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Lieferung & Zahlungsart

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Zuschläge

- Mindermengenzuschlag
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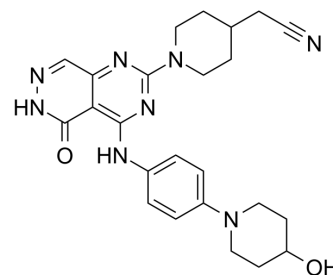
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Gusacitinib

Cat. No.:	HY-103018
CAS No.:	1425381-60-7
Molecular Formula:	C ₂₄ H ₂₈ N ₈ O ₂
Molecular Weight:	460.53
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 (217.14 mM; Need ultrasonic)					
	Preparing Stock Solutions	Solvent Concentration	Mass	1 mg	5 mg	10 mg
		1 mM		2.1714 mL	10.8571 mL	21.7141 mL
		5 mM		0.4343 mL	2.1714 mL	4.3428 mL
		10 mM		0.2171 mL	1.0857 mL	2.1714 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 (3.63 mM); Clear solution					
	2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 1.67 mg/mL (3.63 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	IC ₅₀ : 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.

CUSTOMER VALIDATION

- bioRxiv. 2022 Dec 21, 519945.

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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.

Caution: Product has not been fully validated for medical applications. For research use only.

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