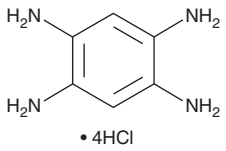
PRODUCT INFORMATION

FAK Inhibitor 14

Item No. 14485

CAS Registry No.: 4506-66-5
Formal Name: 1,2,4,5-benzenetetramine, tetrahydrochloride
Synonyms: Focal Adhesion Kinase Inhibitor 14, NSC 667249, NSC 677249, Y15
MF: $C_6H_{10}N_4 \bullet 4HCl$
FW: 284.0
Purity: ≥95%
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

FAK inhibitor 14 is supplied as a crystalline solid. A stock solution may be made by dissolving the FAK inhibitor 14 in the solvent of choice, which should be purged with an inert gas. FAK inhibitor 14 is soluble in the organic solvent DMSO. It is also soluble in water. The solubility of FAK inhibitor 14 in DMSO and water is approximately 20 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Focal adhesion kinases (FAK) are non-receptor tyrosine kinases that play roles in regulating diverse processes, including cell adhesion, spreading, migration, proliferation, and apoptosis.[1] They are over-expressed in many types of cancer. FAK inhibitor 14 is a direct inhibitor of FAK1 autophosphorylation, blocking phosphorylation of Y397 with an IC_{50} value of about 1 µM.[2] There is no known significant effect on the activity of a range of other kinases. FAK inhibitor 14 promotes cell detachment and inhibits cell adhesion of cells in culture.[2] Moreover, it blocks tumor growth *in vivo*.[2-4] FAK inhibitor 14 has also been used to demonstrate a role for FAK in the regulation of aortic stiffness.[5]

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. Golubovskaya, V.M., Nyberg, C., Zheng, M., *et al.* A small molecule inhibitor, 1,2,4,5-benzenetetraamine tetrahydrochloride, targeting the Y397 site of focal adhesion kinase decreases tumor growth. *J. Med. Chem.* **51(23)**, 7405-7416 (2008).
3. Hochwald, S.N., Nyberg, C., Zheng, M., *et al.* A novel small molecule inhibitor of FAK decreases growth of human pancreatic cancer. *Cell Cycle* **8(15)**, 2435-2443 (2009).
4. Beierle, E.A., Ma, X., Stewart, J., *et al.* Inhibition of focal adhesion kinase decreases tumor growth in human neuroblastoma. *Cell Cycle* **9(5)**, 1005-1015 (2010).
5. Saphirstein, R.J., Gao, Y.Z., Jensen, M.H., *et al.* The focal adhesion: A regulated component of aortic stiffness. *PLoS One* **8(4)**, (2013).

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