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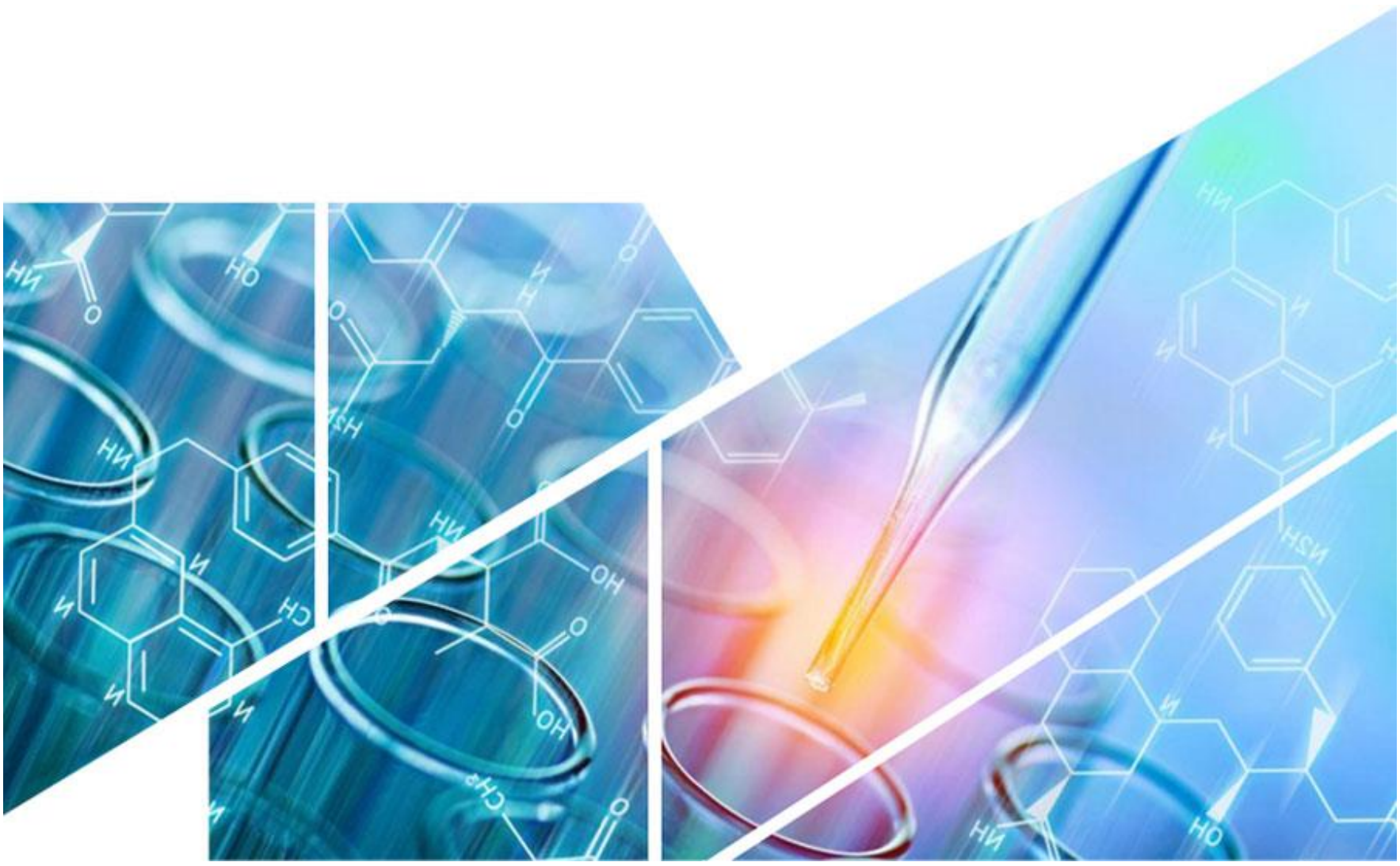
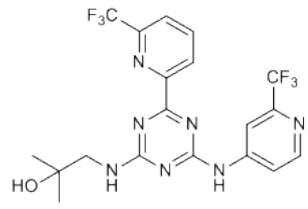
Isocitrate Dehydrogenase (IDH) Inhibitors (inhibitors, agonists and modulators)



