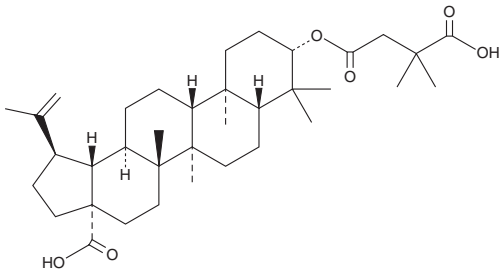
PRODUCT INFORMATION

PA-457

Item No. 21339

CAS Registry No.:	174022-42-5
Formal Name:	(3β)-3-(3-carboxy-3-methyl-1-oxobutoxy)-lup-20(29)-en-28-oic acid
Synonyms:	3-O-(3',3'-Dimethylsuccinyl) Betulinic Acid, Bevirimat, FH11327, MPC-4326
MF:	$C_{36}H_{56}O_6$
FW:	584.8
Purity:	≥98%
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

PA-457 is supplied as a crystalline solid. A stock solution may be made by dissolving the PA-457 in the solvent of choice, which should be purged with an inert gas. PA-457 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of PA-457 in these solvents is approximately 2.5, 25, and 30 mg/ml, respectively.

PA-457 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PA-457 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. PA-457 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

PA-457 is an inhibitor of HIV-1 virion maturation.[1,3] It inhibits the cleavage of the Gag capsid (CA) precursor CA-SP1 to the mature CA protein. PA-457 inhibits the replication of drug-sensitive and -resistant clinical isolates of HIV-1 in isolated human peripheral blood mononuclear cells (PBMCs; mean IC_{50}s = 10.3 and 7.8 nM, respectively).[2] *In vivo*, it prevents replication of HIV-1 in SCID-hu Thy/Liv mice when administered at a dose of 100 mg/kg.[4]

References

1. Martin, D.E., Salzwedel, K. and Allaway, G.P. Bevirimat: A novel maturation inhibitor for the treatment of HIV-1 infection. *Antivir. Chem. Chemother.* **19(3)**, 107-113 (2008).
2. Li, F., Goila-Gaur, R., Salzwedel, K., *et al*. PA-457: A potent HIV inhibitor that disrupts core condensation by targeting a late step in Gag processing. *Proc. Natl. Acad. Sci. USA* **100(23)**, 13555-13560 (2003).
3. Wang, D., Lu, W., and Li, F. Pharmacological intervention of HIV-1 maturation. *Acta Phatm. Sin. B* **5(6)**, 493-499 (2015).
4. Soddart, C.A., Joshi, P., Sloan, B., *et al*. Potent activity of the HIV-1 maturation inhibitor bevirimat in SCID-hu Thy/Liv mice. *PLoS One* 2(11), e1251 (2007).

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