Glutaminase

Glutaminase is the initial enzyme in glutamine metabolism, which catalyzes the hydrolysis of glutamine to glutamate in cells. Glutaminase plays a key role in cancer cell metabolism, growth, and proliferation. In mammalian cells, there are two paralogous GLS genes, GLS1 (or GLS) and GLS2. GLS1 encodes two alternatively spliced isozymes: kidney glutaminase (KGA) and glutaminase C (GAC). GLS2 also encodes two isozymes: liver glutaminase (LGA) and glutaminase B.

GLS1 is ubiquitously expressed in various tissues, and its expression can be induced by the oncogene MYC. GLS1 is frequently activated and/or overexpressed in various types of cancer, including hepatocellular carcinoma (HCC). GLS1 has been reported to promote tumorigenesis in different types of cancer, including HCC, which is mainly attributable to its glutaminase activity and role in promoting glutamine metabolism. GLS has emerged as a critical enzyme in a number of cancer types. Elevated GLS2 enzymatic activity has also been correlated with tumor cell growth in vitro and in vivo. N-Myc activates GLS2 to promote conversion of glutamine to glutamate in MYCN-amplified neuroblastoma cells. Abrogation of GLS2 function profoundly inhibits glutaminolysis and dramatically decreases cell proliferation and survival in vitro and in vivo. However, there is controversy over the role of GLS2 as a tumor suppressor. Enzymatic activity independent of GLS2 is up-regulated via p53 or p63 and plays a role of tumor suppressor.

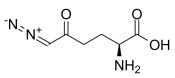
Glutaminase Inhibitors & Antagonists

6-Diazo-5-oxo-L-nor-Leucine

(L-6-Diazo-5-oxonorleucine; DON)

Cat. No.: HY-108357

L-6-Diazo-5-oxonorleucine (L-6-Diazo-5-oxonorleucine) is a **glutaminases** antagonist with a K_i of 6 μM. L-6-Diazo-5-oxonorleucine exhibits antibacterial, antiviral and anticancer properties.

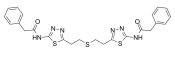


Purity: 99.92%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg

BPTES

Cat. No.: HY-12683

BPTES is an allosteric and selective **glutaminase** inhibitor with an IC_{50} of 0.16 μM.

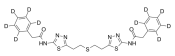


Purity: 98.08%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg

BPTES-d10

Cat. No.: HY-12683S

BPTES-d10 is the deuterium labeled BPTES. BPTES is an allosteric and selective **glutaminase** inhibitor with an IC_{50} of 0.16 μM.

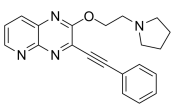


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

GK921

Cat. No.: HY-12337

GK921 is a transglutaminase 2 (**TGase**) inhibitor with an IC_{50} of 7.71 μM for human recombinant TGase 2.

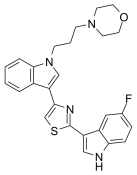


Purity: 99.92%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

GLS-1-IN-1

Cat. No.: HY-144666

GLS-1-IN-1 (compound 1d) is a **GLS-1** inhibitor. GLS-1-IN-1 shows inhibitory effect against Hep G2, MCF 7, and MCF 10A cells.



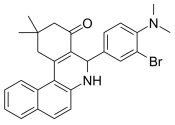
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Glutaminase C-IN-1

(Compound 968)

Cat. No.: HY-12682

Glutaminase C-IN-1 (Compound 968) is an allosteric inhibitor of **Glutaminase C** that inhibits cancer cell growth without affecting their normal cellular counterparts.



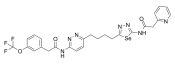
Purity: 99.61%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

Glutaminase-IN-1

(CB839 derivative)

Cat. No.: HY-114334

Glutaminase-IN-1 (CB839 derivative), a CB839 derivative, is an allosteric inhibitor of 1,3,4-selenadiazole-containing kidney-type glutaminase **(KGA)**, with an IC_{50} of 1 nM. Glutaminase-IN-1 (CB839 derivative) shows improved cellular uptake and antitumor activity.

