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综述

# G蛋白偶联受体激酶活性调控及其在恶性肿瘤中的作用

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摘要 G蛋白偶联受体(GPCR)，是一类重要的细胞表面受体。G蛋白偶联受体激酶(GRK)属于丝氨酸/苏氨酸蛋白激酶家族，其亚型广泛存在与各种组织，能够特异性地使活化的GPCR发生磷酸化及脱敏，从而终止GPCR介导的信号转导通路。新的研究还发现，GRK不仅作用于GPCR，也可以通过使非GPCR磷酸化或通过非磷酸化作用参与信号转导。GRK不仅能够调节GPCR和非GPCR，其自身活性也可受到多种因素的调节。本文结合GRK的多种功能作用和GRK活性调控，对GRK在脑、内分泌、生殖系统、消化系统及黑色素肿瘤中的作用做简要综述。

关键词 G蛋白偶联受体激酶 磷酸化 非磷酸化作用 活性调控 恶性肿瘤

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# Regulation of G protein-coupled receptor kinases activity and their role in malignant tumors

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**Abstract**

G protein coupled receptors (GPCR) are a superfamily of membrane sensors with the key roles in physiology and as pharmacological targets. G PCR kinases (GRK) constitute a family of seven serine/threonine protein kinases that specifically recognize and phosphorylate agonist-activated GPCR, thereby terminating the GPCR-mediated signal transduction pathway. Recently researches found that GRK also interact with non-GPCR or participate in signal transduction in non-phosphorylated manner. Besides, GRK activity is mediated by multiple factors. In this article, the function of GRK, the regulation of GRK activity and GRK-mediated functions in human cancers were reviewed.

**Key words** G protein-coupled receptor kinase phosphorylation non-phosphorylation