIVITY

Description

ITI-214 free base is a potent, CNS-active, orally bioavailable PDE1 inhibitor (K_i of 58 pM) with excellent selectivity against other PDE family members and against a panel of enzymes, receptors, transporters and ion channels. ITI-214 free base inhibits recombinant full-length human PDE1A, PDE1B and PDE1C with K_is of 33 pM, 380 pM and 35 pM, respectively. ITI-214 free base shows efficacy in various animal models of motor and cognitive functions[1][2].

IC_{50} & Target

PDE1	PDE1A	PDE1B	PDE1C
58 pM (Ki)	33 pM (Ki)	380 pM (Ki)	35 pM (Ki)

In Vitro

ITI-214 is found to potently inhibit the activity of full-length recombinant r-hPDE1A (K_i= 34 pM), r-hPDE1B (K_i= 380 pM), and r-hPDE1C (K_i= 37 pM) enzymes transiently expressed in HEK cells. The compound expressed >1000-fold greater activity toward PDE1 isoforms compared with the next nearest PDE family enzyme, PDE4D (K_i= 33 nM) and 10,000-300,000-fold selectivity toward all other PDE enzyme families[2].

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

In Vivo

ITI-214 significantly enhances memory performance in the test with a minimum effective dose of 3 mg/kg[1].

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Animal Model:	Male Sprague-Dawley rats[1]
Dosage:	0.1-10 mg/kg
Administration:	P.o.
Result:	Significantly enhanced memory performance in the test with a minimum effective dose of 3 mg/kg.

REFERENCES

[1]. Li P, et al. Discovery of Potent and Selective Inhibitors of Phosphodiesterase 1 for the Treatment of Cognitive Impairment Associated with Neurodegenerative and Neuropsychiatric Diseases. J Med Chem. 2016 Feb 11;59(3):1149-64.

[2]. Lawrence Wennogle. Novel uses. From PCT Int. Appl. (2014), WO 2014145617 A2 20140918.

[3]. Peng Li , et al. Salt crystals. From PCT Int. Appl. (2013), WO 2013192556 A2 20131227.

[4]. Allen A. Fienberg, et al. Organic compounds. From PCT Int. Appl. (2010), WO 2010132127 A1 20101118.

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