

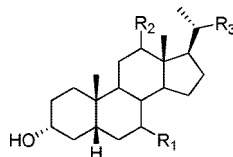
Additions and Corrections

2008, Volume 51

Hiroyuki Sato, Antonio Macchiarulo, Charles Thomas, Antimo Gioiello, Mizuho Une, Alan F. Hofmann, Régis Saladin, Kristina Schoonjans, Roberto Pellicciari,* and Johan Auwerx*: Novel Potent and Selective Bile Acid Derivatives as TGR5 Agonists: Biological Screening, Structure–Activity Relationships, and Molecular Modeling Studies.

Page 1834. In Table 3, the R₁ and R₂ groups for UDCA derivatives are incorrect. The correct structures for these compounds are reported below in the revised Table 3.

Table 3. TGR5 Agonist Potency of Side Chain Modified Bile Acids Derivatives^a



Trivial Name	R ₁	R ₂	R ₃	EC ₅₀	Efficacy
LCA (6)	-H	-H		0.58	101
LCA Me Ester (25)	-H	-H		0.59	102
Nor-LCA (26)	-H	-H		0.77	102
CDCA (4)	α-OH	-H		6.71	105
CDCA Me Ester (27)	α-OH	-H		1.39	114
Nor-CDCA (28)	α-OH	-H		10.4	102
Dinor-CDCA (29)	α-OH	-H		>100	50 (316 μM)
CDC-OH (30)	α-OH	-H		0.12	103
CDC-Sul (31)	α-OH	-H		0.44	103
22 <i>S</i> ,23 <i>S</i> -CCDCA (32)	α-OH	-H		1.33	110
22 <i>S</i> ,23 <i>R</i> -CCDCA (33)	α-OH	-H		2.91	102
22 <i>R</i> ,23 <i>R</i> -CCDCA (34)	α-OH	-H		75.7	5
22 <i>R</i> ,23 <i>S</i> -CCDCA (35)	α-OH	-H		>100	4
CA (5)	α-OH	α-OH		13.6	101
C-OH (36)	α-OH	α-OH		0.87	103
C-Sul (37)	α-OH	α-OH		0.10	103
UDCA (9)	β-OH	-H		36.4	75
Nor-UDCA (38)	β-OH	-H		47.2	79
Dinor-UDCA (39)	β-OH	-H		>316	0 (316 μM)
UDC-OH (40)	β-OH	-H		2.18	79
UDC-Sul (41)	β-OH	-H		5.02	109

^a Data represent average values of at least three independent experiments of CRE-driven luciferase reporter assays in TGR5-transfected CHO cells. Units are μM for EC₅₀ and % of 10 μM LCA value for efficacy.