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苦荞活性成分及功能研究进展

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摘　要

苦荞麦，俗称苦荞，学名鞑靼荞麦(*Fagopyrum tataricum*)，属于蓼科荞麦属(Fagopyrum)。是一种起源于我国的重要杂粮作物，至今已有2000余年的栽培历史。由于其多种生物活性化合物，其研究被广泛关注。本文综述了苦荞内化合物的研究进展、苦荞内化合物的生物活性研究进展。重点阐述了苦荞内化合物的研究进展和苦荞化合物的生物活性。详细列举了苦荞中已发现的多种化合物种类，如黄酮类、酚酸类、二苯乙烯类等，并提及了各类化合物的代表性例子。对苦荞化合物的生物活性进行了综述，涵盖了抗肿瘤、抗氧化、抗炎、降低血糖、抗菌、调节血压、改善血脂和保护肝脏等八个方面，详细论述了相关研究发现及作用机制，为苦荞在医药和食品工业中的进一步开发和应用提供了依据。

关键词

苦荞，提取工艺，化合物，生物活性

Advances in the Exploration of Active Components and Functional Studies of Tartary Buckwheat

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Abstract

Tartary buckwheat, commonly known as bitter buckwheat and scientifically termed *Fagopyrum tataricum* (L.) Gaertn., belongs to the genus Fagopyrum in the Polygonaceae family. Originating in China, it is an important coarse cereal crop with a cultivation history spanning over 2000 years. Extensive research interest has been drawn to its diverse bioactive compounds. This paper reviews

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recent advances in the study of compounds derived from Tartary buckwheat and their biological activities. It focuses on the identification of various compound categories, such as flavonoids, phenolic acids, stilbenes, and others, with specific examples provided for each class. Furthermore, the biological activities of these compounds are comprehensively summarized, encompassing eight key aspects: anti-tumor, antioxidant, anti-inflammatory, hypoglycemic, antimicrobial, antihypertensive, lipid-regulating, and hepatoprotective effects. The mechanisms underlying these bioactivities are discussed in detail, supported by recent research findings. This review provides a scientific foundation for the further development and application of Tartary buckwheat in the pharmaceutical and food industries.

Keywords

Tartary Buckwheat, Extraction Techniques, Compounds, Bioactivities

1. 引言

随着全球健康意识的提升和对天然产物不断深入的研究，苦荞作为一种营养价值丰富和具有多种生物活性的传统药食两用植物，其研究被广泛关注。本文综述了苦荞活性成分的挖掘及功能研究进展，重点论述了苦荞内化合物的种类以及苦荞的生物活性功能。以期通过对这些研究的综述，为苦荞的进一步开发和应用提供依据，推动其在医药和食品工业中的应用。

2. 苦荞内化合物研究进展

苦荞(Tartary Buckwheat)是一种化合物非常丰富的植物，目前已发现的化合物种类繁多，我们根据化学结构分类发现其主要包括类黄酮、酚酸及其衍生物、单宁、荞麦素、三萜类化合物、类固醇、二苯乙烯、脂肪酸等[1]。以下是苦荞中已发现的主要化合物种类概述。

2.1. 黄酮类化合物

苦荞富含多种黄酮类化合物，其营养价值丰富。研究表明，目前已经从苦荞中分离鉴定出 20 余种黄酮类化合物，这些黄酮类化合物主要包括① 黄酮醇类(芦丁[2]、山奈酚[3]-[5]等)、② 黄酮类(木犀草素[2]、牡荆素[2]、异牡荆素[2]、荭草素[2]、高荭草素[2]、异荭草素[2]等。) ③ 黄烷酮类(橙皮素[4]等)、黄烷-3-醇(表儿茶素[6]、儿茶素[7]等)、④ 花青素类、⑤ 荞麦素(粉黄醇 A1 [8]、粉黄糖醇 A2 [9])、⑥ 原花青素类(原花青素 B-1 [7]、原花青素 B-2 [7]等)、⑦ 异黄酮、⑧ 黄酮木脂素。其中，芦丁的含量最为丰富，平均含量可达 19.96 mg/g，占总黄酮含量的 75%以上[10]-[17]。同时还发现花中芦丁的含量远高于茎和叶中的芦丁含量[18]。

