Discovery and Process Research of a Novel Herbicide Candidate

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New herbicides are required to improve levels or spectrum of activity, to overcome resistance to existing products, or to replace older products under regulatory pressure. Herbicides that act via inhibition of 4-hydroxyphenylpyruvate dioxygenase (HPPD) have been used for 40 years for weed control in corn, rice, sorghum, and sugarcane production globally, but rarely in wheat or barley. The first part of the talk will describe the discovery and optimization program that resulted in the novel HPPD herbicide candidate Dioxopyritrione (Figure 1) for the use in wheat and barley.

Once a development candidate is identified a major issue is finding a safe, scalable and cost-efficient synthesis. For the synthesis of herbicides cost pressure is usually high and thus a major effort was required to reduce the cost of manufacturing. The second part of this talk will describe process research and development activities starting from the initial route scouting and ending with a scale up in a pilot plant.



Figure 1