Isocitrate Dehydrogenase (IDH)

Isocitrate dehydrogenase (IDH), one of the key enzymes in the citric acid cycle, catalyzes the oxidative decarboxylation of isocitrate to alpha-ketoglutarate (α-KG) generating carbon dioxide and NADPH/NADH. IDHs belong to a large ancient family of enzymes that play central roles in energy metabolism, amino acid biosynthesis and vitamin production.

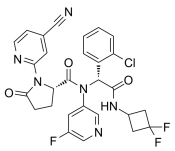
IDH protein family consists of three self-regulating enzymes (IDH1, IDH2, and IDH3). IDH1 and IDH2 are both nicotinamide adenine dinucleotide phosphate (NADP)-dependent enzymes that catalyze the oxidative decarboxylation of isocitrate to alpha-ketoglutarate (α-KG), while producing NADPH either in peroxisomes and the cytosol (IDH1) or in mitochondria (IDH2). IDH3 catalyzes the same reaction in the mitochondria, but in a NAD-dependent fashion. Mutations in IDH1 and IDH2 have been demonstrated in a variety of malignancies. IDH inhibitors have engendered hope in IDH1/2 mutant myeloid malignancies.

Isocitrate Dehydrogenase (IDH) Inhibitors

(R,S)-Ivosidenib

((R,S)-AG-120) Cat. No.: HY-18767A

(R,S)-Ivosidenib ((R,S)-AG-120) is the less active enantiomer of Ivosidenib (AG-120).

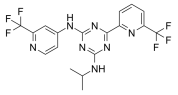


Purity: 98.12%
Clinical Data: Phase 3
Size: 5 mg, 10 mg, 50 mg, 100 mg

AGI-12026

(AGI-026) Cat. No.: HY-121736

AGI-12026 is brain-penetrant dual inhibitor of mutant IDH1 and 2. AGI-12026 shows partial inhibition of the IDH1-R132H homodimer as allosteric modulators. AGI-12026 has the potential for research of glioma.

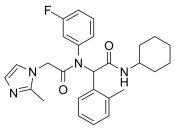


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

AGI-5198

(IDH-C35) Cat. No.: HY-18082

AGI-5198 (IDH-C35) is a potent and selective mutant **IDH1**^{R132H} inhibitor with an **IC**$_{50}$ of 0.07 μM.

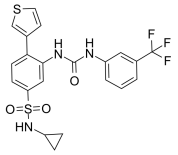


Purity: 99.77%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg

AGI-6780

Cat. No.: HY-15734

AGI-6780 that potently and selectively inhibits the tumor-associated mutant **IDH2**^{R140Q} with **IC**$_{50}$ of 23±1.7 nM. AGI-6780 is less potent against **IDH2**^{WT} with **IC**$_{50}$ of 190±8.1 nM.

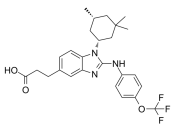


Purity: 99.31%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

BAY-1436032

Cat. No.: HY-100020

BAY-1436032 is a novel pan-mutant isocitrate dehydrogenase 1 (**IDH1**) inhibitor.

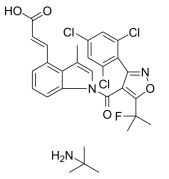


Purity: 99.09%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

DS-1001b

Cat. No.: HY-129545

DS-1001b is a mutant **IDH-1 (Isocitrate Dehydrogenase-1)** inhibitor extracted from patent WO2016052697A1, Example 168, and has antitumor activity.

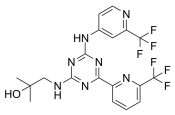


Purity: 98.90%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Enasidenib

(AG-221) Cat. No.: HY-18690

Enasidenib is an oral, potent, reversible, selective inhibitor of the **IDH2** mutant enzymes, with **IC**$_{50}$s of 100 and 400 nM against IDH2^{R140Q} and IDH2^{R172K}, respectively.

