Irsogladine

Cat. No.: HY-B0327

CAS No.: 57381-26-7

Molecular Formula: $C_9H_7Cl_2N_5$

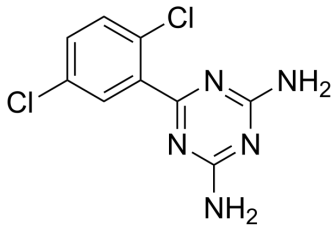
Molecular Weight: 256.09

Target: mAChR; Phosphodiesterase (PDE)

Pathway: GPCR/G Protein; Neuronal Signaling; Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 120 mg/mL (468.59 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.9049 mL	19.5244 mL	39.0488 mL
5 mM	0.7810 mL	3.9049 mL	7.8098 mL
10 mM	0.3905 mL	1.9524 mL	3.9049 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: 3 mg/mL (11.71 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 3 mg/mL (11.71 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Irsogladine is a PDE4 inhibitor and muscarinic acetylcholine receptor binder.Target: PDE4; mACHRIrsogladine treatment (300 and 500 mg/kg/day) resulted in a dose-dependent reduction of angiogenesis in wild-type mice by 21 and 45.3% ($P < 0.02$, $P < 0.001$), in tPA-deficient mice by 42.6 and 46% ($P < 0.001$, $P < 0.001$), and in uPA-deficient mice by 27.2 and 46% ($P < 0.05$, $p < 0.001$), respectively. Irsogladine inhibits bFGF-induced angiogenesis in wild-type, tPA-knockout, and uPA-knockout mice [1]. Irsogladine up-regulates GJIC between PC cells via regulation of the PKA pathway. It also suggests a useful adjuvant of Irsogladine to pancreatic cancer therapy [2]. irsogladine produces the increase of intracellular cAMP content via non-selective inhibition of PDE isozymes, which may be a key mechanism involved in its gastroprotective actions [3].

IC_{50} & Target

PDE4

CUSTOMER VALIDATION

- Cryst Growth Des. 2016, 16 (12):6714-6718.

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REFERENCES

[1]. Ren, C.J., et al., Irsogladine maleate inhibits angiogenesis in wild-type and plasminogen activator-deficient mice. J Surg Res, 1998. 77(2): p. 126-31.

[2]. Kawasaki, Y., et al., Irsogladine malate up-regulates gap junctional intercellular communication between pancreatic cancer cells via PKA pathway. Pancreas, 2002. 25(4): p. 373-7.

[3]. Kyoi, T., et al., Phosphodiesterase inhibition by a gastroprotective agent irsogladine: preferential blockade of cAMP hydrolysis. Life Sci, 2004. 75(15): p. 1833-42.

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