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Preparations and antioxidant activities of sesamol and it's derivatives

needs a further study.



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ARTICLE INFO	A B S T R A C T				
Keywords: Antioxidant Natural product Sesamol derivatives	Antioxidants is a kind of substances that can effectively inhibit the oxidation reaction of free radicals. There are many chemical components with antioxidant activity in natural products. Sesamol is one of the natural products with antioxidant activity, and it is often used as an antioxidant in food, medicine and other fields. In the present study, sesame was used as the extraction raw material for the extraction and separated of sesamol with antioxidant activity. On this basis, a total 10 of sesamol derivatives were synthesized by two steps reaction with sesamol as starting material. The antioxidant activity of these sesamol derivatives were tested, and the test results showed that these sesamol derivatives had a good antioxidant activity, among them, compound 4d had the best antioxidant activity. Sesamol derivatives can be used as an antioxidant in food, medicine and other fields and it				

The strategy of resources, environment and sustainable development has become a global hot issues facing human society, which requires people to make efficient and precise use of useful resources¹ Because of the uniqueness and the important Socioeconomic value, biological resources are widely used in all fields of society. There are many kinds of natural products, which are widely existed in nature. The research, deep processing and utilization of chemical substances in natural products have an important Socioeconomic value.^{2,3} Due to environmental factors such as pollution, the abuse of additives and the increase of social pressure, the proliferation of free radicals in the human body, all of them are destructing the balance of antioxidant system. The excessive active free radicals can attack the human cells, causing cell damage and even death. The study shows that many serious diseases and aging are associated with the production of excess free radicals. The research of antioxidant substances from natural products and their applications have aroused people's attention.^{4–6} At present, the antioxidant active ingredients have been screened out from the natural products, including polysaccharides, flavonoids, polyphenols (lignans), alkaloids, saponins and vitamins.⁷ The study on the extraction and separation of antioxidant active components of natural products and the synthesis of derivatives is of a great significance for the development of new antioxidants and the study on antioxidant mechanism of antioxidants.⁸⁻¹⁰

Sesamol, 3, 4-(methylenedioxy) phenol, is a natural fat-soluble lignin

compound. It is an important aroma component of sesame oil and an important quality stabilizer of sesame oil. Sesamol was found in sesame seeds, sesame oil and sesame meal, and it can be continuously decomposed by sesamin during hot processing. The maximum value in sesame oil was up to 64.4 mg/100 g. $^{10-12}$ As a natural food functional factor, sesamol has a very strong antioxidant capacity and it is often used as an antioxidant in food and medicine. Through its antioxidant activity, sesamol has a certain inhibitory effect on the oxidation of oil and it can prolong the shelf life of meat products, improve their quality and prevent meat products from oxidation and deterioration during storage. Sesamol is an expensive chemical, pharmaceutical intermediate raw material, which has a good development and utilization value and application prospect.¹³⁻¹⁵ It was an important starting material or intermediate for the synthesis of antihypertensive, cardio-cerebrovascular and anticancer drugs, as well as a raw material for the pesticide synergistic agent pepper butyl ether. There is a great international demand for sesamin, especially in the field of drug synthesis.^{16–20} There are three main ways to obtain sesamol: the first one is to extract it from sesame oil (sesame); the second one is completely synthesized from 3, 4-(methylenedioxy) aniline; the third one is semi-synthetic from piperonal. In this study, the commercial sesame seed was used as the raw material to extract the sesamol, a convenient and efficient extraction and separation process was adopted to successfully obtain the sesamol with antioxidant

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Fig. 2. The technological process of extraction and separation of sesamol.

function (Fig. 1). On the basis of the obtained sesamol, prepared by chemical synthesis, and two derivatives of sesamol were successfully synthesized. In the process of synthesis of sesamol derivatives, sesamol was used as raw material to prepare the desired target compounds through esterification and closed-loop reaction. The antioxidant activities of sesamol derivatives were studied, including hydroxyl radical scavenging capacity, superoxide anion scavenging capacity, reducing capacity and anti lipid peroxidation capacity.²¹ Sesamol derivatives can be used as an antioxidant in food, medicine and other fields, which needs further study.

