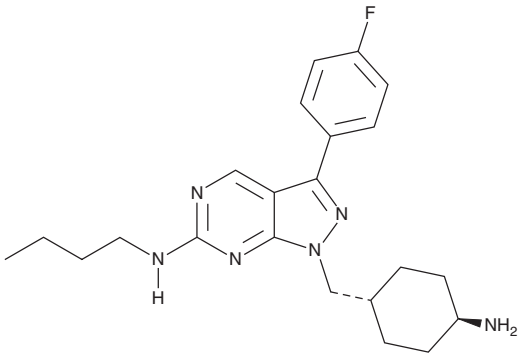
PRODUCT INFORMATION

UNC569

Item No. 22966



CAS Registry No.: 1350547-65-7
Formal Name: 1-[(*trans*-4-aminocyclohexyl)methyl]-N-butyl-3-(4-fluorophenyl)-1H-pyrazolo[3,4-d]pyrimidin-6-amine
MF: $C_{22}H_{29}FN_6$
FW: 396.5
Purity: ≥98%
UV/Vis.: λ_{max}: 246, 308 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years

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

Laboratory Procedures

UNC569 is supplied as a crystalline solid. A stock solution may be made by dissolving the UNC569 in the solvent of choice. UNC569 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of UNC569 in these solvents is approximately 2, 0.2, and 2.5 mg/ml, respectively.

UNC569 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, UNC569 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. UNC569 has a solubility of approximately 0.2 mg/ml in a 1:4 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

UNC569 is a potent inhibitor of the TAM family receptor tyrosine kinases Mer, Axl, and Tyro3 (IC_{50}s = 2.9, 37, and 48 nM, respectively).[1] It has antiproliferative activity *in vitro* against acute lymphoblastic leukemia (ALL) cells (IC_{50}s = 0.5 and 1.2 µM for 697 and Jurkat cell lines, respectively) and inhibits Mer phosphorylation (IC_{50}s = 141 and 193 nM in 697 and Jurkat cell lines, respectively). UNC569 activates Akt and ERK1/2 phosphorylation, induces apoptosis, and sensitizes ALL cells to etoposide (Item No. 12092) and methotrexate (Item No. 13960). *In vivo*, UNC569 (4 µM) decreases tumor burden by 47.8% relative to vehicle controls in human MYC transgenic zebrafish. UNC569 (10 mg/kg) delays leukemia onset, reduces CNS infiltration, and prolongs survival of mice implanted with patient-derived Mer-expressing ALL primary cells.[2]

References

1. Christoph, S., DeRyckere, D., Schlegel, J., *et al.* UNC569, a novel small-molecule mer inhibitor with efficacy against acute lymphoblastic leukemia *in vitro* and *in vivo*. *Mol. Cancer Ther.* **12(11)**, 2367-2377 (2013).
2. Krause, S., Pfeiffer, C.M., Strube, S., *et al.* Mer tyrosine kinase promotes the survival of t(1;19)-positive acute lymphoblastic leukemia (ALL) in the central nervous system (CNS). *Blood* **125(5)**, 820-830 (2015).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM