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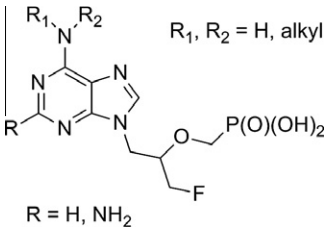
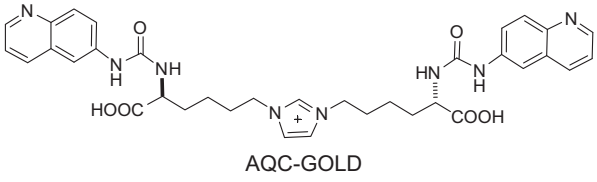
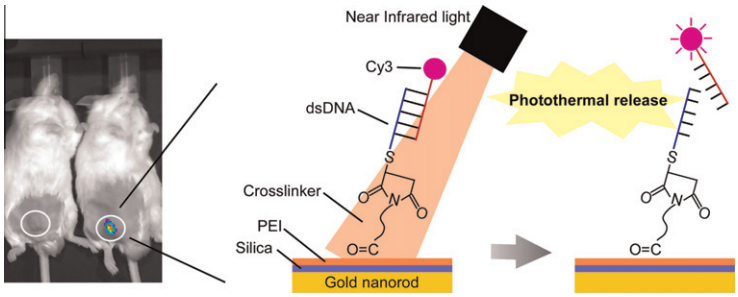
Contents

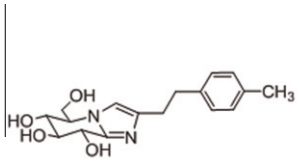
ARTICLES



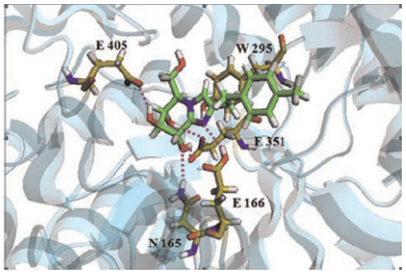


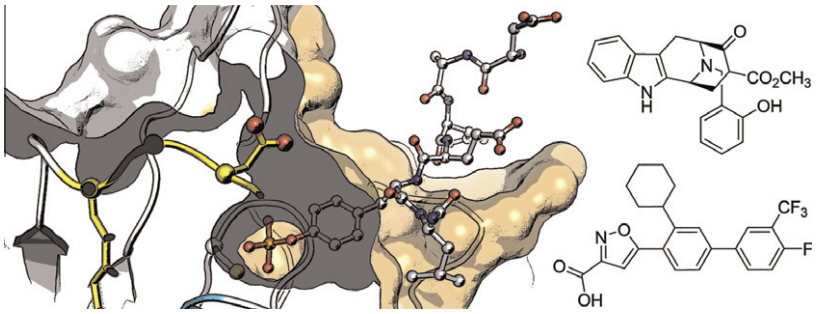
AQC-GOLD

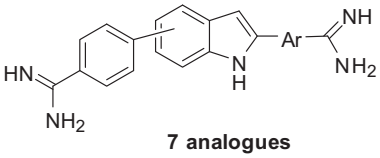




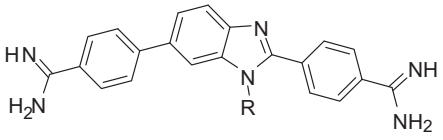
Compound 5 K_i = 0.58 nM



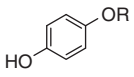




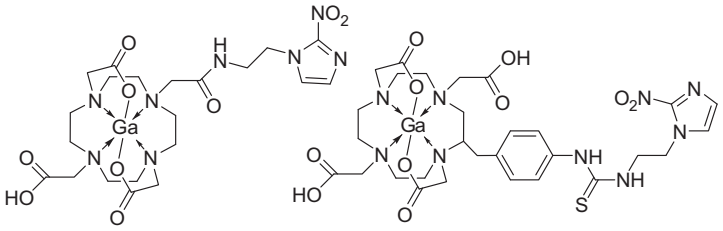
7 analogues

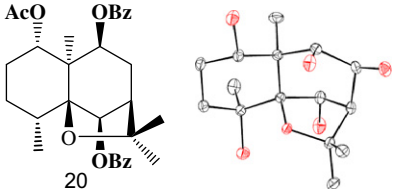


R = H, OH, CH_3

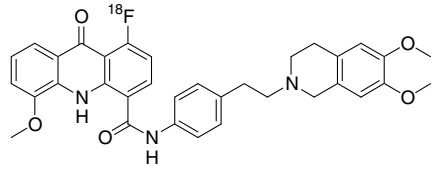


32 R = 3,5-dimethoxy
33 R = 4-Fluorobenzyl
34 R = 2-Chlorobenzyl
35 R = 3-Chlorobenzyl
36 R = 3,4-Dichlorobenzyl

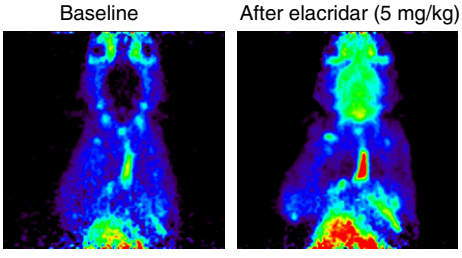


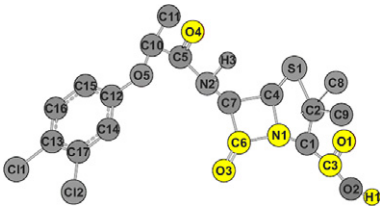


A series of natural and derivative dihydro-β-agarofuran sesquiterpenes have been tested against sensitive and resistant *Mycobacterium tuberculosis* strains. Among those tested, **20** exhibited promising anti-MDR TB activity. Their stereostructures were elucidated by NMR and X-ray analysis.



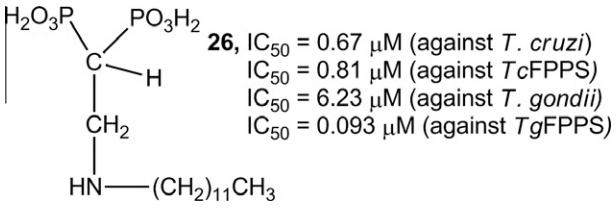
1-[^{18}F]Fluoroelacridar ([^{18}F]**4b**)

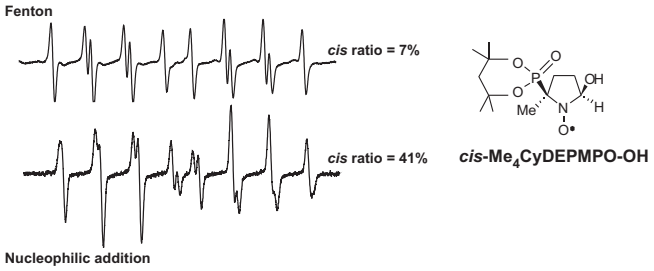


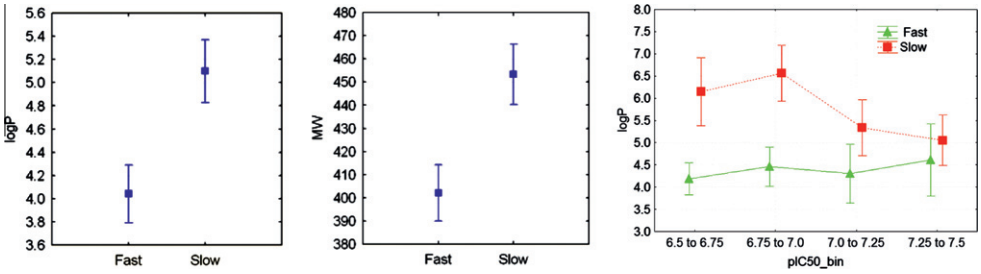


Pharmacophore Atoms and Electron Conformational Submatrices of Activity

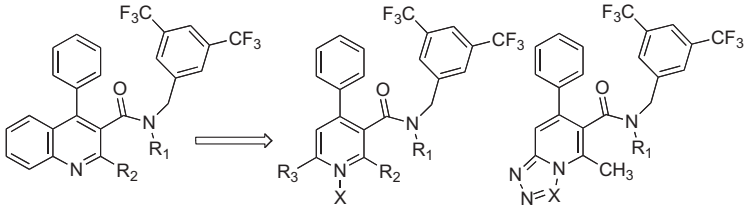
N1	**C6**	**C3**	**O1**	**H1**	**O3**	**O4**	
-0.110	0.935	2.430	2.934	4.215	2.425	4.968	**N1**
	0.274	3.767	4.372	5.404	1.953	4.152	**C6**
		0.384	1.833	1.906	4.322	7.319	**C3**
			-0.362	2.226	5.075	7.523	**O1**
				0.230	5.693	9.166	**H1**
					-0.247	4.784	**O3**
						-0.351	**O4**

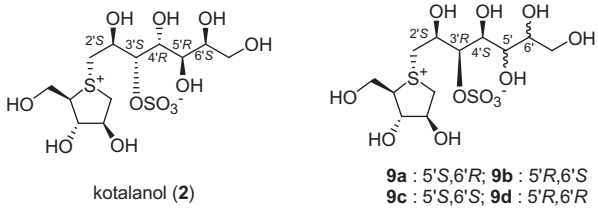




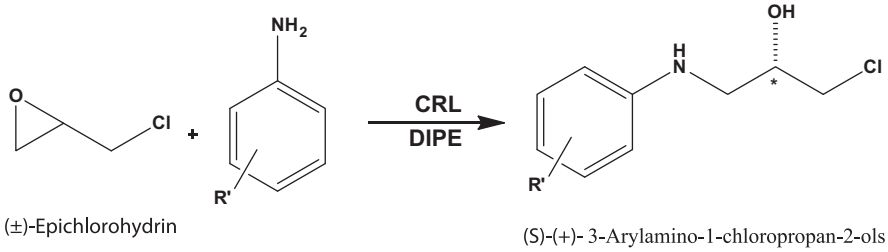


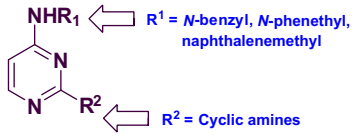
Statistical analysis reveals the important molecular properties affecting fast and slow dissociating D_2 receptor antagonists.



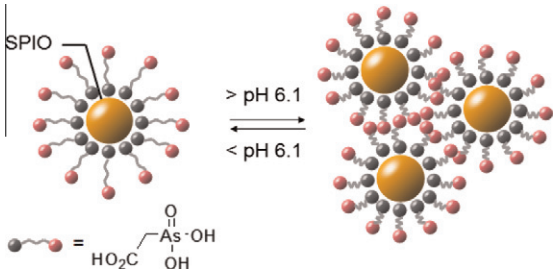


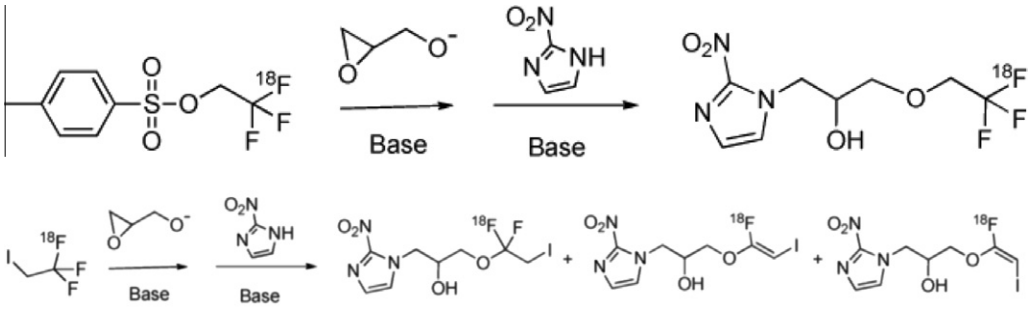
Regio- and enantioselective synthesis of (*S*)-(+)-3-arylamino-1-chloropropan-2-ols has been achieved by epoxide ring opening of (±)-epichlorohydrin with different aromatic amines in the presence of *Candida rugosa* lipase. Activity of seven model (*S*)-(+)-3-arylamino-1-chloropropan-2-ols, out of 10 compounds synthesized, has been evaluated for the inhibition of tumor necrosis factor-α (TNF-α) induced expression of cell adhesion molecule, that is, intercellular adhesion molecule-1 (ICAM-1) and structure–activity relationship has been established.