In general, the sesamol was obtained from sesame samples through two processes of extraction and separation.²² The specific extraction and separation process was shown in Fig. 2. Sesamol mainly exists in sesame seeds in the form of sesamin. During the hot processing of sesame seeds, the sesamin was continuously decomposed into sesamol. Sesamin was a compound of free lignans which was lipophilic. Therefore, sesamin could be easily dissolved in chloroform, ether, ethyl acetate and other organic solvents. However, these low-polarity organic solvents have a hard time penetrating into the plant cells, it was necessary to extract with hydrophilic organic solvents such as ethyl alcohol and acetone, and then extract with organic solvents such as chloroform and ether. As sesamin could be decomposed into sesamol during heat treatment, the sesamol could be extracted by proper heat treatment during the extraction process. In the extraction process of sesamol, we systematically screened the solvent, concentration, extraction temperature and extraction time. Ethyl alcohol was finally determined as the extraction solvent. The ethyl alcohol concentration used for extraction was 70% (volume fraction), the extraction temperature was 60 °C and the extraction length of time was 4 h. After the extraction of sesamol, the extraction was carried out with ether. The main separation method of lignin was adsorption chromatography. The commonly used adsorption separation material was silica gel, eluted by solvent systems such as petroleum ether-ethyl acetate, petroleum ether-acetone, chloroformacetone, chloroform-methanol. During the separation of sesamol, chloroform/methanol = 95:5 (volume fraction) was used as eluent. After the separation of sesamol with silica gel was completed, in order to further purify, we selected petroleum ether for crystallization treatment, and finally obtained pure sesamol. Under the optimal extraction and separation conditions, the extraction rate of sesamol was 30.2 mg/100 g (0.30‰).

Natural products and their synthetic derivatives had been recognized as the most important source of a new class of biological activity substances and therapeutic agents for a long time. We herein present the synthesis of sesamol derivatives and the results of the antioxidant activity assays. Ten sesamol derivatives were synthesized by two steps reaction with sesamol as starting material (Scheme 1). These sesamol derivatives include open-loop structures (compounds 3a-3e) and closedloop structures (compounds 4a-4e). In the process of synthesis, the sesamol (obtained by extraction and separation from sesame samples) was esterified with substituted 2-chlorobenzoyl chloride (compounds 2a-2e) to obtain its open-loop derivatives (compounds 3a-3e). In this step, with tetrahydrofuran (THF) as the reaction solvent, the compounds 3a-3e with high yield (yield more than 95%) could be obtained by refluxing for 2 h without using any catalyst. Based on the derivatives of open-loop structure, the closed-loop structure compounds 4a-4e were synthesized. In the second step, the dimethylacetamide (DMA) was used as the reaction solvent and anhydrous potassium carbonate (K₂CO₃) was used as the acid application agent, and the closed-loop process could be completed within 6 h of refluxing. As the closed-loop reaction was relatively difficult, palladium acetate (Pd(OAc)₂) was appropriately added as the reaction catalyst.²³ The yield of the closed-loop structure derivatives 4a-4e were significantly lower than that of the previous derivatives, which was approximately 50%-60%. In general, the synthetic route has the advantages of simple operation, mild reaction conditions, moderate to good total yield, and certain industrialization potential.

