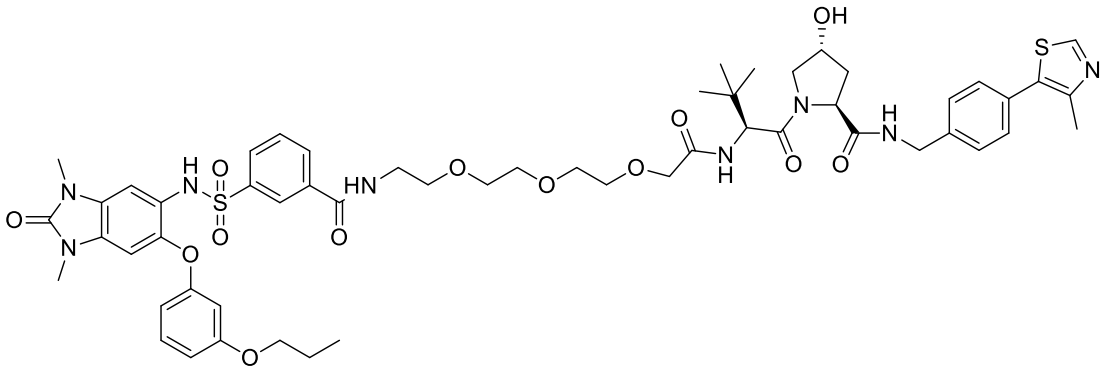
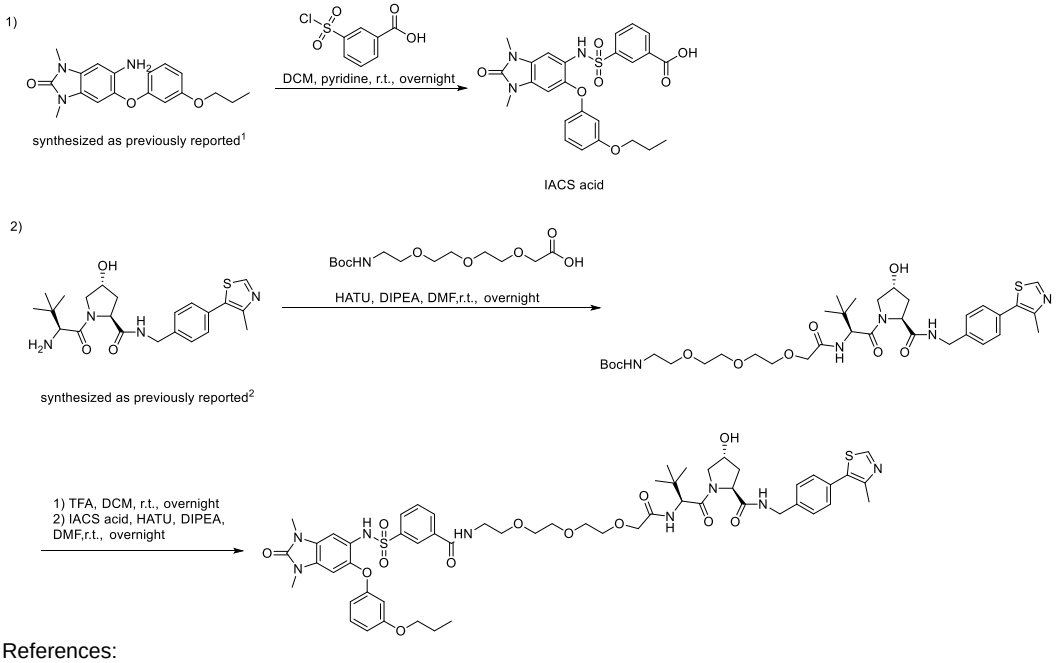
**dTRIM24**



Chemical Formula: $C_{55}H_{68}N_8O_{13}S_2$
Molecular Weight: 1113.31

| Category | Parameter | Description |
|---|---|---|
| Compound | Name | dTRIM24 |
| | Citation | *Nature Chemical Biology* **volume 14**, pages405–412 (2018) https://www.nature.com/articles/s41589-018-0010-y |
| | Chemical descriptors | O=C(N1C)N(C)C2=C1C=C(OC3=CC=CC(OCCC)=C3)C(NS(C4=CC(C(NCCOCCOCCOCC(N[C@@H](C(C)(C)C)C(N5C[C@H](O)C[C@H]5C(NCC6=CC=C(C7=C(C)N=CS7)C=C6)=O)=O)=O)=O)=CC=C4)(=O)=O)=C2 |
| | Chemical name | (2*S*,4*R*)-1-((*S*)-15-(*tert*-butyl)-1-(3-(*N*-(1,3-dimethyl-2-oxo-6-(3-propoxyphenoxy)-2,3-dihydro-1*H*-benzo[*d*]imidazol-5-yl)sulfamoyl)phenyl)-1,13-dioxo-5,8,11-trioxa-2,14-diazahexadecan-16-oyl)-4-hydroxy-*N*-(4-(4-methylthiazol-5-yl)benzyl)pyrrolidine-2-carboxamide |
| | Entries in chemical databases | N/A |
| | Availability | N/A |
| | Papers that use the compounds | https://www.ncbi.nlm.nih.gov/pubmed/?term=dtrim24 |
| *In vitro* profiling | Target (potency) | TRIM24 alphascreen (337.3 nM) |
| | Target (potency) | |
| | Selectivity | Bromoscan profiling with BRPF1 as an off target in vitro |
| | Potential reactivity | |
| | SAR | |
| | Mechanism of inhibition | Degradation of TRIM24 target |
| | Structure of target-probe complex | N/A |
| | Additional comments | |
| Cellular profiling | Validation of cellular target | Dose-dependent degradation of TRIM24 with maximum degradation at 2.5uM in MOLM-13 cells. |
| | Validation of cellular specificity | Selective degradation of TRIM24 in MCF-7 and MOLM-13 cells by proteomic analysis at 4H with 2.5uM treatment |
| | Additional comments | |

| | |
|---|---|
| Pharmacodynamics | N/A |
| Pharmacokinetics | N/A |
| Synthetic scheme |  |

References:
1. Palmer, W. S. et al. Structure-guided design of IACS-9571, a selective high-affinity dual TRIM24-BRPF1 bromodomain inhibitor. *J. Med. Chem.* 59, 1440–1454 (2016).
2. Compounds and methods for the inhibition of vcb e3 ubiquitin ligase. WO 2013106646.