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(54) **ASYMMETRIC SYNTHESIS OF (–)-VENLAFAXINE USING ORGANOCATALYST**

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(58) **Field of Classification Search**
CPC C07C 213/02
See application file for complete search history.

(56) **References Cited**

PUBLICATIONS

Pansare et al. J. Am. Chem. Soc. 2006, 128, 9624-9625.*
International Search Report and the Written Opinion of the International Searching Authority, PCT/IN2013/000464, Council of Scientific & Industrial Research, Nov. 7, 2013.
Chavan, Subhash P. et al., Asymmetric total synthesis of (–)-venlafaxine using an organocatalyst, Tetrahedron Letters 54 (2013) pp. 2137-2139.
Bhuniya, Rajib et al., Asymmetric synthesis of both the enantiomers of antidepressant venlafaxine and its analogues, Tetrahedron Letters 53 (2012) pp. 1990-1992.

* cited by examiner

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(57) **ABSTRACT**

The patent discloses an asymmetric synthesis of (–)-venlafaxine using an organocatalyst via a unified strategy employing organcatalytic Michael addition, regio-selective dehydration and selective epoxide ring opening.

4 Claims, 4 Drawing Sheets