Free radicals are constantly produced in the body due to continuous contact with the outside world, including respiration (oxidation reaction), external pollution, radiation exposure and other factors. Scientific research shows that cancer, aging or other diseases are mostly linked to



Scheme 1. The synthetic route of sesamol derivatives compounds 3a-3e and 4a-4e. Reagents and conditions: (a) THF, reflux, 2 h; (b) DMA, K₂CO₃, Pd(OAc)₂, reflux, 6 h.

the production of excess free radicals.²⁴ Research on antioxidants can effectively overcome the harm brought by them, so antioxidants have been listed as one of the main research and development directions of health products and cosmetics enterprises. Also one of the most important functional appeals of the market.²⁵ Antioxidation was any substance that can effectively inhibit the oxidation reaction of free radicals in the presence of low concentration. Its action mechanism can be direct ed action on free radicals or indirect consumption of substances which are easy to generate free radicals to prevent further reactions.²⁶ While the body inevitably produces free radicals, it also naturally produces antioxidant substances that resist free radicals to counteract their oxidative attacks on human cells. Studies have shown that the body's antioxidant system is a system with perfect and complex functions comparable to the immune system. The stronger the body's antioxidant capacity was, the healthier it was and the longer it lives. The chemical composition of natural flavor was very complex, which was generally composed of nearly a hundred chemical components, and some are even composed of hundreds of chemical components. The main antioxidant chemical constituents are phenols, flavonoids, terpenoids, aldehydes, ketones, acids, alcohols, esters, alkaloids and unsaturated hydrocarbons. Different spice plants contain different chemical components of the main antioxidant properties. The chemical composition of natural flavor plants, in the process of storage or use will also occur a series of biochemical reactions, forming many new compounds. Sesamol was an important ingredient in sesame oil and sesamol was a free radical scavenger with a strong antioxidant activity. In this study, 10 kinds of sesamol derivatives were synthesized by taking the sesamol extracted

and separated from sesame as the starting material (Fig. 1 and Scheme 1). On this basis, the antioxidant activities of the 10 sesamol derivatives were studied, including hydroxyl radical scavenging capacity, superoxide anion scavenging capacity, hydrogen peroxide scavenging capacity, reducing ability and anti lipid peroxidation capacity. Sesamol was used as a positive control during the determination of antioxidant activity. The antioxidant activity test results showed that the activity of these 10 sesamol derivatives was mostly better than that of the positive control (sesamol).

Hydroxyl radical was generated by Fenton reaction: $H_2O_2 + Fe^{2+} \rightarrow$ \cdot OH + H₂O + Fe³⁺. Salicylic acid was added into the reaction system, the hydroxyl produced by Fenton reaction was based on the reaction of salicylic acid, and 2, 3-dihydroxybenzoic acid with special absorption was generated at 510 nm. If the hydroxyl radical scavenging hydroxyl radical is added to the reaction system, the hydroxyl radical will be reduced, so as to reduce the production of colored compounds. The absorbance of the reaction solution was measured at 510 nm by fixed reaction time method to determine the hydroxyl radical scavenging effect.²⁵ As shown in Table 1, the results of 10 sesamol derivatives showed that they had scavenging activity under low concentration (Table 1). As could be seen from the results in Table 1, under the same test concentration, the hydroxyl radical scavenging ability of most derivatives was better than that of the positive control group. At the high concentration, the hydroxyl radical scavenging activity of the closed loop derivatives (compounds 4a-4e) were significantly higher than that of the open loop derivatives (compounds 3a-3e) and the positive control group (sesamol). Among them, the compound 4d showed the best biological

Table 1 Hydroxyl radical scavenging rate of sesamol

Hydroxyl radical scavenging rate of sesamol derivativ	es.

