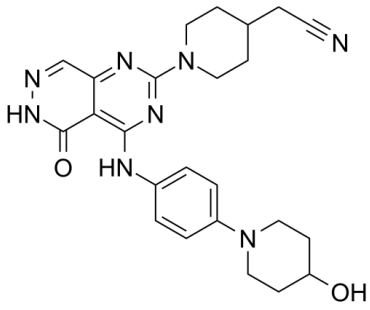
Data Sheet

Product Name:	Gusacitinib
Cat. No.:	CS-0023113
CAS No.:	1425381-60-7
Molecular Formula:	C24H28N8O2
Molecular Weight:	460.53
Target:	JAK; Syk
Pathway:	Epigenetics; JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Stem Cell/Wnt
Solubility:	DMSO : 100 mg/mL (217.14 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

Gusacitinib (ASN-002) is a potent dual inhibitor of spleen tyrosine kinase (**SYK**) and janus kinase (**JAK**) with **IC_{50}** values of 5-46 nM. IC50 & Target: IC50: 5-46 nM (SYK, JAK)[1]. **In Vitro:** In mechanistic cell-based studies involving IgE and cytokine stimulations, Gusacitinib (ASN-002) strongly suppresses the SYK and JAK family kinase signaling pathways measured as pLAT and pSTAT levels, respectively. Gusacitinib (ASN-002) 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[1]. **In Vivo:** In a multiple myeloma (H929) xenograft model, Gusacitinib (ASN-002) exhibits significant efficacy in inhibiting tumor growth (>95%). It also significantly delays the onset of hind limb paralysis in the human erythroleukemia (HEL) mouse model. Gusacitinib (ASN-002) has good oral bioavailability, metabolic stability, is not a Pgp substrate, and shows little to no inhibition of CYP450 isozymes. Gusacitinib (ASN-002) shows a favorable safety profile in rat and dog toxicology studies[1].

References:

[1]. 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.

CAIndexNames:

4-Piperidineacetonitrile, 1-[5,6-dihydro-4-[[4-(4-hydroxy-1-piperidinyl)phenyl]amino]-5-oxopyrimido[4,5-d]pyridazin-2-yl]-

SMILES:

N#CCC1CCN(C2=NC(NC3=CC=C(N4CCC(O)CC4)C=C3)=C5C(C=NNC5=O)=N2)CC1

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

Tel: 732-484-9848 Fax: 888-484-5008 E-mail: sales@ChemScene.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA