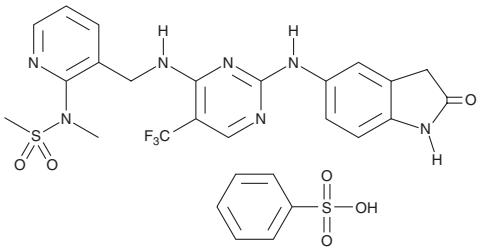
PRODUCT INFORMATION

PF-562271 (besylate)

Item No. 18499

CAS Registry No.: 939791-38-5
Formal Name: N-[3-[[[2-[(2,3-dihydro-2-oxo-1H-indol-5-yl)amino]-5-(trifluoromethyl)-4-pyrimidinyl]amino]methyl]-2-pyridinyl]-N-methyl-methanesulfonamide, monobenzenesulfonate
MF: $C_{21}H_{20}F_3N_7O_3S \bullet C_6H_6O_3S$
FW: 665.7
Purity: ≥98%
UV/Vis.: λ_{max}: 204, 262 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

PF-562271 (besylate) is supplied as a crystalline solid. A stock solution may be made by dissolving the PF-562271 (besylate) in the solvent of choice. PF-562271 (besylate) is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of PF-562271 (besylate) in these solvents is approximately 1 and 0.25 mg/ml, respectively.

PF-562271 (besylate) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PF-562271 (besylate) should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. PF-562271 (besylate) has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Focal adhesion kinase (FAK) and proline-rich tyrosine kinase 2 (PYK2) are non-receptor tyrosine kinases that constitute the FAK subfamily and play a vital role in many oncogenic pathways.[1] PF-562271 is an ATP-competitive, reversible inhibitor of FAK (IC_{50} = 1.5 nM) that demonstrates 10-fold reduced potency for PYK2 (IC_{50} = 14 nM) and >100-fold selectivity against other protein kinases.[2] PF-562271 inhibits FAK phosphorylation with an EC_{50} value of 93 ng/ml in glioblastoma-bearing mice and has been shown to regress tumors in multiple xenograft models.[2,3]

References

1. Parsons, J.T., Slack-Davis, J., Tilghman, R., *et al.* Focal adhesion kinase: Targeting adhesion signaling pathways for therapeutic intervention. *Clin. Cancer Res.* **14(3)**, 627-632 (2008).
2. Roberts, W.G., Ung, E., Whalen, P., *et al.* Antitumor activity and pharmacology of a selective focal adhesion kinase inhibitor, PF-562,271. *Cancer Res.* **68(6)**, 1935-1944 (2008).
3. Stokes, J.B., Adair, S.J., Slack-Davis, J.K., *et al.* Inhibition of focal adhesion kinase by PF-562,271 inhibits the growth and metastasis of pancreatic cancer concomitant with altering the tumor microenvironment. *Mol. Cancer Ther.* **10(11)**, 2135-2145 (2011).

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