Adenosine A1 Receptor Binding Activity of Methoxy Flavonoids from Orthosiphon stamineus

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Abstract

Orthosiphon stamineus Benth. (Orthosiphon grandiflorus Bold. or Clerodendranthus spicatus Thunb.) is an Indonesian medicinal herb traditionally used for diseases such as hypertension, diabetes, and kidney stones. Despite the importance of this last application, there are very few reports on it. Diuretic action is an important factor in kidney stone treatment, as an increase in the volume of fluid flowing through the kidney will help to dissolve the stones, assist their passing to avoid further retention, and flush out the deposits. Among the diverse roles of adenosine A1 receptor antagonists in renal protection, many studies have shown that they can induce diuresis and sodium excretion. A bioassay-guided fractionation of a methanol-water extract of Orthosiphon stamineus leaves using the adenosine A1 receptor binding assay resulted in the isolation of seven methoxy flavonoids as
active ligands with Ki values in the micromolar range. The Hill slope values are not significantly different from unity (within 0.9–1.4), which indicates the antagonist effect to A1-R. The results of this study thus provide a scientific foundation for the traditional use of Orthosiphon stamineus in kidney stone treatment, as the affinity of the active compounds isolated from it as adenosine A1 receptor ligands allows them to be associated with diuretic activity, which is one possible treatment for renal lithiasis.