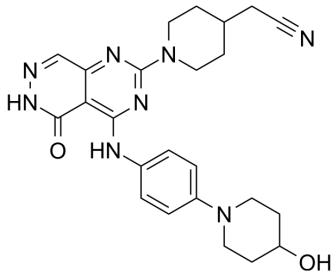
Gusacitinib



Cat. No.:	HY-103018
CAS No.:	1425381-60-7
Molecular Formula:	$C_{24}H_{28}N_8O_2$
Molecular Weight:	461
Target:	JAK; Syk
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 (216.92 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1692 mL	10.8460 mL	21.6920 mL
	5 mM	0.4338 mL	2.1692 mL	4.3384 mL
	10 mM	0.2169 mL	1.0846 mL	2.1692 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: ≥ 1.67 mg/mL (3.62 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.67 mg/mL (3.62 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Gusacitinib (ASN-002) is an orally active dual SYK/JAK kinase inhibitor with IC_{50} values of 5, 46, 4, 11 and 8 nM for SYK, JAK1, JAK2, JAK3 and TYK2, respectively. Gusacitinib rapidly and significantly suppressed key inflammatory pathways implicated in atopic dermatitis pathogenesis. Gusacitinib can be used in the research of chronic hand eczema and cancers such as basal cell carcinoma[1][2].

IC_{50} & Target

IC50: 5-46 nM (SYK, JAK)[2].

In Vitro

Gusacitinib shows anti-proliferative activity in a broad panel of human cancer cell lines including DHL6, DHL4, OCI-LY10, H929, Pfeiffer, HT-1376, and Lovo, suggesting activity in both solid and hematological tumor types[3].

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

In Vivo	Gusacitinib exhibits significant efficacy in inhibiting tumor growth (>95%), in a multiple myeloma (H929) xenograft model[3]. Gusacitinib significantly delays the onset of hind limb paralysis in the human erythroleukemia (HEL) mouse model[3]. Gusacitinib shows a favorable safety profile in rat and dog toxicology studies[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Xie Z, et al. Small-Molecule Kinase Inhibitors for the Treatment of Nononcologic Diseases. J Med Chem. 2021 Feb 11;64(3):1283-1345.

[2]. Garcia-Melendo C, et al. Janus Kinase Inhibitors in Dermatology: Part 1 - General Considerations and Applications in Vitiligo and Alopecia Areata. Actas Dermo-Sifiliográficas, 2021, 112(6): 503-515.

[3]. Sanjeeva Reddy, et al. Abstract 792: ASN002: A novel dual SYK/JAK inhibitor with strong antitumor activity. AACR 106th Annual Meeting 2015; April 18-22, 2015; Philadelphia, PA.

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