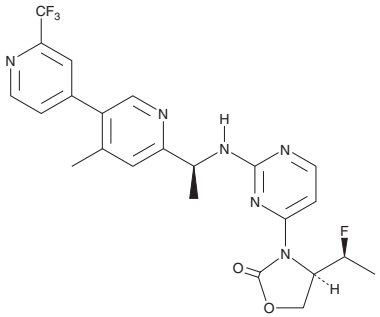
PRODUCT INFORMATION

IDH305

Item No. 24107

CAS Registry No.:	1628805-46-8
Formal Name:	(4R)-4-[(1S)-1-fluoroethyl]-3-[2-[[(1S)-1-[4-methyl-2'-(trifluoromethyl)[3,4'-bipyridin]-6-yl]ethyl]amino]-4-pyrimidinyl]-2-oxazolidinone
MF:	$C_{23}H_{22}F_4N_6O_2$
FW:	490.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 226 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

IDH305 is supplied as a crystalline solid. A stock solution may be made by dissolving the IDH305 in the solvent of choice. IDH305 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of IDH305 in these solvents is approximately 30 mg/ml.

IDH305 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, IDH305 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. IDH305 has a solubility of approximately 0.05 mg/ml in a 1:20 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

IDH305 is a potent and selective inhibitor of mutant isocitrate dehydrogenase 1 (IDH1; IC_{50}s = 27, 28, and 6,140 nM for recombinant $IDH1^{R132H}$, $IDH1^{R132C}$, and wild-type IDH1, respectively).[1] It reduces R-2-hydroxyglutarate (2-HG) production, a marker of mutant IDH1 activity, and inhibits growth of MCF-10A-$IDH1^{R132H/+}$ cells in a concentration-dependent manner but has no effect on HCT116 cells expressing mutant IDH2. IDH305 (200 mg/kg) reduces the concentration of tumor 2-HG in an HCT116-$IDH1^{R132H/+}$ mouse xenograft model. It also suppresses 2-HG production and reduces tumor progression in an HMEX2838-$IDH1^{R132C}$ patient-derived melanoma mouse xenograft model when administered at a dose of 300 mg/kg.

References

1. Cho, Y.S., Levell, J.R., Liu, G., *et al.* Discovery and evaluation of clinical candidate IDH305, a brain penetrant mutant IDH1 inhibitor. *ACS Med. Chem. Lett.* **8(10)**, 1116-1121 (2017).

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