

PRODUCT INFORMATION



DS-1001b

Item No. 31488

CAS Registry No.: 1898207-64-1
Formal Name: (2E)-3-[1-[[5-(1-fluoro-1-methylethyl)-3-(2,4,6-trichlorophenyl)-4-isoxazolyl]carbonyl]-3-methyl-1H-indol-4-yl]-2-propenoic acid, compd. with 2-methyl-2-propanamine (1:1)

MF: C₂₅H₁₈Cl₃FN₂O₄ • C₄H₁₁N
FW: 608.9

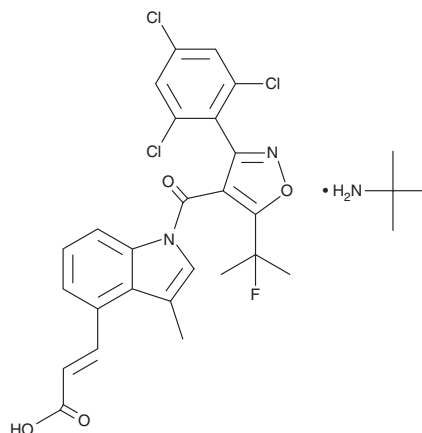
Purity: ≥98%

UV/Vis.: λ_{max}: 316 nm

Supplied as: A crystalline solid

Storage: -20°C

Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

DS-1001b is supplied as a crystalline solid. A stock solution may be made by dissolving the DS-1001b in the solvent of choice, which should be purged with an inert gas. DS-1001b is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of DS-1001b in these solvents is approximately 1 mg/ml.

Description

DS-1001b is an inhibitor of mutant isocitrate dehydrogenase 1 (IDH1; IC₅₀s = 15 and 130 nM for IDH1^{R132H} and IDH1^{R132C}, respectively).¹ It is selective for mutant IDH1, which converts α-ketoglutarate to the oncometabolite 2-hydroxyglutarate (2-HG), over wild-type IDH1 and IDH2, which convert isocitrate to α-ketoglutarate, as well as IDH2^{R140Q} and IDH2^{R172Q} (IC₅₀s = >10,000 nM for all). DS-1001b inhibits 2-HG production in TF-1 cells stably transfected with IDH1^{R132H} or IDH1^{R132C} (IC₅₀s = 29 and 35 nM, respectively) and in 293A cells transiently transfected with IDH1^{R132H} or IDH1^{R132C} (IC₅₀s = 29 and 42 nM, respectively). DS-1001b reduces tumor growth, as well as intratumoral and plasma 2-HG levels, in a subcutaneous IDH1^{R132H}-expressing A1074 patient-derived xenograft (PDX) mouse model of glioblastoma.

Reference

1. Machida, Y., Nakagawa, M., Matsunaga, H., *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.* **19**(2), 375-383 (2020).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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