# Protein kinase inhibitor 1 hydrochloride

**Cat. No.:** HY-U00439A

**CAS No.:** 2321337-71-5

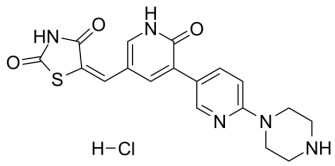
**Molecular Formula:** $C_{18}H_{18}ClN_5O_3S$

**Molecular Weight:** 419.89

**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**

$H_2O$ : 9.09 mg/mL (21.65 mM; Need ultrasonic)
DMSO : 8.33 mg/mL (19.84 mM; Need ultrasonic)

| Preparing Stock Solutions | Mass / Solvent / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 2.3816 mL | 11.9079 mL | 23.8158 mL |
| | 5 mM | 0.4763 mL | 2.3816 mL | 4.7632 mL |
| | 10 mM | 0.2382 mL | 1.1908 mL | 2.3816 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: ≥ 0.83 mg/mL (1.98 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 0.83 mg/mL (1.98 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

Protein kinase inhibitor 1 hydrochloride is a potent HIPK2 inhibitor, with $IC_{50}$s of 136 and 74 nM for HIPK1 and HIPK2, and a $K_d$ of 9.5 nM for HIPK2.

| $IC_{50}$ & Target | DYRK1 | DYRK2 |
|---|---|---|

**In Vitro**

Protein kinase inhibitor 1 hydrochloride is a potent HIPK2 inhibitor, with $IC_{50}$s of 136 and 74 nM for HIPK1 and HIPK2, and a $K_d$ of 9.5 nM for HIPK2. Protein kinase inhibitor 1 (Compound A64) is not an effective Cdk1 inhibitor ($IC_{50}$ > 10 μM). A64 is moderately selective across a panel of kinases, with $K_d$s of 3.7 nM (PIM3), 6.1 nM (CSNK2A2), 6.1 nM (CSNK2A2), 8.8 nM (DYRK1A), 9.5 nM (DAPK1), 31 nM (CSNK2A1), 37 nM (PIM1), 130 nM (DRAK2), 150 nM (CLK2), 190 nM (DRAK1), 220 nM (ULK2), 240 nM (CLK1), 250 nM (DYRK2), and 390 nM (ERK8) and $IC_{50}$s of 19 nM (DYRK1A), 62 nM (DYRK1B), and 74 nM (HIPK2)[1].

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

## CUSTOMER VALIDATION

- EBioMedicine. 2022 Sep 28;85:104274.
- EBioMedicine. 2021 Nov 24;74:103713.
- J Biochem Mol Toxicol. 2021 Mar 9.

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## REFERENCES

[1]. Miduturu CV, et al. High-throughput kinase profiling: a more efficient approach toward the discovery of new kinaseinhibitors. Chem Biol. 2011 Jul 29;18(7):868-79.



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