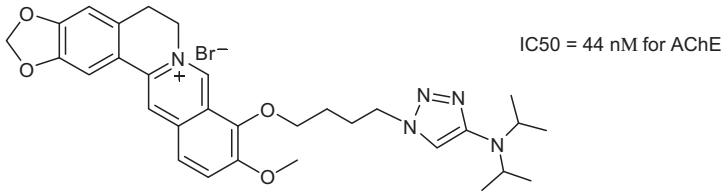




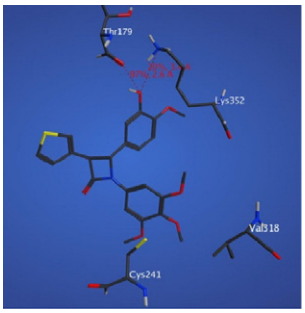
Novel ring scaffold as dual cholinesterase and Aβ-aggregation inhibitors.

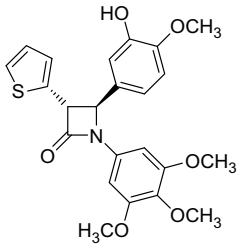






A series of novel triazole-containing berberine derivatives were designed, synthesized, and biologically evaluated as inhibitors of acetylcholinesterase (AChE), butyrylcholinesterase (BuChE) and β-amyloid aggregation.





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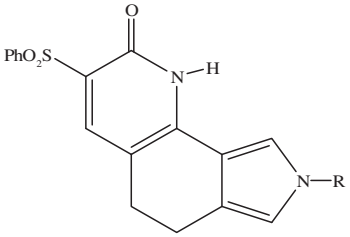
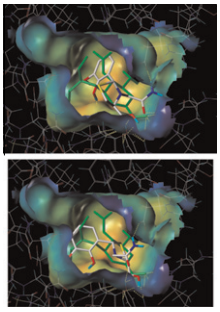
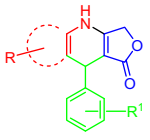


A new series of pyrroloquinolinones were synthesised and evaluated for their phototoxic activity. Some of the title compounds showed, upon UVA irradiation, IC_{50} values comparable or lower than angelicin used as reference drug.

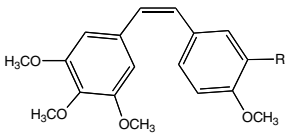




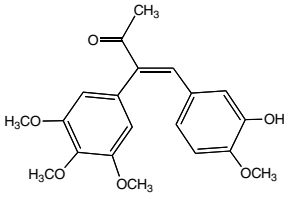
11a-w

R = 2,4-dimethoxy-5-pyrimidyl, 5-indyl, 2-methyl-5-indyl, 5-indazolyl, 6-benzthiazolyl, 2-methyl-6-benzthiazolyl, 2-mercapto-5-imidazolyl, 5-triazolyl, 3-(4-methoxyaryl)5-isoxazolyl, 3-(4-chloroaryl)5-isoxazolyl, 2,3,4-trimethoxyaryl;

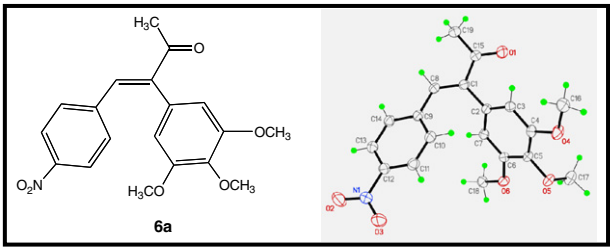
R^1 = 3,4,5-trimethoxy, 4-hydroxy-3-methoxy, 3-hydroxy-4-methoxy, 4-fluoro-3-methoxy, 3-nitro-4-methoxy, 2-fluoro-4-methoxy;



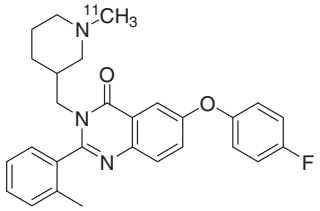
1, R = OH, combretastatin A-4
2, R = phosphate
3, R = NH_2, AC-7739
4, R = $NHCOCHNH_2CH_2OH$, AVE-8062



