ASTX029

Cat. No.: HY-126288

CAS No.: 2095719-92-7

Molecular Formula: $C_{29}H_{31}ClFN_5O_5$

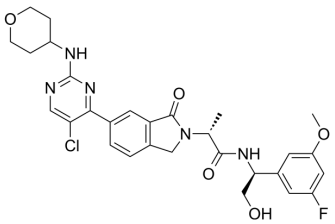
Molecular Weight: 584

Target: ERK; Apoptosis

Pathway: MAPK/ERK Pathway; Stem Cell/Wnt; Apoptosis

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (428.08 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7123 mL	8.5616 mL	17.1233 mL
	5 mM	0.3425 mL	1.7123 mL	3.4247 mL
	10 mM	0.1712 mL	0.8562 mL	1.7123 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 (3.56 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (3.56 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.56 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ASTX029 (Example 1) is a potent dual ERK1/2 inhibitor (IC_{50}: 2.7 nM). ASTX029 has anti-cancer activity[1][2].

IC_{50} & Target

ERK1	ERK2

In Vitro

ASTX029 (96 h) inhibits the proliferation of human cancer cells with MAPK-activating mutations, with IC_{50} values of 1.8 to 380 nM[2].

ASTX029 (2 h) inhibits the phosphorylation of RSK in both A375 and HCT116 cells, with IC_{50} values of 3.3 and 4 nM

respectively, and also reduces pERK level[2].
ASTX029 (10 and 100 nM, 0-72 h) induces cell-cycle to arrest in the G1-phase, and induces cell apoptosis in A375 and HCT116 cells[2].

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

Western Blot Analysis[2]

Cell Line:	A375 and HCT116 cells
Concentration:	1 nM-100 nM
Incubation Time:	2 h
Result:	Decreased pRSK and pERK.

Apoptosis Analysis[2]

Cell Line:	A375 and HCT116 cells
Concentration:	0-100 nM
Incubation Time:	0-72 h
Result:	Arrested cell in the G1-phase. Increased cleaved PARP and Bim protein level.

In Vivo

ASTX029 (20-75 mg/kg, p.o.) inhibits tumor growth in Colo205 ($BRAF^{V600E}$-mutant colorectal cancer) tumor-bearing mice[2].
ASTX029 (5 mg/kg, p.o., mice) shows AUC of 1600 ng h/mL, $T_{1/2}$ of 2.9 h, F (%) of 42%[3].

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

Animal Model:	Colo205, A375, Calu-6, HCC44, HCT116 or MA-MEL-28 xenografts tumor-bearing mice[2]
Dosage:	75 mg/kg
Administration:	p.o., once daily
Result:	Inhibited tumor growth in various tumor models.

CUSTOMER VALIDATION

- J Agric Food Chem. 2022 Feb 16;70(6):1996-2009.
- Int J Mol Sci. 2024 Jan 23, 25(3), 1374.
- Drug Des Dev Ther. 2023 Feb 20.

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REFERENCES

[1]. Munck JM, et al. ASTX029, a Novel Dual-mechanism ERK Inhibitor, Modulates Both the Phosphorylation and Catalytic Activity of ERK. Mol Cancer Ther. 2021 Oct;20(10):1757-1768.

[2]. Michael Reader, et al. 6-pyrimidin-isoindole derivative as erk1/2 inhibitor. WO2018193410A1.

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

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA