DYRKs-IN-1 hydrochloride

Cat. No.: HY-128758A

CAS No.: 1386980-55-7

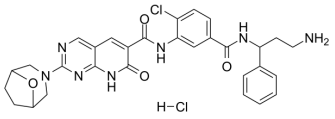
Molecular Formula: $C_{30}H_{31}Cl_2N_7O_4$

Molecular Weight: 624.52

Target: DYRK

Pathway: Protein Tyrosine Kinase/RTK

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 20.83 mg/mL (33.35 mM; ultrasonic and warming and heat to 60°C)
H_2O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.6012 mL	8.0061 mL	16.0123 mL
5 mM	0.3202 mL	1.6012 mL	3.2025 mL
10 mM	0.1601 mL	0.8006 mL	1.6012 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (3.33 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.33 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.33 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

DYRKs-IN-1 hydrochloride is a potent DYRKs (Dual-specificity tyrosine-phosphorylation-regulated kinases) inhibitor with IC_{50} s of 5 nM and 8 nM for DYRK1A and DYRK1B, respectively. DYRKs-IN-1 hydrochloride has antitumor activity[1][2].

IC_{50} & Target

DYRK1A	DYRK1B
5 nM (IC_{50})	8 nM (IC_{50})

In Vitro

DYRKs-IN-1 hydrochloride (compound 30) increases the cellular potency against the human colon tumor cell line SW 620 with an EC_{50} of 27 nM[1].

DYRKs-IN-1 hydrochloride (Example 183) is a potent DYRKs inhibitor[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. 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.

[2]. Kevin Anderson, et al. Pyrido pyrimidines. US20120184542A1.

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