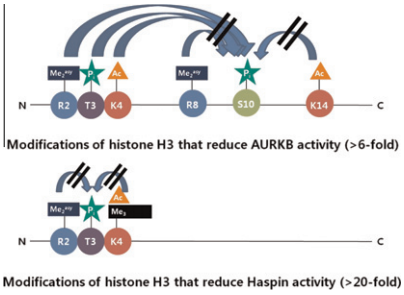
6t

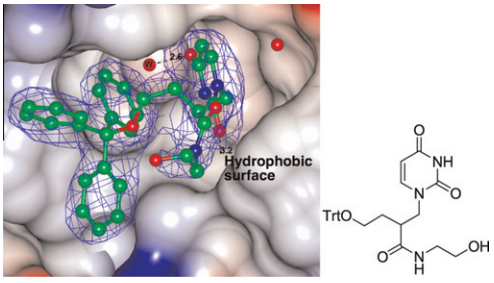


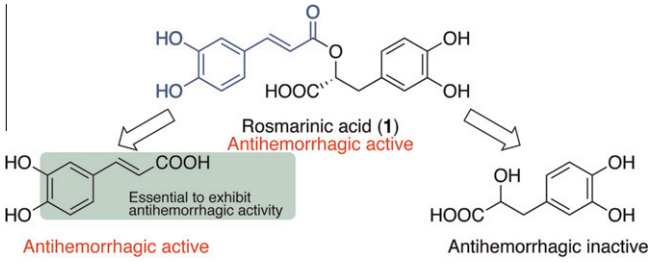
6a

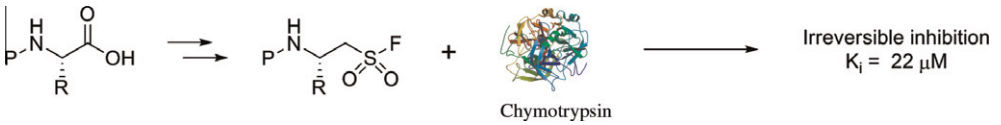


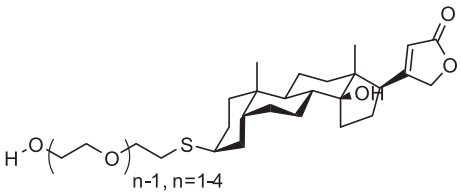
We report the synthesis, in vitro, and in vivo evaluation of 6-(4-fluorophenoxy)-3-((1-[^{11}C]methylpiperidin-3-yl)methyl)-2-*o*-tolylquinazolin-4(3*H*)-one ([^{11}C]) as a potential PET radiotracer for imaging of the Ghrelin Secretagogue Receptor (GHSR).



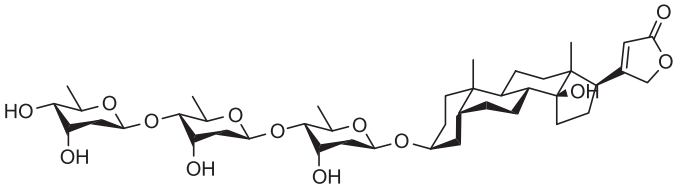




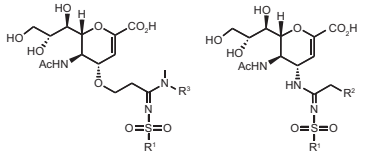


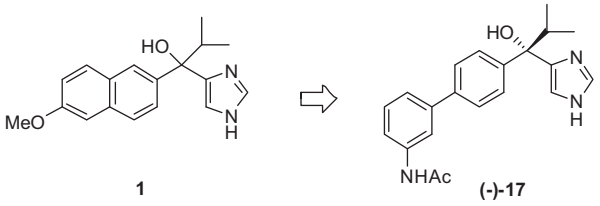


Digitoxin Mimics: K_{app} (Na^+, K^+ ATPase) 0.48-2.1 μM
IC_{50} (MCF-7 breast cancer) 0.2-1.4 μM



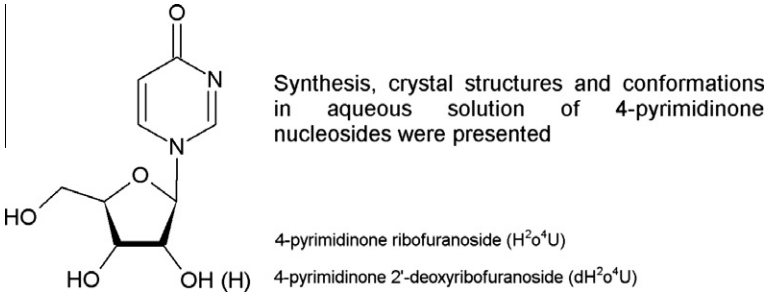
Digitoxin: K_{app} (Na^+, K^+ ATPase) 0.99 μM
IC_{50} (MCF-7 breast cancer) 0.02 μM



