

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: C₂₁H₂₀F₃N₇O₃S • C₆H₆O₃S
FW: 665.7

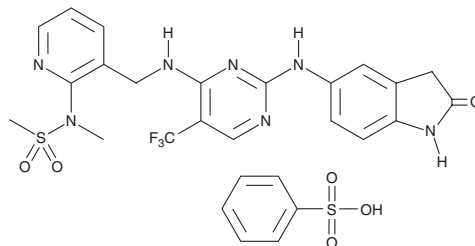
Purity: ≥98%

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 (IC₅₀ = 1.5 nM) that demonstrates 10-fold reduced potency for PYK2 (IC₅₀ = 14 nM) and >100-fold selectivity against other protein kinases.² PF-562271 inhibits FAK phosphorylation with an EC₅₀ 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).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

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