PRODUCT INFORMATION



JNJ-1013

Item No. 41740

CAS Registry No.: 2597343-08-1

Formal Name: N-(4-(4-(2-(((S)-1-((2S,4R)-

> methylthiazol-5-yl)phenyl) ethyl)carbamoyl)pyrrolidin-1-yl)-3,3-dimethyl-1oxobutan-2-yl)amino)-2oxoethoxy)piperidin-1-yl)-2-methoxyphenyl)-6-(1Hpyrazol-3-yl)picolinamide

4-hydroxy-2-(((S)-1-(4-(4-

MF: $C_{46}H_{55}N_{9}O_{7}S$

FW: 878.1 **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

JNJ-1013 is supplied as a solid. A stock solution may be made by dissolving the JNJ-1013 in the solvent of choice. JNJ-1013 is sparingly soluble (1-10 mg/ml) in DMSO and slightly soluble (0.1-1 mg/ml) in acetonitrile. JNJ-1013 is sparingly soluble in aqueous solutions. To enhance aqueous solubility, dilute the organic solvent solution into aqueous buffers or isotonic saline. If performing biological experiments, ensure the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

Description

JNJ-1013 is a proteolysis-targeting chimera (PROTAC) containing the IL-1 receptor-associated kinase 1 (IRAK1) and IRAK4 inhibitor JH-I-25 and a methylated derivative of the PROTAC building block VH 032 (Item No. 39982). It degrades IRAK1 with a half-maximal degradation concentration (DC_{50}) value of 3.3 nM in HBL-1 diffuse large B cell lymphoma (DLBCL) cells and is selective for IRAK1 over 7,548 proteins but does degrade cyclin G-associated kinase (GAK) and NmrA-like family domain-containing protein 1 (NMRAL1) at 1 μM. JNJ-1013 (0.3-1 μM) decreases phosphorylated levels of IκBα and STAT3 in HBL-1 cells. It reduces the proliferation of HBL-1 and OCI-LY10 DLBCL cells (IC_{50} s = 60 and 170 nM, respectively).

Reference

1. Fu, L., Zhang, J., Shen, B., et al. Discovery of highly potent and selective IRAK1 degraders to probe scaffolding functions of IRAK1 in ABC DLBCL. J. Med. Chem. 64(15), 10878-10889 (2021).

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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