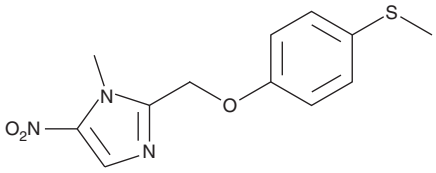
PRODUCT INFORMATION

Fexinidazole

Item No. 18393

CAS Registry No.:	59729-37-2
Formal Name:	1-methyl-2-[[4-(methylthio)phenoxy] methyl]-5-nitro-1H-imidazole
Synonym:	HOE239
MF:	$C_{12}H_{13}N_3O_3S$
FW:	279.3
Purity:	≥98%
UV/Vis.:	λ_{max}: 227, 257, 299 nm
Supplied as:	A crystalline 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

Fexinidazole is supplied as a crystalline solid. A stock solution may be made by dissolving the fexinidazole in the solvent of choice, which should be purged with an inert gas. Fexinidazole is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of fexinidazole in these solvents is approximately 0.2, 10, and 20 mg/ml, respectively.

Fexinidazole is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, fexinidazole should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Fexinidazole 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

Fexinidazole is an antiparasitic agent.[1] It is active against 15 strains of *T. brucei*, including *T. b. rhodesiense*, *T. b. brucei*, and *T. b. gambiense* (IC_{50}s = 0.95-3.31 µM). Fexinidazole inhibits the growth of *L. donovani* at the promastigote and axenic amastigote stages (EC_{50}s = 5.6 and 2.8 µM, respectively).[2] Fexinidazole (50 to 30 mg/kg per day) reduces parasitic burden and increases survival in a mouse model of infection with benznidazole-susceptible, -partially resistant, or -resistant *T. cruzi*.[3] Formulations containing fexinidazole have been used in the treatment of African sleeping sickness and Chagas disease.

References

1. Kaiser, M., Bray, M.A., Cal, M., *et al*. Antitrypanosomal activity of fexinidazole, a new oral nitroimidazole drug candidate for treatment of sleeping sickness. *Antimicrob. Agents Chemother.* **55(12)**, 5602-5608 (2011).
2. Wyllie, S.G., Patterson, S., Stojanovski, L., *et al*. The anti-trypanosome drug fexinidazole shows potential for treating visceral leishmaniasis. *Sci. Transl. Med.* **4(119)**, 119re1 (2012).
3. Bahia, M.T., de Andrade, I.M., Martins, T.A.F., *et al*. Fexinidazole: A potential new drug candidate for Chagas disease. *PLoS Negl. Trop. Dis.* **6(11)**, e1870 (2012).

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