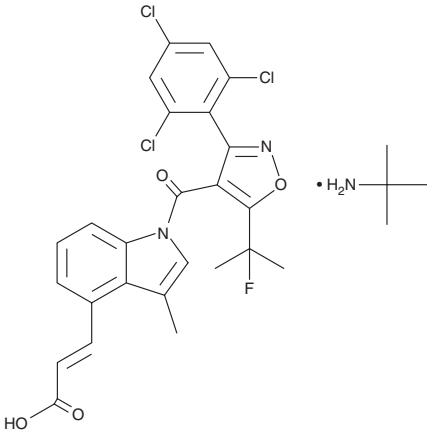
PRODUCT INFORMATION

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:	$C_{25}H_{18}Cl_3FN_2O_4 \bullet C_4H_{11}N$
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; IC_{50}s = 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 $IDH2^{R172Q}$ (IC_{50}s = >10,000 nM for all). DS-1001b inhibits 2-HG production in TF-1 cells stably transfected with $IDH1^{R132H}$ or $IDH1^{R132C}$ (IC_{50}s = 29 and 35 nM, respectively) and in 293A cells transiently transfected with $IDH1^{R132H}$ or $IDH1^{R132C}$ (IC_{50}s = 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).

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