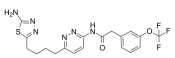


Purity: 98.65%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Glutaminase-IN-3

Cat. No.: HY-79583

Glutaminase-IN-3 (compound 657) is a potent **glutaminase** inhibitor with an IC_{50} of 0.24 μM for Glutaminase 1 (GLS1). Glutaminase-IN-3 is extracted from patent WO2014089048A1, compound 657.

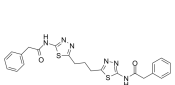


Purity: ≥95.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 50 mg, 100 mg

Glutaminase-IN-4

Cat. No.: HY-146658

Glutaminase-IN-4 (compound 2a) is a glutaminase (GLS) inhibitor with an IC_{50} of 2.3 μM.

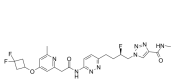


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

IPN60090

Cat. No.: HY-103671

IPN-60090 is an orally active and highly selective inhibitor of **glutaminase 1** (**GLS1**; IC_{50}=31 nM), with no activity observed against GLS-2. IPN-60090 exhibits excellent physicochemical and pharmacokinetic properties in vivo.

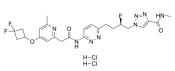


Purity: 99.14%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

IPN60090 dihydrochloride

Cat. No.: HY-103671A

IPN-60090 dihydrochloride is an orally active and highly selective inhibitor of **glutaminase 1** (**GLS1**; IC_{50}=31 nM), with no activity observed against GLS-2. IPN-60090 dihydrochloride exhibits excellent physicochemical and pharmacokinetic properties in vivo.

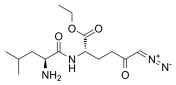


Purity: 99.05%
Clinical Data: Phase 1
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

JHU-083

Cat. No.: HY-122218

JHU-083, a prodrug of 6-diazo-5-oxo-L-norleucine (DON; HY-108357), is an orally active and selective **glutaminase** antagonist.

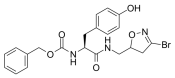


Purity: ≥95.0%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg

KCC009

Cat. No.: HY-123290

KCC009, a **transglutaminase 2** (TG2) inhibitor, induces p53-independent radiosensitization.

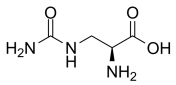


Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg, 100 mg

L-Albizziin

Cat. No.: HY-121167

L-albizziin, as a sulfhydryl group reagent, is a glutamase inhibitor. L-albizziin can be used for the research of cancer.



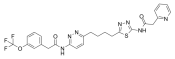
Purity: ≥98.0%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg

Telaglenastat

(CB-839)

Cat. No.: HY-12248

Telaglenastat (CB-839) is a first-in-class, selective, reversible and orally active **glutaminase 1 (GLS1)** inhibitor. Telaglenastat selectively inhibits **GLS1** splice variants **KGA (kidney-type glutaminase)** and **GAC (glutaminase C)** compared to GLS2.



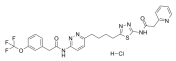
Purity: 99.82%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Telaglenastat hydrochloride

(CB-839 hydrochloride)

Cat. No.: HY-12248A

Telaglenastat (CB-839) hydrochloride is a first-in-class, selective, reversible and orally active **glutaminase 1 (GLS1)** inhibitor.

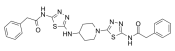


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

UPGL00004

Cat. No.: HY-119377

UPGL00004 is a potent allosteric glutaminase C **(GAC)** inhibitor (IC_{50}=29 nM; K_d=27 nM). UPGL00004 strongly inhibits the proliferation of highly aggressive triple-negative breast cancer cell lines.

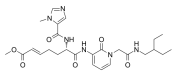


Purity: ≥98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

ZED-1227

Cat. No.: HY-19359

ZED-1227 is a specific and orally active **transglutaminase 2 (TG2)** inhibitor, with an IC_{50} of 45 nM. ZED-1227 can block inflammation-induced TG2 expression and activity. ZED-1227 can be used for the research of celiac disease (CeD).



Purity: 99.97%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg