

Pharmaceutical Composition Comprising Indole Compound for Treatment of Diseases Associated with Oxidative Stress

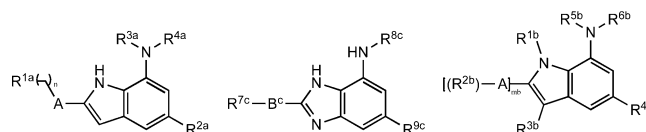
Patent Highlight

Gerard Rosse*

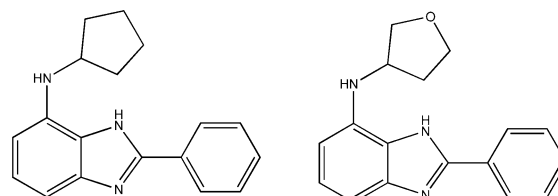
Structure Guided Chemistry, Dart Neuroscience LLC, 7473 Lusk Boulevard, San Diego, California 92121

Title:	Pharmaceutical Composition Comprising Indole Compound for Treatment of Diseases Associated with Oxidative Stress		
Patent/Patent Application Number:	WO 2011052950, A2	Publication Date:	May 5, 2011
Priority Application:	WO 2010-KR7344	Priority Date:	October 25, 2010
Inventors:	Kim, S. H.; Kim, H. J.; Park, H. S.; Gu, S. Y.; Kwak, H. S.; Park, D. H.; Kim, H. S.; Cho, H. J.; Kim, J. H.; Kim, J. Y.; Park, K. M.		
Assignee Company:	LG Life Sciences Ltd., S. Korea		
Disease Area:	Diseases associated with oxidative stress, mitochondrial dysfunction, hypoxic injury, necrosis, and/or ischemic perfusion injury.		
Summary:	This application claims a series of indoles and benzimidazoles that may provide a treatment for a wide variety of disorders caused by oxidative stress such as heart disease, vascular disease, and degenerative brain disease. Cosmetic composition having an antioxidant effect is also described.		

Important Compound Classes:



Key Structures:



Example 1: Cyclopentyl-(2-phenyl-3H-benzimidazol-4-yl)amine

Example 2: (2-phenyl-3H-benzimidazol-4-yl)(tetrahydrofuran-4-yl)amine

Recent Review Articles:

Dickinson, B. C.; Chang, C. J. Chemistry and biology of reactive oxygen species in signaling or stress responses. *Nat. Chem. Biol.* **2011**, *7* (8), 504–511.

Wanagat, J.; Dai, D.-F.; Rabinovitch, P. Mitochondrial oxidative stress and mammalian healthspan. *Mech. Ageing Dev.* **2010**, *131* (7–8), 527–535.

Biological Assay:

Several methods were used to measure the antioxidant effect of each compound: (i) DPPH and dihydrorodamine assays, (ii) measuring necrosis inhibition by using tBOOH, (iii) measuring the removal capacity of peroxynitrite, and (iv) direct method of measuring antioxidant effects on H₂O₂ and tBOOH. In addition, the protective effect of compound **9** was evaluated against liver injury by ischemia/reperfusion in SD rats and beagle dog.

Biological Data:

Example 1 and example 2 were prepared and showed cell protection effects against oxidative stress induced by *tert*-butyl hydroperoxide (tBOOH) with IC₅₀ values of 0.6 and 2 μM, respectively.

AUTHOR INFORMATION

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Notes

The authors declare no competing financial interest.

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