PAK

p21 activated kinases

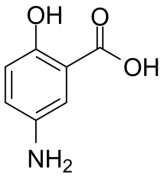
PAKs (p21-activated kinases) are key regulators of actin dynamics, cell proliferation and cell survival. PAKs are Ser/Thr kinases that are classified into two groups on the basis of their structural and functional features: group I (PAK1–3) and group II (PAK4–6). Group I PAKs have an auto-inhibitory domain (also called an inhibitory switch domain) and a kinase domain (catalytic domain, CD) and are activated by the binding of the active (that is, GTP-bound) forms of Rho GTPases, such as Cdc42 and Rac1. Group II PAKs have no auto-inhibitory domains and are not activated by active Rho GTPases. Because the deregulation of PAKs is closely associated with various human diseases,small-molecule inhibitors of these kinases have great potential as therapeutic agents. In addition, these compounds can also be used as powerful tools in studies aimed at understanding the PAK signaling pathway.

PAKs are considered prime regulators of the actin cytoskeleton and motility. Due to their central role in actin remodelling and their ability to activate Matrix metalloproteinases (MMPs), Rho GTPases play an important role in tumor cell invasion and metastasis. The current evidence suggests the involvement of PAKs in motility, cell survival, anchorage-independent growth, angiogenesis, invasion, migration and regulation of cell cycle and mitosis. Consequently, PAKs have also been implicated in a number of pathological conditions including cancer.

PAK Inhibitors & Activators

5-Aminosalicylic Acid
(Mesalamine; 5-ASA; Mesalazine) Cat. No.: HY-15027

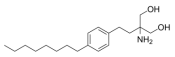
5-Aminosalicylic acid (Mesalamine) acts as a specific **PPARγ** agonist and also inhibits p21-activated kinase 1 (**PAK1**) and **NF-κB**.



Purity: >98.0%
Clinical Data: Launched
Size: 10 mM × 1 mL, 500 mg

Fingolimod
(FTY720 free base) Cat. No.: HY-11063

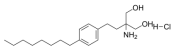
Fingolimod (FTY720 free base) is a **sphingosine 1-phosphate** (**S1P**) antagonist with an IC_{50} of 0.033 nM in K562 and NK cells. Fingolimod also is a **pak1** activator, a immunosuppressant.



Purity: 99.81%
Clinical Data: Launched
Size: 10 mM × 1 mL, 100 mg, 200 mg, 500 mg, 1 g, 5 g

Fingolimod hydrochloride
(FTY720) Cat. No.: HY-12005

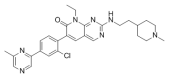
Fingolimod hydrochloride (FTY720) is a **sphingosine 1-phosphate** (**S1P**) antagonist with an IC_{50} of 0.033 nM in K562 and NK cells. Fingolimod hydrochloride also is a **pak1** activator.



Purity: 99.86%
Clinical Data: Launched
Size: 10 mM × 1 mL, 100 mg, 200 mg, 500 mg, 1 g, 5 g

FRAX1036
Cat. No.: HY-19538

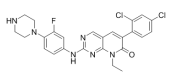
FRAX1036 is a **PAK** inhibitor with K_is of 23.3 nM, 72.4 nM, and 2.4 μM for PAK1, PAK2 and PAK4, respectively.



Purity: 99.32%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

FRAX486
Cat. No.: HY-15542B

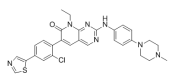
FRAX486 is a p21-activated kinase (**PAK**) inhibitor with IC_{50}s of 14, 33 and 39 nM for PAK1, PAK2 and PAK3, respectively.



Purity: 98.09%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

FRAX597
Cat. No.: HY-15542A

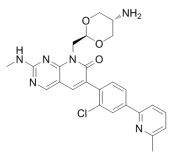
FRAX597 is a potent group I p21-activated Kinases (**PAKs**) inhibitor with IC_{50} of 8, 13 and 19 nM for **PAK1**, **2** and **3**.



Purity: 99.56%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

G-5555
Cat. No.: HY-19635

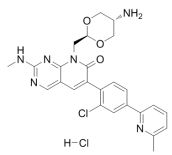
G-5555 is a potent p21-activated kinase 1 (**PAK1**) inhibitor with K_is of 3.7 nM and 11 nM for PAK1 and PAK2, respectively.



Purity: 99.18%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg

G-5555 hydrochloride
Cat. No.: HY-19635A

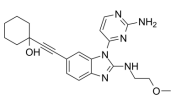
G-5555 hydrochloride is a potent and selective p21-activated kinase 1 (**PAK1**) inhibitor with a K_i of 3.7 nM.



Purity: 98.78%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg

GNE 2861
Cat. No.: HY-12632

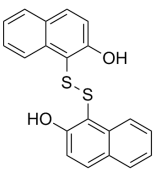
GNE 2861 is a **PAK** inhibitor that displays group II selectivity. GNE 2861 inhibits PAK4, PAK5 and PAK6 with IC_{50}s of 7.5, 36, 126 nM, respectively.



Purity: 99.33%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

IPA-3
Cat. No.: HY-15663

IPA-3 is a selective non-ATP competitive **PAK1** inhibitor with IC_{50} of 2.5 μM, and shows no inhibition to group II PAKs (PAKs 4-6).



Purity: 99.43%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

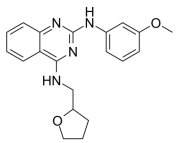
Tel: 609-228-6898 Fax: 609-228-5909 Email: sales@MedChemExpress.com

LCH-7749944

(GNF-PF-2356)

Cat. No.: HY-125035

LCH-7749944 (GNF-PF-2356) is a potent **PAK4** inhibitor with an IC_{50} of 14.93 μM. LCH-7749944 effectively suppresses the proliferation of human gastric cancer cells through downregulation of PAK4/c-Src/EGFR/cyclin D1 pathway and induces **apoptosis**.

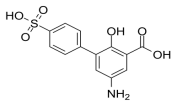


Purity: 99.43%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Mesalamine impurity P

Cat. No.: HY-131265

Mesalamine impurity P is an impurity of Mesalamine (HY-15027). 5-Aminosalicylic acid (Mesalamine) acts as a specific **PPARγ** agonist and also inhibits p21-activated kinase 1 (**PAK1**) and NF-κB.

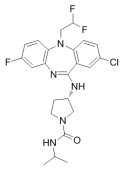


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg

NVS-PAK1-1

Cat. No.: HY-100519

NVS-PAK1-1 is a potent and selective allosteric **PAK1** inhibitor with an IC_{50} of 5 nM.

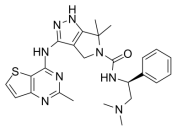


Purity: >99.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

PF-3758309

Cat. No.: HY-13007

PF-3758309 is a potent, orally available, and reversible ATP-competitive inhibitor of **PAK4** (K_d= 2.7 nM; K_i=18.7 nM).



Purity: 99.95%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg