

## Appendix G: Asthma Medications (Updated 2008)

The list of asthma medications published in the original *Promoting Asthma Control in Children* (2004) guideline was reviewed in November 2007 to reflect current medication options and/or availability in Canada. The following table replaces the one found in the original guideline (pp. 93-97).

### Relievers

Medications	Actions	Side Effects	Pharmacokinetics
<b>Short acting <math>\beta_2</math>-agonists:</b>			
<p><b>salbutamol</b></p> <ul style="list-style-type: none"> <li>Airomir<sup>®</sup> MDI (HFA) 100<math>\mu</math>g</li> <li>Apo-Salvent<sup>®</sup> MDI (HFA) 100<math>\mu</math>g</li> <li>Novo-salmol<sup>®</sup> MDI (HFA) 100<math>\mu</math>g</li> <li>Ventolin<sup>®</sup> Diskus<sup>®</sup> PD 200<math>\mu</math>g</li> <li>Ventolin<sup>®</sup> MDI (HFA) 100<math>\mu</math>g</li> <li>Ventolin<sup>®</sup> Nebules<sup>®</sup> Wet Nebulization 0.5mg/ml, 1.0mg/ml, or 2.5mg/ml</li> </ul> <p><b>terbutaline</b></p> <ul style="list-style-type: none"> <li>Bricanyl<sup>®</sup> Turbuhaler<sup>®</sup> PD 500<math>\mu</math>g</li> </ul> <p><b>fenoterol</b></p> <ul style="list-style-type: none"> <li>Berotec<sup>®</sup> vials Wet Nebulization 0.25mg/ml, 0.625g/ml</li> </ul>	<ul style="list-style-type: none"> <li>Promotes bronchodilation through stimulation of <math>\beta_2</math>-adrenergic receptors thereby relaxing airway smooth muscle</li> </ul> <p><b>Onset of action:</b> a few minutes <b>Peaks:</b> 15-20 minutes <b>Duration:</b> 2-4 hours</p> <p>fenoterol up to 8 hours</p>	<ul style="list-style-type: none"> <li>tremor</li> <li>tachycardia</li> <li>headache</li> <li>nervousness</li> <li>palpitations</li> <li>insomnia</li> </ul>	<p><b>salbutamol</b></p> <p><b>Absorption:</b> 20% inhaled, well absorbed (PO) <b>Distribution:</b> 30% inhaled, crosses blood-brain barrier, crosses placenta <b>Metabolism:</b> liver extensively, tissues <b>Excretion:</b> mostly urine, feces, breast milk <b>Half-Life:</b> 4-6 hrs</p> <p><b>terbutaline</b></p> <p><b>Absorption:</b> partially absorbed (PO), minimal (inhalation) <b>Distribution:</b> crosses placenta <b>Metabolism:</b> liver, gut wall <b>Excretion:</b> bile, feces, urine, breast milk <b>Half-Life:</b> unknown</p> <p><b>fenoterol</b></p> <p><b>Absorption:</b> minimal (inhalation), incomplete (PO) <b>Distribution:</b> unknown <b>Metabolism:</b> liver, 90% <b>Excretion:</b> breast milk, kidney 12% <b>Half-Life:</b> 7 hours</p>
<b>Anticholinergic:</b>			
<p><b>ipratropium bromide</b></p> <ul style="list-style-type: none"> <li>Atrovent<sup>®</sup> MDI (HFA) 20<math>\mu</math>g</li> <li>Atrovent<sup>®</sup> Wet Nebulization 125<math>\mu</math>g/ml and 250<math>\mu</math>g/ml</li> </ul>	<ul style="list-style-type: none"> <li>An anticholinergic drug that has been shown to have bronchodilator properties</li> <li>Reduces vagal tone to the airways</li> </ul> <p><b>Onset of action:</b> 5-15 minutes <b>Peaks:</b> 1-2 hours <b>Duration:</b> 4-5 hours</p>	<ul style="list-style-type: none"> <li>dry mouth</li> <li>bad taste</li> <li>tremor</li> </ul>	<p><b>ipratropium bromide</b></p> <p><b>Absorption:</b> minimal <b>Distribution:</b> does not cross blood-brain barrier <b>Metabolism:</b> liver, minimal <b>Excretion:</b> urine, feces <b>Half-Life:</b> 3-5 hrs</p>
<b>Methylxanthine:</b>			
<p><b>aminophylline</b></p> <ul style="list-style-type: none"> <li>Phyllocontin<sup>®</sup> SRT</li> </ul> <p><b>theophylline</b></p> <ul style="list-style-type: none"> <li>Apo-Theo-LA SRT<sup>®</sup></li> <li>Novo-Theophyl SRT<sup>®</sup></li> <li>Quibron-T<sup>®</sup></li> <li>Theochron SRT<sup>®</sup></li> <li>Theolair SRT<sup>®</sup></li> </ul> <p><b>24-Hour: theophylline</b></p> <ul style="list-style-type: none"> <li>Uniphyll<sup>®</sup></li> </ul>	<ul style="list-style-type: none"> <li>relaxes airway smooth muscle</li> <li>may have some anti-inflammatory effect</li> <li>clients may benefit even when serum levels are low</li> </ul>	<p>Are usually caused by a high serum concentration of the drug or the client's inability to tolerate the drug and include:</p> <ul style="list-style-type: none"> <li>upset stomach with heartburn</li> <li>nausea</li> <li>diarrhea</li> <li>loss of appetite</li> <li>headache</li> <li>nervousness</li> <li>insomnia</li> <li>tachycardia</li> <li>seizures</li> </ul>	<p><b>theophylline</b></p> <p><b>Absorption:</b> well absorbed (PO), slowly absorbed (extended release) <b>Distribution:</b> crosses placenta, widely distributed <b>Metabolism:</b> liver <b>Excretion:</b> kidneys, breast milk <b>Half-Life:</b> 3-13 hrs, increased in liver disease, CHF and elderly; decreased in smokers <b>Several drug interactions include:</b></p> <ul style="list-style-type: none"> <li>antibiotics</li> <li>birth control pills</li> </ul>