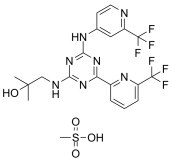


Purity: 99.97%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Enasidenib mesylate

(AG-221 mesylate) Cat. No.: HY-18690A

Enasidenib mesylate is a first-in-class, oral, potent, reversible, selective inhibitor of the **IDH2** mutant enzymes.

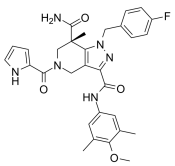


Purity: 99.74%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

GSK864

Cat. No.: HY-19540

GSK864 is an isocitrate dehydrogenase 1 (**IDH1**) mutant inhibitor; inhibits IDH1 mutants R132C, R132H, and R132G with **IC**$_{50}$ values of 8.8, 15.2 and 16.6 nM.

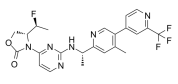


Purity: 99.29%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

IDH-305

Cat. No.: HY-104036

IDH-305 is an orally available, mutant-selective and brain-penetrant **IDH1** inhibitor that targets IDH1 (R132) mutation. IDH-305 exhibits greater than 200 fold selectivity for mutant IDH1 isoforms vs. WT (**IC**$_{50}$= 27 nM (IDH1^{R132H}), 28 nM (IDH1^{R132C}), 6.14 μM (IDH1^{WT})).



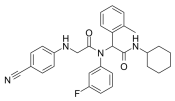
Purity: 98.75%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

Tel: 609-228-6898 Fax: 609-228-5909 Email: sales@MedChemExpress.com

IDH-C227

Cat. No.: HY-136686

IDH-C227 is a potent and selective $IDH1^{R132H}$ inhibitor. IDH-C227 has anticancer effcts.

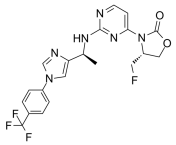


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

IDH1 Inhibitor 1

Cat. No.: HY-112601

IDH1 Inhibitor 1 is a potent, orally bioavailable, brain-penetrant and selective mutant **IDH1** inhibitor with IC_{50}s of 0.021 μM, 0.045 μM, and 2.52 μM for $IDH1^{R132H}$, $IDH1^{R132C}$, and $IDH1^{WT}$, respectively. Anticancer activity.

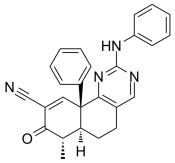


Purity: 99.96%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

IDH1 Inhibitor 2

Cat. No.: HY-128661

IDH1 Inhibitor 2 (compound 13) is a potent **wild-type IDH1** inhibitor via a direct covalent modification of His315, with an IC_{50} of 110 nM.

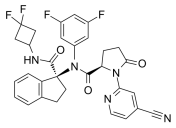


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

IDH1 Inhibitor 3

Cat. No.: HY-107977

IDH1 Inhibitor 3 (compound 6f) is a mutant **isocitric dehydrogenase 1 (IDH1)** inhibitor, with an IC_{50} of 45 nM for $IDH1^{R132H}$.

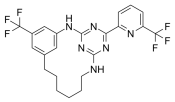


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

IDH2R140Q-IN-1

Cat. No.: HY-146002

IDH2R140Q-IN-1 (compound C6) is a potent inhibitor of $IDH2^{R140Q}$, with an IC_{50} of 6.1 nM. IDH2R140Q-IN-1 can be used for the research of acute myeloid leukemia.

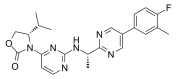


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

IDH889

Cat. No.: HY-112289

IDH889 is an orally available, brain penetrant, allosteric and mutant specific inhibitor of **isocitrate dehydrogenase 1 (IDH1)**. IDH889 has potent selectivity for IDH1 R132* mutations, with IC_{50}s of 0.02 μM, 0.072 μM and 1.38 μM for $IDH1^{R132H}$, $IDH1^{R132C}$ and $IDH1^{wt}$, respectively.



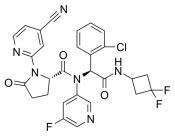
Purity: 98.54%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Ivosidenib

(AG-120)

Cat. No.: HY-18767

Ivosidenib (AG-120) is an orally active inhibitor of **isocitrate dehydrogenase 1 mutant (mIDH1) enzyme**, it exhibits profound d-2-hydroxyglutatrate (2-HG) lowering in vivo.

