PRODUCT INFORMATION



Methylpiperidino pyrazole

Item No. 13863

CAS Registry No.: 289726-02-9

Formal Name: 4-[1-(4-hydroxyphenyl)-4-methyl-

5-[4-[2-(1-piperidinyl)ethoxy]

phenyl]-1H-pyrazol-3-yl]-phenol

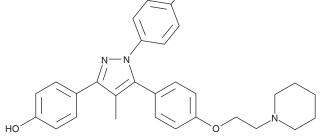
Synonym:

MF: $C_{29}H_{31}N_3O_3$ FW: 469.6 Purity:

UV/Vis.: λ_{max} : 204, 256 nm Supplied as: A crystalline solid

-20°C Storage: Stability: ≥4 years

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



Laboratory Procedures

Methylpiperidino pyrazole is supplied as a crystalline solid. A stock solution may be made by dissolving the methylpiperidino pyrazole in the solvent of choice, which should be purged with an inert gas. Methylpiperidino pyrazole is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of methylpiperidino pyrazole in these solvents is approximately 3, 5, and 14 mg/ml, respectively.

Methylpiperidino pyrazole is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, methylpiperidino pyrazole should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Methylpiperidino pyrazole has a solubility of approximately 0.11 mg/ml in a 1:8 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Estrogen action is mediated through two estrogen receptor (ER) subtypes, ERα and ERβ, which have distinct target tissue distributions and functional activities. Methylpiperidino pyrazole is an ER antagonist that is highly selective for ER α compared to ER β (K,s = 5.6 nM and 2.3 μ M, respectively).² It can inhibit ER α transcriptional activation with an IC $_{50}$ value of 80 nM. 3 It has been used to evaluate the role of ER α in various estrogen-responsive systems, including certain cancers.⁴

References

- 1. Hall, J.M., Couse, J.F., and Korach, K.S. The multifaceted mechanisms of estradiol and estrogen receptor signaling. J. Biol. Chem. 276(40), 36869-26872 (2001).
- Sun, J., Huang, Y.R., Harrington, W.R., et al. Antagonists selective for estrogen receptor α. Endocrinology 143(3), 941-947 (2002).
- 3. Zhou, H.B., Carlson, K.E., Stossi, F., et al. Analogs of methyl-piperidinopyrazole (MPP): Antiestrogens with estrogen receptor α selective activity. Bioorg. Med. Chem. Lett. 19(1), 108-110 (2009).
- Davis, A.M., Mao, J., Naz, B., et al. Comparative effects of estradiol, methyl-piperidino-pyrazole, raloxifene, and ICI 182 780 on gene expression in the murine uterus. J. Mol. Endocrinol. 41(4), 205-217 (2008).

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

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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