Compounds	Hydroxyl radical scavenging rate ^a (%) $\pm SD$						
	Concentration (g.L ⁻¹)						
	0.2	0.4	0.6	0.8	1	1.2	
3a	14.23	34.35	61.47	73.76	77.45	78.23	
	± 0.13	\pm 0.22	\pm 0.55	\pm 0.66	\pm 0.66	\pm 0.67	
3b	14.03	32.67	60.60	72.73	76.36	77.13	
	\pm 0.11	\pm 0.23	\pm 0.56	\pm 0.67	± 0.68	\pm 0.70	
3c	13.63	32.61	58.88	70.65	74.19	74.93	
	± 0.10	\pm 0.21	± 0.51	\pm 0.65	± 0.65	\pm 0.69	
3d	12.84	30.71	55.46	66.56	69.89	70.58	
	± 0.10	$\pm \ 0.26$	$\pm \ 0.50$	$\pm \ 0.60$	± 0.61	\pm 0.62	
3e	11.09	26.41	47.90	57.49	60.36	60.96	
	± 0.11	± 0.23	$\pm \ 0.49$	$\pm \ 0.58$	± 0.61	\pm 0.63	
4a	16.05	38.92	69.33	83.20	87.36	88.23	
	± 0.13	± 0.31	$\pm \ 0.58$	$\pm \ 0.72$	± 0.83	\pm 0.89*	
4b	17.34	40.61	74.90	89.89	94.38	95.32	
	± 0.13	\pm 0.33	\pm 0.67	\pm 0.71	\pm 0.88*	\pm 0.91*	
4c	17.02	40.84	73.52	88.23	92.64	93.56	
	$\pm \ 0.15$	$\pm \ 0.36$	$\pm \ 0.59$	± 0.73	\pm 0.87*	\pm 0.90*	
4d	17.89	42.93	77.28	92.74	97.37	98.35	
	$\pm \ 0.14$	$\pm \ 0.32$	± 0.53	± 0.73	\pm 0.89*	\pm 0.92*	
4e	16.05	38.72	69.33	83.20	87.36	88.23	
	± 0.14	$\pm \ 0.30$	$\pm \ 0.55$	± 0.71	± 0.85	\pm 0.81*	
Sesamol ^b	13.01	30.22	56.20	67.44	70.81	71.52	
	$\pm \ 0.10$	$\pm \ 0.24$	$\pm \ 0.56$	$\pm \ 0.68$	$\pm \ 0.66$	± 0.68	

^a Data represent the mean values from at least eight independent experiments each in triplicate (n = 3). Statistical significance was measured by *T*-tests (*p < 0.05).

^b The positive control group.

Table 2

Superoxide anion scavenging rate of sesamol derivatives.

Compounds	Superoxide anion scavenging rate ^a (%) $\pm SD$					
	Concentration (g.L ⁻¹)					
	0.2	0.4	0.6	0.8	1	1.2
3a	13.26	30.49	57.94	69.53	76.48	77.25
	± 0.11	± 0.23	$\pm \ 0.45$	$\pm \ 0.66$	± 0.71	± 0.70
3b	13.01	29.92	56.85	68.22	75.04	75.79
	± 0.12	± 0.22	$\pm \ 0.49$	± 0.63	± 0.73	± 0.72
3c	12.11	27.85	52.92	63.50	69.85	70.55
	± 0.10	± 0.21	$\pm \ 0.50$	$\pm \ 0.60$	\pm 0.70	± 0.71
3d	11.38	26.17	49.73	59.67	65.64	66.30
	± 0.10	± 0.22	$\pm \ 0.50$	$\pm \ 0.59$	± 0.71	± 0.70
3e	10.39	23.89	45.40	54.48	59.93	60.53
	± 0.12	\pm 0.21	\pm 0.44	± 0.51	± 0.61	± 0.60
4a	15.63	35.94	68.30	81.96	90.16	91.06
	± 0.15	\pm 0.29	± 0.51	\pm 0.71	\pm 0.81*	\pm 0.82*
4b	16.31	37.51	71.27	85.52	94.08	95.02
	± 0.13	± 0.30	± 0.63	± 0.73	\pm 0.80*	\pm 0.83*
4c	16.05	36.91	70.13	84.16	92.58	93.50
	± 0.13	$\pm \ 0.29$	$\pm \ 0.64$	± 0.73	\pm 0.76*	\pm 0.84*
4d	16.59	38.15	72.49	86.99	95.69	96.65
	± 0.12	± 0.31	$\pm \ 0.62$	± 0.72	\pm 0.80*	\pm 0.85*
4e	15.15	34.84	66.20	79.44	87.39	88.26
	± 0.13	± 0.28	± 0.60	± 0.70	$\pm \ 0.79$	\pm 0.80*
Sesamol ^b	12.07	27.76	52.74	63.29	69.62	70.32
	± 0.12	$\pm \ 0.26$	± 0.53	$\pm \ 0.67$	$\pm \ 0.68$	± 0.71

^a Data represent the mean values from at least eight independent experiments each in triplicate (n = 3). Statistical significance was measured by *T*-tests (*p < 0.05).

