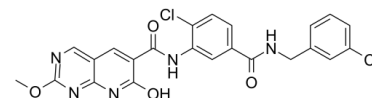


Data Sheet

Product Name:	Mirk-IN-1
Cat. No.:	CS-4224
CAS No.:	1386979-55-0
Molecular Formula:	C ₂₃ H ₁₇ Cl ₂ N ₅ O ₄
Molecular Weight:	498.32
Target:	DYRK
Pathway:	Protein Tyrosine Kinase/RTK
Solubility:	DMSO : 5 mg/mL (10.03 mM; Need ultrasonic); H ₂ O : < 0.1 mg/mL (insoluble)



BIOLOGICAL ACTIVITY:

Mirk-IN-1 is a potent inhibitor of Dyrk1B(Mirk kinase) and Dyrk1A with IC₅₀ of 68±48 nM and 22±8 nM respectively. IC₅₀ value: 68±48/22±8 nM (Dyrk1B/Dyrk1A) [1] Target: Dyrk inhibitor Mirk-IN-1 had an EC₅₀ of 1.9 ±0.2 mmol/L on SW620 cells. At a much higher concentration of 10 mmol/L in a kinase assay, Mirk-IN-1 inhibited the activities of DYRK1A, ABL, FLT3, and MARK1 by 88%, 64%, 56%, and 73%, respectively [1]. Mirk-IN-1 was able to block tumor cells from undergoing reversible arrest in a quiescent G₀ state and enable some cells to exit quiescence [2].

References:

[1]. Ewton DZ, et al. Inactivation of mirk/dyrk1b kinase targets quiescent pancreatic cancer cells. Mol Cancer Ther. 2011 Nov;10(11):2104-14.

[2]. Anderson K, et al. Pyrido[2,3-d]pyrimidines: discovery and preliminary SAR of a novel series of DYRK1B and DYRK1A inhibitors. Bioorg Med Chem Lett. 2013 Dec 15;23(24):6610-5.

CAIndexNames:

Pyrido[2,3-d]pyrimidine-6-carboxamide, N-[2-chloro-5-[[[(3-chlorophenyl)methyl]amino]carbonyl]phenyl]-7,8-dihydro-2-methoxy-7-oxo-

SMILES:

COC1=CC=C(C(NC(=O)C2=CC(Cl)=CC=C2)C3=CC4=CN=C(OC)N=C4N=C3O)=O

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

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