Isocitrate dehydrogenase (IDH) is an important enzyme in the tricarboxylic acid cycle, which occurs in the mitochondrial matrix. IDH is responsible for catalyzing the reversible conversion of isocitrate to alpha-ketoglutarate and CO2 in a two-step reaction. The first step of the reaction involves the oxidation of isocitrate to the intermediate oxalosuccinate. The second step of the reaction looses the beta-carboxylate of the oxalosuccinate intermediate as carbon dioxide leaving alpha-ketogluterate. During the catalyzation of isocitrate to alpha ketoglutarate either NADH or NADPH is produced along with carbon dioxide.

Enasidenib - CAS 1446502-11-9

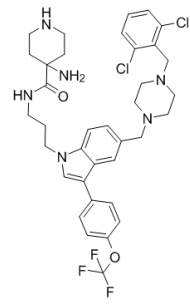


Catalog Number: B0084-470859 **Price:** $199/50 mg

Molecular Weight: 473.38 **Molecular Formula:** C19H17F6N7O

Description: Enasidenib, aslo known as AG-221 and CC-90007, is a potent and selective IDH2 inhibitor with potential anticancer activity (IDH2 = Isocitrate dehydrogenase 2).

GSK864 - CAS 1816331-66-4

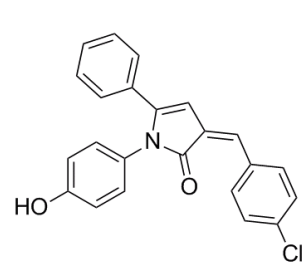


Catalog Number:

Molecular Weight: 558.6 **Molecular Formula:** C30H31FN6O4

Description: GSK864 is a cell penetrant, selective allosteric inhibitor of isocitrate dehydrogenase 1 (IDH1) mutant with IC50 values of 8.8, 15.2 and 16.6 nM for IDH1 mutants R132C, R132H, and R132G, respectively.

Enasidenib mesylate - CAS 1650550-25-6

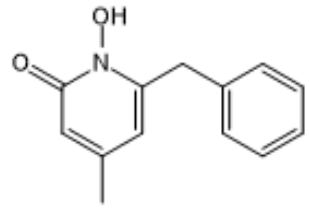


Catalog Number:

Molecular Weight: 569.48 **Molecular Formula:** C20H21F6N7O4S

Description: Enasidenib mesylate is a first-in-class, oral, potent, reversible, selective inhibitor of the IDH2 mutant enzymes indicated for the treatment of adult patients with replased or refractory acute myeloid leukemia (AML) with an isocitrate dehydrogenase-2 (IDH2) mutation.

TC-E 5008 - CAS 50405-58-8

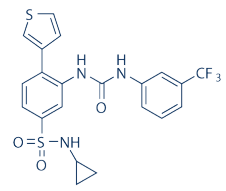


Catalog Number:

Molecular Weight: 215.25 **Molecular Formula:** C13H13NO2

Description: TC-E 5008 is a selective and cancer-associated mutant isocitrate dehydrogenase 1 (mIDH1) inhibitor (Ki = 120-190 nM), displaying >60-fold selectivity for mIDH1 (found in ~75% of gliomas) over wild type IDH1. TC-E 5008 also inhibits D-2-hydroxyglutaric acid in cells expressing mIDH1 (EC50 = 2.4 μM).

AGI-6780 - CAS 1432660-47-3

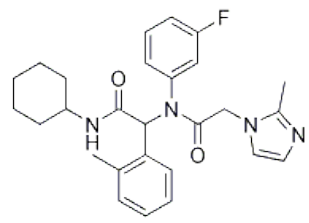


Catalog Number: 1432660-47-3

Molecular Weight: 481.508 **Molecular Formula:** C21H18F3N3O3S2

Description: AGI-6780 is an IDH2 inhibitor that potently and selectively inhibits the tumor-associated mutant IDH2/R140Q with IC50 of 23±1.7 nM. AGI-6780 is less potent against IDH2WT with IC50of 190±8.1 nM.