## Controllers

Medications	Actions	Side Effects	Pharmacokinetics
<b>Glucocorticosteroids (inhaled):</b>			
<p><b>beclomethasone</b></p> <ul style="list-style-type: none"> <li>• Alti-beclomethasone<sup>®</sup> MDI 50µg</li> <li>• QVAR<sup>®</sup> MDI(HFA) 50µg, 100µg</li> </ul> <p><b>budesonide</b></p> <ul style="list-style-type: none"> <li>• Pulmicort<sup>®</sup> Nebuamp<sup>®</sup> Wet Nebulization 0.125mg/ml, 0.25mg/ml and 0.5mg/ml</li> <li>• Pulmicort<sup>®</sup> Turbuhaler<sup>®</sup> PD 100µg, 200µg, and 400µg</li> </ul> <p><b>fluticasone</b></p> <ul style="list-style-type: none"> <li>• Flovent<sup>®</sup> Diskus<sup>®</sup> PD 50µg, 100µg, 250µg, and 500µg</li> <li>• Flovent<sup>®</sup> MDI(HFA) 50µg, 125µg, and 250µg</li> </ul>	<ul style="list-style-type: none"> <li>• Prevents and suppresses activation and migration of inflammatory cells</li> <li>• Reduces airway swelling, mucus production, and microvascular leakage</li> <li>• Increases responsiveness of smooth muscle beta receptors</li> </ul>	<p>Inhaled route (up to equivalent of 1000 µg/ day beclomethasone):</p> <ul style="list-style-type: none"> <li>• sore throat</li> <li>• hoarse voice</li> <li>• thrush</li> <li>• cough</li> </ul> <p>Rinsing, gargling and expectorating after inhalation can minimize these side effects.</p> <p>A spacer should be used with MDIs to reduce side effects.</p>	<p><b>beclomethasone</b></p> <p><b>Absorption:</b> 20%</p> <p><b>Distribution:</b> 10-25% in airways (no spacer)</p> <p><b>Metabolism:</b> minimal</p> <p><b>Excretion:</b> less than 10% in urine/feces</p> <p><b>Half-Life:</b> 15 hrs</p> <p><b>budesonide</b></p> <p><b>Absorption:</b> 39%</p> <p><b>Distribution:</b> 10-25% in airways (no spacer)</p> <p><b>Metabolism:</b> liver</p> <p><b>Excretion:</b> 60% urine, smaller amounts in feces</p> <p><b>Half-Life:</b> 2-3 hrs</p> <p><b>fluticasone</b></p> <p><b>Absorption:</b> 30% aerosol, 13.5% powder</p> <p><b>Distribution:</b> 10-25% in airways (no spacer), 91% protein binding</p> <p><b>Metabolism:</b> liver</p> <p><b>Excretion:</b> less than 5% in urine, 97-100% in feces</p> <p><b>Half-Life:</b> 14 hrs</p>
<b>Glucocorticosteroids (oral/intravenous):</b>			
<p><b>ORAL</b></p> <p><b>prednisone</b></p> <ul style="list-style-type: none"> <li>• Prednisone 5mg and 50 mg tablets</li> <li>• Deltasone<sup>®</sup> 5mg and 50mg tablets</li> </ul> <p><b>prednisolone</b></p> <ul style="list-style-type: none"> <li>• PediaPred<sup>®</sup> 1mg/ml liquid</li> </ul> <p><b>methylprednisolone</b></p> <ul style="list-style-type: none"> <li>• Medrol<sup>®</sup> 4mg tablets</li> </ul> <p><b>dexamethasone</b></p> <ul style="list-style-type: none"> <li>• Decadron<sup>®</sup> 0.5mg, 4mg tablets</li> </ul> <p><b>INTRAVENOUS</b></p> <ul style="list-style-type: none"> <li>• methylprednisolone</li> <li>• SoluCortef<sup>®</sup></li> <li>• SoluMedrol<sup>®</sup></li> </ul>		<p><b>Oral or IV route – short term (less than 2 weeks):</b></p> <ul style="list-style-type: none"> <li>• weight gain</li> <li>• increased appetite</li> <li>• menstrual irregularities</li> <li>• mood changes</li> <li>• muscle cramps</li> <li>• mild reversible acne</li> <li>• hyperglycemia (IV)</li> </ul> <p><b>Oral route – long term (more than 2 weeks):</b></p> <ul style="list-style-type: none"> <li>• adrenal suppression</li> <li>• immuno-suppression</li> <li>• osteoporosis</li> <li>• hyperglycemia</li> <li>• hypertension</li> <li>• weight gain</li> <li>• cataracts</li> <li>• glaucoma</li> <li>• peptic ulcer</li> <li>• ecchymosis</li> <li>• avascular necrosis of the hip</li> </ul>	<p><b>prednisone</b></p> <p><b>Absorption:</b> well absorbed</p> <p><b>Distribution:</b> widely distributed; crosses placenta</p> <p><b>Metabolism:</b> liver, extensively</p> <p><b>Excretion:</b> kidney, breast milk</p> <p><b>Half-Life:</b> 3-4 hrs</p> <p><b>IV steroids</b></p> <p><b>Absorption:</b> rapid</p> <p><b>Distribution:</b> widely distributed</p> <p><b>Metabolism:</b> liver</p> <p><b>Excretion:</b> kidneys</p> <p><b>Half-Life:</b> 18 - 36 hrs, depending on the drug</p>
<b>Long-Acting β<sub>2</sub>-agonists:</b>			
<p><b>formoterol</b></p> <ul style="list-style-type: none"> <li>• Foradil<sup>®</sup> PD 12µg</li> <li>• Oxeze<sup>®</sup> Turbuhaler<sup>®</sup> PD 6µg and 12µg</li> </ul> <p><b>salmeterol</b></p> <ul style="list-style-type: none"> <li>• Serevent<sup>®</sup> Diskus<sup>®</sup> PD 50µg</li> <li>• Serevent<sup>®</sup> Diskhaler<sup>®</sup> PD 50µg</li> </ul>	<ul style="list-style-type: none"> <li>• Promotes bronchodilation through stimulation of β<sub>2</sub>-adrenergic receptors thereby relaxing airway smooth muscle</li> </ul> <p><b>formoterol</b></p> <p><b>Onset of action:</b> 1-3 minutes</p> <p><b>Duration:</b> 12 hours</p> <p><b>salmeterol</b></p> <p><b>Onset of action:</b> 10-20 minutes</p> <p><b>Duration:</b> 12 hours</p>	<ul style="list-style-type: none"> <li>• tremor</li> <li>• tachycardia</li> <li>• headache</li> <li>• nervousness</li> <li>• palpitations</li> <li>• insomnia</li> </ul>	<p><b>formoterol</b></p> <p><b>Absorption:</b> rapid, lung deposition 21-37%</p> <p><b>Distribution:</b> plasma protein binding approximately 50%</p> <p><b>Metabolism:</b> liver, extensive</p> <p><b>Excretion:</b> 10% unchanged in urine</p> <p><b>Half-Life:</b> approximately 8-10 hours</p> <p><b>salmeterol</b></p> <p><b>Absorption:</b> minimal systemic</p> <p><b>Distribution:</b> local</p> <p><b>Metabolism:</b> liver first pass</p> <p><b>Excretion:</b> unknown</p> <p><b>Half-Life:</b> 5.5 hrs</p>

