

PRODUCT INFORMATION



GMB 475

Item No. 40463

CAS Registry No.: 2490599-18-1

Formal Name: (4R)-3-methyl-N-[2-[2-[4-[6-[[4-(trifluoromethoxy)phenyl]amino]-4-pyrimidinyl]phenoxy]ethoxy]acetyl]-L-valyl-4-hydroxy-N-[[4-(4-methyl-5-thiazolyl)phenyl]methyl]-L-prolinamide

MF: $C_{43}H_{46}F_3N_7O_7S$

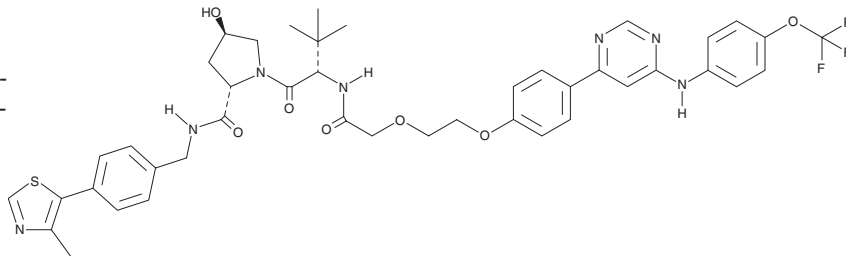
FW: 861.9

Purity: $\geq 98\%$

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

GMB 475 is supplied as a solid. A stock solution may be made by dissolving the GMB 475 in the solvent of choice, which should be purged with an inert gas. GMB 475 is sparingly soluble (1-10 mg/ml) in ethanol and soluble (≥ 10 mg/ml) in DMSO.

Description

GMB 475 is a proteolysis-targeting chimera (PROTAC) containing the Bcr-Abl inhibitor GNF-5 (Item No. 16254) conjugated to VHL ligand 1 (Item No. 21591).¹ It induces degradation of Bcr-Abl with a half-maximal degradation concentration (DC_{50}) value of 340 nM. GMB 475 selectively inhibits the proliferation of K562 chronic myeloid leukemia (CML) and Bcr-Abl-expressing Ba/F3 cells ($IC_{50} = \sim 1 \mu\text{M}$ for both) over parental Ba/F3 cells ($IC_{50} = > 10 \mu\text{M}$). It also inhibits the proliferation of Ba/F3 cells expressing mutant Bcr-Abl (IC_{50} s = 1.98 and 0.37 μM for Bcr-Abl^{T315I} and Bcr-Abl^{G250E}, respectively). GMB 475 (2.5 μM) induces apoptosis in primary human CML cells.

Reference

1. Burslem, G.M., Schultz, A.R., Bondeson, D.P., *et al.* Targeting BCR-ABL1 in chronic myeloid leukemia by PROTAC-mediated targeted protein degradation. *Cancer Res.* **79(18)**, 4744-4753 (2019).

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.

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