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WO 2010/092287 PCT/FR2010/050204

DERIVES DE N-[(6-AZA-BICYCLO[3.2.1]OCT-1-YL)-ARYL-METHYL]-BENZAMIDE, LEUR PREPARATION ET LEUR APPLICATION EN THERAPEUTIQUE

Quick View

Preparation of N-[(6-azabicyclo[3.2.1]oct-1-yl)(aryl)methyl]benzamide derivatives as inhibitors of glycine transporters glyt1

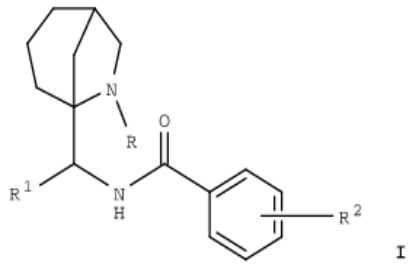
PatentPak

By Dargazanli, Gihad; Estenne-Bouhtou, Genevieve; Medaisko, Florence

From PCT Int. Appl. (2010), WO 2010092287 A1 Aug 19, 2010. | Language: French, Database: CAPLUS

The invention also relates to the therapeutic use thereof and to a method for synthesizing same. Title compds. I [R = H, (un)substituted alkyl, cycloalkyl; R1 = (un)substituted Ph, naphthyl; R2 = H, halo, CN, heteroaryl, etc.; and their acid addn. salts] were prepd. as inhibitors of glycine transporters glyt1. Thus, reaction of 6-((R)-1-phenylethyl)-6-azabicyclo[3.2.1]octane-5-carbonitrile with phenyllithium, cleavage of the 1-phenylethyl group, amidation of 2,6-dichloro-3-trifluoromethylbenzoic acid with the resulting amine and acidulation with HCl gave II. I inhibited glycine transport via glyt1 and displayed an IC50 in the range of 0.001 to 10 μM in vitro.

Reference Images | Substance Images



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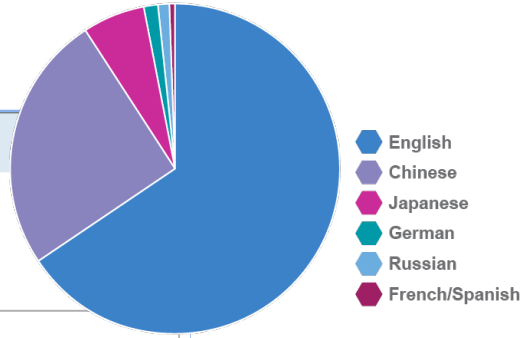
Original publication languages not in English **35%**



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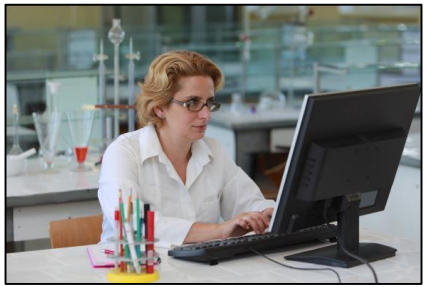

