

PRODUCT INFORMATION



UNC8153 (trifluoroacetate salt)

Item No. 38932

CAS Registry No.: 2929304-61-8
Formal Name: N-[[4-[[[4-[[[6-aminohexyl)amino]carbonyl]phenyl]amino]carbonyl]phenyl]methyl]-N-cyclopropyl-3,4-dihydro-3-oxo-2H-1,4-benzoxazine-7-carboxamide, trifluoroacetate salt

MF: C₃₃H₃₇N₅O₅ • CF₃COOH

FW: 697.7

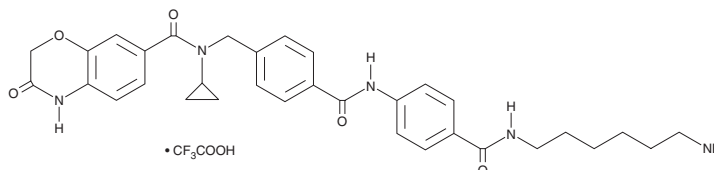
Purity: ≥95%

UV/Vis.: λ_{max}: 282 nm

Supplied as: A solid

Storage: -20°C

Stability: ≥3 years



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

Laboratory Procedures

UNC8153 (trifluoroacetate salt) is supplied as a solid. A stock solution may be made by dissolving the UNC8153 (trifluoroacetate salt) in the solvent of choice, which should be purged with an inert gas. UNC8153 (trifluoroacetate salt) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of UNC8153 (trifluoroacetate salt) in these solvents is approximately 30 mg/ml.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of UNC8153 (trifluoroacetate salt) can be prepared by directly dissolving the solid in aqueous buffers. The solubility of UNC8153 (trifluoroacetate salt) in PBS (pH 7.2) is approximately 5 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

UNC8153 is a degrader of the histone-lysine N-methyltransferase NSD2.¹ It induces its degradation of NSD2 with a 50% degradation constant (DC₅₀) value of 350 nM and is selective for NSD2 over NSD1 and NSD3 at 20 μM. UNC8153 (10 μM) induces NSD2 degradation and reduces histone H3 lysine 36 dimethylation (H3K36me₂) in MM.1S multiple myeloma cells, an effect that can be inhibited by the inhibitor of the ubiquitin-activating enzyme MLN4924 (Item No. 15217). It reduces the proliferation of MM.1S cells expressing NSD2 containing an activating glutamate-to-lysine mutation at position 1099 (NSD2^{E1099K}), but not MDA-MB-231, U2OS, or HEK293 cells expressing wild-type NSD2, when used at a concentration of 20 μM. UNC8153 (20 μM) decreases attachment of MM.1S cells in a migration assay.

Reference

1. Hanley, R.P., Nie, D.Y., Tabor, J.R., *et al.* Discovery of a potent and selective targeted NSD2 degrader for the reduction of H3K36me₂. *J. Am. Chem. Soc.* **145**(14), 8176-8188 (2023).

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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