^b The positive control group.

activity at a high concentration of 1.2 g/L. The hydroxyl radical scavenging rate was 98.35 \pm 0.92%, while that of the positive control group was 71.52 \pm 0.68%.

In weak alkaline medium, pyrocatechol could be oxidized and decomposed to produce colored intermediate and superoxide anion, and superoxide anion catalyzes oxidation. The colored substance of the

Table 3
Eliminate efficiency of sesamol derivatives

Compounds	Eliminate efficiency ^a (%) $\pm SD$					
	Concentration (g.L ⁻¹)					
	0.2	0.4	0.6	0.8	1	1.2
3a	14.56	34.94	66.39	77.01	84.71	85.56
	± 0.11	$\pm \ 0.26$	$\pm \ 0.55$	\pm 0.68	± 0.83	$\pm \ 0.85$
3b	14.34	34.41	65.39	75.85	83.43	84.27
	$\pm \ 0.12$	$\pm \ 0.25$	$\pm \ 0.56$	\pm 0.67	± 0.82	± 0.83
3c	12.95	31.08	59.05	68.50	75.35	76.10
	± 0.11	$\pm \ 0.24$	± 0.54	± 0.66	$\pm \ 0.84$	± 0.67
3d	12.88	30.91	58.73	68.13	74.94	75.69
	± 0.12	± 0.21	± 0.58	± 0.68	± 0.86	± 0.66
3e	11.26	27.02	51.34	59.56	65.51	66.17
	± 0.13	± 0.22	± 0.52	\pm 0.56	$\pm \ 0.60$	± 0.56
4a	15.43	37.03	70.36	81.61	89.78	90.67
	± 0.13	$\pm \ 0.29$	$\pm \ 0.66$	\pm 0.86	$\pm 0.86^{*}$	\pm 0.86*
4b	16.36	39.26	74.60	86.53	95.19	96.14
	± 0.13	± 0.30	$\pm \ 0.65$	\pm 0.84	$\pm 0.90^{*}$	\pm 0.89*
4c	16.05	38.52	73.18	84.89	93.38	94.32
	± 0.15	± 0.29	± 0.65	\pm 0.87	\pm 0.89*	\pm 0.90*
4d	16.99	40.77	77.47	89.87	98.85	99.84
	± 0.14	\pm 0.30	± 0.68	\pm 0.83*	\pm 0.93*	$\pm 0.91*$
4e	15.93	38.23	72.64	84.26	92.68	93.61
	± 0.13	± 0.31	± 0.69	± 0.82	$\pm 0.91*$	\pm 0.90*
Sesamol ^b	12.36	29.66	56.36	75.37	81.91	82.63
	$\pm \ 0.10$	$\pm \ 0.26$	$\pm \ 0.53$	$\pm \ 0.62$	$\pm \ 0.65$	$\pm \ 0.76$

^a Data represent the mean values from at least eight independent experiments each in triplicate (n = 3). Statistical significance was measured by *T*-tests (*P < 0.05).

