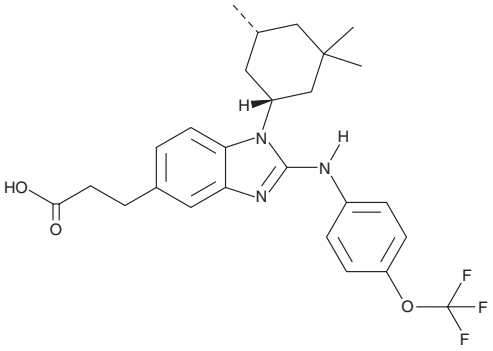
PRODUCT INFORMATION

BAY-1436032

Item No. 35709



CAS Registry No.:	1803274-65-8
Formal Name:	2-[[4-(trifluoromethoxy)phenyl]amino]-1-[(1R,5R)-3,3,5-trimethylcyclohexyl]-1H-benzimidazole-5-propanoic acid
MF:	$C_{26}H_{30}F_3N_3O_3$
FW:	489.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 295 nm
Supplied as:	A 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

BAY-1436032 is supplied as a solid. A stock solution may be made by dissolving the BAY-1436032 in the solvent of choice, which should be purged with an inert gas. BAY-1436032 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of BAY-1436032 in DMF is approximately 1 mg/ml and approximately 3 mg/ml in ethanol and DMSO.

Description

BAY-1436032 is an inhibitor of mutant isocitrate dehydrogenase 1 (IDH1; IC_{50} = 0.015 µM for both $IDH1^{R132H}$ and $IDH1^{R132C}$).[1] It is selective for mutant IDH1 over wild-type IDH1 and IDH2 (IC_{50}s = 20 and >100 µM, respectively). BAY-1436032 inhibits production of α-hydroxyglutaric acid (2-HG; Item Nos. 25894 | 16374) in HEK293 cells expressing $IDH1^{R132H}$, $IDH1^{R132C}$, $IDH1^{R132G}$, $IDH1^{R132S}$, and $IDH1^{R132L}$, but not $IDH1^{R100Q}$, $IDH2^{R172K}$, $IDH2^{R172W}$, or $IDH2^{R172M}$. It also inhibits 2-HG production in LN-229 glioblastoma and HCT116 colorectal carcinoma cells overexpressing $IDH1^{R132H}$ (IC_{50}s = 73 and 47 nM, respectively), as well as in HT-1080 sarcoma cells endogenously expressing $IDH1^{R132C}$ (IC_{50} = 135 nM). BAY-1436032 (150 mg/kg) reduces tumor growth and increases survival in an NCH551b $IDH1^{R132H}$ mutant secondary glioblastoma patient-derived xenograft (PDX) mouse model. It also induces myeloid differentiation of $IDH1^{R132C}$ mutant acute myeloid leukemia (AML) cells in mice when administered at doses of 45 and 150 mg/kg.[2]

References

1. Pusch, S., Krausert, S., Fischer, V., *et al.* Pan-mutant IDH1 inhibitor BAY 1436032 for effective treatment of *IDH1* mutant astrocytoma in vivo. *Acta Neuropathol.* **133(4)**, 629-644 (2017).
2. Chaturvedi, A., Herbst, L., Pusch, S., *et al.* Pan-mutant-IDH1 inhibitor BAY1436032 is highly effective against human IDH1 mutant acute myeloid leukemia *in vivo*. *Leukemia* **31(10)**, 2020-2028 (2017).

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.

WARRANTY AND LIMITATION OF REMEDY
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