2.2. 酚酸类化合物

苦荞中富含多种酚酸类化合物，这些酚酸类化合物主要分为羟基苯甲酸类和羟基肉桂酸类两大类。其中，羟基苯甲酸类主要有没食子酸[2]、香草酸[19]、原儿茶酸[20]、苯甲酸[21]等，而羟基肉桂酸类主要有丁香酸[19]、咖啡酸[19]、阿魏酸[19]等。截至目前，科研人员已从苦荞中成功分离并鉴定出 10 余种酚酸类化合物[11] [14]，这些化合物在抗氧化、抗炎及代谢调节等方面都具有极其重要的生物活性功能。

2.3. 二苯乙烯

二苯乙烯是由植物合成的多酚类化感化学物质[22]，一般结构式为 C6-C2-C6 [18]。这类化合物存在于各种植物物种中，包括苦荞、花生、葡萄藤、浆果、松树和番茄[23]。它们在植物中主要功能是保护寄植物免受病原体侵扰和抵抗不同环境刺激产生的氧化应激反应[24]。现在科研人员在苦荞中成功分离并鉴定出了白藜芦醇[19] [20]。

2.4. 类固醇

苦荞中含有多种类固醇，它们在苦荞中发挥着极其重要的作用。目前研究人员已经从苦荞中鉴定出 11 种化合物。其中主要包括 β-谷甾醇[3]、6-羟基柱头-4,22-二烯-3-酮[25]、胡萝卜苷[3]、23S-甲基胆固醇[25]、菜豆甾-4-烯-3,6-二酮[25]、反式菜豆甾-5,22-二烯-3-醇[25]、菜豆甾-5-烯-3-醇[25]等。

2.5. 三萜类化合物

苦荞中含有多种三萜类化合物，它们在植物中有极其重要的生物活性功能。目前研究员已经从苦荞中鉴定出 7 种化合物。其中主要包括熊果酸[26]、齐墩果-12-烯-3-醇[25]、熊果-12-烯-3-醇[25]、谷蛋白酮[5]、谷蛋白醇[5]，α-侧柏烯[13]等。

2.6. 单宁

荞麦单宁是存在于苦荞中的收敛酚类化合物。单宁可以防止苦荞的生物和非生物胁迫[1]。目前科研人员从苦荞中鉴定出 3,3'-二-O-没食子酰基-原花青素 B-2 [7]、3-O-没食子酰基-原花青素 B-2 两个化合物[7]。

2.7. 苯丙烷苷

苯丙烷苷是苦荞中重要次生代谢产物。现在已经从苦荞中鉴定出共 13 种苯丙烷苷。其中包括：Tatarisides A [27]、Tatarisides B [27]、Tatarisides C [27]、Tatarisides D [27]、Tatarisides E [27]、Tatarisides F [27]、Tatarisides G [27]、Diboside A [7]、Lapathoside A [7]、3,6-二-p-香豆酰基-1,6'-二阿魏酰基蔗糖[28]、1,3,6'-三阿魏酰基-6-p-香豆酰基蔗糖[28]等。

2.8. 脂肪酸

苦荞中含有多种脂肪酸、脂肪酸在植物中有很小的极性[12]。目前科研人员在苦荞中鉴定出三种脂肪酸化合物。包括 6,7-二羟基-3,7-二甲基-八-2(Z)，4(E)-二烯酸、6,7-二羟基-3,7-二甲基-八-2(E)，4(E)-二烯酸、4,7-二羟基-3,7-二甲基-辛-2(E)，5(E)-二烯酸三种。同时还发现其对金黄色葡萄球菌具有抗菌活性[29]。

2.9. 其他化合物

苦荞中不只有前面几种化合物，还含有生物碱、蒽醌、香豆素和碳水化合物的衍生物。目前从苦荞中已经分离鉴定出 14 种 8 大类以外额化合物。其中包括：尿嘧啶、(3-甲氧基苯基)-2-哌啶甲醇[5]、N-反式阿铁酰胺[28]、琥珀酸 3,4-二羟基苯甲胺[30]、大黄素、大黄素-8-O-β-d-吡喃葡萄糖苷、5,5'-二 α-呋喃醛二甲酯[31]、7-羟基香豆素[32]、正丁基-β-D-吡喃果糖苷[5]、γ-生育酚[25]、鲨烯[25]、蔗糖[33]、果糖[33]。此外苦荞内还有丰富的氨基酸和微量元素。

3. 苦荞的生物活性

苦荞含有多种具有生物活性功能的化合物，这些化合物给予苦荞多种生物活性。其中包括：抗肿瘤[34]-[55]、抗氧化[7] [56]-[63]、抗炎[49] [64]-[69]、降低血糖[70]-[72]、护肝作用[73]-[77]等。下文就苦荞

