# **PRODUCT INFORMATION**



VTP-50469

Item No. 40759

CAS Registry No.: 2169916-18-9

Formal Name: 5-fluoro-N,N-bis(1-methylethyl)-2-[[4-

[7-[[trans-4-[(methylsulfonyl)amino] cyclohexyl]methyl]-2,7-diazaspiro[3.5] non-2-yl]-5-pyrimidinyl]oxy]-benzamide

 $C_{32}H_{47}FN_{6}O_{4}S$ MF:

FW: 630.8 **Purity:** ≥98% Supplied as: A 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**

VTP-50469 is supplied as a solid. A stock solution may be made by dissolving the VTP-50469 in the solvent of choice, which should be purged with an inert gas. VTP-50469 is soluble (≥10 mg/ml) in DMSO and sparingly soluble (1-10 mg/ml) in ethanol.

### Description

VTP-50469 is an inhibitor of the protein-protein interaction between menin and mixed lineage leukemia 1 (MLL1) fusion proteins (K<sub>i</sub> = 0.104 nM).<sup>1</sup> It selectively inhibits the growth of ten leukemia cell lines expressing MLL1 fusion proteins ( $IC_{50}s = 13-37$  nM) over HL-60, K562, and Reh leukemia cells expressing wild-type MLL1 (IC<sub>50</sub>s = >1,000 nM).<sup>2</sup> VTP-50469 reduces MLL-AF9-induced increases in the expression of MLL fusion protein target genes, including MEIS1, MEF2C, JMJD1C, and PBX3, in MOLM-13 acute myeloid leukemia (AML) cells. In vivo, VTP-50469 (120 mg/kg twice per day) dramatically increases the survival of mice in a patient-derived xenograft (PDX) mouse model of B cell acute lymphoblastic leukemia (B-ALL).

### References

- 1. Krivtsov, A.V., Eschle, B.K., Witkin, M., et al. VTP50469 is a novel, orally available menin-MLL1 inhibitor effective against MLL-rearranged and NPM1-mutant leukemia. Cancer Res. 78(Suppl. 13), 4958 (2018).
- Krivtsov, A.V., Evans, K., Gadrey, J.Y., et al. A menin-MLL inhibitor induces specific chromatin changes and eradicates disease in models of MLL-rearranged leukemia. Cancer Cell 36(6), 663-673 (2019).

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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