PRODUCT INFORMATION



Mifepristone

Item No. 10006317

643/1-05-3	
11β-[4-(dimethylamino)phenyl]-	
17β-hydroxy-17-(1-propynyl)-	Ń
estra-4,9-dien-3-one	ОН
RU-486	CH ₃
C ₂₉ H ₃₅ NO ₂	
429.6	
≥98%	
λ _{max} : 261, 303 nm	I I H
A crystalline solid	
-20°C	• • •
≥4 years	
	11β -[4-(dimethylamino)phenyl]- 17β -hydroxy-17-(1-propynyl)- estra-4,9-dien-3-one RU-486 C ₂₉ H ₃₅ NO ₂ 429.6 ≥98% λ_{max} : 261, 303 nm A crystalline solid -20°C ≥4 years

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

Laboratory Procedures

Mifepristone is supplied as a crystalline solid. A stock solution may be made by dissolving the mifepristone in the solvent of choice, which should be purged with an inert gas. Mifepristone is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of mifepristone in ethanol and DMSO is approximately 20 mg/ml and approximately 30 mg/ml in DMF.

Mifepristone is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, mifepristone should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Mifepristone has a solubility of approximately 0.2 mg/ml in a 1:4 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Mifepristone is an antagonist of glucocorticoid, progesterone, and androgen receptors (K_is = 0.1, 0.64, and 0.65 nM, respectively).¹⁻³ It is selective for these receptors over the mineralocorticoid receptor (MR), estrogen receptor α (ER α), and ER β (K_is = 640, >200, and >750 nM, respectively).¹ In cell-based assays, mifepristone inhibits alkaline phosphatase activity stimulated by the progesterone receptor agonist R5020 as well as reporter transcription stimulated by either dexamethasone (Item No. 11015) or R5020 $(IC_{50}s = 7, 5.9, and 1.3 \text{ nM}, respectively})$.³ It also inhibits synthetic androgen R1881-stimulated reporter transcription in a concentration-dependent manner.² Mifepristone (10 μ M) inhibits growth of 4-OHTresistant MCF-7 breast cancer cells in vitro.⁴ It also inhibits tumor growth in an SKOV3 ovarian cancer nude mouse xenograft model when administered at doses of 0.5 or 1 mg per day.⁵ Formulations containing mifepristone have been used for the induction of medical abortions.

References

- 1. von Gerldern, T.W., Tu, N., Kym, P.R., et al. J. Med. Chem. 47(17), 4213-4230 (2004).
- 2. Song, L.-N., Coghlan, M.J., and Gelmann, E.P. Mol. Endocrinol. 18(1), 70-85 (2004).
- 3. Attardi, B.J., Burgenson, J., Hild, S.A., et al. J. Steroid Biochem. Mol. Biol. 88(3), 277-288 (2004).
- 4. Gaddy, V.T., Barrett, J.T., Delk, J.N., et al. Clin. Cancer Res. 10(15), 5215-5225 (2004).
- 5. Goyeneche, A.A., Carón, R.W., and Telleria, C.M. Clin. Cancer Res. 13(11), 3370-3379 (2007).

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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