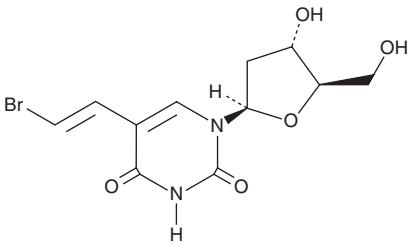
PRODUCT INFORMATION

Brivudine

Item No. 29448

CAS Registry No.:	69304-47-8
Formal Name:	5-[(1E)-2-bromoethenyl]-2′-deoxy-uridine
Synonym:	BVDU
MF:	$C_{11}H_{13}BrN_2O_5$
FW:	333.1
Purity:	≥98%
UV/Vis.:	λ_{max}: 251, 294 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

Brivudine is supplied as a crystalline solid. A stock solution may be made by dissolving the brivudine in the solvent of choice, which should be purged with an inert gas. Brivudine is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of brivudine in ethanol is approximately 10 mg/ml and approximately 30 mg/ml in DMSO and DMF.

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 brivudine can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of brivudine in PBS, pH 7.2, is approximately 0.5 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Brivudine is a thymidine analog and inhibitor of herpes simplex virus 1 (HSV-1) and varicella-zoster virus (VZV) viral replication.[1,2] Following conversion to a 5'-triphosphate form by viral kinases, brivudine is incorporated into viral DNA, inhibiting viral DNA polymerases and inducing strand breakage.[2] Brivudine (0.02-0.04 µg/ml) inhibits VZV replication in primary human fibroblasts and inhibits cytopathogenic effects of the KOS HSV-1 strain in a panel of cell lines (MIC_{50}s = 0.007-0.4 µg/ml).[1,3] It selectively inhibits cytopathogenicity induced by HSV-1 strains over HSV-2, vaccinia virus, vesicular stomatitis virus, or deoxythymidine kinase-deficient HSV-1 strains in E_6SM cells (MIC_{50}s = 0.02, 2-10, 7, >400, and 40 µg/ml, respectively).[1] Brivudine (5 and 15 mg/kg twice per day) increases survival in a mouse model of disseminated HSV-1 infection.

References

1. De Clercq, E., Desgranges, C., Herdewijn, P., *et al.* Synthesis and antiviral activity of (E)-5-(2-bromovinyl) uracil and (E)-5-(2-bromovinyl)uridine. *J. Med. Chem.* **29(2)**, 213-217 (1986).
2. Kulikowski, T. Structure-activity relationships and conformational features of antiherpetic pyrimidine and purine nucleoside analogues. A review. *Pharm. World Sci.* **16(2)**, 127-138 (1994).
3. De Clercq, E., Descamps, J., Verhelst, G., *et al.* Antiviral activity of 5-(2-halogenovinyl)-2'-deoxyuridines. *Curr. Chemother. Infect. Dis.* **2**, 1372-1374 (1980).

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