Medications	Actions	Side Effects	Pharmacokinetics
<b>Anti-Leukotrienes:</b>			
<b>montelukast</b> <ul style="list-style-type: none"> <li>Singulair® 4mg, 5mg and 10mg tablets</li> <li>Singulair® 4mg oral granules</li> </ul> <b>zafirlukast</b> <ul style="list-style-type: none"> <li>Accolate® 20mg tablets</li> </ul>	<ul style="list-style-type: none"> <li>Blocks the action of leukotrienes that are released by the membranes of inflammatory cells in the airways</li> <li>Note: Bioavailability is reduced with Accolate® when given with food</li> </ul>	<b>montelukast</b> <ul style="list-style-type: none"> <li>headache</li> <li>abdominal pain</li> </ul> <b>zafirlukast</b> <ul style="list-style-type: none"> <li>headache</li> <li>indigestion</li> <li>stomach upset</li> </ul>	<b>montelukast</b> <b>Absorption:</b> rapidly <b>Distribution:</b> protein binding 99% <b>Metabolism:</b> liver <b>Excretion:</b> bile <b>Half-Life:</b> 2.7-5.5 hrs  <b>zafirlukast</b> <b>Absorption:</b> rapid after oral administration <b>Distribution:</b> enters breast milk, 99% protein binding <b>Metabolism:</b> liver <b>Excretion:</b> feces, breast milk, 10% unchanged by kidneys <b>Half-Life:</b> 10 hrs
<b>Non-steroidal (anti-allergic) Anti-inflammatory:</b>			
<b>sodium cromoglycate</b> <ul style="list-style-type: none"> <li>Intal Ampules® Wet Nebulization 2ml:10mg/ml</li> </ul>	<ul style="list-style-type: none"> <li>Inhibits the mediator release from mast cells</li> </ul>	<ul style="list-style-type: none"> <li>throat irritation</li> <li>cough</li> </ul>	<b>Absorption:</b> poorly <b>Distribution:</b> unknown <b>Metabolism:</b> unknown <b>Excretion:</b> unchanged mostly in feces, bile and urine <b>Half-Life:</b> 80 min
<b>Anti – Immunoglobulin E (IgE) Neutralizing Monoclonal Antibody (Anti – IgE):</b>			
<b>omalizumab</b> <ul style="list-style-type: none"> <li>Xolair® Subcutaneous 150mg – 375mg S.C. Q2 – 4 weeks. <ul style="list-style-type: none"> <li>Indicated for adolescents, aged ≥12, and adults</li> </ul> </li> </ul>	<ul style="list-style-type: none"> <li>Binds to IgE preventing binding of IgE to the high affinity FcεRI receptor, thereby reducing the amount of free IgE that is available to trigger the allergic-cascade.</li> <li>This medication prevents free serum IgE from attaching to mast cells and prevents IgE mediated inflammatory changes.</li> </ul>	<ul style="list-style-type: none"> <li>Anaphylaxis</li> <li>Malignancy</li> <li>Immunogenicity</li> <li>Injection site irritation</li> <li>Viral infections</li> <li>Upper respiratory tract infections</li> <li>Sinusitis</li> <li>Headache</li> <li>Sore throat</li> </ul>	<b>Absorption:</b> Average absolute bioavailability of 62%. <b>Distribution:</b> Peak serum concentrations after an average of 7 – 8 days. <b>Metabolism:</b> Liver <b>Excretion:</b> Involved with IgG clearance via liver – bile and in breast milk. <b>Half-Life:</b> 26 days.
<b>Anticholinergic:</b>			
<b>ciclesonide</b> <ul style="list-style-type: none"> <li>Alvesco® MDI (HFA) 100µg and 200µg <ul style="list-style-type: none"> <li>Indicated for adolescents, aged ≥12, and adults</li> </ul> </li> </ul>	<ul style="list-style-type: none"> <li>Once inhaled, it is converted in the lungs to its active metabolite, which is a potent glucocorticoid that binds to glucocorticoid receptors in the lung resulting in local pronounced anti-inflammatory activity.</li> </ul>	<ul style="list-style-type: none"> <li>Paradoxical bronchospasm</li> </ul>	<b>Absorption:</b> The systemic bioavailability for the active metabolite is >50% by using the ciclesonide MDI. <b>Distribution:</b> High protein binding, approximately 1% is available for systemic exposure. <b>Metabolism:</b> Hydrolysed to its pharmacologically active metabolite by esterase enzymes primarily in the lungs. <b>Excretion:</b> Liver – bile <b>Half-Life:</b> Approximately 6 hours.
<b>Combination Drugs:</b>			
<b>Long-acting bronchodilators and inhaled steroids</b> <b>budesonide and formoterol</b> <ul style="list-style-type: none"> <li>Symbicort® Turbuhaler® PD 100/6µg, 200/6µg</li> </ul> <b>fluticasone and salmeterol</b> <ul style="list-style-type: none"> <li>Advair® Diskus® PD 100/50µg, 250/50µg, 500/50µg</li> <li>Advair® MDI(HFA) 125/25µg, 250/25µg</li> </ul>	<ul style="list-style-type: none"> <li>the same as those listed for each medication separately</li> </ul>		

**Legend:**  
HFA – hydrofluoralkane  
MDI – metered dose inhaler  
PD – powder device  
SRT – sustained release tablet