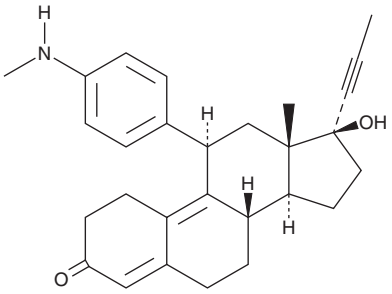
PRODUCT INFORMATION

Metapristone

Item No. 36380

CAS Registry No.:	104004-96-8
Formal Name:	(11β,17β)-17-hydroxy-11-[4-(methylamino) phenyl]-17-(1-propyn-1-yl)-estra-4,9-dien-3-one
Synonyms:	N-desmethyl Mifepristone, RU-42633, N-desmethyl RU-486
MF:	$C_{28}H_{33}NO_2$
FW:	415.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 255, 303 nm
Supplied as:	A 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

Metapristone is supplied as a solid. A stock solution may be made by dissolving the metapristone in the solvent of choice, which should be purged with an inert gas. Metapristone is sparingly soluble (1-10 mg/ml) in chloroform and methanol.

Description

Metapristone is an active metabolite of the glucocorticoid, progesterone, and androgen receptor antagonist mifepristone (Item No. 10006317).[1] It is formed from mifepristone by the cytochrome P450 (CYP) isoform CYP3A4. Metapristone is an antagonist of human glucocorticoid and progesterone receptors with relative affinities of 61 and 21%, respectively, compared to mifepristone.[2] It decreases the proliferation of A375 human and B16/F10 murine melanoma cells (IC_{50}s = 57.4 and 75.8 µM, respectively).[3] It induces cell cycle arrest at the G_1 phase in B16/F10 cells when used at a concentration of 80 µM. Metapristone (2.5, 10, and 50 mg/kg per day) decreases the number of lung metastases in a B16/F10 murine model of lung metastasis.[4]

References

1. Khan, K.K., He, Y.Q., Correia, M.A., *et al.* Differential oxidation of mifepristone by cytochromes P450 3A4 and 3A5: Selective inactivation of P450 3A4. *Drug Metab. Dispos.* **30(9)**, 985-990 (2002).
2. Heikinheimo, O., Kontula, K., Croxatto, H., *et al.* Plasma concentrations and receptor binding of RU 486 and its metabolites in humans. *J. Steroid Biochem.* **26(2)**, 279-284 (1987).
3. Zheng, N., Chen, J., Liu, W., *et al.* Metapristone (RU486 derivative) inhibits cell proliferation and migration as melanoma metastatic chemopreventive agent. *Biomed. Pharmacother.* **90**, 339-349 (2017).
4. Wang, J., Chen, J., Zhu, Y., *et al.* In vitro and in vivo efficacy and safety evaluation of metapristone and mifepristone as cancer metastatic chemopreventive agents. *Biomed. Pharmacother.* **78**, 291-300 (2016).

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