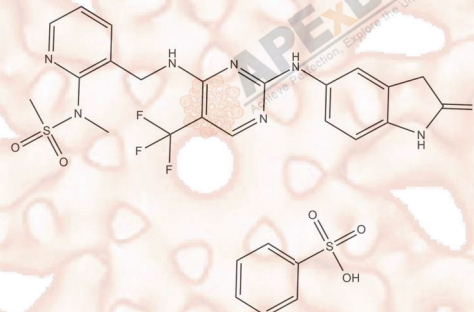


PF-00562271

Cat. No.:	A8320
CAS No.:	939791-38-5
Formula:	C ₂₁ H ₂₀ F ₃ N ₇ O ₃ S·C ₆ H ₆ O ₃ S
M.Wt:	665.66
Synonyms:	PF-562271;PF00562271;PF62271
Target:	Tyrosine Kinase
Pathway:	FAK
Storage:	Store at -20°C



Solvent & Solubility

≥ 11.1mg/mL in DMSO with gentle warming, insoluble in EtOH, insoluble in H₂O

In Vitro

Preparing Stock Solutions	Solvent Concentration	Mass		
		1mg	5mg	10mg
	1 mM	1.5023 mL	7.5113 mL	15.0227 mL
	5 mM	0.3005 mL	1.5023 mL	3.0045 mL
	10 mM	0.1502 mL	0.7511 mL	1.5023 mL

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

Biological Activity

Shortsummary FAK/Pyk2 inhibitor, potent and ATP-competitive

IC₅₀ & Target 1.5 nM (FAK), 14 nM (Pyk2)

In Vitro

Cell Viability Assay

Cell Line:	Squamous carcinoma cells
Preparation method:	The solubility of this compound in DMSO is > 10 mM. 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:	1 μM, 48 hr
Applications:	In squamous carcinoma cells, treatment of the FAK wt expressing cells with the

FAK kinase inhibitor PF-562,271 resulted in a similar reduction in cell migration as seen in the FAK^{-/-} cells. PF-562,271 dose-dependently inhibited FAK autophosphorylation on Y397. Treatment with PF-562,271 inhibited golgi orientation. PF-562,271 inhibited Pyk2 and Pyk2 autophosphorylation in PF-562,271 treated cells. Treatment of FAK wt cells with PF-562,271 dose-dependently inhibited cell proliferation. Treatment of FAK wt cells with PF-562,271 (0.25 μM) also resulted in a dose-dependent inhibition of colony formation. Treatment of cells with PF-562,271 in methylcellulose resulted in a small but significant reduction in the number of cells in S phase while the corresponding increase in G1 was not significant.

Animal experiment

Animal models:	Mice bearing PC-3M, BT474, BxPc3, and LoVo tumors,
Dosage form:	Oral gavage, 25 to 50 mg/kg, twice daily
Applications:	In several human s.c. xenograft models, PF-562271 dose-dependently inhibited tumor growth, and produced maximum tumor inhibition for PC-3M, BT474, BxPc3, and LoVo ranging from 78% to 94% inhibition at doses of 25 to 50 mg/kg twice daily, without weight loss, morbidity, or death. PF-562271 (25 mg/kg by p.o.) significantly decreased tumor progression in both subcutaneous and bone metastasis PC3M-luc-C6 xenograft models.
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.

In Vivo

Product Citations

See more customer validations on www.apexbt.com.

References

- [1]. Serrels A, McLeod K, Canel M, et al. The role of focal adhesion kinase catalytic activity on the proliferation and migration of squamous cell carcinoma cells[J]. International journal of cancer, 2012, 131(2): 287-297.
- [2]. Roberts W G, Ung E, Whalen P, et al. Antitumor activity and pharmacology of a selective focal adhesion kinase inhibitor, PF-562,271[J]. Cancer research, 2008, 68(6): 1935-1944.
- [3]. Roberts W G, Ung E, Whalen P, et al. Antitumor activity and pharmacology of a selective focal adhesion kinase inhibitor, PF-562,271[J]. Cancer research, 2008, 68(6): 1935-1944.

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. Short-term 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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