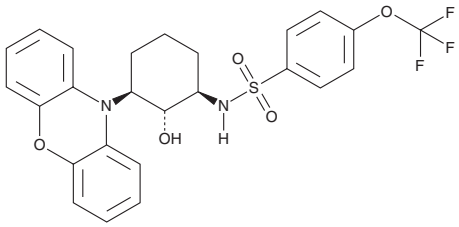
PRODUCT INFORMATION

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: $C_{25}H_{23}F_3N_2O_5S$
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).

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