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(12) **United States Patent**
Kottayil et al.

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- (54) **SUBLINGUAL FENTANYL SPRAY** 2002/0055496 A1 5/2002 McCoy et al.
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- (63) Continuation of application No. 12/221,333, filed on Aug. 1, 2008, now Pat. No. 8,486,973.
- (60) Provisional application No. 60/963,076, filed on Aug. 2, 2007, provisional application No. 60/963,253, filed on Aug. 3, 2007.

- (51) **Int. Cl.**
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A61K 9/00 (2006.01)
- (52) **U.S. Cl.**
CPC *A61K 31/4468* (2013.01); *A61K 31/435* (2013.01); *A61M 11/00* (2013.01); *A61K 31/445* (2013.01); *A61K 9/006* (2013.01); *A61K 9/0056* (2013.01)
USPC **514/329**; 128/200.14

(58) **Field of Classification Search**
None
See application file for complete search history.

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(57) **ABSTRACT**

The present invention is directed to sublingual formulations containing fentanyl, a pharmaceutically acceptable salt thereof, or derivative thereof, suitable for administration to a patient, and methods for treatment with the formulations.

6 Claims, 10 Drawing Sheets

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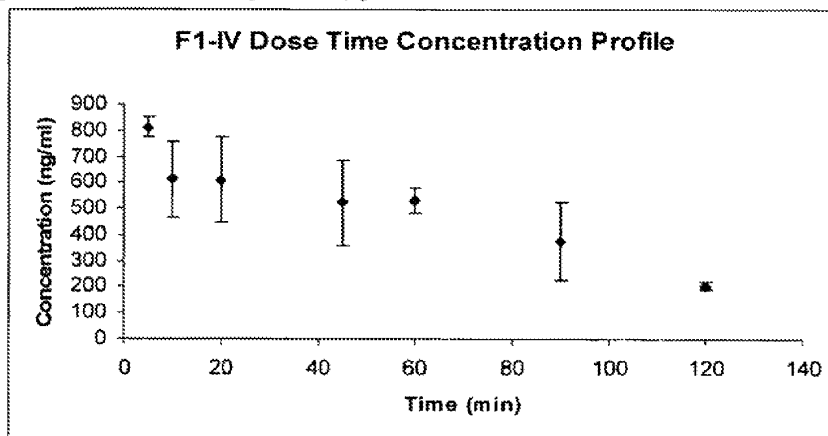
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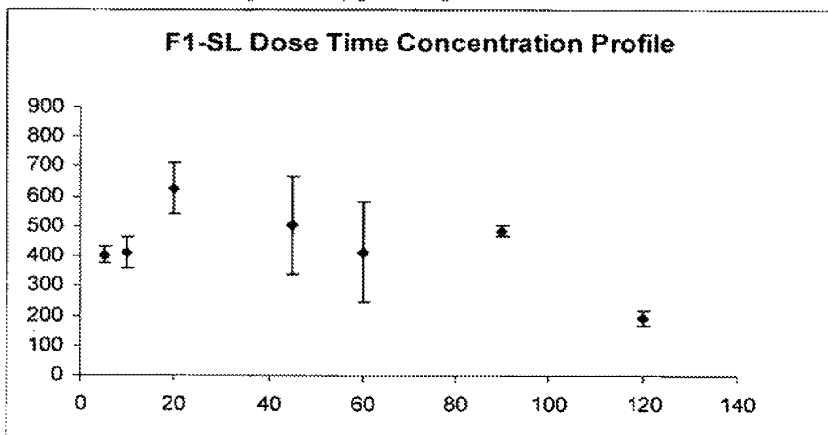
Plasma concentration-time curves after IV & SL doses of Fentanyl

Figure 1: Formula of Example 1, 50 µg IV dose



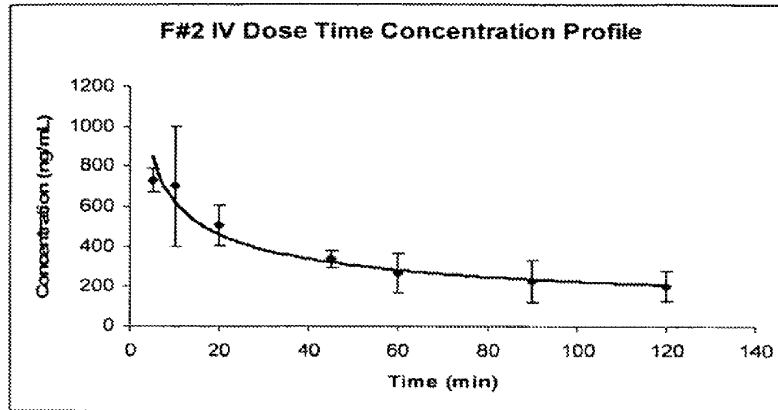
Mean (±S.E.) plasma concentration–time profiles following intravenous administration of Fentanyl ($n=3$).

