PRODUCT INFORMATION



AZD 3229

Item No. 27908

CAS Registry No.: 2248003-60-1

Formal Name: N-[4-[[5-fluoro-7-(2-

methoxyethoxy)-4-quinazolinyl] amino]phenyl]-4-(1-methylethyl)-1H-1,2,3-triazole-1-acetamide

MF: $C_{24}H_{26}FN_7O_3$

FW: 479.5 **Purity:**

UV/Vis.: λ_{max} : 219, 235, 263, 312 nm

Supplied as: A crystalline solid

-20°C Storage: Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

AZD 3229 is supplied as a crystalline solid. A stock solution may be made by dissolving the AZD 3229 in the solvent of choice, which should be purged with an inert gas. AZD 3229 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of AZD 3229 in ethanol is approximately 0.3 mg/ml and approximately 10 mg/ml in DMSO and DMF.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of AZD 3229 can be prepared by directly dissolving the crystalline solid in aqueous buffers. AZD 3229 is slightly soluble in PBS, pH 7.2. We do not recommend storing the aqueous solution for more than one day.

Description

AZD 3229 is an inhibitor of c-Kit-driven cell proliferation. It selectively inhibits growth of c-Kit mutant $(GI_{50}s = 1-971 \text{ nM})$ and PDGFR mutant $(GI_{50}s = 1-22 \text{ nM})$ Ba/F3 cell lines over Ba/F3 cell lines expressing Tel-KDR/VEGFR2 (GI_{SO} = 1,378 nM). AZD 3229 (20 mg/kg twice per day) induces tumor regression in a Ba/F3 mouse xenograft model and a mouse allograft model using Ba/F3 cells expressing KIT-exon 11 del/V654A, a common mutation in gastrointestinal stromal tumors (GIST).

Reference

1. Kettle, J.G., Anium, R., Barry, E., et al. Discovery of N-(4-{[5-fluoro-7-(2-methoxyethoxy)quinazolin-4-yl] amino}phenyl)-2-[4-(propan-2-yl)-1 H-1,2,3-triazol-1-yl]acetamide (AZD3229), a potent pan-KIT mutant inhibitor for the treatment of gastrointestinal stromal tumor. J. Med. Chem. 61(19), 8797-8810 (2018).

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

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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