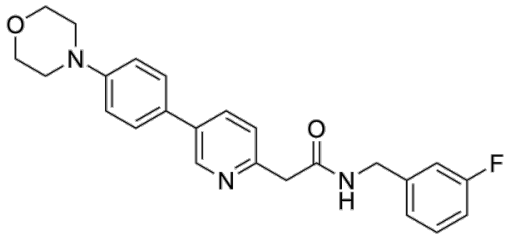
Product Data Sheet

Product Name: KX2-361
Cat. No.: GC72824

Chemical Properties

Cas. No.	897016-26-1		
Formula	$C_{24}H_{24}FN_3O_2$	M.Wt	405.46
Solubility	DMSO : 10.71 mg/mL (26.41 mM; ultrasonic and warming and heat to 60°C)	Storage	4°C, protect from light
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure			



Background

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.

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

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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].

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 Cmax of 4025±319 ng/g observed 15 min post-dosing and an overall exposure (AUClast) of 5044±355 h ng/g[1].

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.