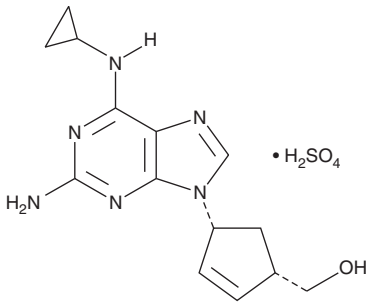
PRODUCT INFORMATION

Abacavir (sulfate)

Item No. 14746

CAS Registry No.:	216699-07-9
Formal Name:	(1S,4R)-4-[2-amino-6-(cyclopropylamino)-9H-purin-9-yl]-2-cyclopentene-1-methanol, monosulfate
Synonym:	1592U89
MF:	$C_{14}H_{18}N_6O \bullet H_2SO_4$
FW:	384.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 223, 258, 289 nm
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

Abacavir (sulfate) is supplied as a crystalline solid. A stock solution may be made by dissolving the abacavir (sulfate) in the solvent of choice, which should be purged with an inert gas. Abacavir (sulfate) is soluble in the organic solvent DMSO at a concentration of approximately 0.15 mg/ml.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of abacavir (sulfate) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of abacavir (sulfate) in PBS, pH 7.2, is approximately 1 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Abacavir is a nucleoside analog and an inhibitor of HIV-1 reverse transcriptase (K_i = 2.1 µM for the wild-type enzyme).[1] It inhibits replication of a variety of HIV-1 and HIV-2 strains, including strains resistant to 3'-azido-3'-deoxythymidine (zidovudine; Item No. 15492) or 2',3'-dideoxyinosine (didanosine; Item No. 23715), in HeLa cells stably expressing CD4 (IC_{50}s = 5.8-21 µM). Abacavir inhibits replication of eight HIV-1 clinical isolates in phytohemagglutinin-stimulated isolated human peripheral blood lymphocytes with a mean IC_{50} value of 0.26 µM. It inhibits hepatitis B virus (HBV) DNA synthesis in HepG2 cells (IC_{50} = 7 µM) and is also active against human cytomegalovirus (CMV) strain AD169 and the Petaluma strain of feline immunodeficiency virus (FIV) in plaque reduction assays (IC_{50}s = 32 and 0.4 µM, respectively). Formulations containing abacavir have been used in the treatment of HIV infection.

Reference

1. Daluge, S.M., Good, S.S., Faletto, M.B., *et al.* 1592U89, a novel carbocyclic nucleoside analog with potent, selective anti-human immunodeficiency virus activity. *Antimicrob. Agents Chemother.* **41(5)**, 1082-1093 (1997).

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