Iranian Journal of Pharmaceutical Research
Volume ٨, Issue ٤, September ٢٠٠٩, Pages ٢٥٧-٢٦٢

Synthesis and in vitro leishmanicidal effects of conformationally restricted analogues of pentamidine

Hadizadeh, F.[ab] , Jaafari, M.R.[ab], Samiei, A.[b], Mostafavirad, A.[a], Mohammadian, E.[b]
[a] Department of Medicinal Chemistry, School of Pharmacy, **Mashhad University** of **Medical Sciences**, **Mashhad**, Iran
[b] Biotechnology Research Center, **Mashhad University** of **Medical Sciences**, **Mashhad**, Iran

View references (١٥)

Abstract

Four conformationally restricted analogues of pentamidine were prepared. Then, different concentrations (٠,٠٣٩, ٠,٠٧٨, ٠,١٥٦, ٠,٣١٢ and ٠,٦٢٥ mg/mL) of each compound and two positive controls (amphotericin B and pentamidine, ٠,٦٢٥ mg/mL), one negative control (culture medium) and one solvent control (DMSO) were prepared and placed in ٢٤-well plates containing ٥٠٠٠٠ parasite per well. Promastigotes of Leishmania major were incubated over a period of ٢ days at ٢٥°C; subsequently, percent of viable parasite in each well determined spectrophotometrically using MTT assay. The average $BC_{٥٠}$ for compounds ٤a,b and ٨a,b in DMSO was ٠,٠٩٨, ٠,٤١٠, ٠,١٥٠, ٠,٧٢٠ mg/mL, respectively. The average $BC_{٥٠}$ for positive controls pentamidine and amphotericin B was found to be ٠,٠٦٢ and ٠,٠٢٦ mg/mL. The control solvent had no significant effect on L. major promastigotes. All compounds had significant effect compared to DMSO and were less potent than positive controls. Copyright © ٢٠٠٩ by School of Pharmacy Shaheed Beheshti University of Medical Sciences and Health Services.

Reaxys Database Information

|

Author keywords

Analogues; Conformationally restricted; Leishmaniasis; Pentamidine

Indexed Keywords

EMTREE drug terms: amphotericin B; pentamidine; pentamidine derivative

EMTREE medical terms: antiprotozoal activity; article; drug synthesis; in vitro study; Leishmania major; nonhuman; promastigote; spectrophotometry

Chemicals and CAS Registry Numbers: amphotericin B, ١٣٩٧-٨٩-٣, ٣٠٦٥٢-٨٧-٠; pentamidine, ١٠٠-٣٣-٤

ISSN: ١٧٣٥٠٣٢٨ **Source Type:** Journal **Original language:** English
Document Type: Article