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产品名称：PF562271 HCL
CAS 号： 939791-41-0
产品货号：S89385

生物活性：

Description	PF-562271 (VS-6062) hydrochloride 是一种有效，可逆，ATP 竞争性的 FAK 和 Pyk2 激酶抑制剂，IC50 分别为 1.5 nM 和 13 nM。
IC50 & Target	IC50: 1.5 nM (FAK), 13 nM (Pyk2), 30 nM (CDK2), 47 nM (CDK3), 58 nM (CDK1), 97 nM (CDK7), 97 nM (Flt3)[1]
In Vitro	PF-562271 (VS-6062) hydrochloride is shown to be a 30- to 120-nM inhibitor of CDK2/E, CDK5/p35, CDK1/B, and CDK3/E in recombinant enzyme assays, in cell-based assays evaluating the role of CDKs, a 48-hour exposure of 3.3 μM PF-562271 is required to alter cell cycle progression. PF-562271 is potent in an inducible cell-based assay measuring phospho-FAK with a IC50 of 5 nM[1]. PF-562271, a selective inhibitor of both FAK and proline-rich tyrosine kinase 2 (PYK2), a FAK-related family member, on cell growth and colony formation in Ewing sarcoma cell lines. Seven cell lines are treated for 5 days with PF-562271 across a range of concentrations using 2-fold serial dilutions. Treatment with PF-562271 impaires cell viability in all cell lines, with an average IC50 of 2.4 μM after 3 days of treatment. TC32 and A673 are the 2 most sensitive cell lines, with IC50 concentrations of 2.1 and 1.7 μM, respectively[2]。
In Vivo	PF-562271 inhibits FAK phosphorylation in vivo in a dose-dependent fashion (calculated EC50 of 93 ng/mL, total) after p.o. administration to tumor-bearing mice[1]. Rats that receive PF-562271 demonstrate a decrease in tumor growth after 2 weeks of treatment with signs of bone healing as evidenced by the deposition of new bone (cortical and cancellous) at sites previously damaged by the tumor[3]。
Solvent&Solubility	**细胞实验:** DMSO 中的溶解度 ：100 mg/mL (183.84 mM; 超声助溶; 吸湿的 DMSO 对产品的溶解度有显著影响，请使用新开封的 DMSO）

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8384 mL	9.1920 mL	18.3840 mL
	5 mM	0.3677 mL	1.8384 mL	3.6768 mL
	10 mM	0.1838 mL	0.9192 mL	1.8384 mL

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

参考文献

[1]. Roberts WG, et al. Antitumor activity and pharmacology of a selective focal adhesion kinase inhibitor, PF-562,271. Cancer Res, 2008, 68(6), 1935-1944.

[2]. Crompton BD, et al. High-throughput tyrosine kinase activity profiling identifies FAK as a candidate therapeutic target in Ewing sarcoma. Cancer Res. 2013 May 1;73(9):2873-83.

[3]. Bagi CM, et al. Dual focal adhesion kinase/Pyk2 inhibitor has positive effects on bone tumors: implications for bone metastases. Cancer. 2008 May 15;112(10):2313-21.

完整储备液配制表：

请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

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可选溶剂	质量 / 溶剂体积 / 浓度	1 mg	5 mg	10 mg	25 mg
DMSO	**1 mM**	1.8384 mL	9.1920 mL	18.3840 mL	45.9601 mL
	5 mM	0.3677 mL	1.8384 mL	3.6768 mL	9.1920 mL
	10 mM	0.1838 mL	0.9192 mL	1.8384 mL	4.5960 mL
	15 mM	0.1226 mL	0.6128 mL	1.2256 mL	3.0640 mL
	20 mM	0.0919 mL	0.4596 mL	0.9192 mL	2.2980 mL
	25 mM	0.0735 mL	0.3677 mL	0.7354 mL	1.8384 mL
	30 mM	0.0613 mL	0.3064 mL	0.6128 mL	1.5320 mL
	40 mM	0.0460 mL	0.2298 mL	0.4596 mL	1.1490 mL
	50 mM	0.0368 mL	0.1838 mL	0.3677 mL	0.9192 mL
	60 mM	0.0306 mL	0.1532 mL	0.3064 mL	0.7660 mL
	80 mM	0.0230 mL	0.1149 mL	0.2298 mL	0.5745 mL
	100 mM	0.0184 mL	0.0919 mL	0.1838 mL	0.4596 mL