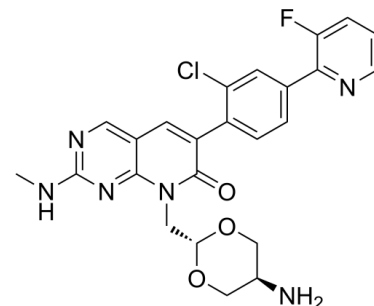


Data Sheet

Product Name:	MRIA9
Cat. No.:	CS-0182653
CAS No.:	2750707-05-0
Molecular Formula:	C ₂₄ H ₂₂ ClFN ₆ O ₃
Molecular Weight:	496.92
Target:	Apoptosis; PAK; Salt-inducible Kinase (SIK)
Pathway:	Apoptosis; Cell Cycle/DNA Damage; Cytoskeleton; Immunology/Inflammation
Solubility:	DMSO : 66.67 mg/mL (134.17 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

MRIA9 is an ATP-competitive, pan **Salt-Inducible kinase (SIK)** and **PAK2/3** inhibitor, with **IC₅₀** values of 516 nM, 180 nM and 127 nM for SIK1, SIK2 and SIK3, respectively^[1]. *In Vitro*: MRIA9 (5 µM) MRIA9 sensitizes SKOV3 cells to paclitaxel treatment through inducing pronounced apoptosis^[1].

MRIA9 (5 µM) with paclitaxel (2 nM) significantly enhances cell death in HeLa cells^[1].

MRIA9 strongly impedes centrosome function, causes mitotic spindle mispositioning in ovarian cancer cell lines, prevents the centrosome disjunction during the late G2 phase, and sensitized ovarian cancer cells and patient derived 3D-spheroids to paclitaxel treatment^[2].

In Vivo: MRIA9 shows high oral bioavailability (F = 75-80%)^[1].

References:

[1]. Roberta Tesch, et al. Structure-Based Design of Selective Salt-Inducible Kinase Inhibitors. J Med Chem. 2021 Jun 24;64(12):8142-8160.

[2]. Monika Raab, et al. The Small-Molecule Inhibitor MRIA9 Reveals Novel Insights into the Cell Cycle Roles of SIK2 in Ovarian Cancer Cells. Cancers 2021, 13(15), 3658.

CAIndexNames:

8-(((2r,5r)-5-Amino-1,3-dioxan-2-yl)methyl)-6-(2-chloro-4-(3-fluoropyridin-2-yl)phenyl)-2-(methylamino)pyrido[2,3-d]pyrimidin-7(8H)-one

SMILES:

N[C@@H](CO1CO[C@H]1CN(C2=O)C3=NC(NC)=NC=C3C=C2C4=CC=C(C(C4Cl)C5=NC=CC=C5F

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

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