Urease Inhibitory Active Compound from Marine Endophytic Fungus Aspergillus Terreus

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ABSTRACT. In recent years, research on the chemistry of endophytic fungi has become an important source of secondary metabolites. As a continuation of our investigation on chemistry and bioactivity of endophytic fungi from seaweeds, fungal strain Aspergillus terreus isolated from red alga, Laurencia ceylanica was cultivated in bulk and extracted with EtOAc. Above extract was subjected to various chromatographic techniques to give a new compound (1) along with 9 known compounds butyrolactone-1(2), (+)-terrein (3), (+)-territonin (4), (+)-territonin-A (5), (+)-asterrelenin (6), 6-hydroxymellin(7), (3R,4R)-6,7-dimethoxy-4-hydroxymellin (8), oleic acid and gucopyranosyl-β-sitosterol. 13C NMR and DEPT spectra of 1 showed 20 carbon signals including one carbonyl, one methoxy, and ten quaternary carbons. Its 1H NMR spectrum showed signals due to seven aromatic protons, two methylene protons, one aldehyde proton and one methoxy group. The positive CIMS of 1 showed its molecular ion peak at m/z 385.0 corresponding to [M + H], + and the molecular formula of I was deduced as C20H16O8. Comparison of the spectral data of I with a known compound (2) which was isolated from the same extract, and 2D NMR experimental data indicated 1 to be 3-hydroxy-4-(4-hydroxyphenyl)-5-methoxycarbonyl-5-(4hydroxy-3-formylbenzyl)-2,5-dihydro-2-furanone.

Urease is known to be a major cause of pathologies induced by Helicobacter pylori (HP) which allows HP to survive at low pH of the stomach during colonization and therefore plays an important role in the pathogenesis of gastric and peptic ulcer, which may lead to cancer. Hence, compounds from Aspergillus terreus extract were subjected to urease inhibitory activity assay. Of them, compound 3 showed a significant urease inhibition activity with an IC50 value of 116.8 μ M, compare to positive control Thiourea (IC50 = 21 μ M). As 3 has shown, significant activity, further investigations are in progress.

Key words: Aspergillus Terreus, Butyrolactone, Helicobacter Pylori.

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