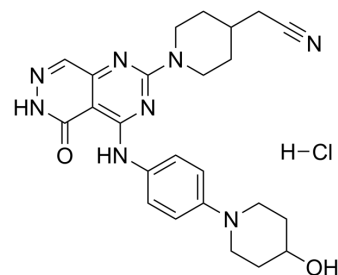


Gusacitinib hydrochloride

Cat. No.:	HY-103018A
CAS No.:	2228989-14-6
Molecular Formula:	C ₂₄ H ₂₉ ClN ₈ O ₂
Molecular Weight:	496.99
Target:	JAK; Syk
Pathway:	Epigenetics; JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Stem Cell/Wnt
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Gusacitinib (ASN-002) hydrochloride 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 hydrochloride rapidly and significantly suppressed key inflammatory pathways implicated in atopic dermatitis pathogenesis. Gusacitinib hydrochloride can be used in the research of chronic hand eczema and cancers such as basal cell carcinoma ^{[1][2]} .
IC₅₀ & Target	IC ₅₀ : 5-46 nM (SYK, JAK) ^[2] .
In Vitro	Gusacitinib hydrochloride 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 hydrochloride exhibits significant efficacy in inhibiting tumor growth (>95%), in a multiple myeloma (H929) xenograft model ^[3] . Gusacitinib hydrochloride significantly delays the onset of hind limb paralysis in the human erythroleukemia (HEL) mouse model ^[3] . Gusacitinib hydrochloride 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.

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

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