ITI-214

Cat. No.: HY-12501A

CAS No.: 1642303-38-5

Molecular Formula: $C_{29}H_{29}FN_7O_5P$

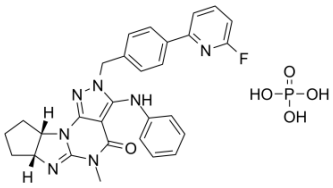
Molecular Weight: 605.56

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 30 mg/mL (49.54 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.6514 mL	8.2568 mL	16.5136 mL
5 mM	0.3303 mL	1.6514 mL	3.3027 mL
10 mM	0.1651 mL	0.8257 mL	1.6514 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.13 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.13 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.13 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ITI-214 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 inhibits recombinant full-length human PDE1A, PDE1B and PDE1C with K_is of 33 pM, 380 pM and 35 pM, respectively. ITI-214 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 expresses >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;59(3):1149-1164.

[2]. Snyder GL, et al. Preclinical profile of ITI-214, an inhibitor of phosphodiesterase 1, for enhancement of memory performance in rats. Psychopharmacology (Berl). 2016;233(17):3113-3124.

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