化合物的 8 种生物活性功能进行了综述。

3.1. 抗肿瘤

苦荞具有显著抗肿瘤活性[12]。它对乳腺癌[34] [38] [52] [53]、骨肉瘤细胞[37]、肝癌[35] [45] [54]、肺癌[44]、结肠癌[43] [46] [49] [51]、胃癌[47]、多发性骨髓瘤[48]、胰腺癌[55]、宫颈癌[50]都具有明显的抑制作用。这些作用机制涉及多靶点、多通路调控。

在抗肿瘤方面的关键作用机制主要包括：① 诱导细胞凋亡：主要包括两个通路一是线粒体通路：例如苦荞成分(如威麦宁、Tatariside G)通过激活 caspase-3、调控 Bcl-2 家族蛋白(如抑制抗凋亡蛋白 Bcl-2)、诱导线粒体膜电位去极化，导致线粒体碎裂和细胞凋亡[52]。二是应激信号通路：而应激信号通路主要包括 p38/MAPK 通路和内质网应激两条通路。其中在 p38/MAPK 通路方面；从苦荞中提取的酚类物质可以通过 p38/MAPK 信号通路诱导乳腺癌细胞凋亡并抑制乳腺癌细胞周期进展[34]。从苦荞根部提取的化合物 Tatariside G (TG)通过激活线粒体自噬可以促进 p38/JNK 信号通路的激活诱导癌细胞的凋亡[50]。② 细胞周期阻滞：苦荞中的黄酮类化合物能够通过提高细胞中活性氧的产生，诱导肝癌细胞 G2/M 期细胞周期阻滞和引起肝癌细胞凋亡[35]：苦荞多糖(乳腺癌)抑制周期蛋白 D1 表达，阻断细胞周期进展。而苦荞多糖可以通过调节巨噬细胞的 M1/M2 极化状态来抑制 MCF-7 乳腺癌癌细胞生长[53]。③ 活性氧的调控：肝癌中黄酮类化合物和胰蛋白酶抑制剂通过增加 ROS 生成，破坏氧化还原平衡(如降低 GSH/氧化谷胱甘肽比率)，导致 DNA 损伤和线粒体功能障碍[35]。④ 自噬与线粒体自噬：苦荞胰蛋白酶抑制剂(肝癌)诱导线粒体自噬[54]；宫颈癌中 Tatariside G 激活线粒体自噬，清除受损线粒体凋亡[50]。⑤ 抗炎与表观遗传调控：苦荞中的天然化合物对甲氧基肉桂酸结能够在直肠癌中抑制 NF-κB 核转位，降低炎症因子(TNF-α、IL-6)和酶(iNOS、COX-2)表达，阻断促癌炎症反应[43]。⑥ 抑制异常代谢物：从苦荞中提取的另一种化合物 2-羟基苄胺通过可以清除亲电醛类物质，抑制 isoLG 加合物形成，阻断 NRF2 驱动的肿瘤增殖增殖[43]。

苦荞通过多成分、多通路协同发挥抗肿瘤作用，其机制涵盖细胞死亡、周期调控、代谢重编程和免疫调节，具有开发为天然抗肿瘤药物的潜力。未来需结合系统生物学和转化研究，推动其临床应用。

3.2. 抗氧化

苦荞及其制品的抗氧化作用研究揭示了其多层次的分子机制，核心在于黄酮类化合物(如芦丁、槲皮素)和酚类物质(如原花青素)的直接自由基清除能力并增强它的抗氧化活性[7] [57] [59] [78]，以及活性肽和提取物通过调控关键信号通路增强内源性抗氧化防御系统的作用。具体而言：① 直接抗氧化：黄酮和酚类成分通过提供氢原子或电子直接中和 ROS (如羟自由基、超氧阴离子)，阻断氧化链式反应，其中原花青素可抑制脂质过氧化产物 MDA 生成达 40%以上。② 活性肽的多靶点调控：13S 球蛋白酸性亚基酶解产生的活性肽(如 CR-8)通过激活 PPAR-α/HO-1 通路，上调血红素加氧酶-1 (HO-1)表达，促进胆红素和 CO 生成以中和 ROS，同时维持 SOD、CAT 等保护酶活性，使 HepG2 细胞的 GSH/GSSG 比值提升 2.3 倍，修复氧化还原稳态[79]。③ Nrf2/Keap1 通路激活：苦荞麦芽提取物(TBSE)触发 Nrf2 核转位，解除 Keap1 的抑制作用，诱导 NQO1 和 HO-1 表达，形成抗氧化酶级联反应，实验显示 TBSE 处理使 HepG2 细胞的 ROS 清除率提高 65%，并降低线粒体膜电位损伤 50% [60]。

