

PF-562271

FAK and Pyk2 inhibitor with robust antitumor activity

PF-562,271 is a potent, ATP-competitive, reversible inhibitor of FAK and Pyk2 catalytic activity with a IC_{50} of 1.5 and 14 nmol/l, respectively (PMID 18339875). In addition, PF-562,271 displayed robust inhibition in an inducible cell-based assay measuring phospho-FAK with an IC_{50} of 5 nmol/l. PF-562,271 was evaluated against multiple kinases and displays >100x selectivity against a long list of nontarget kinases. In tests, PF-562,271 inhibits FAK phosphorylation in vivo in a dose-dependent fashion with a calculated EC_{50} of 93 ng/ml) using injections.

Focal Adhesion Kinase (FAK) is a protein coded by the PTK2 gene that plays an essential role in regulating cell migration, adhesion, spreading, reorganization of the actin cytoskeleton, formation and disassembly of focal adhesions and cell protrusions, cell cycle progression, cell proliferation and apoptosis.

Citations: 1

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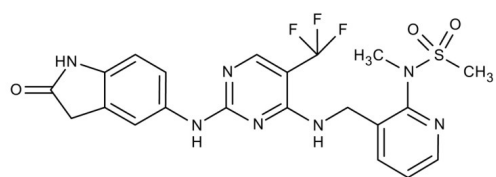
Ordering Information

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ENZ-CHM174-0010	10mg
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Manuals, SDS & CofA

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Handling & Storage

Use/Stability	As indicated on product label or CoA when stored as recommended.
Short Term Storage	+4°C
Long Term Storage	-20°C
Shipping	Ambient Temperature

Regulatory Status

RUO - Research Use Only

Product Details

Alternative Name	N-methyl-n-[3-[[[2-[(2-oxo-2,3-dihydro-1h-indol-5-yl)amino]-5-trifluoromethylpyrimidin-4-yl]amino]methyl]pyridin-2-yl]methanesulfonamide
Appearance	White powder.
CAS	717907-75-0
Couple Target	FAK, Pyk
Couple Type	Inhibitor
Formula	$C_{21}H_{20}F_3N_7O_3S$
Identity	Determined by EM-MS, NMR
MW	507.5
Purity	≥95% (HPLC)
Solubility	Soluble in DMSO (101mg/ml) or ethanol (1mg/ml).



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