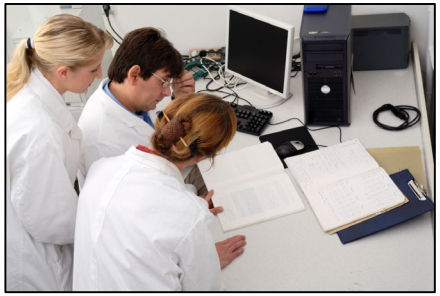

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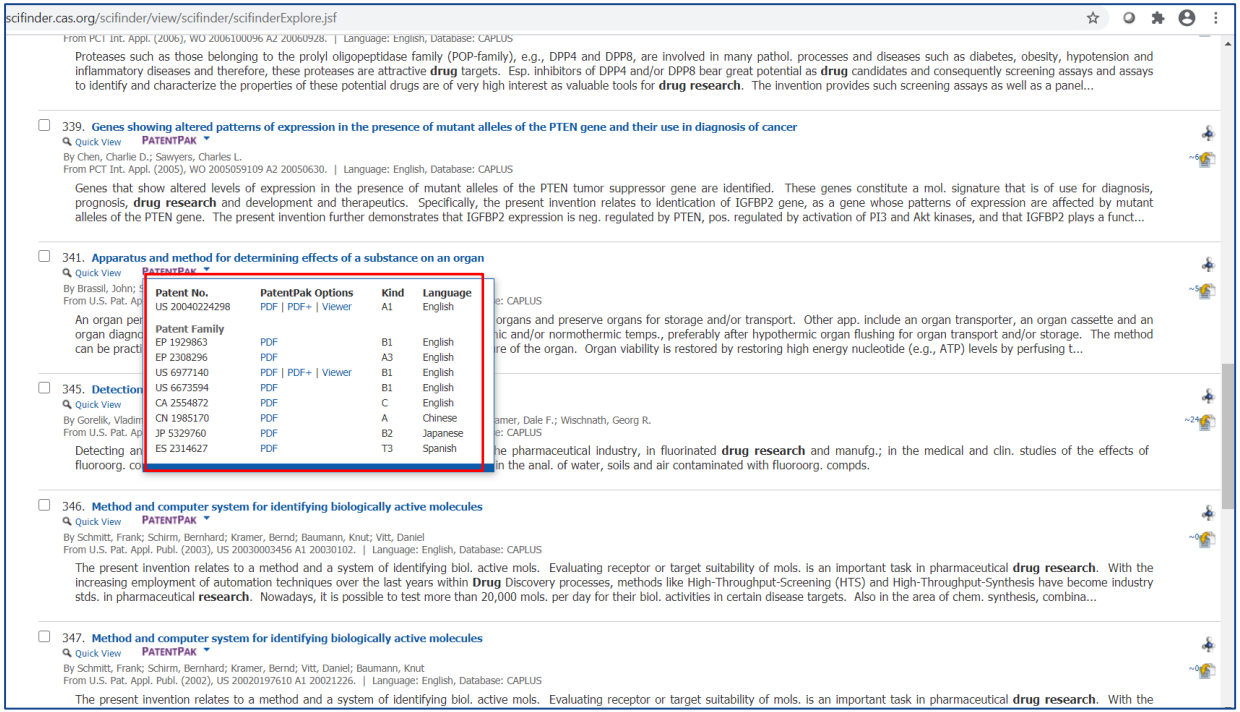

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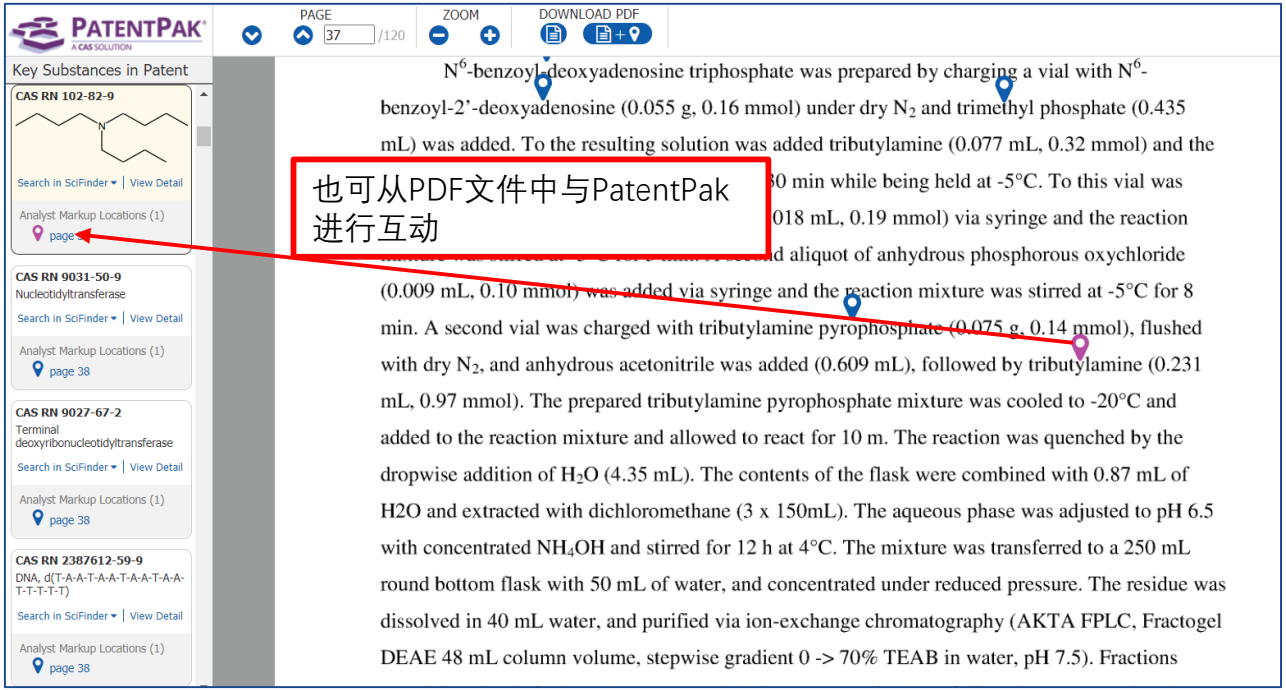

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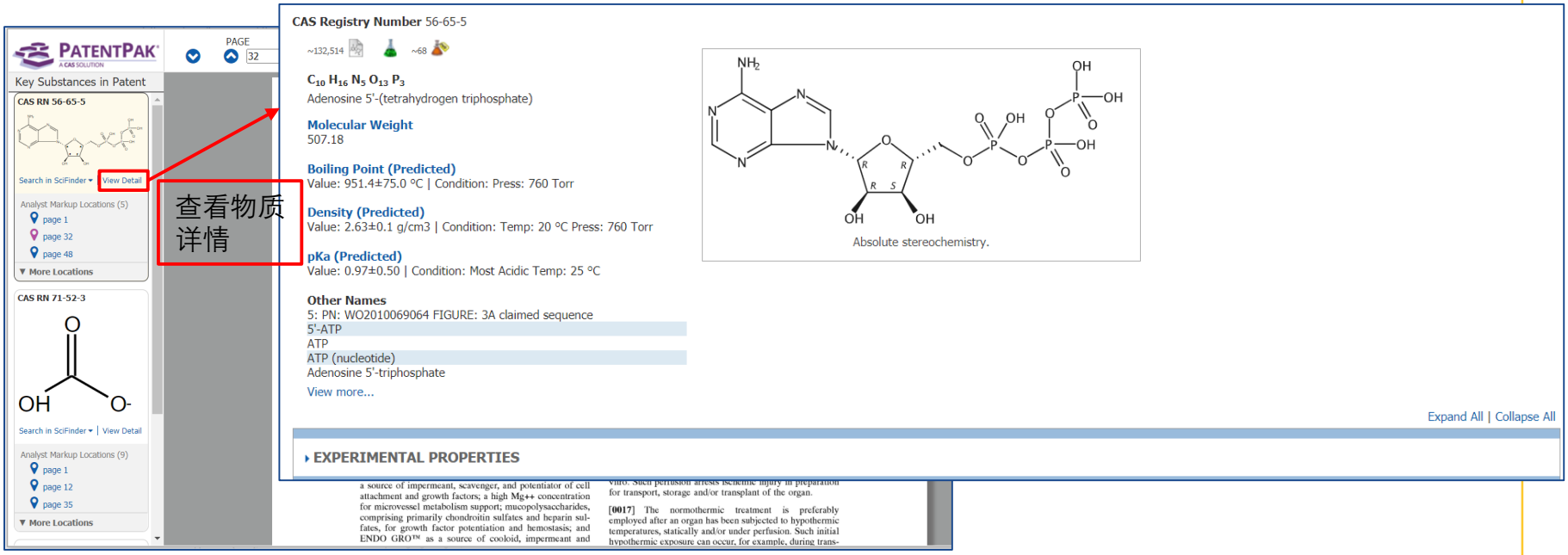

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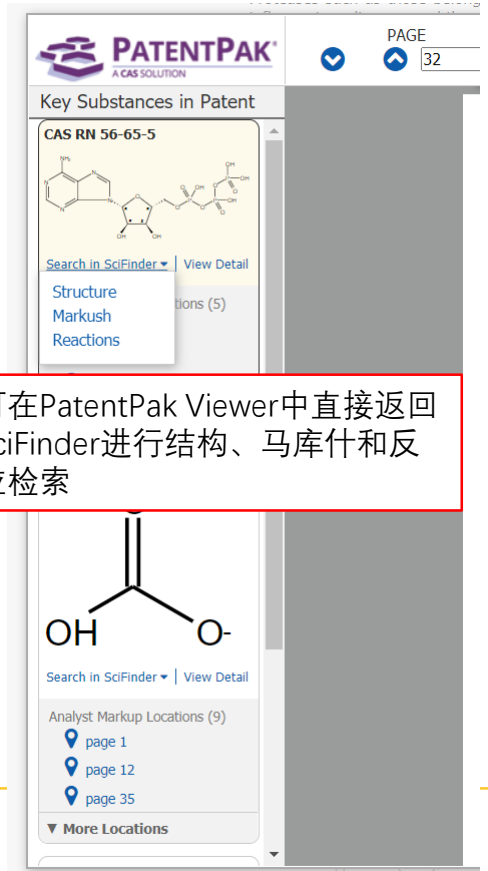

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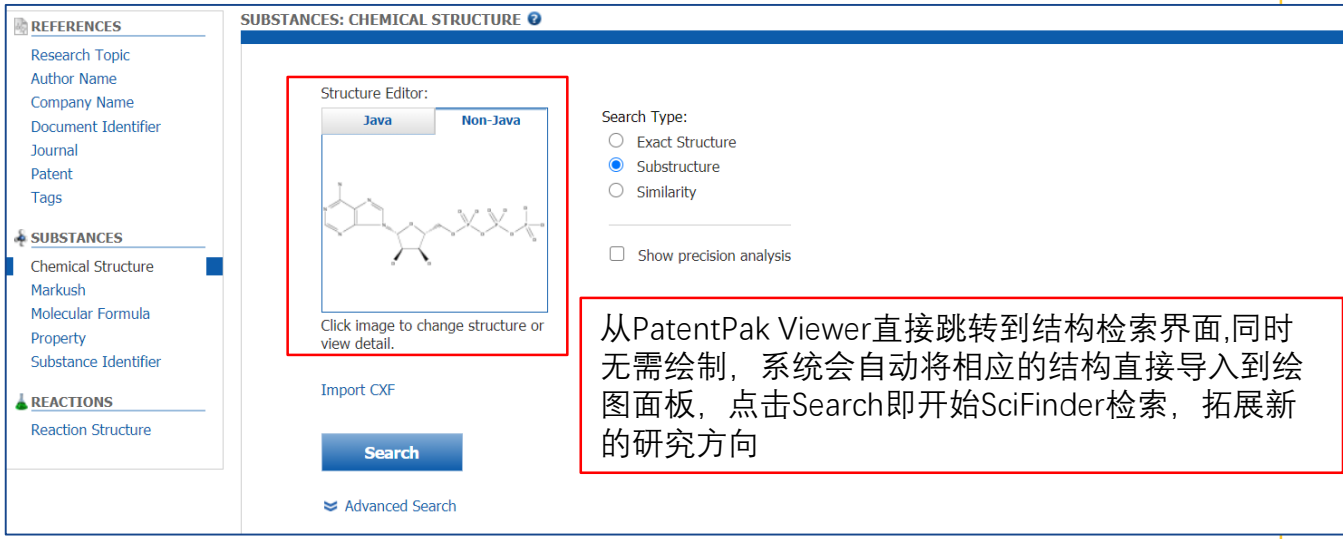

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