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Screening of Aldose Reductase Inhibitory Activity of *Saussurea grandifolia*

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서덜취의 알도즈 환원효소 억제 효과 물질 탐색

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Objective

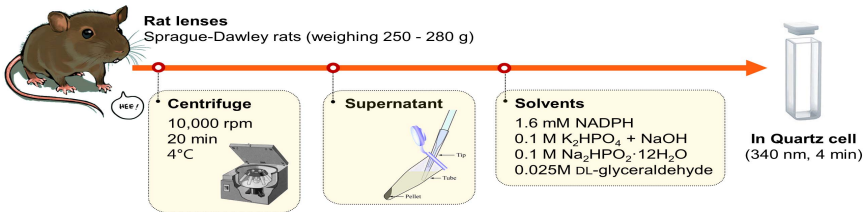
We tested the effects of the fractions from *Saussurea grandifolia* on AR inhibition.

Materials and Methods

○ Plant materials : The aerial part of *Saussurea grandifolia* from KNA

○ Methods :

1. Measurement of AR activity



2. Isolation: The dried and powdered aerial parts of *Saussurea grandifolia* were extracted with MeOH under reflux. The filtrate was concentrated to dryness *in vacuo* to produce MeOH extract and then suspended in H_2O and then partitioned in turn using *n*-hexane, MC, EtOAc, and BuOH, successively. A portion of the EtOAc fraction was chromatographed on a silica gel column using stepwise gradient of MC-MeOH solvent system to yield 11 sub-fractions. Sub-fraction 8 was recrystallized (MeOH) to yield **1**.

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Results

○ Table 1. 1st. AR activity Screening

Sample	Concentration (μg/ml)	AR inhibition (%)	IC_{50} (μg/ml)
MeOH extract	10	90.93	0.43
	5	85.19	
	1	56.64	
	0.5	37.16	
n-hexane fraction	10	21.58	-
MC fraction	10	46.48	-
EtOAc fraction	10	99.67	0.12
	5	99.30	
	1	93.41	
	0.1	57.21	
	0.05	24.37	
BuOH fraction	10	84.07	3.33
	5	67.28	
	1	8.76	

○ Compound **1**: yellow powder; EI-MS *m/z* 432 $[M]^+$; ^{1}H-NMR (500 MHz, DMSO): δ6.74 (1H, s, H-3), 6.21 (1H, s, H-6), 7.99 (1H, d, *J* = 8.1 Hz, H-2',6'), 6.88 (1H, d, *J* = 8.6 Hz, H-3',5'), 4.70 (1H, d, *J* = 9.9 Hz, Glu-1), 13.1 (1H, s, 5-OH); ^{13}C-NMR (125 MHz, DMSO):δ 164.9 (C-2), 102.3 (C-3), 181.9 (C-4), 160.4 (C-5), 98.5 (C-6), 163.7 (C-7), 103.5 (C-8), 155.9 (C-9), 104.6 (C-10), 121.6 (C-1'), 128.8 (C-2',6'), 115.8 (C-3',5'), 161.1 (C-4'), 78.7 (Glu-1), 72.5 (Glu-2), 70.9 (Glu-3), 70.5 (Glu-4), 81.8 (Glu-5), 61.2 (Glu-6).

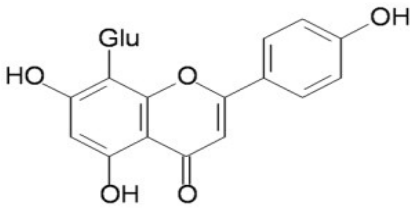

Fig. 1. Chemical structures of vitexin

○ Table 2. 2nd. AR activity Screening

Sample	Concentration (μg/ml)	AR inhibition (%)	IC_{50} (μM)
Vitexin	10	95.96	2.05
	5	80.78	
	1	36.73	
	0.1	21.10	
Quercetin*	1	61.10	1.56
	0.5	56.66	
	0.1	18.33	

* positive control