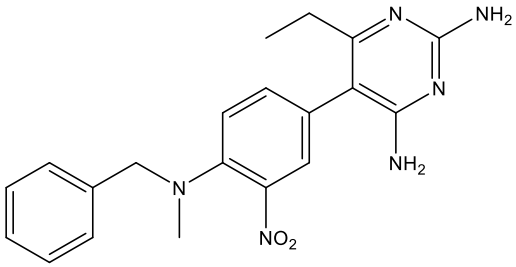
Catalog # 10-4892
Methylbenzoprim

CAS# 118344-71-1

5-[4-[Benzyl(methyl)amino]-3-nitrophenyl]-6-ethylpyrimidine-2,4-diamine; Compound 19-S; NSC382035

Lot # FBA8259



Methylbenzoprim, a derivative of the antimalarial drug Pyrimethamine, is a non-classical potent inhibitor of mammalian dihydrofolate reductase (IC_{50} = 3.2 nM rat liver).[1] It inhibited melanoma tumor growth both *in vitro* and *in vivo*.[2] Methylbenzoprim has been recently found to also inhibit thymidylate synthase (TYMS) with activity comparable to the 5-FU metabolite FdUrd.[3] It was more potent than 5-fluorouracil in a panel of 4 pancreatic ductal adenocarcinoma cells lines and without TYMS overexpression that frequently leads to drug resistance.[3] Methylbenzoprim inhibited tumor growth and progression in two pancreatic cancer xenograft models. Orally bioavailable.

1) Griffin *et al*. (1989), *Structural studies on bioactive compounds. 8. Synthesis, crystal structure, and biological properties of a new series pf 2,4-diamino-5-aryl-6-ethylpyrimidine dihydrofolate reductase inhibitors with in vivo activity against methotrexate-resistant tumor cell line;* J. Med. Chem., **32** 2468
2) Tommasino *et al*. (2016), *New derivatives of the antimalarial drug Pyrimethamine in the control of melanoma tumor growth: an in vitro and in vivo study;* J. Exp. Clin. Cancer Res., **35** 137
3) Guijarro *et al*. (2023), *First-in-class multifunctional TYMS non-classical antifolate inhibitor with potent in vivo activity that prolongs survival;* JCI Insight, **8** e158798

PHYSICAL DATA

Molecular Weight:	378.44
Molecular Formula:	$C_{20}H_{22}N_6O_2$
Purity:	>98% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (15 mg/ml)
Physical Description:	Red/Orange solid
Storage and Stability:	Store as supplied desiccated at -20°C for up to 2 years from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 3 months.

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