PRODUCT INFORMATION



BMS-806

Item No. 18525

CAS Registry No.: Formal Name:	357263-13-9 1-[(2R)-4-benzoyl-2-methyl-1- piperazinyl]-2-(4-methoxy-1H- pyrrolo[2,3-b]pyridin-3-yl)-1,2- ethanedione	H	0
Synonym:	BMS-378806	N	
MF:	$C_{22}H_{22}N_4O_4$		
FW:	406.4		
Purity:	≥98%		
UV/Vis.:	λ _{max} : 245 nm	0	
Supplied as:	A crystalline solid	/	0
Storage:	-20°C		
Stability:	≥4 years		
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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).

WARNING THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFFTY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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