

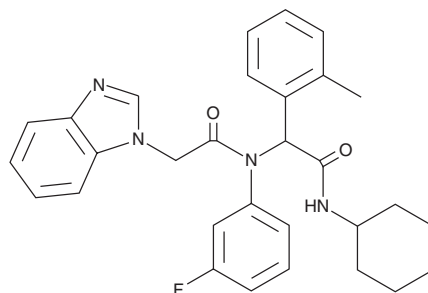
PRODUCT INFORMATION



Mutant IDH1-IN-1

Item No. 18394

CAS Registry No.: 1355326-21-4
Formal Name: N-[2-(cyclohexylamino)-1-(2-methylphenyl)-2-oxoethyl]-N-(3-fluorophenyl)-1H-benzimidazole-1-acetamide
MF: C₃₀H₃₁FN₄O₂
FW: 498.6
Purity: ≥98%
UV/Vis.: λ_{max}: 247, 274, 282 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

Mutant IDH1-IN-1 is supplied as a crystalline solid. A stock solution may be made by dissolving the mutant IDH1-IN-1 in the solvent of choice, which should be purged with an inert gas. Mutant IDH1-IN-1 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of mutant IDH1-IN-1 in these solvents is approximately 10, 20, and 30 mg/ml, respectively.

Description

Mutant IDH1-IN-1 is a selective inhibitor of the cancer-associated enzyme mutant isocitrate dehydrogenase 1 (IDH1; Item No. 14131) with IC₅₀ values of 42, 4, and 80 nM for homozygous R132H and R132C mutant and heterozygous R132H wild-type enzymes, respectively, for converting α-ketoglutarate (α-KG) to 2-hydroxyglutaric acid (2-HG).¹ It is selective for IDH1 mutant conversion of α-KG to 2-HG over homozygous wild-type enzyme conversion of isocitrate to α-KG (IC₅₀ = 1,998 nM) and over IDH2 (IC₅₀s = >10,000 nM). Mutant IDH1-IN-1 inhibits 2-HG production *in vitro* (IC₅₀ = 81.5 nM in HEK293 cells expressing IDH1^{R132H}). It allosterically binds to mutant IDH1 in a magnesium-dependent manner.

Reference

1. Deng, G., Shen, J., Yin, M., *et al.* Selective inhibition of mutant isocitrate dehydrogenase 1 (IDH1) via disruption of a metal binding network by an allosteric small molecule. *J. Biol. Chem.* **290**(2), 762-764 (2015).

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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