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This review discusses evidence that the pharmacology of each prostacyclin (IP) receptor agonist so far developed is distinct, with non-IP receptor targets clearly contributing to the therapeutic and side effect profile of PGI₂ (EP₃), iloprost (EP₁), treprostinil (EP₂, DP₁) along with a family of nuclear receptors known as peroxisome proliferator-activated receptors (PPARs), to which PGI₂ and some analogues directly bind.

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Due to the short half-life of prostacyclin, researchers have focused on looking for stable prostacyclin analogues which have extended half lives and increased bioavailabilities. Cicaprost, among other prostacyclin analogues tested, has been demonstrated, like prostacyclin, to effectively inhibit metastasis in several different animal models (i.e., both experimental and spontaneous metastasis models).

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Beraprost, formerly TRK-100, was developed in Japan as a stable prostacyclin analogue for p.o. use (Nishio et al., 1998). The pharmacology of beraprost was described by Kajikawa et al. (1989) and Murata et al. (1989). Beraprost is readily absorbable from the small intestine, and excretion in the urine and feces is rapid and almost complete after both p.o. and i.v. dosing.

Prostacyclin and its analogues in the treatment of ...

Prostacyclin and its analogues are potent inhibitors of inflammation, where NF- κ B is suppressed through IP receptor activation and may involve Epac-dependent activation of Rac-1 or PPAR activation either through the receptor or via direct binding. The role of PPARs in mediating the effects of PGI₂ is assumed rather than being directly investigated.

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these effects of prostacyclin appeared to be specific because they were mimicked by its stable analog iloprost but not by its metabolite 6 keto pgf_{1a} the results suggest that prostacyclin generated in islets

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Stürzebecher C, Haberey M, Müller B, Schillinger E, Schröder G, Skuballa W, Stock G (1985) Pharmacological profile of ZK 96480, a new chemically and metabolically stable prostacyclin analogue with oral availability and high PGI₂ intrinsic activity. In: Schrör (ed) Prostaglandins and other eicosanoids in cardiovascular system.

The Impact of Prostacyclin Studies on the Development of

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Prostacyclin is essential to normal lung function. Produced by pulmonary endothelial cells, prostacyclin has effects directed toward the local pulmonary vascular wall and blood cells. Patients with PAH may have low levels of prostacyclin. 3,4 Prostacyclin analogs act on multiple receptors 2,5-9*

PAH Guidelines | Prostacyclin Analog

thermore, chemically stable prostacyclin analogues such as carbacyclin have only short-lived biological activity in vivo, as determined by cardiovascular ac-tions and inhibition of platelet aggregation ex vivo, suggestingthatlikeprostacyclin, thesecompoundsare rapidly inactivated.¹³ The extent and duration of the biological actions of prostacyclin and its analogues TABLE 1