

# Pafuramidine

Catalog No: tcsc1470



## Available Sizes

**Size:** 5mg

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



## Specifications

**CAS No:**

186953-56-0

**Formula:**

$C_{20}H_{20}N_4O_3$

**Pathway:**

Anti-infection

**Target:**

Parasite

**Purity / Grade:**

>98%

**Solubility:**

H<sub>2</sub>O :

**Alternative Names:**

DB289

**Observed Molecular Weight:**

364.4

## Product Description

Pafuramidine (DB289) is an orally bioavailable prodrug of furamidine, which has clinical activity against *Pneumocystis pneumonia*.

IC50 Value: 4.5 nM (In vitro inhibitory activity against *Trypanosoma brucei rhodesiense*) [4]

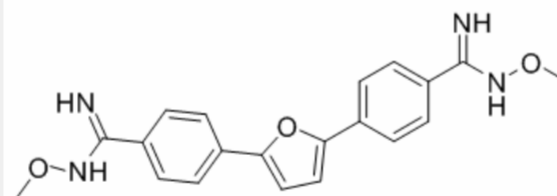
Target: Antiparasitic

DB289 (pafuramidine maleate; 2,5-bis[4-(N-methoxyamidino)phenyl]furan monomaleate) is a prodrug of DB75 (furamidine dihydrochloride; 2,5-bis(4-guanylphenyl)furan dihydrochloride), an aromatic dication related to pentamidine that has demonstrated good efficacy against African trypanosomiasis, *Pneumocystis carinii pneumonia*, and malaria, but lacks adequate oral availability.

in vitro: The results of this investigation suggest that DB75 inhibits mitochondrial function. Yeast cells relying upon mitochondrial metabolism for energy production are especially sensitive to DB75 [1].

in vivo: Clearance of DB289 approximated the liver plasma flow and its large volume of distribution was consistent with extensive tissue binding. Plasma protein binding of DB289 was 97 to 99% in four animal species and humans, but that of DB75 was noticeably less and more species- and concentration-dependent [2]. Despite excellent oral activity against early-stage sleeping sickness, oral administration of DB289 exhibited limited efficacy in mouse models of late-stage disease [3].

Clinical trial: DB289, a novel orally active prodrug of DB75, is undergoing phase IIb clinical trials for early-stage human African trypanosomiasis, *Pneumocystis jiroveci carinii pneumonia*, and malaria [1].



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!