

Opioid Pharmacokinetics and Expected Metabolites (Updated 06-2005)

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DRUG	Half-Life (Hrs ^A)	Time to Steady State (Hrs ^A)	Metabolites	Time to Peak Conc. (Hrs ^A)	Serum Predict-ability	Sample Time After Dose (Hrs ^A)	24 Hour Dose vs. Expected Serum Conc. (ng/mL)
OXYCODONE ^{1,2,3,24}	IR=3.2 CR=4.5-8	IR = 17.5 CR = 24-36	Noroxycodone, Oxymorphone, Oxycodyl	IR = 1.6 CR = 2.1-3.2	Y	IR = 1.4 +/-0.7 CR = 3.2 +/-2.2	IR 20mg = 15.6 +/-4.4 CR 20mg = 15.1 +/-4.7
MORPHINE ^{4,5,6,24,25}	2-4	24	Morphine-3-glucuronide, Morphine-6-glucuronide, Normorphine, Codeine, 7,8-dihydromorphinone	IR = 1 CR = 2-3	Y	IR = 1.0 CR = 4.4	IR 40mg = 11.1 +/-8.4 CR 100mg = 36.9 +/-15.5
TRANSDERMAL FENTANYL ^{7,8,9,24}	16-25	72	Norfentanyl, 4-N-(N-propionylanilino) piperidine, 4-N-(N-hydroxypropionylanilino) piperidine, 1-(2-phenethyl)-4-N-(N-hydroxypropionylanilino) piperidine	24-72	Y	25mcg/hr=38.1hrs 50mcg/hr=34.8hrs 75mcg/hr= 33.5hrs 100mcg/hr=36.8hrs	(600mcg = 0.6 +/-0.3) (1200mcg = 1.4 +/- 0.5) (1800mcg = 1.7 +/- 0.7) (2400mcg = 2.5 +/- 1.2) [XXXXmcg ^D]
HYDROMORPHONE ^{10,11,12,24}	2.5	12.5	Hydromorphone-3-glucuronide, Hydromorphone-3-glucoside, Dihydroisomorphine-6-glucuronide, Dihydroisomorphine-6-glucoside, Dihydroisomorphine, Dihydromorphine ^E	48-60 min.	Y	IR = 1.47 CR = 4.78	IR 48 mg = 19.7 +/- 4.04 CR 48 mg = 17.76 +/- 3.07
CODEINE ^{13,14,24}	2.5-3.5	12.5-17.5	Morphine, Norcodeine, Normorphine, Hydrocodone, Codeine 6-glucuronide	1-2	Y	IR = 1.1	IR 180mg = 222.9 +/- 48.9
HYDROCODONE ^{15,16,17,24}	3.8-4.5	19-22.5	Hydromorphone, Norcodeine, 6-beta-hydrocodol, 6-alpha-hydrocodol, 6-beta-hydromorphol, 6-alpha-hydromorphol	1.3	?	N/A	N/A
METHADONE ^{18,19,20,24}	24	~5 days	EDDP (2-ethyl-1,5-dimethyl-3,3-diphenylpyrrolinium), EMDP (2-ethyl-5-methyl-3,3-diphenylpyraline)	2-4	Y	SS blood draw @ 24 hr post-dose, before subsequent dose, & after initial dose.	Linear drug levels increase 260ng/mL for every 1mg/kg consumed
HEROIN ^{21,22,23,24}	~3 min. 1.7-5.3 min	~15 min.	6-acetylmorphine, Morphine, Morphine-3-glucuronide, Normorphine, 6-acetylmorphine 3-glucuronide, Normorphine glucuronide	10 minutes for I.M. dose ^B	Y	112mcg/min continuous infusion = 5min ^C	Heroin level = 57 ng/mL ^C 6-acetylmorphine level=15ng/mL ^C

IR = Immediate Release Products, CR = Continuous Release products, SS = Steady State

A-Hours, unless otherwise indicated

B-Can detect heroin and 6-acetyl morphine within 10-15 minutes of parenteral administration

C-Administered IV in a single patient over 180 minutes

D-Cummulative amount of fentanyl release from patch dose in 24 hours.

E-hydromorphone is 7,8-dihydromorphinone: Please note that morphine metabolism to hydromorphone has been confirmed in 8 mammals other than humans.

New data in humans is pending publication.

Courtesy of Jeffrey Fudin, RPh, BS, PharmD, DAAPM and Jason Palmer, Pharm.D. Candidate.

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