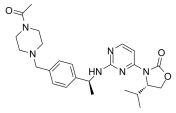


Purity: 99.78%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Mutant IDH1 inhibitor

Cat. No.: HY-13972

Mutant IDH1 inhibitor is a potent mutant **IDH1 R132H** inhibitor with IC_{50} of < 72 nM.

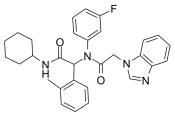


Purity: 98.69%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Mutant IDH1-IN-1

Cat. No.: HY-12475

Mutant IDH1-IN-1 is a mutant-selective **IDH1** inhibitor with with IC_{50}s of 4, 42, 80 and 143 nM against mutant IDH1 R132C/R132C, IDH1 R132H/R132H, IDH1 R132H/WT and wild type IDH1, respectively.

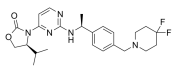


Purity: 99.53%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Mutant IDH1-IN-2

Cat. No.: HY-18717

Mutant IDH1-IN-2 is a inhibitor of mutant Isocitrate dehydrogenase (IDH) proteins, with IC50 of in LS-MS biochemical assay, IC50 of 16.6 nM in Fluorescence biochemical assay.

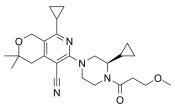


Purity: 98.50%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

Mutant IDH1-IN-4

Cat. No.: HY-114459

Mutant IDH1-IN-4 (compound 434) is an inhibitor of **mutant Isocitrate dehydrogenase 1 (IDH 1)**, with IC_{50} values of ≤ 0.5 μM for mutant IDH1 in R132H, HT1080 and U87R132H cells.

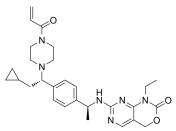


Purity: ≥99.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg

Mutant IDH1-IN-6

Cat. No.: HY-131312

Mutant IDH1-IN-6 is a potent, selective and orally active **mutant isocitrate dehydrogenase (IDH)** inhibitor with IC_{50}s of 6.27 nM, 3.71 nM, 36.9 nM and 11.5 nM for **IDH1 R132H**, **IDH1 R132C**, **IDH2 R140Q** and **IDH2 R172K mutant enzymes**, respectively.



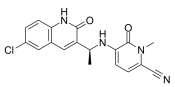
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Olutasidenib

(FT-2102)

Cat. No.: HY-114226

Olutasidenib (FT-2102) is a highly potent, orally active, brain penetrant and selective inhibitor of **mutant Isocitrate dehydrogenase 1 (IDH1)**, with IC_{50} values of 21.2 nM and 114 nM for IDH1- R132H and IDH1- R132C, respectively .

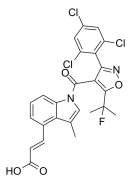


Purity: 99.30%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Safusidenib

Cat. No.: HY-145594

Safusidenib is an orally bioavailable, selective mutant **IDH1** inhibitor. Safusidenib strongly inhibits mutant IDH1 but not wild-type IDH1. Safusidenib impairs tumor activity in chondrosarcoma.



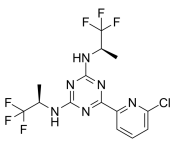
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Vorasidenib

(AG-881)

Cat. No.: HY-104042

Vorasidenib (AG-881) is an orally available, brain penetrant second-generation dual **mutant isocitrate dehydrogenases 1 and 2 (mIDH1/2)** inhibitor.

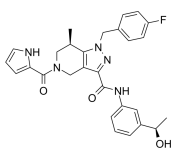


Purity: 99.87%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

WT IDH1 Inhibitor 2

Cat. No.: HY-128888

WT IDH1 Inhibitor 2 (Compound 3) is a wild-type isocitrate dehydrogenase 1 (**WT IDH1**) inhibitor with an IC_{50} value of 120 nM. WT IDH1 Inhibitor 2 as a mutant **R132H IDH1** inhibitor, is an isomer of GSK321 with some wild-type cross reactivity.



Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg