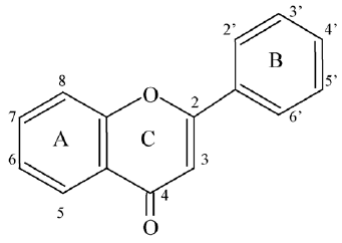
Table 1: Structure-activity analysis of compound Hit 1

Structure [a]	Flavonoid	$-R_3$	$-R_5$	$-R_6$	$-R_7$	$-R_{3'}$	$-R_{4'}$	IC_{50} (µM)[b]
	5,7,3',4'-Tetrahydroxyflavone	H	OH	H	OH	OH	OH	**1.01**
	5,3',4'-Trihydroxyflavone	H	OH	H	H	OH	OH	**1.01**
	5,7,4'-Trihydroxyflavone	H	OH	H	OH	H	OH	**No effect**
	7,3',4'-Trihydroxyflavone	H	H	H	OH	OH	OH	**No effect**
	5,7-Dihydroxyflavone	H	OH	H	OH	H	H	**No effect**
	3',4'-Dihydroxyflavone	H	H	H	H	OH	OH	**No effect**
	7,3'-Dihydroxyflavone	H	H	H	OH	OH	H	**No effect**
	5,4'-Dihydroxyflavone	H	OH	H	H	H	OH	**5.48**
	5,3'-Dihydroxyflavone	H	OH	H	H	OH	H	**0.53**
	7,4'-Dihydroxyflavone	H	H	H	OH	H	OH	**No effect**
	5-Hydroxyflavone	H	OH	H	H	H	H	**>10**
	3'-Hydroxyflavone	H	H	H	H	OH	H	**No effect**
	5,3'-Dihydroxy-6,7,4'-trimethoxyflavone	H	OH	OCH_3	OCH_3	OH	OCH_3	**4.17**
	5,7,4'-Trihydroxy-3'-methoxyflavone	H	OH	H	OH	OCH_3	OH	**No effect**
	5,7,3'-Trihydroxy-4'-methoxyflavone	H	OH	H	OH	OH	OCH_3	**1.37**
	5,7,3',4'-Tetrahydroxy-3-methoxyflavone	OCH_3	OH	H	OH	OH	OH	**No effect**
	5,7,3',4'-Tetramethoxyflavone	H	OCH_3	H	OCH_3	OCH_3	OCH_3	**No effect**

[a] R8, R2', R5' and R6' comprise H in all cases

[b] IC_{50} for inhibition of ELK1-dependent promoter activation by AR. The primary screening assay (ELK1-dependent promoter activation by AR) was used to determine the IC50 values for Hit1 and its various derivatives, using a compound dose range of 1-10µM.