# Product Data Sheet

Product Name: Pafuramidine
Cat. No.: GC15249

## Chemical Properties

| | | | |
|---|---|---|---|
| Cas. No. | 186953-56-0 | | |
| Chemical Name | N'-methoxy-4-[5-[4-[(Z)-N'-methoxycarbamimidoyl]phenyl]furan-2-yl]benzenecarboximidamide | | |
| SMILES | CON=C(C1=CC=C(C=C1)C2=CC=C(O2)C3=CC=C(C=C3)C(=NOC)N)N | | |
| Formula | $C_{20}H_{20}N_4O_3$ | M.Wt | 364.4 |
| Solubility | DMSO : 33.33 mg/mL (91.47 mM; Need ultrasonic) | Storage | Store at -20°C |
| General tips | For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months. | | |
| Shipping Condition | Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request. | | |
| Structure | Pafuramidine | | |

## Background

Pafuramidine, an orally bioavailable prodrug of furamidine (DB75) with considerable trypanocidal activity, is an experimental drug for the treatment of pneumocystis pneumonia (PCP). Pafuramidine is well tolerated and has clinical activity against Pneumocystis pneumonia[1].

In vivo: Inmurine models of human African trypanosomiasis, clearance of parasites from the peripheral circulation started 48 h after initiation of treatment with pafuramidineand was complete in all groups 6 days after the first drug dose. Administration of pafuramidine PO or IP at dose rates equal to or greater than 4 mg/kg resulted in 100% cure rates [2]. In the vervet monkey (Chlorocebus [Cercopithecus] aethiops) model of sleeping sickness, pafuramidine (10 mg/kg) completely cured all three monkeys, whereas lower doses of 3 mg/kg and 1 mg/kg cured only one of three and zero of three monkeysin an early-stage infection, respectively. In a late-stage infection, pafuramidine treatment resulted in cure rates of one of three and zero of three monkeys. These data


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indicated the limited ability of pafuramidine to cross the blood-brain barrier [3].

Clinical trials: Pafuramidinehas reached Phase III clinical trials for the treatment of first stage African sleeping sickness, but development program was halted in 2008 over concerns about liver toxicity and later renal insufficiency in a number of participants in the additional Phase I trial[4].

References:

[1] Chen D, Marsh R, Aberg J A.  Pafuramidine for Pneumocystis jiroveci pneumonia in HIV-infected individuals[J]. Expert review of anti-infective therapy, 2007, 5(6): 921-928.
[2] Thuita J K, Karanja S M, Wenzler T, et al.  Efficacy of the diamidine DB75 and its prodrug DB289, against murine models of human African trypanosomiasis[J]. Actatropica, 2008, 108(1): 6-10.
[3] Mdachi R E, Thuita J K, Kagira J M, et al.  Efficacy of the novel diamidine compound 2, 5-Bis (4-amidinophenyl)-furan-bis-O-Methlylamidoxime (Pafuramidine, DB289) against Trypanosoma bruceirhodesiense infection in vervet monkeys after oral administration[J]. Antimicrobial agents and chemotherapy, 2009, 53(3): 953-957.
[4] HarrillA H, DeSmet K D, Wolf K K, et al.  A mouse diversity panel approach reveals the potential for clinical kidney injury due to DB289 not predicted by classical rodent models[J]. Toxicological Sciences, 2012: kfs238.


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