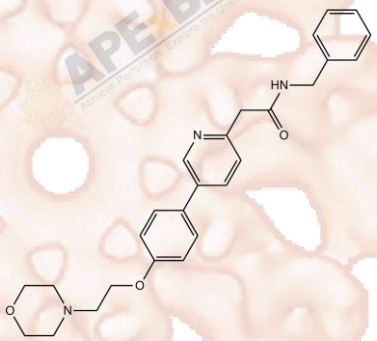
Product Data Sheet

KX2-391



Cat. No.:	B2282
CAS No.:	897016-82-9
Formula:	C26H29N3O3
M.Wt:	431.53
Synonyms:	
Target:	Tyrosine Kinase
Pathway:	Src
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

insoluble in H2O; ⩾121 mg/mL in DMSO; ⩾2.44 mg/mL in EtOH with gentle warming and ultrasonic

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	2.3173 mL	11.5867 mL	23.1734 mL
5 mM	0.4635 mL	2.3173 mL	4.6347 mL
10 mM	0.2317 mL	1.1587 mL	2.3173 mL

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

Biological Activity

Shortsummary	Src inhibitor,highly selective
IC_{50} & Target	9 nM(GI50) (Src (HuH7)), 13 nM(GI50) (Src (PLC/PRF/5)), 26 nM(GI50) (Src (Hep 3B)), 60 nM(GI50) (Src (Hep G2))

In Vitro

Cell Viability Assay

Cell Line:	HCC cell lines Huh7, PLC/PRF/5, Hep3B, and HepG2
Preparation method:	The solubility of this compound in DMSO is >121mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

	Reacting conditions:	6,564 to 0.012 nM, 3 days
	Applications:	KX2-391 showed dose-response curves against all four HCC cell lines Huh7, PLC/PRF/5, Hep3B, and HepG2 with the GI50 of 9 nM, 13 nM, 26 nM, and 60 nM, respectively. In NIH3T3/c-Src527F and SYF/c-Src527F cells, KX2-391 inhibited cell growth with the GI50 of 23 nM and 39 nM, respectively. KXO1 (10-30 nM) could halve proliferation rates (GI50) of a panel of human cancer cell lines known to have activated levels of SFK- such as HT-29 human colon cancer cells, as well as NIH3T3/c-Src527F cells. KXO1 inhibited anchorage-independent growth of HT-29 and 3T3/c-Src527F cells.
In Vivo	**Animal experiment**	
	Animal models:	nude mice bearing 50 cc HT-29 tumors
	Dosage form:	Oral administration, 5 mg/kg bid
	Applications:	Treatment of nude mice bearing 50 cc HT-29 tumors with 5 mg/kg KXO1 bid p.o. resulted in a 70% reduction tumor growth, with no significant toxicity to the host as determined by weight loss.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1.Chen Y, Yu Y, et al. "Bradykinin promotesmigration and invasion of hepatocellular carcinoma cells through TRPM7 and MMP2."Exp Cell Res. 2016 Sep 29.PMID:27693494

See more customer validations on www.apexbt.com.

References

[1]. Lau G M, Lau G M, Yu G L, et al. Expression of Src and FAK in hepatocellular carcinoma and the effect of Src inhibitors on hepatocellular carcinoma in vitro[J]. Digestive diseases and sciences, 2009, 54(7): 1465-1474.

[2]. Fallah-Tafti A, Foroumadi A, Tiwari R, et al. Thiazolyl N-benzyl-substituted acetamide derivatives: synthesis, Src kinase inhibitory and anticancer activities[J]. European journal of medicinal chemistry, 2011, 46(10): 4853-4858.

[3]. Bu Y, Gao L, Smolinski M, et al. KXO1 (KX2-391), a Src-family kinase inhibitor targeting the peptide-binding domain, suppresses oncogenic proliferation in vitro and in vivo[J]. 2008.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage

temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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