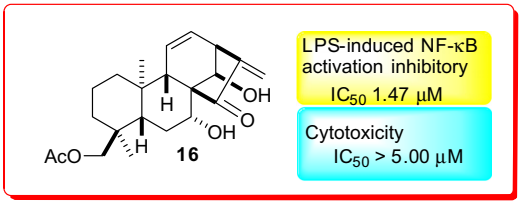


1 (-)-17

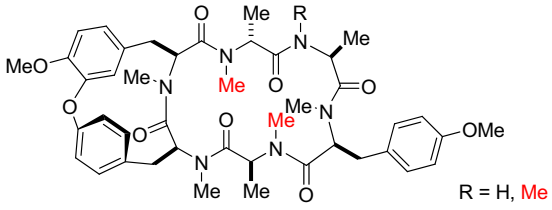
A novel series of biphenylylmethylimidazole derivatives were synthesized as inhibitors of 17,20-lyase, and their biological activities were evaluated.



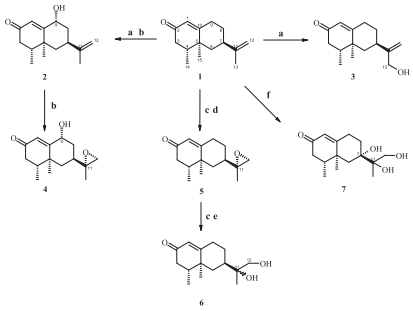
Synthesis, crystal structures and conformations in aqueous solution of 4-pyrimidinone nucleosides were presented.



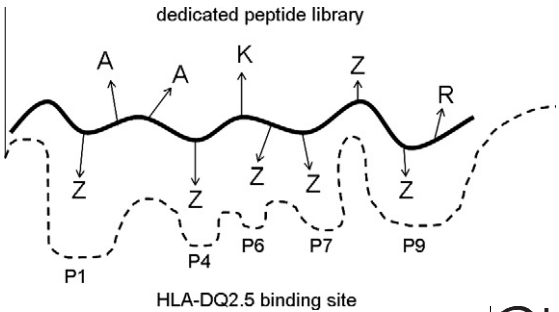
Synthesis of rabdokunmin C analogues was carried out. SAR study of their analogues on inhibitory activity of NF-κB activation was also reported.

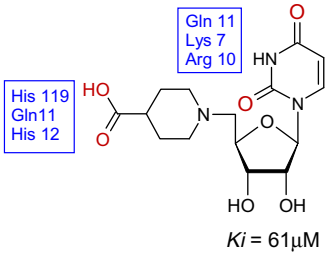


We report the microbial transformation of natural bicyclic ketone–(+)-nootkatone (**1**). We proposed the metabolic pathway of (+)-nootkatone in fungal culture studied and showed the antiproliferative activity of obtained metabolites towards cancer cell lines A549 (human lung adenocarcinoma) and HL-60 (human promyelocytic leukemia).



A novel method using soluble peptide libraries with dedicated positions (Z) and soluble recombinant HLA-DQ2.5 for HLA class II peptide binding motif refinement and peptide blocker development.





Inhibition of the ribonucleolytic activity of ribonuclease A by 5'-modified pyrimidine nucleosides having free carboxyl groups is reported for the first time.

*Corresponding author
Supplementary data available via ScienceDirect

COVER

The known veterinary anthelmintic and proton ionophore, closantel, was recently discovered to also exhibit potent chitinase inhibition activity and inhibit molting in the parasitic nematode, *Onchocerca volvulus*, the causative agent of the neglected tropical disease onchocerciasis. [C. Gloeckner, A. L. Garner, F. Mersha, Y. Oksov, N. Tricoche, L. M. Eubanks, S. Lustigman, G. F. Kaufmann, K. D. Janda, Repositioning of an existing drug for the neglected tropical disease Onchocerciasis, *Proc. Natl. Acad. Sci., U.S.A.* **2010**, *107*, 3424.]

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