^b The positive control group.

intermediate has the maximum absorption wavelength at 320 nm. The production of superoxide anion could be judged according to the production of colored intermediate. If superoxide anion scavenging substances were added to the system, the formation of colored substances will be reduced and the absorbance will be reduced.²⁶ The lower the absorbance, the better the superoxide removal. The superoxide anion scavenging test results of 10 sesamol derivatives are shown in Table 2. It could be seen from Table 2, within the range of 0.2–1.2 g.L⁻¹, all sesamol derivatives showed effective superoxide anion scavenging activity. At the same concentration, most of the derivatives had better superoxide anion scavenging activity than the positive control group (sesamol). At the same time, the activity of three ring structure derivatives (compounds 4a-4e) were better than that of two ring structure derivatives (compounds 3a-3e). In addition, the scavenging ability of superoxide anions in closed-loop structure derivatives 4a-4e were significantly higher than that in open-loop structure derivatives 3a-3e and positive control group. Among them, the compound 4d showed the best biological activity at a high concentration of 1.2 g/L. The superoxide anion scavenging rate was 96.65 \pm 0.85%, while that of the positive control group was 70.32 \pm 0.71%.

Antioxidants (reducing agents) were scavenging free radicals by giving electrons through their own reduction. The stronger the reduction ability was, the stronger the antioxidant ability will be. Experiment, the samples of the antioxidant can make potassium ferricyanide trivalent iron reduction into bivalent iron (potassium ferrocyanide), ferrous iron (potassium ferrocyanide) further under the reaction of ferric trichloride and generate a maximum absorbance at 700 nm Prussian blue (Fe₄[Fe (CN)₆]₃). Therefore, the determination of the height at 700 nm can indirectly reflect the reduction ability of antioxidants.²⁶ The greater the absorbance, the stronger the reduction ability. The reduction capacity of 10 sesamol derivatives was determined in Table 3. According to the data in Table 3, these sesamol derivatives show effective reducing capacity within the concentration range of 0.2-1.2 g/L. When comparing the reduction capacity of the derivatives of sesamol (two-ring structure and three-ring structure) with that of sesamol (positive control group), most sesamol derivatives showed a certain improvement in

Table 4 Antiperoxide index of sesamol

Compounds	Antiperoxide index ^a (%) $\pm SD$					
	Concentration (g/L)					
	0.2	0.4	0.6	0.8	1	1.2
3a	14.22	34.12	61.43	73.71	81.08	83.52
	\pm 0.11	\pm 0.23	\pm 0.45	\pm 0.61	± 0.73	± 0.73
3b	14.07	33.76	60.78	72.93	80.23	82.63
	± 0.11	± 0.22	$\pm \ 0.46$	$\pm \ 0.62$	± 0.72	\pm 0.76
3c	12.98	31.15	56.07	67.28	74.01	76.23
	± 0.12	± 0.21	± 0.44	$\pm \ 0.59$	± 0.76	\pm 0.68
3d	12.68	30.43	54.77	65.73	72.30	74.47
	± 0.13	± 0.23	$\pm \ 0.45$	$\pm \ 0.58$	± 0.70	\pm 0.70
3e	11.30	27.12	48.81	58.57	64.43	66.37
	± 0.12	± 0.21	± 0.39	± 0.59	± 0.71	± 0.59
4a	15.78	37.87	68.16	81.80	89.98	92.68
	± 0.12	$\pm \ 0.24$	$\pm \ 0.39$	± 0.71	\pm 0.81*	\pm 0.84*
4b	16.31	39.11	70.41	84.49	92.94	95.73
	± 0.14	$\pm \ 0.26$	$\pm \ 0.50$	± 0.73	\pm 0.80*	\pm 0.81*
4c	16.55	39.70	71.49	85.79	94.37	97.20
	± 0.12	± 0.25	$\pm \ 0.45$	$\pm \ 0.80$	\pm 0.86*	\pm 0.90*
4d	16.93	40.63	73.13	87.76	96.54	99.43
	± 0.13	± 0.24	± 0.51	± 0.76	$\pm 0.90*$	\pm 0.90*
4e	15.98	38.35	69.03	82.84	91.12	93.85
	± 0.12	± 0.26	± 0.45	± 0.56	$\pm 0.86*$	\pm 0.92*
Sesamol ^b	12.76	30.62	55.12	66.14	72.76	74.94
	± 0.11	± 0.23	$\pm \ 0.45$	\pm 50	± 0.70	± 0.78

^a Data represent the mean values from at least eight independent experiments each in triplicate (n = 3). Statistical significance was measured by *T*-tests (*P < 0.05).