综上，苦荞抗氧化作用呈现“成分－通路”两位一体的特征，通过黄酮/酚类直接清除、活性肽/Nrf2 通路调控，形成协同防御网络，为天然抗氧化剂开发提供依据。

3.3. 抗炎

苦荞的抗炎作用主要依赖于其富含的黄酮类化合物(如芦丁、槲皮素、山奈酚、杨梅素)和 D-手性肌

醇等生物活性成分。这些成分通过多靶点、多通路协同作用，抑制炎症介质释放、调控氧化应激及关键信号通路，从而缓解炎症反应。以下是其核心机制的分类与深入讨论：

苦荞主要的抗炎机制主要分为：① 抑制 NF-κB 炎症信号通路：苦荞在抗炎中发挥这一作用的主要途径包括：调控 IκB-α 磷酸化和阻断 NF-κB 核转位。黄酮类化合物通过抑制 IκB-α 的磷酸化，阻止 NF-κB 从胞质向核内转位，从而阻断下游促炎因子(TNF-α、IL-6、IL-8、CXCL-1)和炎症相关酶(如 iNOS、COX-2)的基因表达。而 NF-κB 是炎症反应的核心转录因子，其抑制可广泛减少炎症级联反应的放大效应表达[65] [67] [68] [80] [81]。② 调节线粒体动态平衡与内质网应激：苦荞中的活性化合物 D-手性肌醇通过上调线粒体融合蛋白 Mfn2 和下调裂变蛋白 Drp1 的表达，维持线粒体动态平衡，减少线粒体功能障碍引发的内质网应激(ER stress)，进而抑制 JNK 通路激活[69]。

3.4. 降低血糖

近年来，相关研究发现苦荞在降低血糖方面具有相当大的潜力。这是因为苦荞含有多种生物活性成分，如黄酮类化合物、多酚和膳食纤维，这些都具有降低血糖的活性作用。苦荞的降血糖作用研究揭示了其多成分、多通路的协同调控机制。

这些机制主要包括：① 肠道菌群与代谢调节：苦荞乙醇提取物通过富集产短链脂肪酸(SCFAs)菌(如拟杆菌门)，抑制促炎菌(变形菌门)，增加结肠丁酸水平(提升 50%)，激活肠道 GPR43 受体，抑制 TLR4/NF-κB 通路，使糖尿病小鼠血清 TNF-α 和 IL-6 降低 40%，改善胰岛素抵抗[82]。② 膳食纤维的 AMPK 通路激活：可溶性膳食纤维(SDF)经肠道发酵生成丙酸(浓度达 5.8 mM)，通过门静脉入肝激活 AMPK 磷酸化(Thr172 位点提升 3 倍)，抑制 ACC(乙酰辅酶 A 羧化酶)活性，促进脂肪酸氧化和 GLUT4 膜转位，使糖尿病小鼠空腹血糖下降 35%，同时降低血清甘油三酯 28% [83]。③ 活性肽的蛋白修饰调控：苦荞蛋白肽 AFYRW 通过抑制 AGEs (晚期糖基化终末产物)与 RAGE 受体结合，阻断 ROS/MAPK 通路，上调胰腺 IRS-1 (Tyr612 磷酸化增加 2.1 倍)和 PI3K/Akt 信号，促进 β 细胞胰岛素分泌(提高 45%)，同时抑制 α-葡萄糖苷酶活性(IC50 0.38 mg/mL)，延缓碳水化物吸收作用[84]。

综上，苦荞通过菌群–代谢轴(SCFAs/AMPK)、炎症抑制(TLR4/NF-κB)和分子修饰干预(AGEs/RAGE/IRS-1)三层次机制改善糖代谢，其多靶点特性为开发天然抗糖尿病制剂提供了理论支撑，未来需聚焦活性成分体内递送及临床转化研究。

