

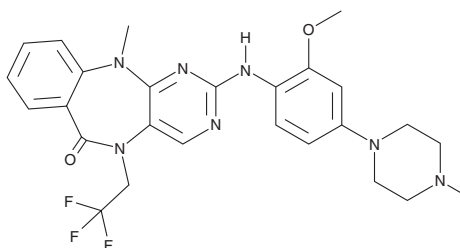
PRODUCT INFORMATION



DCLK1-IN-1 Item No. 40448

CAS Registry No.: 2222635-15-4
Formal Name: 5,11-dihydro-2-[[2-methoxy-4-(4-methyl-1-piperazinyl)phenyl]amino]-11-methyl-5-(2,2,2-trifluoroethyl)-6H-pyrimido[4,5-b][1,4]benzodiazepin-6-one
Synonyms: DCLK1 Inhibitor 1, Doublecortin-like Kinase 1-IN-1, Doublecortin-like Kinase 1 Inhibitor 1

MF: C₂₆H₂₈F₃N₇O₂
FW: 527.5
Purity: ≥95%
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

DCLK1-IN-1 is supplied as a solid. A stock solution may be made by dissolving the DCLK1-IN-1 in the solvent of choice, which should be purged with an inert gas. DCLK1-IN-1 is soluble in acetonitrile and DMSO.

Description

DCLK1-IN-1 is an inhibitor of doublecortin-like kinase 1 (DCLK1; IC₅₀ = 17 nM).¹ It is selective for DCLK1 over leucine-rich repeat kinase 2 (LRRK2), bromodomain-containing protein 4 (BRD4), and ERK5 (IC₅₀s = 7,000, >10,000, and 3,350 nM, respectively). DCLK1-IN-1 (1 μM) reduces the number of cells in primary patient-derived pancreatic cancer organoids. *In vivo*, DCLK1-IN-1 (10 mg/kg every other day) reduces plaque area and collagen levels in the aortic arches and serum levels of Tnf-α and Il-6 in an ApoE^{-/-} mouse model of atherosclerosis induced by a high-fat diet.²

References

- Ferguson, F.M., Liu, Y., Harshbarger, W., *et al.* Synthesis and structure-activity relationships of DCLK1 kinase inhibitors based on a 5,11-Dihydro-6H-benzo[e]pyrimido[5,4-b][1,4]diazepin-6-one scaffold. *J. Med. Chem.* **63**(14), 7817-7826 (2020).
- Huang, Z., Shen, S., Han, X., *et al.* Macrophage DCLK1 promotes atherosclerosis via binding to IKKβ and inducing inflammatory responses. *EMBO Mol. Med.* **15**(5), e17198 (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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