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Corrigendum

Corrigendum to "Synthesis of the 2β,3β-, 2α,3β-, 2β,3α- and 2α,3α- isomers of 6β-hydroxy-3-(*p*-tolyl)tropane-2-carboxylic acid methyl ester" [Tetrahedron Letters 40 (1999) 4961]†

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In 1993, Kozikowski *et al.* reported a racemic 7α-methoxylated cocaine analog exhibiting reduced binding affinity (Ki = 45 μM: 190-fold less potent than cocaine) for the dopamine transporter and modest cocaine antagonism (*J. Med. Chem.* **1993,** *36,* 3975-3977; see also *Tetrahedron Lett.* **1996,** *37,* 5333-5336). These workers suggested that C6 and C7 modified cocaine and WIN analogs could lead to a more robust antagonism of the effects of cocaine.

A variety of C6 and C7 substituted 3-aryltropanes have subsequently been reported. While many were poorly active, the 7-hydroxylated 3β and 3α-3,4-dichlorophenyl 2-carbomethoxytropanes (Meltzer *et al., Tetrahedron Lett.* **1997,** *38,* 1121-1124) were exceptionally potent inhibitors of the DAT (IC_{50} = 1.2-1.4 nM). Furthermore, the 3α boat configuration conferred substantial potency and $>10^3$ selectivity for the DAT vs the SERT (Meltzer *et al., Med. Chem. Res.* **1998,** 8, 12-34).

The synthesis of 6-hydroxy-3-tolyltropanes reported by Kozikowski *et al.* was based upon the palladium coupling of a tropane enol triflate with tolylboronic acid and subsequent SmI_2 reduction, as described by Carroll *et al.* (*Tetrahedron Lett.* **1995,** *38,* 3099-4002) and later utilized by Chen and Meltzer for the synthesis of 6- and 7-hydroxy and 6- and 7-methoxy-2-carbomethoxy-3-aryltropanes (Chen and Meltzer, *Tetrahedron Lett.* **1997,** *38,* 1121-1124; Meltzer *et al., Med. Chem. Res.* **1998,** *8,* 12-34).

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