AGI-5198 - CAS 1355326-35-0

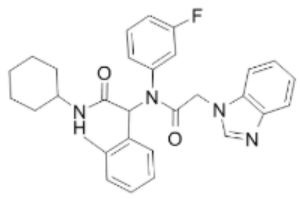


Catalog Number: 1355326-35-0

Molecular Weight: 462.56 **Molecular Formula:** C27H31FN4O2

Description: AGI-5198 is the first highly potent and selective inhibitor of IDH1 R132H/R132C mutants with IC50 of 0.07 μM/0.16 μM.

Mutant IDH1-IN-1 - CAS 1355326-21-4

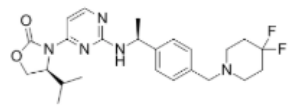


Catalog Number: 1355326-21-4

Molecular Weight: 498.59 **Molecular Formula:** C30H31FN4O2

Description: Mutant IDH1-IN-1, a mutant IDH1 R132H inhibitor, could be used in some biological studies.

Mutant IDH1-IN-2 - CAS 1429176-69-1

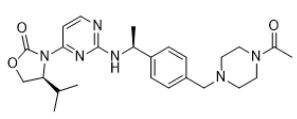


Catalog Number: 1429176-69-1

Molecular Weight: 459.53 **Molecular Formula:** C24H31F2N5O2

Description: Mutant IDH1-IN-2, aslo called as SCHEMBL14831158, is an inhibitor of mutant IDH protein. It is developed for the treatment of diseases associated with such mutant IDH proteins, such as cancer.

SCHEMBL14831541 - CAS 1429180-08-4

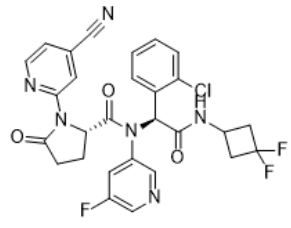


Catalog Number: 1429180-08-4

Molecular Weight: 466.58 **Molecular Formula:** C25H34N6O3

Description: SCHEMBL14831541, also called as Mutant IDH1 inhibitor, is an inhibitor of mutant IDH1 which is key enzymes found in cellular metabolism.

Ivosidenib - CAS 1448347-49-6

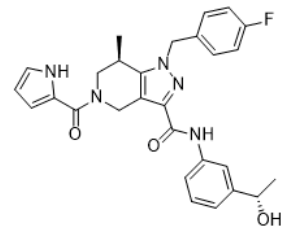


Catalog Number: 1448347-49-6

Molecular Weight: 582.96 **Molecular Formula:** C28H22ClF3N6O3

Description: Ivosidenib, also called AG-120, is an oral inhibitor that specifically inhibits a mutated form of IDH1 in the cytoplasm.

GSK321 - CAS 1816331-63-1

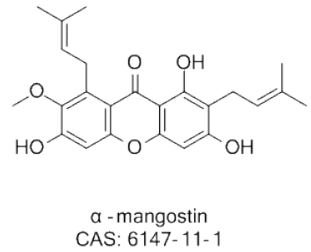


Catalog Number: 1816331-63-1

Molecular Weight: 501.56 **Molecular Formula:** C28H28FN5O3

Description: GSK321 is a highly potent, selective inhibitor of mutant IDH1 enzymes, with IC50= 4.6 nM against R132H, 3.8 nM against R132C and 2.9 nM against R132G. GSK321 stably decreased 2-hydroxyglutarate (2-HG) production in several different IDH1 mutant AML cells within a 2–3 week time frame ex vivo. Because of the allosteric nature of the interaction, GSK321 is able to inhibit multiple mutant forms of IDH1, which is consistent with our cell biological observations. Furthermore, GSK321 retains excellent potency in cells, since it does not compete with the tightly bound cofactor.

alpha-Mangostin - CAS 6147-11-1



Catalog Number:

Molecular Weight: 410.46 **Molecular Formula:** C24H26O6

Description: Alpha-mangostin, a prenylated xanthone derivative from Mangosteen, Garcinia mangostana L. (Clusiaceae), has shown to possess diverse pharmacological activities blended with profound antioxidant and antiinflammatory properties. Alpha-mangostin has been reported to inhibit COX-2 activities in vitro in C6 rat glioma cells. Besides, Alpha-mangostin is an inhibitor of mutant IDH1 (IDH1-R132H) (Ki= 2.85 μM).