Golidocitinib

Cat. No.: HY-107361

CAS No.: 2091134-68-6

Molecular Formula: $C_{25}H_{31}N_9O_2$

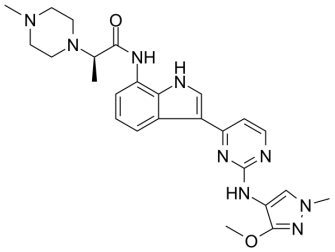
Molecular Weight: 489.57

Target: JAK

Pathway: Epigenetics; JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Stem Cell/Wnt

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 : 100 mg/mL (204.26 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0426 mL	10.2130 mL	20.4261 mL
5 mM	0.4085 mL	2.0426 mL	4.0852 mL
10 mM	0.2043 mL	1.0213 mL	2.0426 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 (5.11 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.11 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.11 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Golidocitinib (AZD4205) is a selective JAK1 inhibitor, with an IC_{50} of 73 nM, weakly inhibits JAK2 (IC_{50}>14.7 μM), and shows little inhibition on JAK3 (IC_{50}>30 μM)[1].

IC_{50} & Target

JAK1
73 nM (IC_{50})

In Vitro

Golidocitinib (Example 32) is a selective JAK1 inhibitor, with an IC_{50} of 73 nM, weakly inhibits JAK2, and shows little

	inhibition on JAK3 (IC_{50}, >14.7, >30 μM, respectively). Golidocitinib significantly inhibits STAT3 phosphorylation in NCI-H 1975 cells with an IC_{50} of 161 nM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Golidocitinib (12.5 mg/kg BID (twice daily), 25 m/kg BID or 50 mg/kg BID, p.o.) alone has increasing antitumor effects, and ehances the antitumor activity of osimertinib, compared to treatment with osimertinib alone in mice bearing NCI-H1975 cells[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Annika Birgitta Margareta ÅSTRAND, et al. Compounds and methods for inhibiting jak. WO2017050938A1.

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