Oxidative Deamination of Emixustat by Human Vascular Adhesion Protein-1/Semicarbazide-sensitive Amine Oxidase

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Supplemental Table 1. In Vitro Inhibition of Emixustat and Benzylamine Deamination Activity in Human Sources of VAP-1

Compound	Inhibition Significance/ Selectivity	Highest Screened Conc. (µM)	Elderly Human Aorta Membranes (hAM)				Plasma	rhVAP-1	
			Emixustat Deamination		BA Deamination		BA Deamination		
			% Inhib	IC_{50} (µM)	% Inhib	IC_{50} (µM)	% Inhib	% Inhib	IC_{50} (µM)
β-amino proprionitrile	LOXL2	500	27%	ND	ND	ND	22%	ND	ND
Benserazide	Human Plasma VAP-1	100	<10%	ND	25%	ND	45%	<10%	ND
Caffeine	Bovine Plasma VAP-1	100	<10%	ND	<10%	ND	31%	<10%	ND
Clorgyline	MAO-A	100	<10%	ND	43%	ND	13%	<10%	ND
Chlorpromazine	CYP2D6 substrate	20	<10%	ND	13%	ND	ND	<10%	ND
Deprenyl	MAO-B	100	<10%	ND	<10%	ND	34%	<10%	ND
Guanabenz	Porcine Plasma VAP-1	20	69%	10.2	45%	46.9	24%	69%	9.46
Hydralazine	Rat VAP-1	20	87%	7.38	64%	6.40	100%	66%	26.5
Imipramine		20	<10%	ND	24%	ND	ND	<10%	ND
Isoniazid	Hydrazide	100	48%	ND	<10%	ND	15%	56%	78.2
Maprotiline		20	ND	ND	18%	ND	ND	26%	ND
Nialamide	Hydrazine	20	ND	ND	27%	ND	ND	19%	ND
Paroxetine	CYP2D6	100	<10%	ND	ND	ND	15%	ND	ND
Quinidine	CYP2D6	100	11%	ND	ND	ND	10%	ND	ND
Semicarbazide	Hydrazide	100	70%	55.4	73%	ND	73%	81%	ND
Emixustat		100	NA	NA	ND	109	28%	ND	ND

rhVAP-1 = recombinant human vascular adhesion protein-1; % Inhib = Percentage of (Control Activity-Inhibited Activity)/Control Activity; IC_{50} = Inhibitor concentration at 50% maximum; LOXL2 = lysyl oxidase like protein-2 (copper amine oxidase); MAO = monoamine oxidase; CYP = cytochrome P450; ND = No data