Sipagladenant

Cat. No.: HY-147400

CAS No.: 858979-50-7

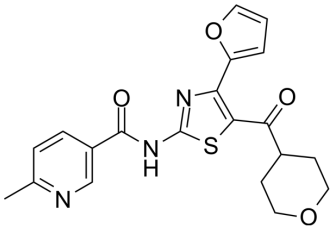
Molecular Formula: $C_{20}H_{19}N_3O_4S$

Molecular Weight: 397.45

Target: Adenosine Receptor

Pathway: GPCR/G Protein

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (125.80 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5160 mL	12.5802 mL	25.1604 mL
	5 mM	0.5032 mL	2.5160 mL	5.0321 mL
	10 mM	0.2516 mL	1.2580 mL	2.5160 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.29 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Sipagladenant (Compound I) is an orally active adenosine receptor A2A inverse agonist[1]. Sipagladenant can be used in frontal lobe dysfunction research[2].

In Vivo

Sipagladenant (oral administration; 0.3 mg/kg; once) treatment improves cognitive impairment due to a decline in dopamine function in the medial prefrontal cortex[2].
Sipagladenant (oral administration; 0.1 mg/kg; once) treatment can improve alternation behavior[2].
Sipagladenant (oral administration; 0.1 mg/kg; once) treatment can improve gait parameters[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Medial prefrontal dopaminerjic terminal-lesioned CD(SD) IGS male rat[2]
Dosage:	0.3 mg/kg

Administration:	Oral administration; 0.3 mg/kg; once
Result:	Showed longer exploration time of the novel object (65.03%) than that of the familiar object (34.97%) ($p<0.001$).

Animal Model:	ICR mice with cognitive impairment and/or movement disoder[2]
Dosage:	0.1 mg/kg
Administration:	Oral administration; 0.1 mg/kg; once
Result:	Showed a significantly high alternation behavior (69.5%) as compared to the vehicle administration group (59.6%) ($p<0.01$).

Animal Model:	ICR mice with cognitive impairment and/or movement disoder[2]
Dosage:	0.1 mg/kg
Administration:	Oral administration; 0.1 mg/kg; once
Result:	Showed a significantly large maximum contact area and gait area of the left hindpaw as compared to the vehicle administration group ($p<0.05$), tendency of the maximum contact area and gait area of the right forepaw being large ($p<0.1$).

REFERENCES

[1]. https://cdn.who.int/media/docs/default-source/international-nonproprietary-names-(inn)/pl127.pdf?sfvrsn=8544ca1e_3&download=true

[2]. Horita, Takako. THERAPEUTIC AGENT FOR FRONTAL LOBE DYSFUNCTION, WO2016148308A1.

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