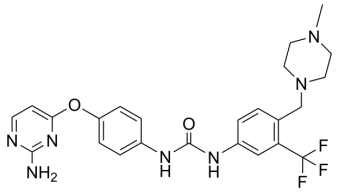
AUZ 454

Cat. No.:	HY-15004
CAS No.:	853299-07-7
Molecular Formula:	$C_{24}H_{26}F_3N_7O_2$
Molecular Weight:	501.5
Target:	CDK
Pathway:	Cell Cycle/DNA Damage
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (498.50 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9940 mL	9.9701 mL	19.9402 mL
	5 mM	0.3988 mL	1.9940 mL	3.9880 mL
	10 mM	0.1994 mL	0.9970 mL	1.9940 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 (4.15 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.15 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.15 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

AUZ 454 (K03861) is a type II CDK2 inhibitor with K_d of 8.2 nM. AUZ 454 (K03861) inhibits CDK2 activity by competing with binding of activating cyclins.

IC_{50} & Target

CDK2(C118L/A144C) 9.7 nM (Kd)	CDK2(A144C) 15.4 nM (Kd)	CDK2(C118L) 18.6 nM (Kd)	CDK2(WT) 50 nM (Kd)
CDK2(C118L/A144C-Cyclin B)			

	134.1 nM (Kd)
In Vitro	AUZ 454 (K03861) (10-20 μM; 1, 2, 3, and 4 days) has a inhibitory effect on Caki-1 and ACHN cells with WTAP overexpression by CCK8 assays[2]. AUZ 454 (K03861) (10 μM; 24 hours) decreases the colony fold in Caki-1 and ACHN cells through a CDK2-dependent mechanism[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only. Cell Proliferation Assay[2]

Cell Line:	Caki-1 and ACHN cells
Concentration:	10 μM; 20 μM
Incubation Time:	1, 2, 3, and 4 days
Result:	Inhibited cell proliferation in a CDK2-dependent manner.

CUSTOMER VALIDATION

- J Exp Clin Cancer Res. 2018 Feb 27;37(1):40.
- Br J Cancer. 2023 Apr 29.
- Cancers (Basel). 2022, 14(14), 3361.

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REFERENCES

[1]. Alexander LT et al. Type II Inhibitors Targeting CDK2. ACS Chem Biol. 2015 Sep 18;10(9):2116-25.

[2]. Tang J,et al. Wilms' tumor 1-associating protein promotes renal cell carcinoma proliferation by regulating CDK2 mRNA stability.J Exp Clin Cancer Res. 2018 Feb 27;37(1):40.

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