

Product Data Sheet

Product Name: MRT199665

Cat. No.: GC60256

Chemical Properties

Cas. No. 1456858-57-3

SMILES O=C1C(C)(C)C2=CN=C(NC3=CC=CC(CN4CCCC4)=C3)N=C2N1[C@H]5CCC6=C5C=CC=C6O

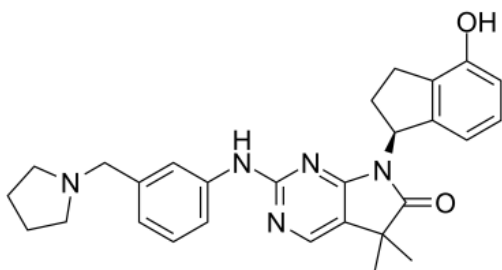
Formula $C_{28}H_{31}N_5O_2$ M.Wt 469.58

Solubility Storage Store at -20°C

General For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the tips ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Evaluation sample solution : ship with blue ice All other available size: ship with RT, or Condition blue ice upon request.

Structure



Background

MRT199665 is a potent and ATP-competitive, selective MARK/SIK/AMPK inhibitor with IC₅₀s of 2/2/3/2 nM, 10/10 nM, and 110/12/43 nM for MARK1/MARK2/MARK3/MARK14, AMPKα1/AMPKα2, and SIK1/SIK2/SIK3, respectively[1]. MRT199665 causes apoptosis in MEF2C-activated human acute myeloid leukemias (AML) cells[2]. MRT199665 inhibits the phosphorylation of SIK substrate

Caution: Product has not been fully validated for medical applications. For research use only.

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CRTC3 at S370[3].

MRT199665 (1 μ M; pre-treated for 1 h) increases LPS (100 ng/mL; stimulated for up to 24 h)-stimulated IL-10 mRNA and Nurr77 mRNA production, and IL-10 secretion[1]. MRT199665 (1 nM-100 μ M; 48 hours) reduces leukemia growth[2]. MRT199665 treatment can block MEF2C S222 phosphorylation in acute myeloid leukemias (AML) cells. MRT199665 (10 nM-1000 nM; 12 hours) leads to a dose-dependent reduction in total and pS222 MEF2C. MRT199665 also causes a decrease of total MEF2C protein[2]. Western Blot Analysis[2] Cell Line: OCI-AML2 and MOLM-13 cells

[1]. Clark K, et al. Phosphorylation of CRTC3 by the salt-inducible kinases controls the interconversion of classically activated and regulatory macrophages. *Proc Natl Acad Sci U S A*. 2012 Oct 16;109(42):16986-91. [2]. Brown FC, et al. MEF2C Phosphorylation Is Required for Chemotherapy Resistance in Acute Myeloid Leukemia. *Cancer Discov*. 2018 Apr;8(4):478-497. [3]. Hutchinson LD, et al. Salt-inducible kinases (SIKs) regulate TGF β -mediated transcriptional and apoptotic responses. *Cell Death Dis*. 2020 Jan 22;11(1):49.

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