Product Datasheet

Safusidenib

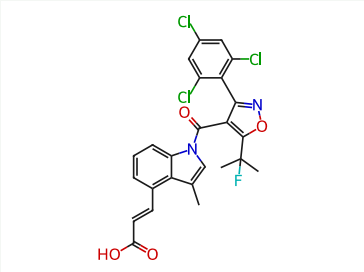
Catalog No. **BP-02286** · CAS **1898206-17-1** · Form **free base** · Physical state **solid** · Color **Light yellow to yellow** · Version **1.0** · Revision **2026-11-04**

FOR RESEARCH USE ONLY. NOT FOR HUMAN OR VETERINARY USE.

Target	Research area
Isocitrate Dehydrogenase (IDH)	**Cancer**

Molecular formula	$C_{25}H_{18}Cl_3FN_2O_4$
Molecular weight	**535.7790**

STRUCTURE



DESCRIPTION

Safusidenib (AB-291; DS-1001) is an orally bioavailable, selective mutant IDH1 inhibitor. Safusidenib strongly inhibits mutant IDH1 but not wild-type IDH1. Safusidenib impairs tumor activity in chondrosarcoma. Safusidenib exhibits activity against IDH1R132H, and IDH1R132C with IC50s of 15, and 130 nM in assays without any preincubation, respectively.

BIOLOGICAL ACTIVITY

In Vitro

Safusidenib (DS-1001b) impairs the proliferation of IDH1-mutated chondrosarcoma cell lines and decreases 2-HG levels.

Safusidenib impairs the proliferation of IDH1 mutant chondrosarcoma cell lines in a dose-dependent manner, whereas Safusidenib has little effect on the proliferation of the IDH wild-type cell lines OUMS27 and NDCS-1; GI50 values for JJ012, L835, OUMS27, and NDCS-1 cells are 81?nM (day 14), 77?nM (6 weeks), >10?μM (day 10), and >10?μM (day 10), respectively.

Safusidenib (1, and 10?μM; for 6 weeks) markedly upregulates SOX9, a key regulator of chondrocyte differentiation, at the protein level.

Safusidenib (1 μM) significantly upregulates CDKN1C at the protein level.

Safusidenib (DS-1001b) exhibits activity against IDH1 or IDH2 enzymes with IC50s of 8.4, 11, and 180 nM for IDH1R132H, IDH1R132C, and IDH1WT in assays conducted with a 2-hour preincubation step.

In Vivo

Safusidenib (DS-1001b) has antineoplastic activity in JJ012 xenografts. Continuous administration of Safusidenib (mixed with sterilized pellet food and fed continuously for 6 weeks) impairs tumor growth in xenograft mice.

SOLUBILITY

Soluble in DMSO : 100 mg/mL

REFERENCES

[1].Makoto Nakagawa, et al. Selective inhibition of mutant IDH1 by DS-1001b ameliorates aberrant histone modifications and impairs tumor activity in chondrosarcoma. Oncogene. 2019 Oct;38(42):6835-6849.

[2].Yukino Machida, et al. A Potent Blood-Brain Barrier-Permeable Mutant IDH1 Inhibitor Suppresses the Growth of Glioblastoma with IDH1 Mutation in a Patient-Derived Orthotopic Xenograft Model. Mol Cancer Ther. 2020 Feb;19(2):375-383.