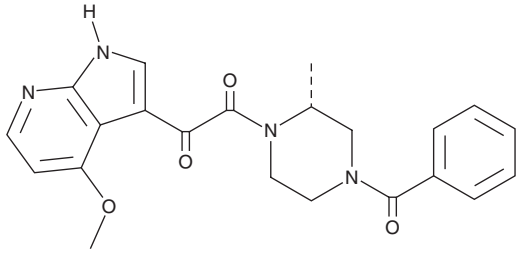
PRODUCT INFORMATION

BMS-806

Item No. 18525

CAS Registry No.:	357263-13-9
Formal Name:	1-[(2R)-4-benzoyl-2-methyl-1-piperazinyl]-2-(4-methoxy-1H-pyrrolo[2,3-b]pyridin-3-yl)-1,2-ethanedione
Synonym:	BMS-378806
MF:	$C_{22}H_{22}N_4O_4$
FW:	406.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 245 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

BMS-806 is supplied as a crystalline solid. A stock solution may be made by dissolving the BMS-806 in the solvent of choice, which should be purged with an inert gas. BMS-806 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of BMS-806 in these solvents is approximately 12 mg/ml.

BMS-806 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, BMS-806 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. BMS-806 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

BMS-806 is a small molecule that inhibits the first step of HIV-1 infection by blocking the binding of host cell CD4 with viral gp120 protein.[1] It binds the exterior envelope glycoprotein gp120 (K_d = 21.1 nM; K_i = 24.9 nM), blocking the conformational change that occurs with CD4 binding and preventing fusion of the viral and target cell membranes.[1-3] BMS-806 is specific to HIV-1 irrespective of chemokine receptor preference, with no activity against HIV-2, SIV, or a panel of additional viruses.[4]

References

1. Guo, Q., Ho, H.-T., Dicker, I., *et al.* Biochemical and genetic characterizations of a novel human immunodeficiency virus type 1 inhibitor that blocks gp120-CD4 interactions. *J. Virol.* **77(19)**, 10528-10536 (2003).
2. Madani, N., Perdigoto, A.L., Srinivasan, K., *et al.* Localized changes in the gp120 envelope glycoprotein confer resistance to human immunodeficiency virus entry inhibitors BMS-806 and #155. *J. Virol.* **78(7)**, 3742-3752 (2004).
3. Si, Z., Madani, N., Cox, J.M., *et al.* Small-molecule inhibitors of HIV-1 entry block receptor-induced conformational changes in the viral envelope glycoproteins. *Proc. Natl. Acad. Sci.* USA **101(14)**, 5036-5041 (2004).
4. Lin, P.-F., Blair, W., Wang, T., *et al.* A small molecule HIV-1 inhibitor that targets the HIV-1 envelope and inhibits CD4 receptor binding. *Proc. Natl. Acad. Sci. USA* **100(19)**, 11013-11018 (2003).

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