3.5. 护肝作用

苦荞，作为一种传统的药食两用植物。同样近年来其保护肝脏相关机制研究也被广泛关注。接下来就苦荞护肝相关机制作简单论述。

相关研究发现，苦荞主要通过以下 4 个机制来保护肝脏：一是通过提高抗氧化酶活性(如 SOD、GSH-Px)和降低氧化应激标志物(如 MDA)水平，从而降低肝细胞的氧化损伤；二是通过抑制线粒体细胞死亡途径(减少细胞色素 c 释放、caspase-9 和 caspase-3 活性)和自噬细胞死亡途径(降低 Beclin-1 表达)，从而降低肝细胞的凋亡；三是通过调节能量代谢相关基因(如 SIRT1、LKB1、AMPK)和脂质代谢相关基因(如 ACC、SREBP1c、HMGR、PPARα、CPT1、CPT2)的表达，改善脂质代谢紊乱，减轻肝细胞脂肪变性；四是通过调节甘油磷脂代谢，保持磷脂酰胆碱(PC)和磷脂酰乙醇胺(PE)的平衡，提高 PC/PE 比值。从而发挥对酒精诱导的急性和慢性肝损伤、高果糖饮食诱导的肝损伤以及酒精性肝病的保护作用[74] [85] [86]。

4. 讨论与展望

苦荞作为药食两用植物，其丰富的活性成分和多样化的生物活性功能使其在功能食品和医药领域展

现出巨大的开发潜力。本文系统梳理了苦荞中已鉴定的化合物种类及其在抗肿瘤、抗氧化、抗炎等方面的作用机制，揭示了其多靶点、多途径调控疾病的特点。以下从科学意义和研究局限性两方面展开讨论：

苦荞中黄酮类(如芦丁、槲皮素)、酚酸类(如没食子酸、阿魏酸)及苯丙烷苷等成分的多样性，为其多效性提供了化学基础。例如，芦丁在抗肿瘤中通过 p38/MAPK 通路诱导凋亡，而黄酮类与酚酸的协同抗氧化作用可能通过 Nrf2/Keap1 通路实现。这种多成分协同效应可能是苦荞优于单一化合物药物的重要优势。苦荞对不同癌症的抑制作用涉及多个关键通路(如 PERK/eIF2α/ATF4 调控铁死亡、NF-κB 抑制炎症因子)，提示其作为天然多靶点抗肿瘤药物的潜力。值得注意的是，苦荞提取物(如 Lapathoside A)对耐药性较强的胰腺癌细胞的抑制作用，为开发新型抗癌药物提供了方向。苦荞在降糖、调脂和护肝中的作用不仅依赖于单一成分(如膳食纤维或黄酮类)，更可能通过“肠道–肝脏轴”(如短链脂肪酸调节 AMPK 通路)和“氧化还原–炎症轴”(如 Nrf2/HO-1 通路)等系统网络实现，体现了天然产物的整体调节特性。

目前研究多聚焦于单一成分或粗提物，但不同品种、产地及加工方式对苦荞活性成分含量影响显著(如花中芦丁含量远高于茎叶)，需建立标准化的质量控制体系。多数抗肿瘤研究停留在细胞和动物模型阶段，缺乏临床证据；部分机制(如线粒体自噬与凋亡的时序关系)尚未阐明。此外，活性成分的生物利用度(如黄酮类低水溶性)对其实际功效的制约尚未被充分探讨。苦荞中黄酮、酚酸、多糖等可能通过协同或拮抗作用影响整体功效，但现有研究多局限于单一成分，缺乏对“成分–靶点–通路”网络的全景解析。

未来研究可从以下方向突破，以推动苦荞从基础研究向产业化应用转化：① 深入解析活性成分的构效关系：结合计算化学(如分子对接、QSAR 模型)和基因编辑技术(如 CRISPR-Cas9)，筛选具有特定靶向性的活性分子。例如，针对 Tatariside G 诱导线粒体自噬的机制，可设计其结构类似物以增强对 HeLa 细胞的杀伤特异性。② 开发高效递送系统针对苦荞活性成分(如芦丁、原花青素)的生物利用度瓶颈，利用纳米载体(脂质体、外泌体)或微胶囊技术提高其稳定性和靶向性，同时探索肠道菌群对其代谢产物的调控作用。③ 拓展功能性食品开发利用生物发酵、酶解等技术富集苦荞芽中的活性成分(如氯化钙处理提高抗氧化能力)，开发抗炎代餐粉、护肝饮料等功能性食品。同时，探索苦荞副产物(如麸皮、根茎)的资源化利用，降低生产成本。④ 生态与产业协同发展建立苦荞种植–加工–研发一体化产业链，通过分子育种技术培育高活性成分品种(如高芦丁含量突变体)，并结合生态农业模式提升其经济与环境效益。

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