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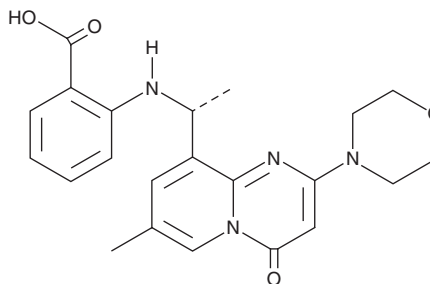
PRODUCT INFORMATION



AZD 6482

Item No. 15250

CAS Registry No.: 1173900-33-8
Formal Name: 2-[[[(1R)-1-[7-methyl-2-(4-morpholinyl)-4-oxo-4H-pyrido[1,2-a]pyrimidin-9-yl]ethyl]amino]-benzoic acid
Synonym: KIN-193
MF: C₂₂H₂₄N₄O₄
FW: 408.5
Purity: ≥98%
UV/Vis.: λ_{max}: 218, 268, 342 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

AZD 6482 is supplied as a crystalline solid. A stock solution may be made by dissolving the AZD 6482 in the solvent of choice, which should be purged with an inert gas. AZD 6482 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of AZD 6482 in ethanol is approximately 5 mg/ml and approximately 10 mg/ml in DMSO and DMF.

AZD 6482 is sparingly soluble in aqueous solutions. To enhance aqueous solubility, dilute the organic solvent solution into aqueous buffers or isotonic saline. If performing biological experiments, ensure the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

Description

Phosphatidylinositol 3-kinase (PI3K) catalyzes the phosphorylation of the 3' hydroxyl position of PIs to produce the second messengers PtdIns-(3,4)-P₂ and PtdIns-(3,4,5)-P₃.¹⁻³ PI3Kα, β, and δ are class 1A enzymes composed of p110 and p85 subunits, whereas PI3Kγ is a class 1B PI3K composed of a p110 catalytic subunit and a p101 or p84 regulatory subunit.⁴ AZD 6482 is a PI3K inhibitor that targets the β isoform more potently than PI3Kδ, PI3Kγ, or PI3Kα (IC₅₀s = 0.69, 13.6, 47.8, and 136 nM, respectively).⁵ It displays 80-fold selectivity for PI3Kβ over PI3K-C2 and DNA-PK and more than 1,000-fold over other PI3K-related kinases.⁵ AZD 6482 blocks Akt signaling and tumor growth in a large number of cancer cell lines, including those that are dependent on PI3Kβ activation or PTEN loss.⁵⁻⁷ AZD 6482 (20 mg/kg, i.p.) suppresses the growth of PTEN-deficient xenograft tumors in mice.⁵ It also produces an anti-thrombotic effect in dogs without an increase in bleeding time or blood loss.⁸

References

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2. Vivanco, I. and Sawyers, C.L. *Nat. Rev. Cancer* **2**(7), 489-501 (2002).
3. Hennessy, B.T., Smith, D.L., Ram, P.T., et al. *Nat. Rev. Drug Discov.* **4**(12), 988-1004 (2005).
4. Rückle, T., Schwarz, M.K., and Rommel, C. *Nat. Rev. Drug Discov.* **5**(11), 903-918 (2006).
5. Ni, J., Liu, Q., Xie, S., et al. *Cancer Discov.* **2**(5), 425-433 (2012).
6. Weigelt, B., Warne, P.H., Lambros, M.B., et al. *Clin. Cancer Res.* **19**(13), 3533-3544 (2013).
7. Nichols, A.C., Black, M., Yoo, J., et al. *BMC Pharmacol. Toxicol.* **15**, (2014).
8. Nylander, S., Kull, B., Björkman, J.A., et al. *J. Thromb. Haemost.* **10**(10), 2127-2136 (2012).

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.

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