

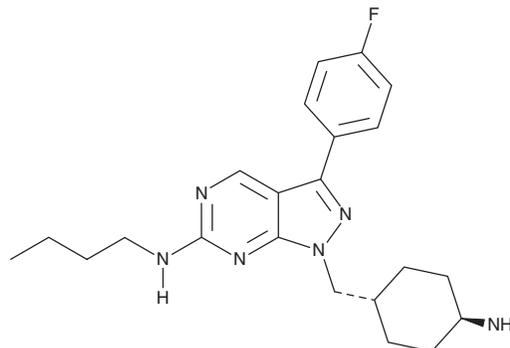
PRODUCT INFORMATION



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: C₂₂H₂₉FN₆
FW: 396.5
Purity: ≥98%
UV/Vis.: λ_{max}: 246, 308 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

UNC569 is supplied as a crystalline solid. A stock solution may be made by dissolving the UNC569 in the solvent of choice, which should be purged with an inert gas. UNC569 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). 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 (IC₅₀s = 2.9, 37, and 48 nM, respectively).¹ It has antiproliferative activity *in vitro* against acute lymphoblastic leukemia (ALL) cells (IC₅₀s = 0.5 and 1.2 μM for 697 and Jurkat cell lines, respectively) and inhibits Mer phosphorylation (IC₅₀s = 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).

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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