Figure 2: Formula of Example 1, 50 µg Sublingual dose



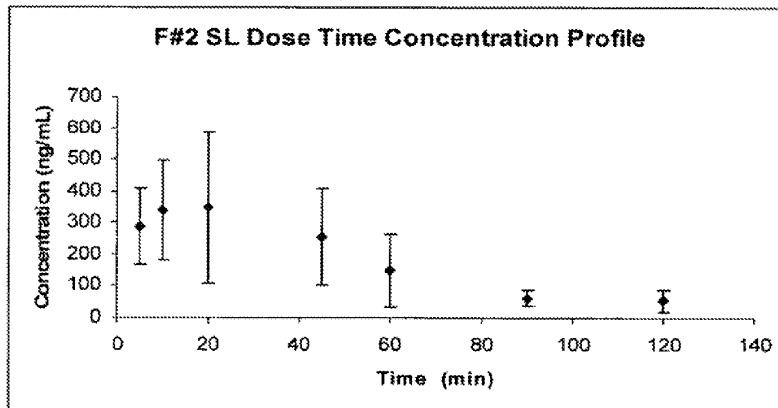
Mean (±S.E.) plasma concentration–time profiles following sublingual administration of Fentanyl ($n=3$)

Figure 3: Formula of Example 2, 80 µg IV dose



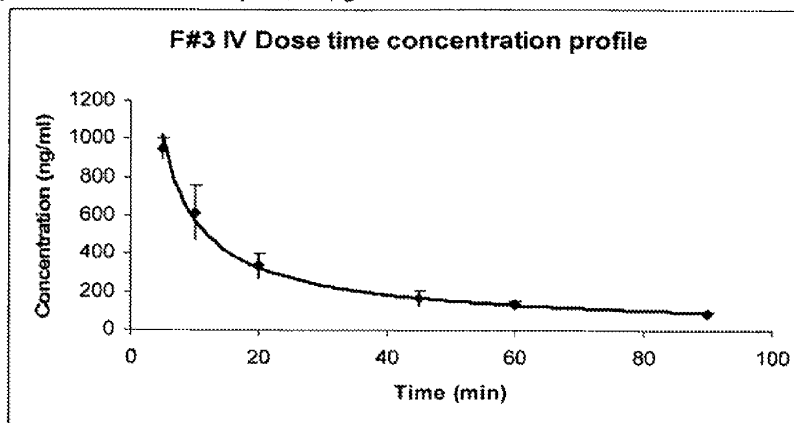
Mean (\pm S.E.) plasma concentration–time profiles following intravenous administration of Fentanyl ($n=3$).

Figure 4: Formula of Example 2, 80 µg SL dose



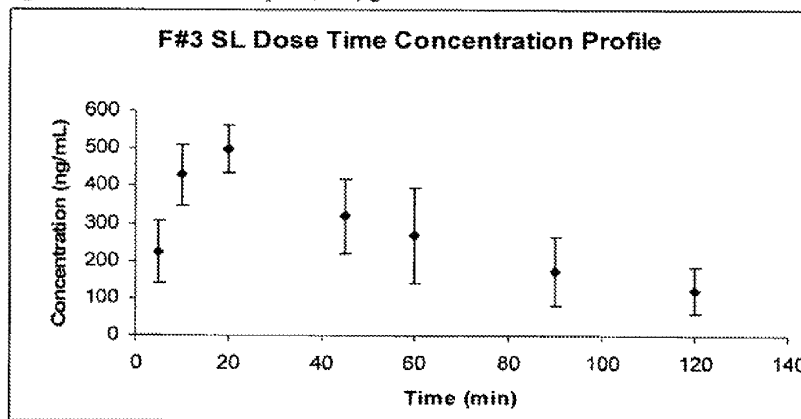
Mean (\pm S.E.) plasma concentration–time profiles following sublingual administration of Fentanyl ($n=3$).

Figure 5: Formula of Example 3, 50 µg IV dose



Mean (±S.E.) plasma concentration–time profiles following intravenous administration of Fentanyl (n=3)

Figure 6: Formula of Example 3, 50 µg SL dose



Mean (±S.E.) plasma concentration–time profiles following sublingual administration of Fentanyl (n=3)

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