Synthesis of New 2-Substituted 6-Bromo-3-methylthiazolo[3,2-*a*]-benzimidazole Derivatives and their Biological Activities

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1-(6-Bromo-3-methyl-1,3-thiazolo[3,2-*a*]benzimidazol-2-yl)ethanone (**2**) was prepared by bromination at ambient temperature of 1-(3-methylthiazolo[3,2-*a*]benzimidazol-2-yl)ethanone (**1**). The structure of **2** was determined by single-crystal X-ray diffraction. The precursor **5** was synthesized by heating a mixture of acetyl **2** and bromine. Various 2-substituted 6-bromo-3-methylthiazolo[3,2-*a*]benzimidazoles containing 1,3-thiazole, 1,4-benzothiazine, quinoxaline or imidazo[1,2-*a*]pyridine moieties were prepared starting from bromoacetyl **5**. Taken together from the biological investigations, **2**, **5**, and **7a** were potent immunosuppressors against both macrophages and T-lymphocytes, and **7b**, **11b**, and **14** were potent immunostimulators towards both types of immune cells. The results also revealed that, among others, **2** and **14** were the most significant inhibitors of LPS-stimulated NO generation, and that **5**, **7a**, and **7b** had a weak radical scavenging activity against DPPH radicals. Moreover, **2**, **5**, and **7a** had a concomitant strong cytotoxicity against colon carcinoma, hepatocellular carcinoma, and lymphoblastic leukemia cells. Collectively, compounds **2**, **5**, and **7a** are multipotent compounds with promising biological activities.

Key words: Anti-Inflammatory, Anticancer, Thiazolo[3,2-*a*]benzimidazole