

PRODUCT INFORMATION



DT-061

Item No. 42118

CAS Registry No.: 1809427-19-7

Formal Name: N-[(1R,2R,3S)-2-hydroxy-3-(10H-phenoxazin-10-yl)cyclohexyl]-4-(trifluoromethoxy)benzenesulfonamide

Synonyms: SMAP, Small Molecule Activator of PP2A

MF: C₂₅H₂₃F₃N₂O₅S

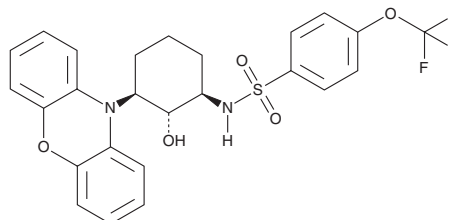
FW: 520.5

Purity: ≥98%

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

DT-061 is supplied as a solid. A stock solution may be made by dissolving the DT-061 in the solvent of choice, which should be purged with an inert gas. DT-061 is sparingly soluble (1-10 mg/ml) in DMSO.

Description

DT-061 is an activator of a heterotrimeric protein phosphatase 2A (PP2A) complex containing the PP2A-B56α regulatory B subunit.¹⁻⁴ It increases the affinity of PP2A-B56α to a PP2A-A and PP2A-C dimer, however, it does not induce phosphate release in a cell-free assay using B55α, B56α, or B56ε as the regulatory subunits.^{2,4} DT-061 decreases ceramide (Cer) and hexosylceramide molar ratios and increases sphingomyelin (SM) molar ratios in relation to phosphatidylcholine (PC) in MCF-7 cells cultured with sphingosine and disrupts Golgi and endoplasmic reticulum integrity in HeLa cells.⁴ *In vivo*, DT-061 (5 mg/kg) reduces tumor volume in an NCI H358 non-small cell lung cancer (NSCLC) mouse xenograft using cells that express wild-type PP2A-A but not those that express an arginine-to-tryptophan mutation at position 183 (PP2A-A^{R183W}), a mutation that disrupts the ability of PP2A-A to bind to PP2A-B56α.² It also increases allograft survival in a murine heart transplantation model when administered at a dose of 5 mg/kg.⁵

References

1. Sangodkar, J., Perl, A., Tohme, R., *et al.* Activation of tumor suppressor protein PP2A inhibits KRAS-driven tumor growth. *J. Clin. Invest.* **127**(6), 2081-2090 (2017).
2. Leonard, D., Huang, W., Izadmehr, S., *et al.* Selective PP2A enhancement through biased heterotrimer stabilization. *Cell* **181**(3), 688-701 (2020).
3. Leonard, D., Huang, W., Brautigan, D.L., *et al.* A reply to "Cellular toxicity of iHAP1 and DT-061 does not occur through PP2A-B56 targeting". In: CellPress. Available from: https://papers.ssrn.com/sol3/papers.cfm?abstract_id=3950751
4. Urban, K., Gkeka, A., Chandra, M., *et al.* The fentanyl-specific antibody FenAb024 can shield against carfentanil effects. *Toxicol. Lett.* **S0378-4274(24)**, 00055-9 (2024).
5. Zhou, X., Xu, Q., Li, W., *et al.* Protein phosphatase 2A activation promotes heart transplant acceptance in mice. *Transplantation* **108**(3), e36-e48 (2024).

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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