^b The positive control group.

reducing capacity under the same concentration. Among them, the compound **4d** showed the best biological activity at a high concentration of 1.2 g/L. The eliminate efficiency was 99.84 \pm 0.91%, while that of the positive control group was 82.63 \pm 0.76%.

Lipid peroxides were very unstable and could spontaneously degrade into complex products with small molecules, such as aldehydes, ketones, alkanes, olefins, acids and polymers. Detection of these degradation products were considered to be the most effective indicator of lipid peroxidation levels. Malondialdehyde was the most typical end product of lipid peroxidation. Thiobarbituric acid method was based on the free radical reaction of unsaturated fatty acids to form oxidative radicals, oxidizing to epoxides, which decompose to malondialdehyde. Malondialdehyde reacts with thiobarbituric acid to form thiobarbituric acid dye complexes. The complex has a maximum absorption value at 532 nm, so the formation of thiobarbituric acid dye complex was a marker to measure the degree of free radical oxidation reaction.²² The determination results of the anti-lipid peroxidation ability of 10 sesamol derivatives was shown in Table 4. As shown in Table 4, within the selected concentration range of 0.2-1.2 g/L, these sesamol derivatives were effective against lipid peroxidation. At the same concentration, the antilipid peroxidation ability of most sesamol derivatives was improved compared with the positive control group (sesamol). When comparing the anti-lipid peroxidation ability of open-loop derivatives (compounds 3a-3e) and closed-loop derivatives (compounds 4a-4e), it was found that the activity of closed-loop structure was better than that of openloop structure. Among them, the compound 4d showed the best biological activity at a high concentration of 1.2 g/L. The antiperoxide index was 99.43 \pm 0.90%, while that of the positive control group was 74.94 \pm 0.78%.

In general, we reported a method of extracting and separating sesamol with antioxidant activity from sesame, systematically screened and optimized the technological conditions of the extraction and separation of sesamol. The extraction rate of sesamol was 30.2 mg/100 g (0.30%)under the optimal technological conditions.²⁷ On the basis of the obtained sesamol, ten sesamol derivatives were synthesized by the simple and efficient chemical synthesis method. The antioxidant activity of these sesamol derivatives were tested, and the results showed that the antioxidant activity of most sesamol derivatives was higher than that of the positive control group (sesamol). Among the compounds tested, compound **4d** showed the best antioxidant activity. For specific performance: hydroxyl radical scavenging rate was $98.35 \pm 0.92\%$, peroxide anion scavenging rate was $96.65 \pm 0.85\%$, eliminate efficiency was $99.84 \pm 0.91\%$ and antiperoxide index was $99.43 \pm 0.90\%$ in high concentration of 1.2 g/L. Analysis of the available data suggests that compound **4d** could be used a candidate antioxidant drug requiring further study. In the future, we will further study the mechanism of action and pharmacokinetic properties of compound **4d**, as well as the structure–activity relationship (SAR) of this series of compounds, to obtain more sesamol derivatives or analogues with antioxidant activity.

Declaration of Competing Interest

The authors declare that they have no known competing financial interests or personal relationships that could have appeared to influence the work reported in this paper.

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- 27 Sesamol: 1H NMR (600MHz, DMSO-d6) δ : 5.90 (2H, s, -CH2-), 6.20 (1H, dd, J = 8.4, 2.5 Hz, Ph-H), 6.39 (1H, d, J = 2.4 Hz, Ph-H), 6.70 (1H, d, J = 8.3 Hz, Ph-H), 9.12 (1H, s, -OH); 13C NMR (100MHz, DMSO-d6) δ : 98.3, 101.0, 106.7, 108.6, 140.1, 148.2, 153.0.