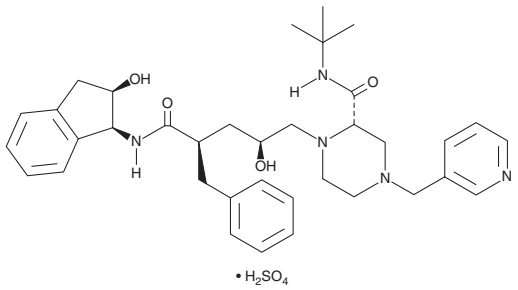
PRODUCT INFORMATION

Indinavir (sulfate)

Item No. 15150

CAS Registry No.: 157810-81-6
Formal Name: 2,3,5-trideoxy-N-[(1S,2R)-2,3-dihydro-2-hydroxy-1H-inden-1-yl]-5-[(2S)-2-[[(1,1-dimethylethyl)amino]carbonyl]-4-(3-pyridinylmethyl)-1-piperazinyl]-2-(phenylmethyl)-D-*erythro*-pentonamide, monosulfate
Synonyms: L-735,524, MK-639
MF: $C_{36}H_{47}N_5O_4 \bullet H_2SO_4$
FW: 711.9
Purity: ≥98%
UV/Vis.: λ_{max}: 260 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Indinavir (sulfate) is supplied as a crystalline solid. A stock solution may be made by dissolving the indinavir (sulfate) in the solvent of choice. Indinavir (sulfate) is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of indinavir (sulfate) in these solvents is approximately 20 and 14 mg/ml, respectively.

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 indinavir (sulfate) can be prepared by directly dissolving the crystalline solid powder in aqueous buffers. The solubility of indinavir (sulfate) in PBS, pH 7.2, is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Indinavir is an HIV-1 protease inhibitor (K_i = 0.358 nM).[1] It is selective for HIV-1 protease over HIV-2 protease (K_i = 3.316 nM), as well as human cathepsin D, porcine pepsin, bovine chymosin, human plasma renin, Factor Xa, and elastase at 10 µM. It is also selective for wild-type HIV-1 protease over the protease inhibitor-resistant mutants A-44, K-60, and V-18 (K_is = 0.24, 15, 50, and 40 nM, respectively).[2] Indinavir is active against multiple HIV-1 variants in cell-based assays (IC_{95}s = 12-100 nM).[1] Formulations containing indinavir have been used in combination with antiretroviral agents in the treatment of HIV infection.

References

1. Vacca, J.P., Dorsey, B.D., Schleif, W.A., *et al.* L-735,524: An orally bioavailable human immunodeficiency virus type 1 protease inhibitor. *Proc. Natl. Acad. Sci. U.S.A.* **91(9)**, 4096-4100 (1994).
2. Dorsey, B.D., McDonough, C., McDaniel, S.L., *et al.* Identification of MK-944a: A second clinical candidate from the hydroxylaminepentanamide isostere series of HIV protease inhibitors. *J. Med. Chem.* **43(18)**, 3386-3399 (2000).

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