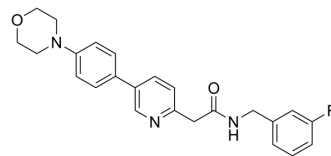


KX2-361

Cat. No.:	HY-111187
CAS No.:	897016-26-1
Molecular Formula:	C ₂₄ H ₂₄ FN ₃ O ₂
Molecular Weight:	405.46
Target:	Src; Microtubule/Tubulin; Apoptosis
Pathway:	Protein Tyrosine Kinase/RTK; Cell Cycle/DNA Damage; Cytoskeleton; Apoptosis
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 10.71 mg/mL (26.41 mM); ultrasonic and warming and heat to 60°C

Preparing Stock Solutions	Solvent		1 mg	5 mg	10 mg
	Concentration	Mass			
	1 mM		2.4663 mL	12.3317 mL	24.6633 mL
	5 mM		0.4933 mL	2.4663 mL	4.9327 mL
	10 mM		0.2466 mL	1.2332 mL	2.4663 mL

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

BIOLOGICAL ACTIVITY

Description

KX2-361 (KX-02) is a Src-kinase and tubulin polymerization inhibitor. KX2-361 shows good oral bioavailability and readily crosses the BBB in mice. KX2-361 shows anti-tumor activity and induces apoptosis of Glioblastoma (GBM) cell^[1].

In Vitro

KX2-361 (0-200 nM, 24-72 h) reduces autophosphorylation of Src in GL261 cells^[1].
 KX2-361 (0-270 nM) promotes cell cycle arrest at the G₂/M phase in U87 cells. Note the dose dependent effect and virtual complete arrest at 270 nM^[1].
 KX2-361 (0-800 nM) induces apoptosis of U87, GL261 and T98G cell lines^[1].
 KX2-361 (5 μM) inhibits the in vitro assembly of tubulin polymers^[1].
 MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

KX2-361 significantly delays progression of orthotopic GL261 brain tumors and produces long-term survival^[1].
 KX2-361 demonstrates appreciable brain penetration when dosed orally to mice (20 mg/kg), with a brain C_{max} of 4025±319 ng/g observed 15 min post-dosing and an overall exposure (AUC_{last}) of 5044±355 h ng/g^[1].
 MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Ciesielski MJ, et al. KX2-361: a novel orally bioavailable small molecule dual Src/tubulin inhibitor that provides long term survival in a murine model of glioblastoma. J Neurooncol. 2018 Dec;140(3):519-527.

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

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