Wirkstoffe

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- Projekte
- Mitarbeiter
-
- Kooperationen

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Zeige Ergebnisse 1 bis 4 von 4.

Ramos Leal, I. C.; Netto dos Santos, K. R.; Itabaiana Júnior, I.; Ceva Antunes, O. A.; Porzel, A.; Wessjohann, L.; Machado Kuster, R.; Ceanothane and Lupane Type Triterpenes from Zizyphus joazeiro – An Anti-Staphylococcal Evaluation *Planta Med.* **76**, 47-52, (2010) DOI: 10.1055/s-0029-1185947

Abstract
RIS
BibTeX

The present paper describes the phytochemical and anti-staphylococcal activity investigation of the dichloromethane extract of the Brazilian plant Zizyphus joazeiro Mart. The purification steps were guided by bioassays against 17 bacterial strains of clinical sources, including methicillin-resistant (MRSA) and -sensitive (MSSA) Staphylococcus aureus as well as MRSA (ATCC 33591) and MSSA (ATCC 29213) reference strains. One of the more active fractions is comprised of three lupane-type triterpenes, the methylbetulinate (1) as well as the known betulinic (2) and alphitolic (3) acids and, for the first time in the Z. joazeiro, two ceanothane type triterpenes, the methylceanothate (4) and the epigouanic acid A (5). These substances were assayed against one clinical (PVL+) and the reference strains of S. aureus as well as the ATTC 12228 strain of S. epidermidis, in concentrations that varied from 128 to 0.125 µg/mL in order to establish the minimum inhibitory concentration (MIC) of the drugs. The minimum bactericide concentration (MBC) was also evaluated to distinguish the bactericidal from bacteriostatic activity of the crude fractions and single compounds. Compounds 3 and 4 possess the highest antibacterial activity. They inhibit all bacteria tested at 32 µg/mL and 16 µg/mL, respectively, while the other compounds showed no activity at 128 µg/mL. In contrast to single compounds, the triterpenoid fraction showed bactericidal activity at 256 µg/mL. Structural elucidations are based on 1D and 2D NMR spectroscopy as well as HR-FT-ICR-MS experiments.

Preusentanz, R.; Pando, O.; Wessjohann, L.; Kleine, ungewöhnliche Peptide gegen Krebs *Nachr. Chem.* **58**, 526-532, (2010) DOI: 10.1002/nadc.201069166

Abstract
RIS
BibTeX

N-alkylierte Peptide wie die Dolastatine und vor allem die jüngeren Tubulysine gelten als vielversprechende Leitsubstanzen für die Krebstherapie. Konjugate der Tubulysine vereinen Tumorselektivität und Aktivität in bisher nicht bekanntem Maß.

Haack, M.; Löwinger, M.; Lippmann, D.; Kipp, A.; Pagnotta, E.; Iori, R.; Monien, B. H.; Glatt, H.; Brauer, M. N.; Wessjohann, L. A.; Brigelius-Flohé, R.; Breakdown products of neoglucobrassicin inhibit activation of Nrf2 target genes mediated by myrosinase-derived glucoraphanin hydrolysis products *Biol. Chem.* **391**, 1281-1293, (2010) DOI:

10.1515/bc.2010.134

Abstract
RIS
BibTeX

Glucosinolates (GLSs) present in Brassica vegetables serve as precursors for biologically active metabolites, which are released by myrosinase and induce phase 2 enzymes via the activation of Nrf2. Thus, GLSs are generally considered beneficial. The pattern of GLSs in plants is various, and contents of individual GLSs change with growth phase and culture conditions. Whereas some GLSs, for example, glucoraphanin (GRA), the precursor of sulforaphane (SFN), are intensively studied, functions of others such as the indole GLS neoglucobrassicin (nGBS) are rather unknown as are functions of combinations thereof. We therefore investigated myrosinase-treated GRA, nGBS and synthetic SFN for their ability to induce NAD(P)H:quinone oxidoreductase 1 (NQO1) as typical phase 2 enzyme, and glutathione peroxidase 2 (GPx2) as novel Nrf2 target in HepG2 cells. Breakdown products of nGBS potently inhibit both GRA-mediated stimulation of NQO1 enzyme and Gpx2 promoter activity. Inhibition of promoter activity depends on the presence of an intact xenobiotic responsive element (XRE) and is also observed with benzo[a]pyrene, a typical ligand of the aryl hydrocarbon receptor (AhR), suggesting that suppressive effects of nGBS are mediated via AhR/XRE pathway. Thus, the AhR/XRE pathway can negatively interfere with the Nrf2/ARE pathway which has consequences for dietary recommendations and, therefore, needs further investigation.

Geissler, T.; Brandt, W.; Porzel, A.; Schlenzig, D.; Kehlen, A.; Wessjohann, L.; Arnold, N.; Acetylcholinesterase inhibitors from the toadstool Cortinarius infractus *Bioorg. Med. Chem.* **18**, 2173-2177, (2010) DOI: 10.1016/j.bmc.2010.01.074

Abstract
RIS
BibTeX

Inhibition of acetylcholinesterase (AChE) and therefore prevention of acetylcholine degradation is one of the most accepted therapy opportunities for Alzheimer´s disease (AD), today. Due to lack of selectivity of AChE inhibitor drugs on the market, AD-patients suffer from side effects like nausea or vomiting. In the present study the isolation of two alkaloids, infractopicrin (1) and 10-hydroxy-infractopicrin (2), from Cortinarius infractus Berk. (Cortinariaceae) is presented. Both compounds show AChE-inhibiting activity and possess a higher selectivity than galanthamine. Docking studies show that lacking π–π-interactions in butyrylcholinesterase (BChE) are responsible for selectivity. Studies on other AD pathology related targets show an inhibitory effect of both compounds on self-aggregation of Aβ-peptides but not on AChE induced Aβ-peptide aggregation. Low cytotoxicity as well as calculated pharmacokinetic data suggest that the natural products could be useful candidates for further drug development.