

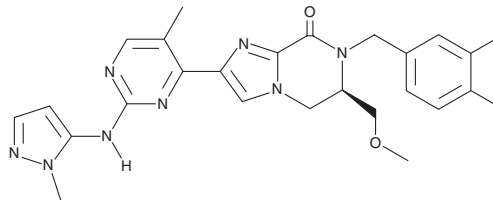
PRODUCT INFORMATION



AZD 0364

Item No. 29827

CAS Registry No.: 2097416-76-5
Formal Name: (6R)-7-[(3,4-difluorophenyl)methyl]-6,7-dihydro-6-(methoxymethyl)-2-[5-methyl-2-[(1-methyl-1H-pyrazol-5-yl)amino]-4-pyrimidinyl]-imidazo[1,2-a]pyrazin-8(5H)-one
MF: C₂₄H₂₄F₂N₈O₂
FW: 494.5
Purity: ≥98%
UV/Vis.: λ_{max}: 265, 324 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 0364 is supplied as a crystalline solid. A stock solution may be made by dissolving the AZD 0364 in the solvent of choice, which should be purged with an inert gas. AZD 0364 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of AZD 0364 in these solvents is approximately 10, 16, and 25 mg/ml, respectively.

AZD 0364 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, AZD 0364 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. AZD 0364 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

AZD 0364 is an inhibitor of ERK2 (IC₅₀ = <0.3 nM) that also inhibits ERK1.¹ It is selective for ERK2 over MEK1 up to 10 μM and inhibits only four additional kinases by greater than 80% in a panel of 140 kinases at 1 μM but does inhibit ERK7, ARK5, Cdk5/p25, and Cdk5/p35 (IC₅₀s = 30, 400, 300, and 300 nM, respectively). AZD 0364 inhibits cell growth in A549 non-small cell lung cancer cells (NSCLCs) when used in combination with AZD 6244 (Item No. 11599).² It reduces tumor growth and induces regression in a Calu-6 mouse xenograft model when administered at doses of 15 and 50 mg/kg per day, respectively.¹

References

1. Ward, R.A., Anderton, M.J., Bethel, P.A., *et al.* Discovery of a potent and selective oral inhibitor of ERK1/2 (AZD0364) that is efficacious in both monotherapy and combination therapy in models of nonsmall cell lung cancer (NSCLC). *J. Med. Chem.* **62**(24), 11004-11018 (2019).
2. Ward, R.A., Jones, C.D., Swallow, S., *et al.* Dihydroimidazopyrazinone derivatives useful in the treatment of cancer. *Astrazeneca AB. WO 2017/080979 AI* (2017).

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

Buyer agrees to purchase the material subject to Cayman's Terms and Conditions. Complete Terms and Conditions including Warranty and Limitation of Liability information can be found on our website.

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