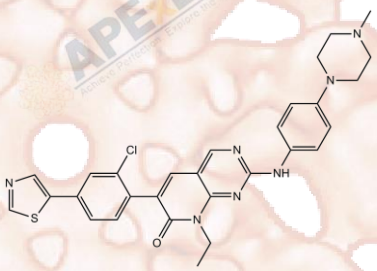
Product Data Sheet

FRAX597



Cat. No.:	B1162
CAS No.:	1286739-19-2
Formula:	C29H28ClN7OS
M.Wt:	558.1
Synonyms:	
Target:	Cell Cycle/Checkpoint
Pathway:	PAK1
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

⩾27.9 mg/mL in DMSO; insoluble in EtOH; insoluble in H2O

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	1.7918 mL	8.9590 mL	17.9179 mL
5 mM	0.3584 mL	1.7918 mL	3.5836 mL
10 mM	0.1792 mL	0.8959 mL	1.7918 mL

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

Biological Activity

Shortsummary	PAK inhibitor,potent and ATP-competitive
IC_{50} & Target	8 nM (PAK1), 13 nM (PAK2), 19 nM (PAK3)

In Vitro

Cell Viability Assay

Cell Line:	Nf2-null SC4 Schwann cells
Preparation method：	Limited solubility. 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:	96 h

	Applications:	FRAX597 treatment significantly inhibits cellular proliferation. FRAX597-treated cells are increased in G1phase (74% versus 50% in control-treated cells) and decreased in the fraction of cells in S phase (12% versus 27% in control) and G2/M phase (11% versus 22% in control).
In Vivo	**Animal experiment**	
	Animal models:	NOD/SCID mice (8 weeks of age), transplanted with Nf2-/- SC4 Schwann cells into the sciatic nerve sheath.
	Dosage form:	100 mg/kg; oral; once daily for 14 days.
	Applications:	FRAX597-treatment significantly slows tumor growth rate in mice compared to control mice. Moreover, FRAX597-treated cohort exhibits prominently lower average tumor weight compared to the control cohort.
	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. White SM, Avantaggiati ML, et al. "YAP/TAZ Inhibition Induces Metabolic and Signaling Rewiring Resulting in Targetable Vulnerabilities in NF2-Deficient Tumor Cells." Dev Cell. 2019 May 6;49(3):425-443.e9.PMID:31063758

2. Nuche-Berenguer B, Ramos-álvarez I, Jensen RT. "The p21-activated kinase, PAK2, is important in the activation of numerous pancreatic acinar cell signaling cascades and in the onset of early pancreatitis events." Biochim Biophys Acta. 2016 Jun;1862(6):1122-36.PMID:26912410

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References

1. Licciulli S, Maksimoska J, Zhou C et al. FRAX597, a small molecule inhibitor of the p21-activated kinases, inhibits tumorigenesis of neurofibromatosis type 2 (NF2)-associated Schwannomas. J Biol Chem. 2013 Oct 4;288(40):29105-14.

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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