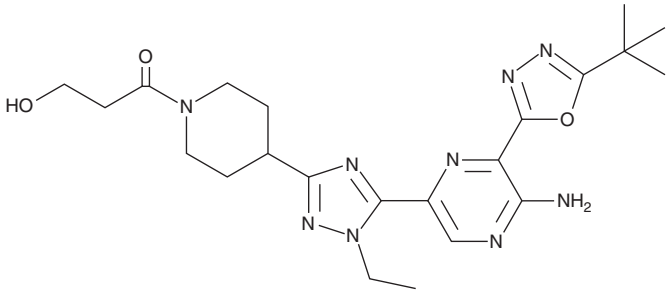
PRODUCT INFORMATION

AZD 8835

Item No. 29166

CAS Registry No.:	1620576-64-8
Formal Name:	1-[4-[5-[5-amino-6-[5-(1,1-dimethylethyl)-1,3,4-oxadiazol-2-yl]-2-pyrazinyl]-1-ethyl-1H-1,2,4-triazol-3-yl]-1-piperidinyl]-3-hydroxy-1-propanone
MF:	$C_{22}H_{31}N_9O_3$
FW:	469.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 235, 289, 378 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 8835 is supplied as a crystalline solid. A stock solution may be made by dissolving the AZD 8835 in the solvent of choice, which should be purged with an inert gas. AZD 8835 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of AZD 8835 in ethanol is approximately 2 mg/ml and approximately 16 mg/ml in DMSO and DMF.

AZD 8835 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, AZD 8835 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. AZD 8835 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

AZD 8835 is an inhibitor of PI3Kα and PI3Kδ (IC_{50}s = 6.2 and 5.7 nM, respectively).[1] It is selective for PI3Kα and PI3Kδ over PI3Kβ and PI3Kγ (IC_{50}s = 431 and 90 nM, respectively). AZD 8835 inhibits Akt phosphorylation in *PIK3CA* constitutively active mutant BT474 cells and Jeko-1 B cells that express endogenous PI3Kδ (IC_{50}s = 57 and 49 nM, respectively). *In vivo*, AZD 8835 (25 mg/kg) reduces tumor growth and Akt phosphorylation in a SKOV3 mouse xenograft model. It also increases the activity of $CD8^+$ effector T cells and reduces tumor growth in a C26 mouse syngeneic tumor model.[2]

References

1. Barlaam, B., Cosulich, S., Delouvrié, B., *et al.* Discovery of 1-(4-(5-(5-amino-6-(5-*tert*-butyl-1,3,4-oxadiazol-2-yl)pyrazin-2-yl)-1-ethyl-1,2,4-triazol-3-yl)piperidin-1-yl)-3-hydroxypropan-1-one (AZD8835): A potent and selective inhibitor of PI3Kα and PI3Kδ for the treatment of cancers. *Bioorg. Med. Chem. Lett.* **25(22)**, 5155-5162 (2015).
2. Carnevalli, L.S., Sinclair, C., Taylor, M.A., *et al.* PI3Kα/δ inhibition promotes anti-tumor immunity through direct enhancement of effector $CD8^+$ T-cell activity. *J. Immunother. Cancer* **6(1)**, 158 (2018).

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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