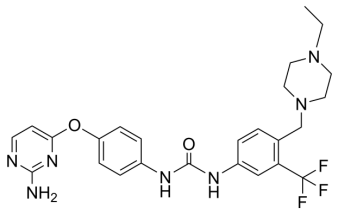
ATH686

Cat. No.:	HY-15003
CAS No.:	853299-52-2
Molecular Formula:	$C_{25}H_{28}F_3N_7O_2$
Molecular Weight:	515.53
Target:	FLT3; Apoptosis
Pathway:	Protein Tyrosine Kinase/RTK; 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 (484.94 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9398 mL	9.6988 mL	19.3975 mL
	5 mM	0.3880 mL	1.9398 mL	3.8795 mL
	10 mM	0.1940 mL	0.9699 mL	1.9398 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 (4.03 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.03 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.03 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ATH686 is a potent, selective and ATP-competitive FLT3 inhibitor. ATH686 target mutant FLT3 protein kinase activity and inhibit the proliferation of cells harboring FLT3 mutants via induction of apoptosis and cell cycle inhibition. ATH686 has antileukemic effects[1].

In Vitro

ATH686 (1-100 μM; 3 days) potently inhibits cell proliferation (IC_{50} around 0.001 μM) via induction of apoptosis in FLT3-ITD-Ba/F3 cells and D835Y-Ba/F3 cells[1].
ATH686 (10 nM; for 15 minutes) inhibits autophosphorylation of mutant FLT3 in FLT3-ITD-Ba/F3 cells[1].

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

Cell Proliferation Assay[1]

Cell Line:	FLT3-ITD-Ba/F3 cells and D835Y-Ba/F3 cells
Concentration:	1, 5, 10, 50, 100 μM
Incubation Time:	3 days
Result:	Potently inhibited cell proliferation (IC_{50} around 0.001 μM) via induction of apoptosis.

Western Blot Analysis[1]

Cell Line:	FLT3-ITD-Ba/F3 cells
Concentration:	10 nM
Incubation Time:	For 15 minutes
Result:	Inhibited autophosphorylation of mutant FLT3, with no apparent reduction in levels of the FLT3 protein.

REFERENCES

[1]. Ellen Weisberg, et al. Antileukemic Effects of Novel First- and Second-Generation FLT3 Inhibitors: Structure-Affinity Comparison. Genes Cancer. 2010 Oct;1(10):1021-32.

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