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Carbon C 14 Telotristat Etiprate

National Cancer Institute

Source

National Cancer Institute. *Carbon C 14 Telotristat Etiprate*. NCI Thesaurus. Code C111891.

An orally bioavailable, tryptophan hydroxylase (TPH) inhibitor prodrug labeled with carbon C 14, which could be used to evaluate the pharmacokinetic profile of telotristat etiprate. Upon administration, telotristat etiprate is converted to its active moiety, telotristat (LP-778902), which binds to and blocks the activity of TPH. This may result in a reduction in peripheral serotonin (5-HT) production and improvement of serotonin-mediated gastrointestinal adverse side effects, such as severe diarrhea. TPH, the rate-limiting enzyme in serotonin biosynthesis, is overexpressed in carcinoid tumor cells. Telotristat radiolabeled with carbon C 14 facilitates the evaluation of the pharmacokinetic characteristics of this agent, including its absorption, distribution, metabolism, and excretion (ADME).